藤井信孝教授退職記念誌

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京都大学大学院薬学研究科
藤井信孝教授退職記念事業会

目次

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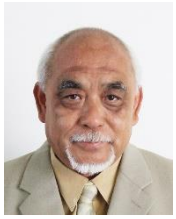
受　賞

1983 年　日本薬学会奨励賞（有機スルホン酸脱保護法によるペプチドの合成研究）
2013 年　日本ペプチド学会学会賞（ペプチド・蛋白質化学を基盤とする創薬研究）
2016 年　日本薬学会賞（ペプチド・蛋白質科学、複素環化学を基盤とする創薬研究）

専門分野

医薬品化学，ペプチド／蛋白質化学、生物有機化学，ケミカルバイオロジー

ペプチド・蛋白質化学を基盤とする創薬研究



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はじめに

筆者は、「ペプチド・蛋白質化学を基盤とする創薬研究」という研究課題を軸足に研究してきました。京都大学を退職するにあたり、筆者の研究に関する思い出をまとめました。下記に記述する研究成果は、筆者の研究を支えていただいた京都大学薬学研究科薬品有機製造学・ケモゲノミクス研究室の先輩、同僚、および卒業生の方々のご協力とご支援の賜物であり、この場を借りて厚く御礼申し上げます。

筆者は窪田実博士（京大薬学サッカー部の先輩）に導かれて学部４回生として京都大学薬学部薬品製造学教室に配属され、当時助教授をしておられた矢島治明先生（京都大学名誉教授）の研究室で直接研究指導を受ける機会に恵まれ、ペプチド化学のイロハから研究者魂の真髄まで懇切丁寧なご指導を賜ることができました。当初は、１）有機スルホン酸脱保護法の開発[1)]、２）ribonuclease A（RNase A）の C-末端７残基ペプチドの大量合成、という二つのテーマを与えられ、アミノ酸誘導体の合成からペプチド合成のノウハウについて同室であった木曽良明先生（長浜バイオ大学客員教授）、北川幸己教授（新潟薬科大学）からまさに手取り足取りのご指導をいただき、漸くペプチド化学研究者としてのスタートを切ることができました。以来 2 つの研究テーマに取り組みましたが、１）有機スルホン酸脱保護法に関しては Met 残基の顕著な副反応の克服および Arg の保護基の開発という課題に於いて、当時助教授をしておられた入江寛先生（長崎大学名誉教授）および当時武田薬品の故藤野政彦博士、西村紀博士のご協力を得て解決することができました。Met 残基の副反応は、アルキルカチオンスカベンジャーとして添加した anisole のメチルエーテル部分による *S*-methylsulfonium 塩の生成であることが判明したため、anisole に変えて thioanisole/*m*-cresol をスカベンジャーとして用いたところ、副反応が回避できることが明らかになりました[2)]。また Arg の保護基に関しては、HF 法で多用されていた Tos 基に変えて Mts（mesitylenesulfonyl）基を用いることにより、合成の最終段階において有機スルホン酸で容易に脱保護できることを明らかにしました[3)]。このような経緯を踏まえて種々条件検討し、trifuloromethanesulfonic acid (TFMSA)-thioanisole(1M)/*m*-cresol/TFA 系を副反応の少ない最終脱保護法として開発し[4)]、従来の HF 法と同様広く用いられるよう

になりました。２）RNase A の全合成に関しては、矢島先生のライフワークの一つとして、challenging なテーマに主体的に取り組む機会を与えていただき光栄に思っています。合成ストラテジーとして 124 残基からなる RNase A を 30 個のフラグメントに分けて C-末端から Azide 法を用いるフラグメント縮合法により順次延長する方法を採用しましたが、ペプチド鎖の延長に伴う保護ペプチドの溶解性と精製には大変苦労しました。難溶性の長鎖保護ペプチドの反応溶媒として DMSO-HMPA-DMF の混合溶媒を適用し、通常は DMSO-MeOH もしくは DMF-MeOH による再沈殿を繰り返すことにより精製を行いましたが、精製が不十分な場合は Sephacryl-S200 を担体として含水 DMSO を溶出液とするゲル濾過を行うことにより保護基のついた RNase A を得ることができました。最終脱保護は TFMSA-thioanisole(1M)/*m*-cresol/TFA 系を用い、glutathione 酸化法により 4 つのジスルフィド結合を形成した後 uridine 誘導体をリガンドとするアフィニティークロマトグラフィー等の精製過程を経て、RNase A の full 活性を有するタンパク質を結晶体として捕捉することができました [5]。筆者自身 RNase A の合成に着手して 7 年の歳月を経て完成に至りましたが、大変苦労したにも拘わらず研究者としての青春の一里塚として未だによい思い出となっています。本研究は活性を有する蛋白質の液相法による最初の化学合成として国内外の高い評価を受けることができましたが、その間、研究の推進に向けて叱咤激励をいただいた矢島先生に心より御礼申し上げます。

液相法による 100 残基を超える蛋白質ついては、その後榊原俊平先生、木村皓俊先生を初めペプチド研究所のグループにより HF 最終脱保護法を用いて midkine（121 残基、5 ジスルフィド）[6]、pleiotrophin（136 残基、5 ジスルフィド）[7]の全合成が達成されています。最近では Prof. S. B. H. Kent & Prof. P. E. Dawson[8]や相本三郎先生（大阪大学名誉教授）ら [9]のイニシアチブにより開発された native chemical ligation（NCL）を用いる方法が主流となっており、効率的かつ画期的な手法として高く評価されています。固相合成等により得られた基本的に無保護のペプチドフラグメントのチオエステルを cysteine ligation 法等で縮合させる本手法は組み換え DNA 生合成法とも組み合わせることが可能で、今後蛋白質合成の第一選択肢となることは明らかです。特に、相本先生、北條裕信教授（大阪大学）、川上徹准教授（大阪大学）らにより報告された CPE（cysteinyl prolyl ester）法 [10]や大高章教授（徳島大学）、重永章講師（徳島大学）らにより報告された SEAlide（*N*-sulphanylethylanilide）法 [11]は信頼性の高いペプチドフラグメントのチオエステル調製法として適用拡大が期待されます。非天然型蛋白質も含めて機能性蛋白質の構造機能相関研究に極めて大きな役割を果たすと思われます。

創薬研究において、受容体・酵素という 2 つの重要な標的分子に対する医薬品開発が著しく進展したことは、ペプチド・蛋白質といった生体分子の合成技術の進歩によるところも大きいと認識しています。このうち、ペプチドは、受容体リガンドや酵素

基質として創薬の基礎研究に利用されるとともに医薬品開発のリード化合物を提供することから、高品質な化学合成品を簡便に調製する技術開発が求められます。筆者は、ペプチド・蛋白質の化学合成に関する基礎研究を推進するとともに、これらを基盤としたペプチド等価体の合成技術の開発と応用、抗ウイルス剤、抗癌剤の開発を目指した分子プローブ・医薬品候補化合物の創製と応用に関する研究を展開してきました。

［1］ペプチド・蛋白質の化学合成のための有機合成的手法の開発

生理活性ペプチド・蛋白質の化学合成プロセスは、ペプチド鎖の伸長反応と各種側鎖官能基に付与された保護基の脱保護反応（最終脱保護反応）から構成されます。前者は、Merrifield の固相合成法の開発により簡便なペプチド鎖構築が可能となり、合成の自動化とともに、ラセミ化を制御した効率的な縮合剤の開発、各種官能基の保護基の開発等を通じて、50 残基程度のペプチドは比較的高純度で合成できるようになってきました。一方、最終脱保護反応とこれに密接に関連するアミノ酸側鎖保護基の選択は、1980 年代においてもペプチド合成における未解決の課題を残していました。

筆者は、各種アミノ酸側鎖に対応する保護基に対する脱保護反応を精査し、前述のように TFMSA-thioanisole(1M)/*m*-cresol/TFA 系により、Boc 法で広く用いられるベンジルアルコール系保護基、アルギニン側鎖の Mts 基を短時間で定量的に除去し、目的のペプチドを収率よく回収する技術を確立しました [4]。その後本法を改良して、TFMSA の代わりに trimethylsilyl triflate（TMSOTf）または trimethylsilyl bromide（TMSBr）を用いるハード酸脱保護法を開発しました [12]。前者は、Boc 法による液相合成・固相合成において Asp-Xaa のサクシニミド形成等の副反応を抑制し TFMSA を用いるよりも純度の高い目的物を与えます。後者もベンジルアルコール系の保護基の脱保護にも有効ですが脱保護能は TMSOTf よりも劣り、TFA 溶媒を用いる反応では HBr ガスを複製する点に少し難点があります。一般に、C 末端アミド型のペプチドの Fmoc 型固相合成の際に、TFA による樹脂からのペプチドの切り出し収率が悪いことがありますが、その際には TFA に TMSBr-thioanisole を添加して最終脱保護反応を行うと収率よく目的物を回収できます。また TMSBr-thioanisole/TFA 系では合成途上に副生する methionine sulfoxide（Met(O)）を Met に還元できることが利点の一つとして挙げられます [13]。筆者は上述の最終脱保護法を活用して GRF（growth hormone releasing factor）や CRF（corticotropin releasing factor）等の視床下部ホルモンをはじめとする多数のペプチドの合成を達成し、各種の内分泌学実験に提供することができました（図 1）[14]。

以上の研究成果は矢島先生のご指導の下、北川教授、小山要博士、故舩越奨博士（京都大学）、小川博教授（近畿大学）、甲斐啓幸博士、武山正治博士（大分大学名誉教授）、赤路健一教授（京都薬科大学）、南竹義春博士、野水基義教授（東京薬科大学）、二木

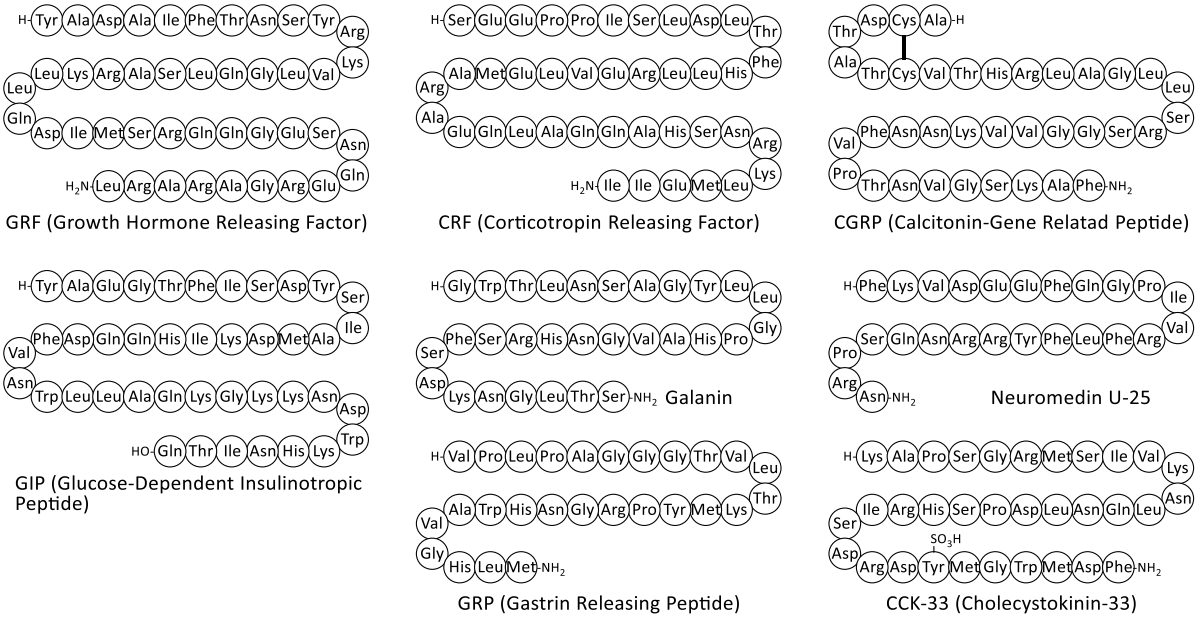


図 1. 化学合成法を確立した代表的なペプチドホルモン

史朗教授（京都大学)、林良雄教授（東京薬科大学)、大高教授、玉村啓和教授（東京医科歯科大学)、渡辺俊博博士、片倉晋一博士、櫻井満也博士、霜倉正徳博士、李惟博士、久野鈴光博士、郭莉莉博士、村山栄五郎博士をはじめ当時の多くの同僚のご協力の賜物です。これらのペプチドは現在では Fmoc 型固相合成法により簡便に合成できると思われますが、原子効率の観点からは大きな課題が残されており、保護基の使用を最小限に抑えた触媒的ペプチド結合形成反応の開発が必要であると考えています。

一方、筆者は TFA を溶媒とするジスルフィド結合形成反応、*S*-保護基の除去反応にも検討を加え、*S*-保護 cysteine sulfoxide を用いるジスルフィド架橋反応 [15]、$Tl(TFA)_3$ を用いるジスルフィド形成反応 [16]、silver triflate（AgOTf）を用いる *S*-Acm 脱保護反応 [17]を開発し、その応用を検討してきました。一例として、AgOTf の反応性を活用して 2 つのジスルフィド結合を有するケモカイン stromal cell-derived factor-1［SDF-1：67 残基］の目的の異性体のみを選択的に収率よく合成する方法を確立しました [18]。ジスルフィド結合形成反応の開発では大高教授、玉村教授、小出隆規教授（早稲田大学）と昼夜を問わず議論を重ねながらそれなりの研究成果を挙げることができましたが、筆者自身が目的としていた TFA 溶媒中での 3 つの位置選択的ジスルフィド結合形成を達成することはできませんでした。TFA 溶媒にこだわった理由は、保護ペプチドも含めてほぼすべてのペプチド、蛋白質を溶解することのできる優れた溶媒として利用できるからですが、水系溶媒と TFA ではペプチドのコンフォメーションは大きく異なることを考慮すると無謀な計画であったと思います。ペプチド合成化学を甘く見てはいけないとつくづく感じました。後に、赤路先生、木曽先生によってインシュリンの 3 つの位置選択的ジスルフィド結合形成による全合成が報告された際には深

い感銘を受けました[19]。

化学合成により得られた各種のペプチドホルモン、ケモカイン類は、国内外の共同研究者による生化学研究、生理学研究に用いられ、内分泌学・免疫学の研究領域の発展にも貢献することができました。特に、SDF-1 は組換え DNA 法では調製が困難らしく、信頼性の高い合成品としてこの領域の研究者から多くの提供依頼を受けました。

［２］ペプチダーゼ耐性型ペプチドミメティクスの化学合成法の開発と応用

ペプチド結合は、生体機能をつかさどる蛋白質やペプチドの主鎖骨格を形成する最も普遍的な共通構造であり、連続するアミノ酸間の結合としてだけでなく、その水素結合能により二次構造や高次構造の形成に関与しています。一般に蛋白質やペプチドの特徴的な機能を提供する部分構造として多様な官能基を有するアミノ酸側鎖の役割が注目されていますが、実際には特定のペプチド結合が分子認識に直接関与し、重要な役割を果たしているケースも多く存在します。筆者は、このペプチド結合の重要性に着目した各種ペプチドミメティクスの化学合成法の開発とその特性を活用した生理活性ペプチドの構造活性相関研究に検討を加えました。

ペプチドミメティクスの代表的な例として、ペプチド結合の平面性に基づいてペプチド結合の炭素-窒素結合を炭素-炭素二重結合に置換したアルケン型ジペプチドイソスターが挙げられます。本イソスターはペプチド結合におけるトランス配座とシス配座間の相互変換を制限し、置換されたペプチド結合の水素結合を介する分子認識への関与を評価するプローブとしても用いることができます。筆者は、多様なアミノ酸側鎖に対応可能なさまざまなアルケン型ジペプチドイソスターについて、各種遷移金属を利用した立体選択的合成法の開発に取り組みました[20]。アミノ酸をキラルプールとする L-L、L-D 型の *trans* 型ペプチド結合等価体としての(*E*)-アルケン型ジペプチドイソスター（EADI）の立体選択的合成法を図 2 に示します[21]。Mts-L-アミノ酸を原料と

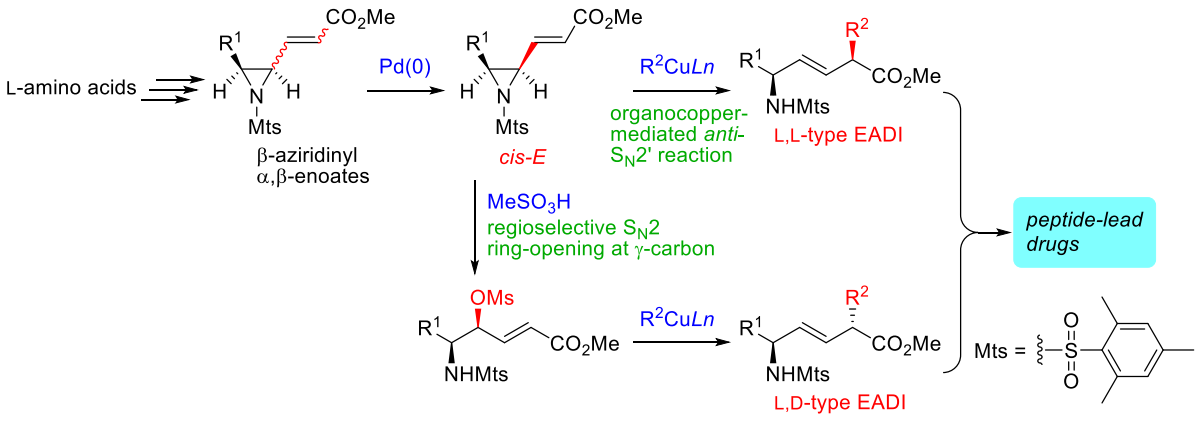


図 2. アミノ酸をキラルプールとする(*E*)−アルケンジペプチドイソスター（EADI）の立体選択的合成

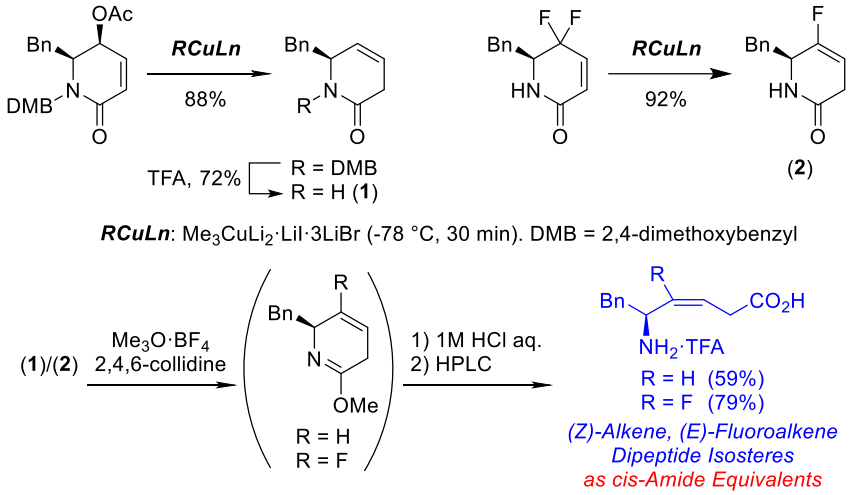


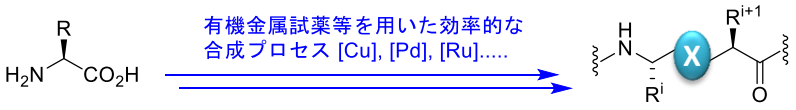
図 3. 有機銅試薬還元反応を用いる *cis*-Phe-Gly 型(*Z*)-アルケン、(*E*)-フルオロアルケンジペプチドイソスターの合成例

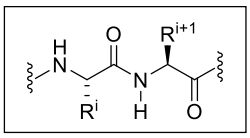
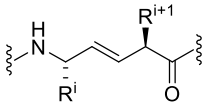
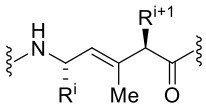
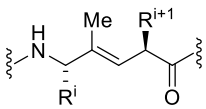
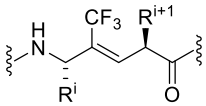
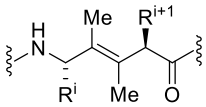
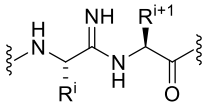
して通常の反応経路でβ-aziridinyl-α,β-enoate（ジアステレオ混合物）を合成し、Pd(0)で異性化することにより熱力学的に安定な *cis*-(*E*)型のβ-aziridinyl-α,β-enoate に収束させることができます（収率 80-90%）[22]。これを鍵中間体として有機銅試薬による *anti*-S_N2'反応に付すことにより L-L 型の EADI が得られます。同じ鍵中間体を $MeSO_3H$ で S_N2 開環し、有機銅試薬による *anti*-S_N2'反応に付すことにより L-D 型の EADI が得られます。Mts-D-アミノ酸を出発原料にすれば同様に D-D 型および D-L 型の EADI を取得することができます。二置換アルケン型イソスターは基本的にこの方法で問題なく合成することができますが、多置換アルケン型[23]やフルオロアルケン型[24]、トリフルオロメチルアルケン型[25]のイソスターの合成には他の反応経路を選択する必要があります。また *cis* 型ペプチド結合に対応する(*Z*)-アルケン型イソスターあるいは(*E*)-フルオロアルケン型イソスターの合成にはα,β-unsaturated-δ-lactam 誘導体を原料として有機銅試薬による還元反応を用いてジケトピペラジンミメティクスを合成し、これを加水分解することにより得ることができます。図 3 には *cis*-Phe-Gly 型アルケンイソスターの合成法を示しますが、有機銅試薬等による *anti*-S_N2'反応や還元的アルキル化を利用してα位に側鎖を導入することも可能です[26]。

これらの合成研究により得られた各種ペプチドミメティクスは、HIV プロテアーゼ阻害剤[27]、インテグリン阻害剤[28]、CXCR4 拮抗剤[29]、GPR54 作動剤[30]、ニューロキニン受容体（NK3R）作動剤[31]をはじめとする多くのペプチドに組み込み、ペプチダーゼに対する抵抗性を付与した新規分子の創製、および、酵素や受容体との分子認識の解明のための機能分子として利用しました（表 1）。

一例としてペプチドミメティクスを導入した GPR54 選択的作動剤創出の例を図 4 に示します[30]。Gly-Leu 部分に EADI あるいはその誘導体を導入した FTM145 および FTM150b は kisspeptin-10 と同程度のアゴニスト活性を示し、血清に対する安定性を大

表 1. 各種ペプチド結合ミメティクスの効率的化学合成法の確立と応用



substructure	target	ref.
	Integrin antagonist CXCR4 antagonist GPR54 agonist HIV fusion inhibitor HIV protease inhibitor Bombesin antagonist PEPT1 substrate NK3R agonist	*J. Org. Chem.* 1997 *J. Org. Chem.* 2002 *Chem. Commun.* 2003 *J. Med. Chem.* 2005 *Org. Lett.* 2006 *J. Org. Chem.* 2006 *J. Med. Chem.* 2008 *Org. Biomol. Chem.* 2009 *Org. Biomol. Chem.* 2010 *J. Med. Chem.* 2012 *J. Med. Chem.* 2014
	Integrin antagonist	*Org. Lett.* 2002 *J. Org. Chem.* 2002 *Tetrahedron* 2006
	Integrin antagonist CXCR4 antagonist	*Org. Lett.* 2002 *JCS, Perkin Trans. 1,* 2002 *Tetrahedron* 2006 *J. Med. Chem.* 2012
	CXCR4 antagonist GPR54 agonist HIV fusion inhibitor	*J. Org. Chem.* 2004 *Chem. Commun.* 2006 *Biopolymers* 2007 *Tetrahedron* 2008 *J. Org. Chem.* 2009 *Org. Biomol. Chem.* 2009 *Org. Biomol. Chem.* 2010
		*J. Org. Chem.* 2008 *J. Org. Chem.* 2009
	Integrin antagonist CXCR4 antagonist	*Org. Lett.* 2002 *JCS, Perkin Trans. 1,* 2002 *Tetrahedron* 2006 *J. Med. Chem.* 2012
	Integrin antagonist CXCR4 antagonist	*Org. Biomol. Chem.* 2011 *ACS Med. Chem. Lett.* 2011

幅に向上することができました。一方では Phe-Gly 部分に EADI あるいは(*Z*)-フルオロアルケンジペプチドイソスターを導入すると顕著な活性の低下を認めました。これらの結果は、Phe-Gly 間のペプチド結合が活性発現に重要な役割を果たしていること、および Gly-Leu 間のペプチド結合は活性発現に必須ではなく EADI の導入によりマトリックスメタロプロテアーゼ（MMP）等によるこの部位での加水分解を顕著に抑制できることを示唆しています。本研究によってアルケン型ジペプチドイソスターが非水解性ペプチド等価体として有効に活用できることを立証することができましたが、導入部位の精査が必要であることも同時に明らかになりました。

上記の研究は故井深俊郎先生（京都大学名誉教授）の有機銅試薬による *anti*-S_N2'型

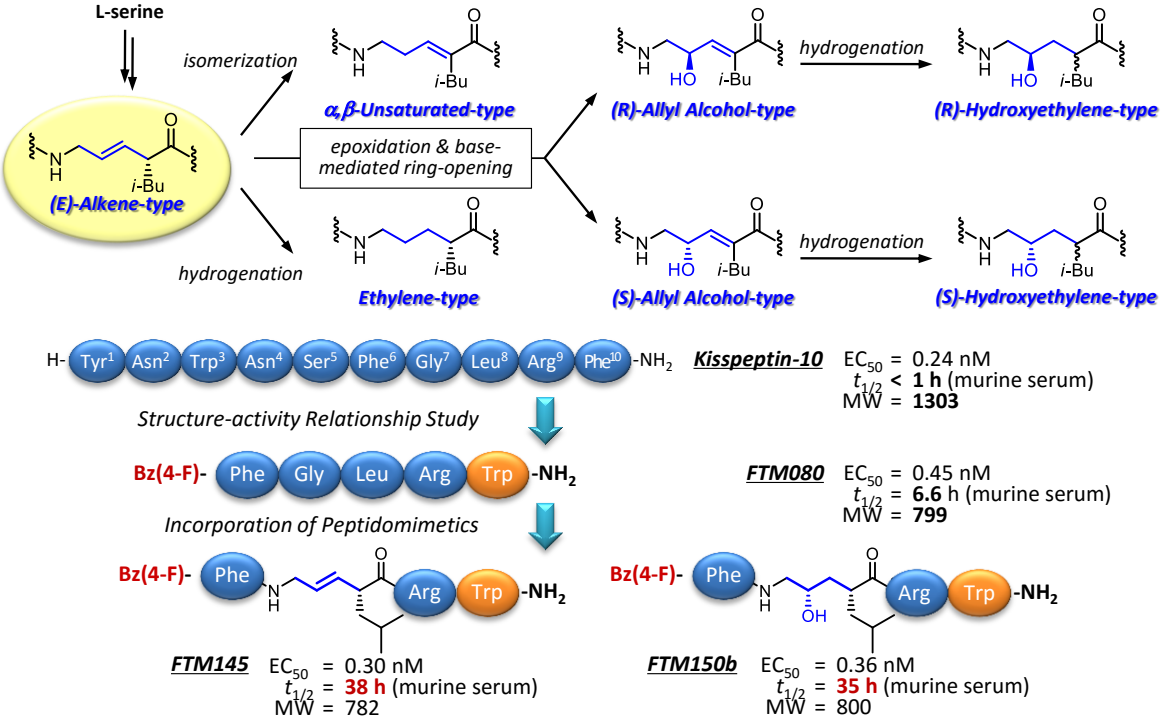


図 4. ペプチドミメティクスの多様性指向型合成と GPR54 受容体アゴニストの創製

反応の詳細な検討をもとにペプチドミメティクスへの応用研究としてスタートしました。また、本研究の成果は、大高教授、玉村教授、大野浩章教授（京都大学）、大石真也准教授（京都大学）、野田昌樹博士、および、巾下広博士、中井一夫博士、新居田歩博士、片桐文彦博士（東京薬科大学助教）、佐々木義一博士、鳴海哲夫博士（静岡大学准教授）、富田健嗣博士、井貫恵利子博士、小林数也博士（京都薬科大学助教）、三須良介博士を含む多くの大学院生のご尽力の賜物です。

［３］抗ウイルス活性ペプチドの分子設計と生体機能解明研究への応用

（３－１）抗菌活性ペプチドの構造活性相関研究を端緒とするケモカイン受容体拮抗薬の創製と応用

筆者は、兜蟹の血球成分より単離された抗菌性ペプチドの抗 HIV 活性に着目して構造活性相関研究を展開し、polyphemusin II（18 残基）の３つのアミノ酸残基を置換した誘導体 T22 が、強力な抗 HIV 活性および優れた選択係数（CC_{50}/EC_{50}）を示すことを明らかにしました [32]。また、T22 は、マクロファージ指向性 HIV-1 の感染には全く効果が無く、T 細胞指向性 HIV-1 の感染のみを阻害し、SDF-1 によって誘起される細胞内 Ca^{2+}濃度を減少させることから、CXCR4 受容体拮抗作用により抗 HIV 活性を示すことを明らかにしました [33]。一方、筆者は、当時京大病院におられた土井隆一郎博士らとの共同研究により、T22 が膵臓癌の転移を顕著に抑制すること、および膵臓

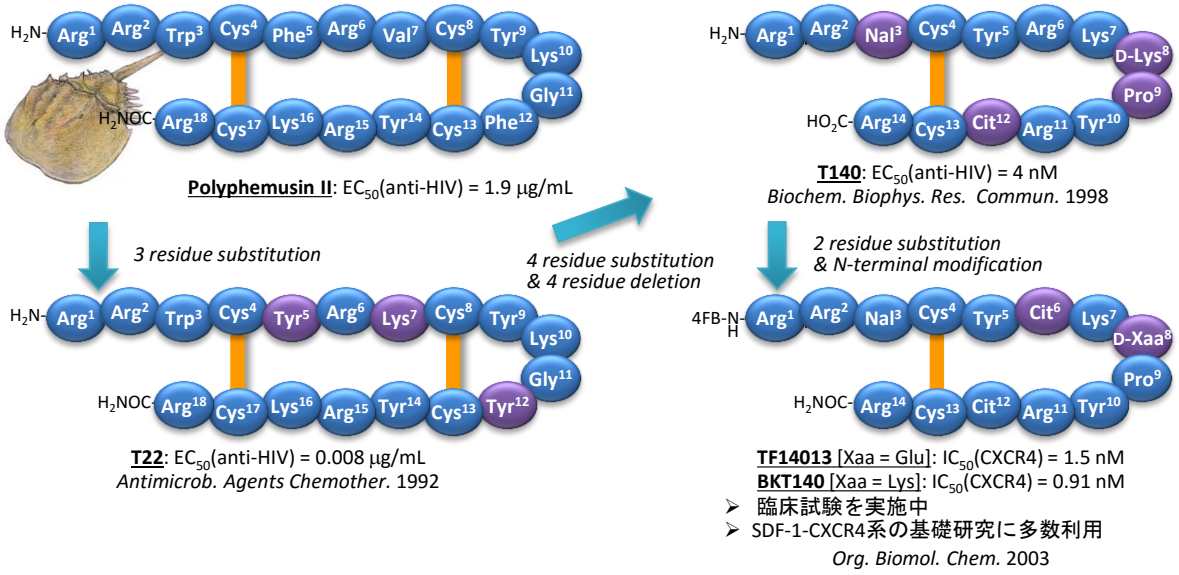


図 5. 兜蟹ペプチドからの CXCR4 受容体拮抗剤の創製

癌の患者さん由来の組織に CXCR4 およびその内因性作動剤である SDF-1 が過剰発現していることを見出しました[34]。この研究は当時あまり注目されませんでしたが、後に乳癌細胞の臓器特異的転移に SDF-1 と CXCR4 が関与していることが発表され、さらに血液癌、固形癌を問わず多くの癌細胞の転移、増殖にも重要な役割を果たしていることが明らかにされるに至り、CXCR4 拮抗剤は癌に対する新たな創薬標的として注目を集めるようになりました[35]。続いて、筆者は、T22 の分子サイズの低減化、アミノ酸残基の最適化、生体内安定性の向上のための構造修飾を行い、T140（14 残基）をはじめとする各種誘導体を開発しました（図 5）[36]。特に、BKT140（BL8040）は、FDA より希少病治療薬の指定を受け、急性骨髄性白血病（acute myeloid leukemia: AML）治療薬としての臨床試験が進められています。

筆者は、T140 の抗 HIV 活性に重要な役割を果たしているアミノ酸残基（Arg x 2, Tyr, Nal = 2-naphthylalanine）を同定し、この知見をもとにさらなる分子サイズの低減化を図りました。筆者の独自のアイデアにより設計した環状ペンタペプチドライブラリーの効率的な運用により、T140 とほぼ同等の生物活性を示す FC131［*cyclo*(-D-Tyr-Arg-Arg-Nal-Gly-)］および FC122 を同定しました（図 6）[37]。さらに、各種多置換アルケンイソスターを導入した FC131 誘導体の活性評価と CXCR4 結晶構造との相互作用解析から FC131 および FC122 の活性発現機構の解析に置換アルケンイソスターを有効に活用できることを明らかにしました[29]。また、FC131 に塩基性を示すアミジン型ペプチドイソスターを導入した各種誘導体を合成し、FC131 よりも優れた CXCR4 アンタゴニスト活性、抗 HIV 活性、水溶性を示す FCA004 等の数種の誘導体を見出すこと

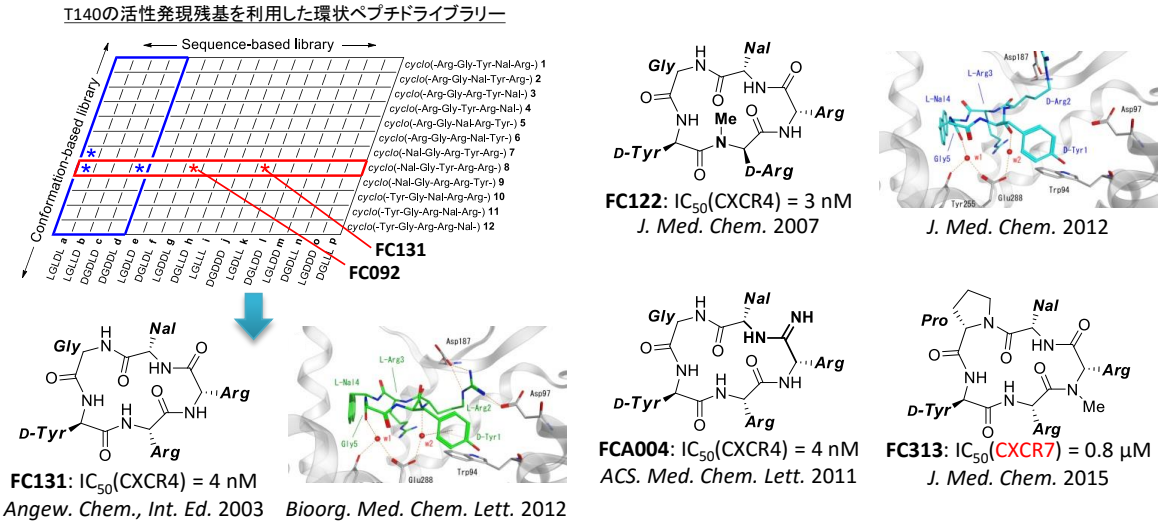
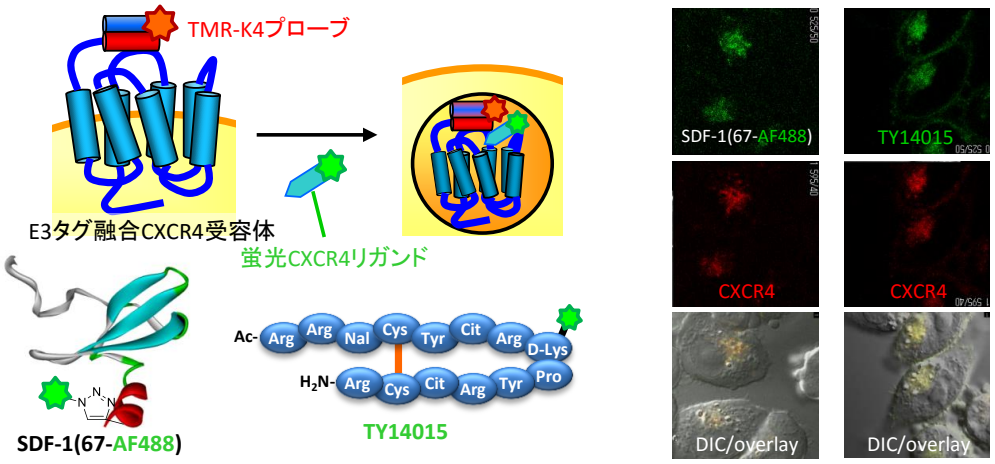


図 6. 新概念による CXCR4 拮抗剤の低分子化及び CXCR7 リガンドの創出



Chembiochem, 2008; *Future Med. Chem.* 2012; *Bioconjug. Chem.* 2012

図 7. CXCR4 受容体蛍光プローブの開発と T140 蛍光プローブによる受容体内在化の同定

に成功しました[38]。さらに、CXCR4 とのホモロジーモデルを活用して FC131 の微細構造を変化させることにより、新規 CXCR7 ケモカイン受容体選択的リガンド FC313［*cyclo*(-D-Tyr-Arg-MeArg-Nal-Pro-)］を創出しました[39]。

筆者は、T140 や SDF-1 を母核とする蛍光プローブや放射性分子プローブの開発にも取り組み、各種プローブを CXCR4 拮抗剤の生物有機化学的アプローチによる作用機序解析に活用し、T140 等の CXCR4 拮抗剤が受容体の内在化を伴って生物活性を発現していることを明らかにしました（図 7）[40]。

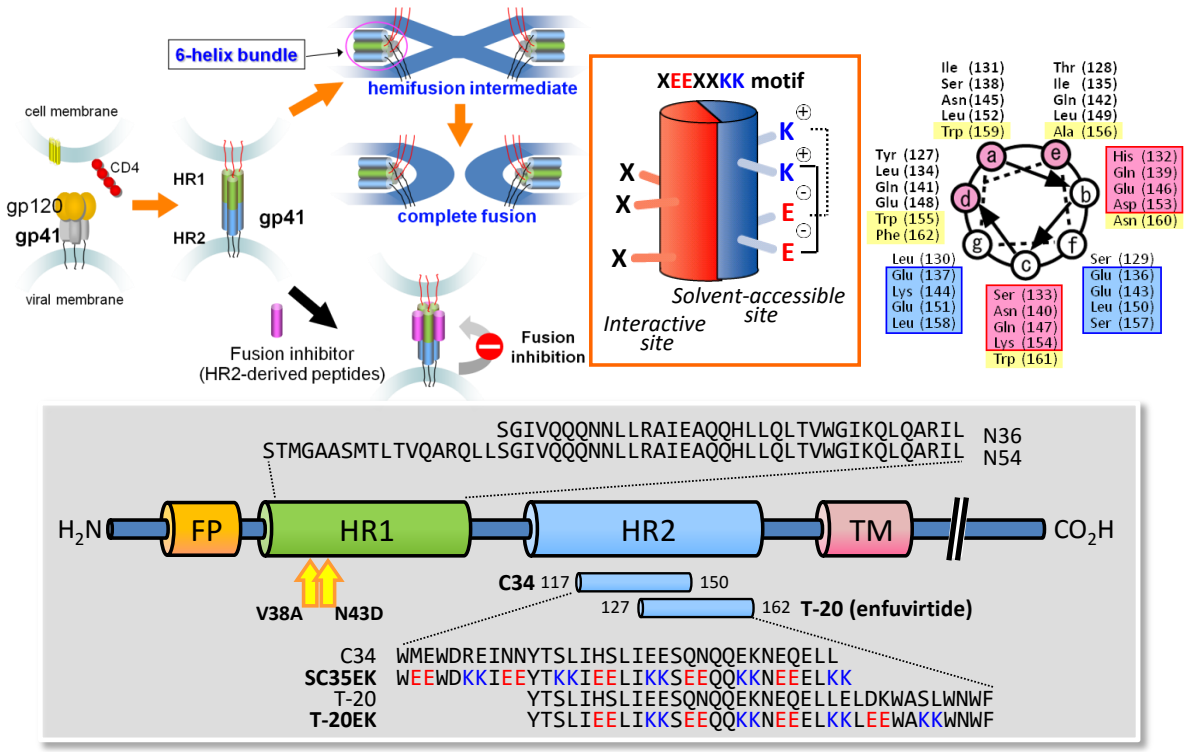
筆者が創製した CXCR4 拮抗剤は、抗 HIV 活性ペプチドとしてだけでなく、多くの共同研究機関において CXCL12-CXCR4 系の生理的役割や腫瘍転移・増殖との関連を解明するさまざまな研究に利用され、多数の成果をあげることができました。

これらの研究は、主として玉村教授、大石准教授のイニシアチブのもとに展開され

ましたが、新居田博士、上田聡博士、鳴海博士、増田亮博士、井貫恵利子博士、小林博士をはじめ多くの大学院生のご協力のもとに成果を挙げることができました。T22 から T140 への低分子化では当時生化学工業（株）に勤務しておられた脇道典博士（九州大学）のご協力を得ることができました。T140-CXCR4 複合体の内在化に関する研究においては松崎勝巳教授（京都大学）、矢野義明助教（京都大学）のご指導を賜りました。CXCR4 拮抗剤の抗ウイルス活性評価および作用機序解析に関しましては山本直樹先生（東京医科歯科大学名誉教授）、中島秀喜教授（聖マリアンナ医科大学）、長澤丘司教授（大阪大学）に大変お世話になりました。特に、山本先生には、抗ウイルス剤開発や CXCR4 拮抗剤開発全般に関して、ウイルス学的見地から種々ご教示いただき、筆者がこれらの研究に関与する契機を与えていただきました。厚く御礼申し上げます。

（３－２）新しい分子設計概念に基づく抗ウイルス活性ペプチドの創製と応用

近年、エボラ出血熱や中東呼吸器症候群（MERS）のような短期間で急速な感染の広がりを見せる感染症に対する創薬研究のあり方が注目されています。このような感染症に対する治療薬には、高い治療効果や安全性だけでなく迅速な開発・供給が必要とされます。筆者は、エンベロープ蛋白質を持つウイルスの塩基配列解析から容易に入手可能なアミノ酸配列情報を利用することで、ウイルス膜―宿主細胞膜の融合プロ



Angew. Chem. Int. Ed. 2002; *J. Med. Chem.* 2007

図 8. 活性と水溶性の向上を目指した抗 HIV 活性膜融合阻害剤の分子設計

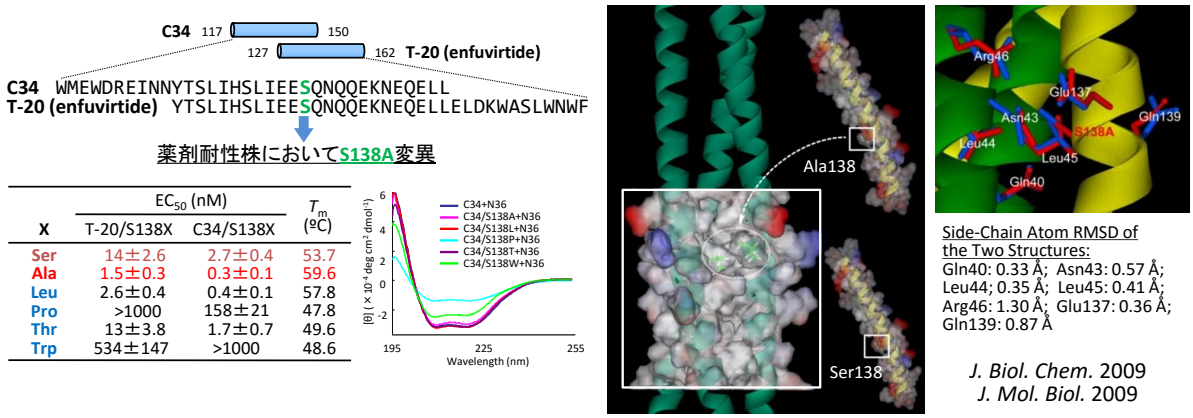
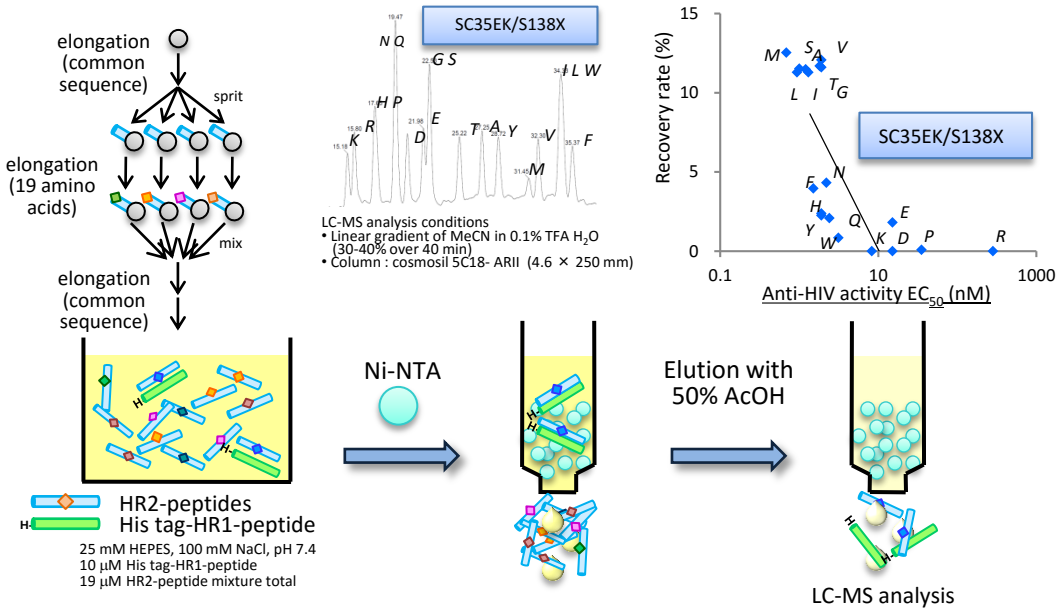


図 9. ウイルスゲノム情報に基づく薬剤耐性株に有効な抗 HIV 剤の設計

セスを阻害する膜融合阻害剤の新しい分子設計技術を開発しました（図 8）。I 型膜融合機構を利用する HIV-1 と宿主細胞の膜融合においては HIV の表面蛋白 gp120 と宿主細胞の CD4 および CXCR4 が相互作用することにより triple helix 構造を有する gp41 の N-末端の先端部分が宿主細胞膜に挿入されます。その後 gp41 の N 末端側ペプチド（HR1 領域）を C 末端側ペプチド（HR2 領域）が取り囲むように six helical bundle 構造が形成され、それが駆動力となって HIV と宿主細胞の膜融合が惹起され、感染が成立します。従来 HR2 領域に対応する C34 や T20（fuzeon, enfuvirtide）がこの膜融合過程を阻害し抗 HIV 活性を発現することが知られていました。

筆者らは、HIV-1 のエンベロープ蛋白質 gp41 のコイルドコイル複合体構造に基づいて、膜融合阻害剤の α ヘリックス構造の安定性を高めることで、強力な抗 HIV 活性を示す修飾ペプチド SC34EK、SC35EK および T-20EK を見出しました [41]。これらは、膜融合阻害剤として報告されている C34 および T-20 のウイルス gp41 との相互作用面のアミノ酸残基 X を保存する一方で、それ以外の溶媒接触面のアミノ酸残基を Glu（E）もしくは Lys（K）に置換した XEEXXKK モチーフの繰り返し配列を有するペプチドで、水性溶液への極めて高い溶解性を示すとともに高い α ヘリックス形成能を示します。また、これらのペプチドは、gp41 HR1 配列中に薬剤耐性変異を有するウイルス株に対しても強力な抗 HIV 活性を示しました。さらに、C34 や T-20 等の HIV 膜融合阻害剤の薬剤耐性株の塩基配列情報解析と X 線結晶解析をもとに相互作用部位のアミノ酸を最適化した誘導体（C34/S138A、T-20/S138A）は、野生株に対する高活性化が認められるとともに HIV 膜融合阻害剤の耐性株に対しても強い抗ウイルス活性を示すことを明らかにしました（図 9）[42]。この過程において、His tag-HR1 ペプチドを担持できる Ni-ビーズアフィニティークロマトグラフィーを活用することにより、特定の部位（gp41/138 位）に 19 種類のアミノ酸を導入したペプチドライブラリー（SC35EK/S138X）の中から SC35EK/S138A 等の高活性 HIV 膜融合阻害剤を効率的に探索できる手法を開発しました（図 10）[43]。この手法は HIV 膜融合阻害剤耐性株



Med. Chem. Commun. 2010

図 10. ペプチドライブラリーからの抗 HIV 剤の効率的探索手法の開発

が新たに発現した際にそれを克服できる新しい方法論を提案するだけでなく、I 型膜融合機構を介する各種のウイルスに対して効率的に膜融合阻害剤を探索する手法として活用できると思われます。

筆者らは、上記のウイルス膜融合阻害剤の分子設計概念が、HIV 以外にも、SARS コロナウイルス、ネコ免疫不全ウイルス（FIV: feline immunodeficiency virus）等にも応用可能であることも明らかにしました。SARS コロナウイルスに関しては宿主細胞膜に対して直接膜融合して感染する経路（直接経路）とエンドサイトーシスを経由する感染経路（エンドサイトーシス経路）が知られていますが、SARS コロナウイルスに対して X 線解析構造を基に分子設計した膜融合阻害ペプチドは直接経路のみを阻害し、エンドサイトーシス経路は阻害しないことが明らかになりました [44]。エボラ出血熱ウイルスの感染においても同様に二つの感染経路が示唆されており、エンドサイトーシス経路を効果的に遮断する手法の開発が今後の課題です。

FIV は、日本において野生のネコの 3 分の 1 が感染しているという統計結果が出ており、飼いネコにも感染が広がっていると言われています。また、ツシマヤマネコは FIV で絶滅の危機に瀕しているとも言われています。FIV は CXCR4 を受容体として HIV と似た機構で感染しますが、現時点ではネコからヒトへの感染は報告例がありません。しかしながら、変異によりヒトに感染する FIV が将来出現する可能性は否定できません。XEEXXKK モチーフを用いる筆者らの FIV 膜融合阻害剤は細胞レベルで顕著な感染抑制効果を示しました [45]。

ウイルスのゲノム情報（塩基配列・変異情報）と構造データベースを抗ウイルス性化合物の分子設計に有効活用する本手法は、今後その幅広い応用が期待されます。

ウイルス膜融合阻害剤の研究は当初大高教授のイニシアチブで開始され、その後大石准教授に引き継がれて大きく展開されました。抗ウイルス活性評価および抗ウイルス剤開発全般に関しては松岡雅雄教授（京都大学）の研究グループ、ネコ免疫不全ウイルスに関しては辻本元教授（東京大学）、SARS コロナウイルスに関しては田口文広教授、氏家誠講師（日本獣医専門科学大学）、X 線結晶構造解析に関しては小林祐次教授（大阪大学名誉教授）、加藤博章教授（京都大学）の研究グループに大変お世話になりました。また、本研究成果は西川裕輝博士、渡部毅博士、梶原一美博士、田中理紀研究員、鳴海博士をはじめ多くの大学院生のご協力の賜物です。また、 前述の HIV プロテアーゼ阻害剤の活性評価 [27]も含めて、抗 HIV 剤の開発全般に関するご協力とご助言をいただいた満屋裕明教授（熊本大学）に厚く御礼申し上げます。

［４］複素環化学に基づく創薬研究

近年、“中分子創薬”に注目が集まっていますが、創薬研究における複素環化合物が果たしてきた役割は大きく、今後も医薬品のリソースとして重要な役割を果たすことは間違いないと思われます。一方、複素環化合物の創薬標的として蛋白質との相互作用の解析はヒット化合物の効率的な構造最適化研究において極めて重要であり、蛋白質化学を基盤とする分子設計研究、創薬研究が展開されています。

原子効率の高いカスケード反応や多成分連結反応は Drug-like 化合物ライブラリーの効率的な構築に有用な手段を提供します。筆者は、大野教授により開発された遷移金属触媒による各種の新規複素環構築反応を応用し、複素環化合物（縮環インドール、置換ピラゾール等）ライブラリーの構築に応用することにしました。これらのライブラリーを活用することにより、抗癌剤（図 11～13）や抗ウイルス剤（図 14）として期待できる複数の創薬候補化合物を見出しました。

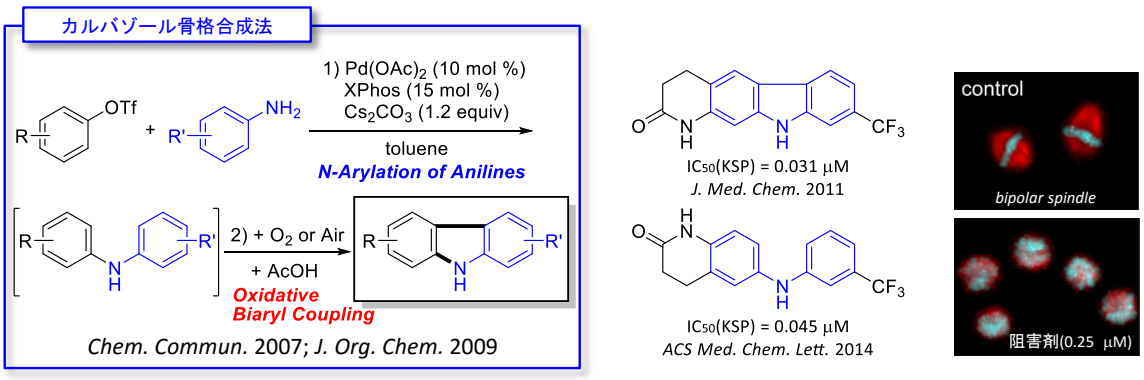


図 11. キネシンモーター蛋白質（KSP）阻害剤の創製

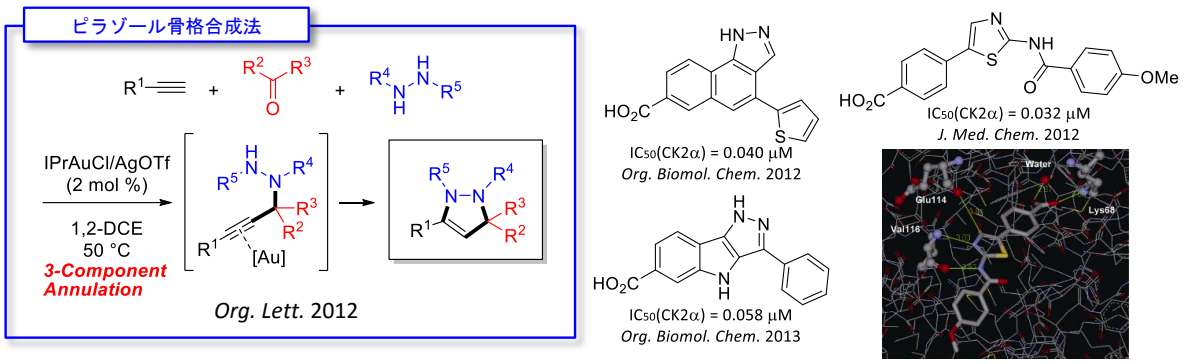


図 12.　プロテインキナーゼ CK2 阻害剤の創製

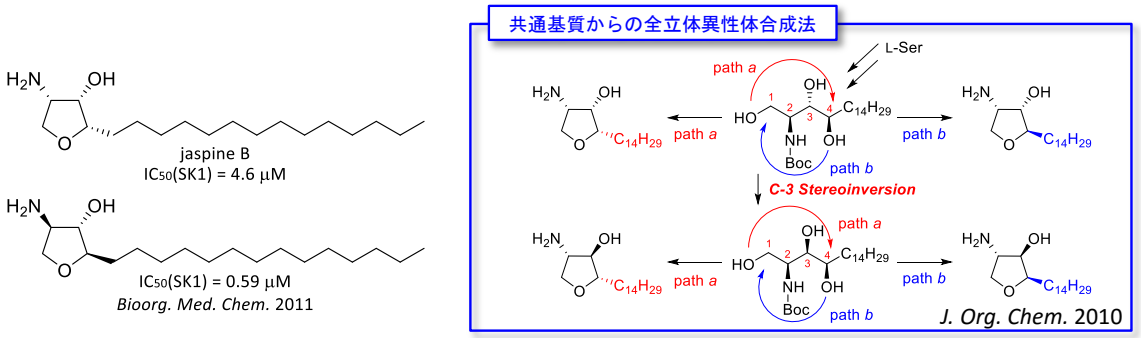
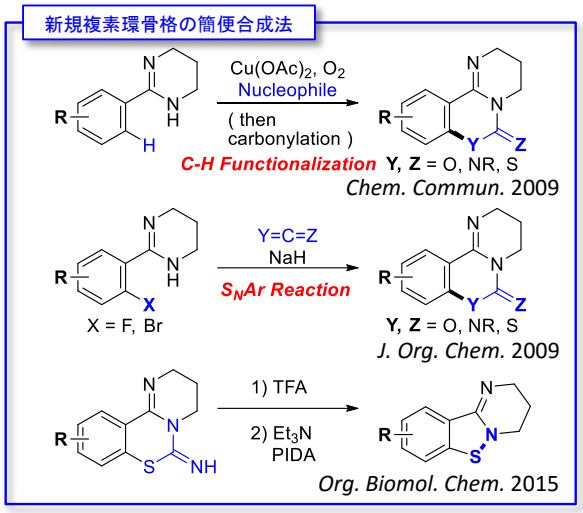
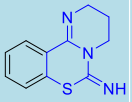
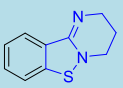
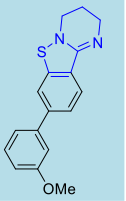


図 13.　スフィンゴシンキナーゼ（SphK）阻害剤の創製

代表例として、図 11 に示すキネシンモーター蛋白質（KSP）阻害剤の創製研究が挙げられます。まず Pd 触媒下 aniline の aryl 化に引き続く oxidative biaryl coupling により、カルバゾール誘導体ライブラリーを構築しました [46]。これを利用した SAR 研究を通じてラクタム環が縮環したカルバゾール誘導体が強力な KSP 阻害活性を示し cell-base のアッセイで細胞分裂期の二極性紡錘体形成を阻害することを見出しました [47]。この化合物は卵巣がんを移植したマウスに於いても顕著な抑制効果を示し、tubulin を標的とする Taxan 系の化合物と異なり神経毒性等の副作用は認められませんでした [48]。一方、本化合物の水溶性を改善することを目的とした SAR 研究を通じてビアリルアミン系の KSP 阻害剤も見出しています [49]。

次に、図 12 に示すプロテインキナーゼ CK2 阻害剤の開発について概説します。仲西功教授（近畿大学）らによりインシリコスクリーニングを通じて見出された phenylthiazole 系化合物がすぐれた CK2 阻害活性を示すことを明らかにしました [50]。次に、本化合物と CK2 の共結晶構造（図 12）の詳細な解析により、thiazole 環は適切な配置で pyrazole 環に変換できることおよび分子全体の平面構造が重要であるとの知見を得ました。これを基に pyrazole 骨格構築法に検討を加え、アルキン、アルデヒド（ケトン）、ヒドラジンの金触媒三成分連結環化反応を開発し、focused library を構築しました [51]。引き続き SAR 研究を経て、2 種類の縮環 pyrazole 骨格を有する CK2 阻害剤の創薬候補化合物を見出すことができました [52]。



		EC50 (μM)		
				
RNA virus	HIV-1	0.30 ± 0.06	0.29 ± 0.09	0.10 ± 0.03
	Flu-A	39 ± 1	27 ± 4	12 ± 1
DNA virus	HSV-1	2.73 ± 0.67	2.19 ± 0.48	<1
	HSV-2	3.16 ± 1.07	1.86 ± 0.08	1.40 ± 0.13

Org. Biomol. Chem. 2012; *Bioorg. Med. Chem.* 2012; *Bioorg. Med. Chem.* 2015

図 14. 新規複素環骨格合成法を活用した抗ウイルス剤候補化合物の創製

引き続き、スフィンゴシンキナーゼ（SphK）阻害剤の開発について概説します。Jaspine B は抗腫瘍活性を示すことから多くの合成例が報告されています。筆者らは、まず Ser を共通のキラルプールとする jaspine B の全立体異性体合成法を開発しました[53]（図 13）。一方、jaspine B がスフィンゴシンキナーゼ阻害剤として機能することを明らかにし、８種のジアステレオマーの活性比較を行いました[54]。その内 jaspine B の 2,4-*epi* 異性体が最も強い活性を示すことを明らかにし、これを基に活性および選択性の向上を目指した SAR 研究を展開しています[55]。

次に、抗ウイルス剤候補化合物の創出研究について概説します。松岡雅雄教授（京都大学ウイルス研究所）との共同研究による cell-base での抗 HIV 剤スクリーニング研究から従来抗菌剤として知られていた PD401482（pyrimido-benzothiazin-6-imine 誘導体）が顕著な抗 HIV 活性を示すことを見出しました（図 14）。そこで、本骨格を有する化合物の 2 種類の新規合成法を開発し[56]、Focused Library の中から抗 HIV 活性を高めた複数の化合物を見出しています[57]。一方、PD404182 の SAR 研究から新規骨格を有する benzoisothiazolopyrimidine 誘導体が親化合物と同等の抗 HIV 活性を示すことを明らかにしています[58]。これらの化合物は HIV のみならず、HSV（ヘルペスウイルス）、Flu-A（A-型インフルエンザウイルス）にも顕著な抗ウイルス活性を示すことが松岡教授らによって確認されています。薬物標的の同定および作用機序の解明により更なる高活性誘導体の創出が期待されます。

これらの研究は、大野教授のイニシアチブで開発された複素環骨格構築反応を大石准教授のイニシアチブで創薬研究に展開され、多くの大学院生の尽力により成果を挙げることができました。特に、KSP 阻害剤では渡部敏明博士、竹内智起博士；CK2 阻害剤では、仲西功教授（近畿大学）、平澤明准教授（京都大学）らのご協力を得て、鈴木大和博士、侯増燁博士；SphK 阻害剤では井貫晋輔博士（慶應義塾大学助教）、吉

光佑二博士；抗ウイルス剤では水原司博士、らが中心となり当研究室の多くの修士課程研究者との協働で研究を推進することができました。

尚、本文中には研究の詳細を紹介することはできませんでしたが、リン酸化ペプチド類の合成研究を担当された三好剣五博士、新規反応開発や天然物合成を担当された今野博行博士（山形大学准教授）、太田悠介博士、渡部敏明博士、岡野晃典博士（The Scripps Institute, Research Assistant Professor）、井貫晋輔博士、平野公夫博士、吉光佑二博士、千葉浩亮博士（東北大学助教）、時水勇輔博士に御礼申し上げます。

また、研究の全般を通じて、反応解析や創薬候補化合物の標的蛋白質とのドッキングシミュレーションにおいて計算化学的なご支援をいただいた北浦和夫先生（神戸大学特命教授）に深く感謝いたします。

更に、21COE（ゲノム科学と知的情報基盤・研究拠点形成）の代表として、薬学研究科における医薬創成情報科学専攻の設立に多大なご協力をいただいた金久實先生（京都大学名誉教授）に厚く御礼申し上げます。

おわりに

ペプチドの合成研究から始まって、ペプチド・蛋白質化学を基盤とする創薬研究について概説しましたが、筆者はいずれの研究においても様々な研究領域を専門とされている研究者との協働研究を長く継続することが重要だと思っています。優秀な研究者や同僚との出会いはもっと大切です。筆者にとっては兜蟹との出会いも CXCR4 拮抗剤を世界に先駆けて見出す端緒となりました。Visiting associate として米国 NIH/FDA 留学中は、マラリアに対するペプチドワクチンの研究と兜蟹の血液凝固を阻害するタンパク質成分のクローニング研究をテーマとしていました。研究はさておき、1 週間に 1 回 6〜7 匹の兜蟹に餌をやる仕事は大変苦痛でした。“生きた化石”と言われる兜蟹は餌（主としてホタテガイの貝柱）を常識では考えられない極めてゆっくりしたスピードで半日がかりで食べます。兜蟹に餌をやりながら「私はいったい何をしに米国に来たのだろうか？」と考えさせられる日もありましたが、研究室を主宰しておられた Teh-Yung Liu 博士からは兜蟹の生体防御機構の研究の意義、生化学研究における有機化学の重要性を含めて公私ともに多くのことを教えていただきました。帰国直後、驚いたことに科研費の班会議で隣の席に座られた岩永貞昭先生（九州大学名誉教授）が、兜蟹の血液凝固阻害ペプチド、tachyplesin、polyphemusin の単離構造決定について発表されました。同時にこれらのペプチドの抗菌活性が高いことも報告されましたが、丹羽允先生（大阪市立大大学名誉教授）からの情報として弱いながら抗 HIV 活性を有することも教えていただきました。兜蟹に餌をやりながら考えていた“兜蟹は哺乳類

のような高度な免疫システムを持たず先天的免疫不全動物であるので、後天的免疫不全ウイルス（HIV）に対する有効な物質を持っているに違いない”という極めて非科学的な考えが現実的なものとなり、polyphemusin 誘導体の抗 HIV 活性に対する構造活性相関研究に取り組むきっかけになりました。幸いなことに polyphemusin II の 3 つのアミノ酸置換で nM オーダーの強力な抗 HIV 活性を持つ T22 を見出すことができました。しかしながら作用機序が全く分からず、長年苦労しました。ターゲットが明確でない化合物の構造活性相関研究はサイエンスとして意味がないのではないかとも考えました。そうこうしているうちに、1996 年の暮れ、当時 NIH/NCI におられた満屋裕明教授（熊本大学）から国際電話で朗報が入りました。HIV-1 の第二受容体が同定され、NCI で抗 HIV 活性化合物ライブラリーをスクリーニングしたところ T22 が最初にヒットしたという内容でした。漸く T22 のターゲットが HIV 第二受容体の 1 つ、CXCR4 ケモカイン受容体、であることが長澤丘司教授（大阪大学）らのご協力を得て明確になり、研究に拍車がかかりました。その当時、CXCR4 の内因性アゴニストが SDF-1 であることは長澤先生らの研究ですでに明らかになっていました。偶々寝転がりながら科学雑誌をめくっていると、癌細胞の浸潤転移に間質細胞（stromal cell）からの誘因物質（後に SDF-1: stromal cell-derived factor-1 であることが判明）らしきものが関与しているという記事に出くわしました。“エイズに効く物質は癌にも必ず効く”という極めて非科学的な妄想が再び脳裏をよぎりました。早速、当時京大病院の第一外科におられた細谷亮博士に連絡をとり、土井隆一郎博士に膵臓癌の実験株で T22 の効果を評価してもらうことになりました。これが上述のように世界に先駆けて SDF-CXCR4 が癌の転移に関与することを見出すきっかけになりました。

“袖振り合うも他生の縁”と申しますが、これまでの研究生活を振り返って、人と人の出会いはつくづく大切なものだと思います。また“生きた化石”との出会いも私にとっては大切な出来事でした。大学の研究は 0 から 1 を作るもの、企業の研究は 1 を 10 にし 100 にするものと言われることがあります。非科学的なひらめきや思い込みも大切にした方が良いと思います。筆者が大発見をしたとは言えませんが、後から科学的な説明がついてきて、大きな発見に繋がることもしばしばあります。思い通りの研究の成果がなかなか出ない時も、いつか必ず日の目を見ると信じて日々努力することが大切だと思います。

京都大学には学部入学から約 50 年間大変お世話になりました。ご迷惑もおかけしました。筆者の拙文が京都大学薬学研究科のご関係の皆様のご研究に少しでも参考になれば幸いです。

（平成 28 年 2 月 13 日）

References

1. Yajima, H.; Fujii, N.; Ogawa, H.; Kawatani, H. *J. Chem. Soc., Chem. Commun*. **1974**, 107-108.
2. (a) Irie, H.; Fujii, N.; Ogawa, H.; Yajima, H. *J. Chem. Soc., Chem. Commun.* **1976**, 922-923. (b) Irie, H.; Fujii, N.; Ogawa, H.; Yajima, H.; Fujino, M.; Shinagawa, S. *Chem. Pharm. Bull.* **1977**, *25*, 2929-2934.
3. Yajima, H.; Akaji, K.; Mitani, K.; Fujii, N.; Funakoshi, S.; Adachi, H.; Oishi, M.; Akazawa, Y. *Int. J. Peptide Protein Res.* **1979**, *14*, 169-176.
4. Yajima, H.; Fujii, N. *The Peptides, Analysis, Synthesis, Biology,* ed. by Gross, E.; Meienhofer, J. Acaemic Press, New York, **1983**, *5*, 66-109.
5. Yajima, H.; Fujii, N. *J. Am. Chem. Soc.* **1981**, *103*, 5867-5871, and references cited therein.
6. Inui, T.; Bodi, J.; Kubo, S.; Nishio, H.; Kimura, T.; Kojima, S.; Maruta, H.; Muramatsu, T.; Sakakibara , S. *J. Pept. Sci.* **1996**, *2*, 28-39.
7. Inui, T.; Nakano, M.; Nishio, H.; Nishiuchi, Y.; Kojima, S., Muramatsu, T., Kimura, T. *J. Peptide Res.* **2000**, *55*, 384-397.
8. Dawson, P.E.; Kent, S. B. H. *Annu. Rev. Biochem.* **2000**, *69*, 923-960.
9. 相本三郎 *Peptide Newsletter Japan* No.67, January, **2008**, 2-5.
10. Kawakami, T.; Aimoto, S. *Tetrahedron* **2009**, *65*, 3871-3877, and references cited therein.
11. Sato,Ｋ.; Shigenaga, A.; Kitakaze, K.; Sakamoto, K.; Tsuji, D.; Itoh, D.; Otaka, A. *Angew. Chem., Int Ed.* **52**, **2013**, 7855-7859, and references cited therein.
12. (a) Fujii, N.; Otaka, A.; Ikemura, O.; Akaji, K.; Funakoshi, S.; Hayashi, Y.; Kuroda, Y.; Yajima, H. *J. Chem. Soc., Chem. Commun*. **1987**, 274-275. (b) Yajima, H.; Fujii, N.; Funakoshi, S.; Watanabe, T.; Murayama, E.; Otaka, A. *Tetrahedron* **1988**, *44*, 805-819. (c) 大高 章、藤井信孝 *有機合成化学協会誌* **1990**, *48*, 1044-1045.
13. Fujii, N.; Otaka, A.; Sugiyama, N.; Hatano, M.; Yajima, H. *Chem. Pharm. Bull*. **1987**, *35*, 3880-3883.
14. (a) Yajima, H.; Fujii, N.; Shimokura, M.; Akaji, K.; Kiyama, S.; Nomizu, M. *Chem. Pharm. Bull*. **1983**, *31*, 1800-1802. (b) Akaji, K.; Nomizu, M.; Watanabe, K.; Funakoshi, S.; Imura, H.; Tsukada, T.; Fukata, J.; Nakamuta, N.; Koida, M.; Fujii, N.; Yajima, H. *Chem. Pharm. Bull*, **1987**, *35*, 3859-3865. (c) Fujii, N.; Yajima, H.; Otaka, A.; Funakoshi, S.; Nomizu, M.; Akaji, K.; Yamamoto, I.; Torizuka, K.; Kitagawa, K.; Akita, T.; Ando, K.; Kawamoto, T.; Shimonishi, Y.; Takao, T. *J. Chem. Soc., Chem. Commun.* **1985**, 602-603. (d) Fujii, N.; Sakurai, M.; Akaji, K.; Nomizu, M.; Yajima, H.; Mizuta, K.; Aono, M.; Moriga, M.; Inoue, K.; Hosotani, R.; Tobe, T. *Chem. Pharm. Bull*. **1986**, *34*, 2397-2410

(e) Yajima, H.; Futaki, S.; Fujii, N.; Akaji, K.; Funakoshi, S.; Sakurai, M.; Katakura, S.; Inoue, K.; Hosotani, R.; Tobe, T.; Segawa, T.; Inoue, A.; Tatemoto,K.; Mutt,V. *J. Chem. Soc., Chem. Commun*. **1985**, 877-878. (f) Fujii, N.; Ikemura, O.; Funakoshi, S.; Matsuo, H.; Segawa, T.; Nakata, Y.; Inoue, A.; Yajima, H. *Chem. Pharm. Bull*. **1987**, *35*, 1076-1084. (g) Akaji, K.; Fujii, N.; Yajima, H.; Moriga, M.; Aono, M.; Takagi, A. *Int. J. Pep. Protein Res.* **1981**, *18*, 180-194. (h) Fujii, N.; Futaki, S.; Morimoto, H. ; Inoue, K.; Doi, R.; Tobe, T.; Yajima, H. *J. Chem. Soc., Chem. Commun*. **1988**, 324-325.

15. Fujii, N.; Otaka, A.; Watanabe, T.; Arai, H.; Funakoshi, S.; Bessho, K.; Yajima, H. *J. Chem. Soc., Chem. Commun*. **1987**, 1676-1678.
16. (a) Fujii, N.; Otaka, A.; Funakoshi, S.; Bessho, K.; Yajima, H. *J. Chem. Soc., Chem. Commun*. **1987**, 163-164. (b) 大高 章、藤井信孝 *有機合成化学協会誌* **1990**, *48*, 658-671.
17. Fujii, N.; Otaka, A.; Watanabe, T.; Okamachi, A.; Tamamura, H.; Yajima, H.; Inagaki, Y.; Nomizu, M.; Asano, K. *J. Chem. Soc., Chem. Commun*. **1989**, 283-284.
18. Tamamura, H.; Matsumoto, F.; Sakano, K.; Otaka, A.; Ibuka, T.; Fujii, N. *J. Chem. Soc., Chem. Commun*. **1998**, 151-152.
19. Akaji, K.; Fujino, K.; Tatsumi, T.; Kiso, Y. *J. Am. Chem. Soc.* **1993**, *115*, 11384 -11392.
20. 大石真也、鳴海哲夫、大野浩章、大高 章、藤井信孝 *有機合成化学協会誌* **2008**, *66*, 846-857.
21. (a) Tamamura, H.; Yamashita, M.; Muramatsu H.,; Ohno, H.; Ibuka, T.; Otaka, A.: Fujii, N. *Chem. Commun*. **1997**, 2327-2328. (b) Tamamura, H.; Yamashita, M.; Nakajima, Y.; Sakano, K.; Otaka, A.; Ohno, H.; Ibuka, T; Fujii,N. *J. Chem. Soc., Perkin Trans 1* **1999**, 2983-2996, and references cited therein.
22. (a) Ibuka, T.; Akaji, M.; Mimura, N.; Habashita, H.; Nakai, K.; Tamamura, H.; Fujii, N.; Yamamoto, Y. *Tetrahedron Lett.* **1996**, *37*, 2849-2852. (b) Ibuka, T.; Mimura, N.; Ohno, H.; Nakai, K.; Akaji, M.; Habashita, H.; Tamamura, H.; Miwa, Y.; Taga, T.; Fujii, N.; Yamamoto, Y. *J. Org. Chem*. **1997**, *62*, 2982-2991.
23. (a) Oishi, S.; Kamano, T.; Niida, A.; Odagaki, Y.; Tamamura, H.; Otaka, A.; Hamanaka, N.; Fujii, N. *Org. Lett.* **2002**, *4*, 1051-1054. (b) Oishi, S.; Niida, A.; Kamano, T.; Miwa, Y.; Taga, T.; Odagaki, Y.; Hamanaka, N.; Yamamoto, M.; Akaji, K.; Tamamura, H.; Otaka, A.; Fujii, N. *J. Chem. Soc., Perkin Trans 1* **2002**, 1786-1793. (c) Otaka, A.; Katagiri, F.; Kinoshita, T.; Odagaki, Y.; Oishi, S.; Tamamura, H.; Hamanaka, N.; Fujii, N. *J. Org. Chem.* **2002**, *67*, 6152-6161. (d) Sasaki, Y.; Fujii, N.; Otaka, A. *Tetrahedron Lett.* **2007**, *48*, 3221-3224. (e) Sasaki, Y.; Niida, A.; Tsuji, T.; Shigenaga, A.; Fujii, N.; Otaka, A. *J. Org. Chem.* **2006**, *71*, 4969-4979.

24. (a) Otaka, A.; Watanabe, H.; Mitsuyama, E.; Yukimasa, A.; Tamamura, H.; Fujii, N. *Tetrahedron Lett*. **2001**, *42*. 285-287. (b) Otaka, A.; Watabe, H.; Yukimasa, A.; Oishi, S.; Tamamura, H.; Fujii, N. *Tetrahedron Lett.* **2001**, *42*, 5443-5446. (c) Otaka, A.; Watanabe, J.; Yukimasa, A.; Sasaki, Y.; Watanabe, H.; Kinoshita, T.; Oishi, S.; Tamamura, H.; Fujii, N. *J. Org. Chem.* **2004**, *69*, 1634-1645. (d) Narumi, T.; Niida, A.; Tomita, K.; Oishi, S.; Otaka, A.; Ohno, H.; Fujii, N. *Chem. Commun.* **2006**, 4720-4722. (e) Narumi, T.; Tomita, K.; Inokuchi, E.; Kobayashi, K.; Oishi, S.; Ohno, H.; Fujii, N. *Org. Lett.* **2007**, *9*, 3465-3468. (f) Narumi, T.; Tomita, K.; Inokuchi, E.; Kobayashi, K.; Oishi, S.; Ohno, H.; Fujii, N. *Tetrahedron* **2008**, *64*, 4332-4346.

25. (a) Kobayashi, K.; Narumi, T.; Oishi, S.; Ohno, H., Fujii, N. *J. Org. Chem.* **2009**, *74*, 4626-4629. (b) Inokuchi, E.; Narumi, T.; Niida, A.; Kobayashi, K.; Tomita, K.; Oishi, S.; Ohno, H.; Fujii, N. *J. Org. Chem.* **2008**, *73*, 3942-3945.

26. (a) Niida, A.; Oishi, S.; Sasaki, Y.; Mizumoto, M.; Tamamura, H.; Fujii, N.; Otaka, A. *Tetrahedron Lett.* **2005**, *46*, 4183-4186. (b) Niida, A.; Tomita, K.; Mizumoto, M.; Tanigaki, H.; Terada, T.; Oishi, S.; Otaka, A.; Inui, K.; Fujii, N. *Org. Lett.* **2006**, *8*, 613-616. (c) Niida, A.; Mizumoto, M.; Narumi, T.; Inokuchi, E.; Oishi, S.; Ohno, H.; Otaka, A.; Kitaura, K.; Fujii, N. *J. Org. Chem.* **2006**, *71*, 4118-4129. (d) Niida, A.; Tanigaki, H.; Inokuchi, E.; Sasaki, Y.; Oishi, S.; Ohno, H.; Tamamura, H.; Wang, Z., Peiper, S. C.; Kitaura, K.; Otaka, A.; Fujii, N. *J. Org. Chem.* **2006**, *71*, 3942-3951. (e) Sasaki, Y.; Niida, A.; Tsuji, T.; Shigenaga, A.; Fujii, N.; Otaka, A. *J. Org. Chem.* **2006**, *71*, 4969-4979.

27. Tamamura, H.; Koh, Y.; Ueda, S.; Sasaki, Y.; Yamasaki, T.; Aoki, M.; Maeda, K.; Watai, Y.; Arikuni, H.; Otaka, A.; Mitsuya, H.; Fujii, N. *J. Med. Chem.* **2003**, *46*, 1764-1768.

28. (a) Oishi, S.; Kamano, T.; Niida, A.; Odagaki, Y.; Hamanaka, N.; Yamamoto, M.; Ajito, K.; Tamamura, H.; Otaka, A.; Fujii, N. *J. Org. Chem.* **2002**, *67*, 6162-6173. (b) Oishi, S.; Miyamoto, K.; Niida, A.; Yamamoto, M.; Ajito, K.; Tamamura, H.; Otaka, A.; Kuroda, Y.; Asai, A.; Fujii, N. *Tetrahedron* **2006**, *62*, 1416-1424.

29. (a) 小林数也 *Peptide Newsletter Japan* **2013**, No.89, 6-10. (b) Kobayashi, K.; Oishi, S.; Hayashi, R.; Tomita, K.; Kubo, T.; Tanahara, N.; Ohno, H.; Yoshikawa, Y.; Furuya, T.; Hoshino, M.; Fujii, N. *J. Med. Chem.* **2012**, *55*, 2746-2757.

30. Tomita, K.; Oishi, S.; Ohno, H.; Peiper, S. C.; Fujii, N. *J. Med. Chem.* **2008**, *51*, 7645-7649.

31. Misu, R.; Oishi, S.; Yamada, A.; Yamamura, T.; Matsuda, F.; Yamamoto, K.; Noguchi, T.; Ohno, H.; Okamura, H.; Ohkura, S.; Fujii, N. *J. Med. Chem.* **2014**, *57*, 8646-8651.

32. Tamamura, H; Murakami, T.; Masuda, M.; Otaka, A.; Takada, W.; Ibuka, T.; Nakashima, H.; Waki, M.; Matsumoto, A.; Yamamoto, N.; Fujii, N. *Biochem. Biophys. Res. Commun.*

1994, *205*, 1729-1735, and references cited therein.

33. (a) Murakami, T.; Nakajima, T.; Koyanagi, Y.; Tachibana, K.; Fujii, N.; Tamamura, H; Yoshida, N.; Waki, M.; Matsumoto, A.; Yoshie, O.; Kishimoto, T.; Yamamoto, N.; Nagasawa, T. *J. Exp. Med.* **1997**, 186, 1389-1393. (b) Murakami, T.; Lu, Z-H.; Koyanagi, Y.; Tanaka, Y.; Kim, J.; Suzuki, Y.; Minoguchi, S.; Tamamura, H.; Waki, M.; Matsumoto, A.; Fujii, N.; Shida, H.; Hoxie, J.A.; Peiper, S. C.; Yamamoto, N. *J. Virol*. **1999**, *73*, 7489-7496.
34. (a) Koshiba, T.; Hosotani, R.; Miyamoto, Y.; Ida, J.; Tsuji, S.; Nakamura, S.; Kawaguchi, M.; Kobayashi, H.; Doi, R.; Hori, T.; Fujii, N.; Imamura, M. *Clin. Cancer Res*. **2000**, *6*, 3530-3535. (b) Mori, T.; Doi, R.; Masui, T.; Koizumi, M.; Toyoda, E.; Tulachan, S. S.; Ito, D.; Kami, K.; Masui, T.; Fujimoto, K.; Tamamura ,H.; Hiramatsu, K.; Fujii, N.; Imamura, M. *Mol. Cancer Ther.* **2004**, *3*, 29-37.
35. Oishi, S.; Fujii, N. *Org. Biomol. Chem.* **2012**, *10*, 5720-5731.
36. Tamamura, H; Hiramatsu, K.; Kusano, S.; Terakubo, S.; Yamamoto, N.; Trent, J. O.; Wang, Z. X.; Peiper, S. C.; Nakashima, H.; Otaka, A.; Fujii, N. *Org. Biomol. Chem.* **2003**, *1*, 3656-3662, and references cited therein.
37. (a) Fujii, N.; Oishi, S.; Hiramatsu, K.; Araki, T.; Ueda, S.; Tamamura, H.; Otaka, A.; Kusano, S.; Terakubo, S.; Nakashima, H.; Broach, J. A.; Trent, J. H.; Wang, Z. X.; Peiper, S. C. *Angew. Chem., Int. Ed. Engl.* **2003**, *42*, 3251-3253. (b) Ueda, S.; Oishi, S.; Wang, Z. X.; Araki, T.; Tamamura, H.; Cluzeau, J.; Ohno, H.; Kusano, S.; Nakashima, H.; Trent, J. O.; Peiper, S. C.; Fujii, N. *J. Med. Chem.* **2007**, *50*, 192-198.
38. Inokuchi, E.; Oishi, S.; Kubo, T.; Ohno, H.; Shimura, K.; Matsuoka, M.; Fujii, N. *ACS Med. Chem. Lett.* **2011**, *2*, 477-480.
39. Oishi, S.; Kuroyanagi, T.; Kubo, T.; Montpas, N.; Yoshikawa, Y.; Misu, R.; Kobayashi, Y.; Ohno, H.; Heveker, N.; Furuya, T.; Fujii, N. *J. Med. Chem.* **2015**, *58*, 5218-5225.
40. (a) Oishi, S.; Masuda, R.; Evans, B.; Ueda, S.; Goto, Y.; Ohno, H.; Hirasawa, A.; Tsujimoto, G.; Wang, Z.; Peiper, S.C.; Naito, T.; Kodama, E.; Matsuoka, M.; Fujii, N. *ChemBioChem* **2008**, *9*, 1154-1158. (b) Masuda, R.; Oishi, S.; Ohno, H.; Kimura, H.; Saji, H.; Fujii, N. *Bioorg. Med. Chem.* **2011**, *19*, 3216-3220. (c) Masuda, R.; Oishi, S.; Tanahara, N.; Ohno, H.; Hirasawa, A.; Tsujimoto, G.; Kodama, E.; Matsuoka, M.; Fujii, N. *Future Med. Chem.* **2012**, *4*, 837-844. (d) Masuda, R.; Oishi, S.; Tanahara, N.; Ohno, H.; Hirasawa, A,; Tsujimoto, G.; Yano, Y.; Matsuzaki, K.; Navenot, J.; Peiper, S. C.; Fujii, N. *Bioconjug. Chem.* **2012**, *23*, 1259-1265.
41. (a) Otaka, A.; Nakamura, M.; Nameki, D.; Kodama, E.; Uchiyama, S.; Nakamura, S.; Nakano, H.; Tamamura, H.; Kobayashi, Y.; Matsuoka, M.; Fujii, N. *Angew. Chem., Int.*

Ed. Engl. **2002**, *41*, 2937-2940. (b) Oishi, S.; Ito, S.; Nishikawa, H.; Watanabe, K.; Tanaka, M.; Ohno, H.; Izumi, K.; Sakagami, Y.; Kodama, E.; Matsuoka, M.; Fujii, N. *J. Med. Chem.* **2008**, *51*, 388-391.

42. (a) Izumi, K.; Kodama, E.; Shimura, K.; Sakagami, Y.; Watanabe, K.; Ito, S.; Watabe, T.; Terakawa, Y.; Nishikawa, H.; Sarafianos, S. G.; Kitaura, K.; Oishi, S.; Fujii, N.; Matsuoka, M. *J. Biol. Chem.* **2009**, *284*, 4914-4920. (b) Shimura, K.; Nameki, D.; Kajiwara, K.; Watanabe, K.; Sakagami, Y.; Oishi, S.; Fujii, N.; Matsuoka, M.; Sarafianos, S. G.; Kodama, E. *J. Biol. Chem.* **2010**, *285*, 39471-39480. (c) Watabe, T.; Terakawa, Y.; Watanabe, K.; Ohno, H., Nakano, H.; Nakatsu, T.; Kato, H.; Izumi, K.; Kodama, E.; Matsuoka, M.; Kitaura, K.; Oishi, S.; Fujii N. *J. Mol. Biol.* **2009**, *392*, 657-665.
43. Oishi, S.; Watanabe, K.; Ito, S.; Tanaka, M.; Nishikawa, H.; Ohno, H.; Shimane, K.; Izumi, K.; Sakagami, Y.; Kodama, E.N.; Matsuoka, M.; Asai, A.; Fujii, N. *Med. Chem. Comm.* **2010**, *1*, 276-281.
44. Ujike, M.; Nishikawa, H.; Otaka, A.; Yamamoto, N.; Yamamoto, N.; Matsuoka, M.; Kodama, E.; Fujii, N.; Taguchi, F. *J. Virol.* **2008**, *82*, 588-592.
45. (a) Oishi, S.; Kodera, Y.; Nishikawa, H.; Kamitani, H.; Watabe, T.; Ohno, H.; Tochikura, T.; Shimane, K.; Kodama, E.; Matsuoka, M.; Mizukoshi, F.; Tsujimoto, H.; Fujii, N.; *Bioorg. Med. Chem.* **2009**, *17*, 4916-4920. (b) Mizukoshi, F.; Baba, F.; Goto, Y.; Setoguchi, A.; Fujino, Y.; Ohno, K.; Oishi, S.; Kodera, Y.; Fujii, N.; Tsujimoto, H. *J. Vet. Med. Sci.* **2009**, *71*, 121-124.
46. (a) Watanabe, T.; Ueda, S.; Inuki, S.; Oishi, S.; Fujii, N.; Ohno, H. *Chem. Commun.* **2007**, 4516-4518. (b) Watanabe, T.; Oishi, S.; Fujii, N.; Ohno, H. *J. Org. Chem.* **2009**, *74*, 4720-4726.
47. (a) Oishi, S.; Watanabe, T.; Sawada, J.-i.; Asai, A.; Ohno, H.; Fujii, N. *J. Med. Chem.* **2010**, *53*, 5054-5058. (b) Takeuchi, T.; Oishi, S.; Watanabe, T.; Ohno, H.; Sawada, J.-i.; Matsuno, K.; Asai, A.; Asada, N.; Kitaura, K.; Fujii, N. *J. Med. Chem.* **2011**, *54*, 4839-4846.
48. Takenaga, M.; Yamamoto, Y.; Takeuchi, T.; Ohta, Y.; Tokura, Y.; Hamaguchi, A.; Asai, D.; Nakashima, H.; Oishi, S.; Fujii, N. *Biochem. Biophys. Res. Commun.* **2015**, *463*, 222-228.
49. (a) Takeuchi, T.; Oishi, S.; Kaneda, M.; Ohno, H.; Nakamura, S.; Nakanishi, I.; Yamane, M.; Sawada, J.-i.; Asai, A.; Fujii, N. *ACS Med. Chem. Lett.* **2014**, *5*, 566-571. (b) Takeuchi, T.; Oishi, S.; Kaneda, M.; Misu, R.; Ohno, H.; Sawada, J.-i.; Asai, A.; Nakamura, S.; Nakanishi, I.; Fujii, N. *Bioorg. Med. Chem.* **2014**, *22*, 3171-3179.
50. Hou, Z.; Nakanishi, I.; Kinoshita, T.; Takei, Y.; Yasue, M.; Misu, R.; Suzuki, Y.;

Nakamura, S.; Kure, T.; Ohno, H.; Murata, K.; Kitaura, K.; Hirasawa, A.; Tsujimoto, G.; Oishi, S.; Fujii, N. *J. Med. Chem.* **2012**, *55*, 2899-2903.

51. (a) Suzuki, Y.; Naoe, S.; Oishi, S.; Fujii, N.; Ohno, H. *Org. Lett.* **2012**, *14*, 326-329. (b) Hou, Z.; Suzuki, Y.; Oishi, S.; Fujii, N.; Ohno, H. *Tetrahedron* **2012**, *68*, 1695-1703.
52. (a) Suzuki, Y.; Oishi, S.; Takei, Y.; Yasue, M.; Misu, R.; Naoe, S.; Hou, Z.; Kure, T.; Nakanishi, I.; Ohno, H.; Hirasawa, A.; Tsujimoto, G.; Fujii, N. *Org. Biomol. Chem.* **2012**, *10*, 4907-4915. (b) Hou, Z.; Oishi, S.; Suzuki, Y.; Kure, T.; Nakanishi, I.; Hirasawa, A.; Tsujimoto, G.; Ohno, H.; Fujii, N. *Org. Biomol. Chem.* **2013**, *11*, 3288-3296.
53. (a) Inuki, S.; Yoshimitsu, Y.; Oishi, S.; Fujii, N.; Ohno, H. *J. Org. Chem.* **2010**, *75*, 3831-3842. (b) Yoshimitsu, Y.; Inuki, S.; Oishi, S.; Fujii, N.; Ohno, H. *J. Org. Chem.* **2010**, *75*, 3843-3846.
54. Yoshimitsu, Y.; Oishi, S.; Miyagaki, J.; Inuki, S.; Ohno, H.; Fujii, N. *Bioorg. Med. Chem.* **2011**, *19*, 5402-5408.
55. Yoshimitsu, Y.; Miyagaki, J.; Oishi, S.; Fujii, N.; Ohno, H. *Tetrahedron* **2013**, *69*, 4211-4220.
56. (a) Mizuhara, T.; Inuki, S.; Oishi, S.; Fujii, N.; Ohno, H. *Chem. Commun.* **2009**, 3413-3415. (b) Mizuhara, T.; Oishi, S.; Fujii, N.; Ohno, H. *J. Org. Chem.* **2010**, *75*, 265-268.
57. (a) Mizuhara, T.; Oishi, S.; Ohno, H.; Shimura, K.; Matsuoka, M.; Fujii, N. *Org. Biomol. Chem.* **2012**, *10*, 6792-6802. (b) Mizuhara, T.; Oishi, S.; Ohno, H.; Shimura, K.; Matsuoka, M.; Fujii, N. *Bioorg. Med. Chem.* **2012**, *20*, 6434-6441. (c) Mizuhara, T.; Oishi, S.; Ohno, H.; Shimura, K.; Matsuoka, M.; Fujii, N. *Bioorg. Med. Chem.* **2013**, *21*, 2079-2087.
58. (a) Okazaki, S.; Mizuhara, T.; Shimura, K.; Murayama, H.; Ohno, H.; Oishi, S.; Matsuoka, M.; Fujii, N. *Bioorg. Med. Chem.* **2015**, *23*, 1447-1452. (b) Okazaki, S.; Oishi, S.; Mizuhara, T.; Shimura, K.; Murayama, H.; Ohno, H.; Matsuoka, M.; Fujii, N. *Org. Biomol. Chem.* **2015**, *13*, 4706-4713.

大高 章 先生、玉村啓和 先生　送別会

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藤井 信孝 教授　　研究業績目録

原著論文（Original Papers）

1. Yajima, H.; Fujii, N.; Ogawa, H.; Kawatani, H.
   Trifluoromethanesulfonic acid, as a deprotecting reagent in peptide chemistry.
   J. Chem. Soc., Chem. Commun. **1974,** (3), 107-108.

2. Yajima, H.; Ogawa, H.; Watanabe, H.; Fujii, N.; Kurobe, M.; Miyamoto, S.
   Peptides. XLVIII. Application of the trifluoromethanesulfonic acid procedure to the synthesis of tuftsin.
   Chem. Pharm. Bull. **1975,** *23* (2), 371-374.

3. Yajima, H.; Kiso, Y.; Ogawa, H.; Fujii, N.; Irie, H. Peptides. L.
   Acidolysis of protecting groups in peptide chemistry by fluorosulfonic acid and methanesulfonic acid.
   Chem. Pharm. Bull. **1975,** *23* (5), 1164-1166.

4. Fujii, N.; Yajima, H.
   Peptides. LII. Application of the trifluoromethanesulfonic acid procedure to the synthesis of a peptide with somatostatin activity.
   Chem. Pharm. Bull. **1975,** *23* (7), 1596-1603.

5. Yajima, H.; Kurobe, M.; Yo, I.; Fujii, N.; Baba, Y.
   Peptides. LI. Application of the solid phase synthesis for the preparation of proline analogs of LH and FSH releasing hormone.
   Chem. Pharm. Bull. **1975,** *23* (7), 1622-1624.

6. Fujii, N.; Yajima, H.

Peptides. LVI. Synthesis of N^1-Tyr-somatostatin.

Chem. Pharm. Bull. **1975,** *23* (10), 2446-2449.

7. Irie, H.; Fujii, N.; Ogawa, H.; Yajima, H.; Fujino, M.; Shinagawa, S.

Role of methionine in the facilitated cleavage of aromatic ethers by methanesulfonic acid.

J. Chem. Soc., Chem. Commun. **1976,** (22), 922-923.

8. Kitagawa, K.; Akita, T.; Segawa, T.; Nakano, M.; Fujii, N.; Yajima, H.

Studies on peptides. LXV. Conventional synthesis of a new hypothalamic peptide, bovine neurotensin.

Chem. Pharm. Bull. **1976,** *24* (11), 2692-2698.

9. Yajima, H.; Ogawa, H.; Fujii, N.; Funakoshi, S.

Studies on peptides. LXIX. Selective removal of acid labile α-amino protecting groups with dilute sulfonic acids.

Chem. Pharm. Bull. **1977,** *25* (4), 740-747.

10. Tamura, F.; Ogawa, H.; Fujii, N.; Yajima, H.; Miyata, K.; Nakamura, M.; Tanaka, A.

Studies on peptides. LXX. Synthesis of two octadecapeptides corresponding to the entire amino acid sequence of camel β-melanocyte-stimulating hormones.

Chem. Pharm. Bull. **1977,** *25* (4), 767-774.

11. Fujii, N.; Irie, H.; Yajima, H.

Regioselective cleavage of aromatic methyl ethers by methanesulfonic acid in the presence of methionine.

J. Chem. Soc., Perkin Trans. 1 **1977,** (20), 2288-2289.

12. Irie, H.; Fujii, N.; Ogawa, H.; Yajima, H.; Fujino, M.; Shinagawa, S.

Studies on peptides. LXXIII. Examination of the methanesulfonic acid procedure for the synthesis of peptides containing methionine.

Chem. Pharm. Bull. **1977,** *25* (11), 2929-2934.

13. Fujii, N.; Funakoshi, S.; Sasaki, T.; Yajima, H.
Studies on peptides. LXXII. Examination of the N^{ε}-alkylation of lysine in the methanesulfonic acid procedure for peptide synthesis.
Chem. Pharm. Bull. **1977,** *25* (11), 3096-3098.

14. Fujii, N.; Sasaki, T.; Funakoshi, S.; Irie, H.; Yajima, H.
Studies on peptides. LXXIV. Convenient procedure for the preparation of methionine sulfoxide derivatives.
Chem. Pharm. Bull. **1978,** *26* (2), 650-653.

15. Yajima, H.; Sasaki, T.; Ogawa, H.; Fujii, N.; Segawa, T.; Nakata, Y.
Studies on peptides. LXXVI. Synthesis of kassinin, a new frog skin peptide.
Chem. Pharm. Bull. **1978,** *26* (4), 1231-1235.

16. Yajima, H.; Funakoshi, S.; Fujii, N.; Akaji, K.; Irie, H.
Behavior of *S*-substituted cysteine sulfoxide under acidolytical deprotecting conditions.
Chem. Pharm. Bull. **1979,** *27* (4), 1060-1061.

17. Kitagawa, K.; Kitade, K.; Kiso, Y.; Akita, T.; Funakoshi, S.; Fujii, N.; Yajima, H.
N^{im}-*p*-Methoxyphenylsulfonylhistidine, a new derivative for peptide synthesis.
J. Chem. Soc., Chem. Commun. **1979,** (21), 955-956.

18. Funakoshi, S.; Fujii, N.; Akaji, K.; Irie, H.; Yajima, H.
Studies on peptides. LXXXIII. Behavior of *S*-substituted cysteine sulfoxides under deprotecting conditions in peptide synthesis.
Chem. Pharm. Bull. **1979,** *27* (9), 2151-2156.

19. Yajima, H.; Akaji, K.; Mitani, K.; Fujii, N.; Funakoshi, S.; Adachi, H.; Oishi, M.;

Akazawa, Y.

Studies on peptides. LXXXI. Application of a new arginine derivative, N^G-mesitylene-2-sulfonylarginine, to the synthesis of substance P and neurotensin.

Int. J. Pept. Protein Res. **1979,** *14* (2), 169-176.

20. Yajima, H.; Fujii, N.

Chemical synthesis of bovine pancreatic ribonuclease A.

J. Chem. Soc., Chem. Commun. **1980,** (3), 115-16.

21. Kitagawa, K.; Kitade, K.; Kiso, Y.; Akita, T.; Funakoshi, S.; Fujii, N.; Yajima, H.

Studies on peptides. LXXXV. A new deprotecting procedure for *p*-toluenesulfonyl and *p*-methoxybenzenesulfonyl groups from the N^{im}-function of histidine.

Chem. Pharm. Bull. **1980,** *28* (3), 926-931.

22. Irie, H.; Nakanishi, H.; Fujii, N.; Mizuno, Y.; Fushimi, T.; Funakoshi, S.; Yajima, H.

Validity of methoxycarbonyl as an *N*-protecting group in peptide synthesis: new synthesis of MSH-release inhibiting factor.

Chem. Lett. **1980,** *9* (6), 705-708.

23. Yajima, H.; Akaji, K.; Funakoshi, S.; Fujii, N.; Irie, H.

Studies on peptides. XCVI. Behavior of *S*-acetamidomethylcysteine sulfoxide under deprotecting conditions in peptide synthesis.

Chem. Pharm. Bull. **1980,** *28* (6), 1942-1945.

24. Irie, H.; Shiina, A.; Fushimi, T.; Katakawa, J.; Fujii, N.; Yajima, H.

New synthesis of isoquinoline alkaloids, thalifoline, corypalline, and cherylline.

Chem. Lett. **1980,** *9* (7), 875-878.

25. Yajima, H.; Akaji, K.; Fujii, N.; Moriga, M.; Aono, M.; Takagi, A.

Synthesis of a heptacosapeptide amide corresponding to the entire amino acid sequence

of gastric gastrin releasing peptide.

Chem. Pharm. Bull. **1980,** *28* (7), 2276-2278.

26. Yajima, H.; Akaji, K.; Hirota, Y.; Fujii, N.

Studies on peptides. XCIV. Thiazoline-2-thione as a carboxyl-activating reagent for peptide synthesis.

Chem. Pharm. Bull. **1980,** *28* (10), 3140-3142.

27. Yajima, H.; Fujii, N.; Hirota, Y.; Nasada, Y.; Hirai, Y.; Nakajima, T.

Studies on peptides. XCVIII. Synthesis of a wasp venom, Polistes mastoparan.

Int. J. Pept. Protein Res. **1980,** *16* (5), 426-432.

28. Yajima, H.; Fujii, N.

Studies on peptides C. Part XCX.

Chemical synthesis of crystalline ribonuclease A.

Chem. Pharm. Bull. **1981,** *29* (2), 600-602.

29. Yajima, H.; Fujii, N.

Totally synthetic crystalline ribonuclease A.

Biopolymers **1981,** *20* (9), 1859-1867.

30. Akaji, K.; Fujii, N.; Yajima, H.; Moriga, M.; Aono, M.; Takagi, A.

Studies on peptides. CII. Synthesis of a heptacosapeptide amide corresponding to the entire amino acid sequence of gastrin-releasing peptide.

Int. J. Pept. Protein Res. **1981,** *18* (2), 180-194.

31. Fujii, N.; Yajima, H.

Studies on peptides. 88. Total synthesis of bovine pancreatic ribonuclease A. Part 1. Synthesis of the protected pentadecapeptide ester (positions 110-124).

J. Chem. Soc., Perkin Trans. 1 **1981,** (3), 789-796.

32. Fujii, N.; Yajima, H.

Studies on peptides. 89. Total synthesis of bovine pancreatic ribonuclease A. Part 2. Synthesis of the protected hexatriacontapeptide ester (positions 89-124).

J. Chem. Soc., Perkin Trans. 1 **1981,** (3), 797-803.

33. Fujii, N.; Yajima, H.

Studies on peptides. 90. Total synthesis of bovine pancreatic ribonuclease A. Part 3. Synthesis of the protected hexapentacontapeptide ester (positions 69-124).

J. Chem. Soc., Perkin Trans. 1 **1981,** (3), 804-810.

34. Fujii, N.; Yajima, H.

Studies on peptides. 91. Total synthesis of bovine pancreatic ribonuclease A. Part 4. Synthesis of the protected tetraoctacontapeptide ester (positions 41-124).

J. Chem. Soc., Perkin Trans. 1 **1981,** (3), 811-818.

35. Fujii, N.; Yajima, H.

Studies on peptides. 92. Total synthesis of bovine pancreatic ribonuclease A. Part 5. Synthesis of the protected S-protein (positions 21-124) and the protected S-peptide (positions 1-20).

J. Chem. Soc., Perkin Trans. 1 **1981,** (3), 819-830.

36. Fujii, N.; Yajima, H.

Studies on peptides. 93. Total synthesis of bovine pancreatic ribonuclease A. Part 6. Synthesis of RNase A with full enzymic activity.

J. Chem. Soc., Perkin Trans. 1 **1981,** (3), 831-841.

37. Akaji, K.; Fujii, N.; Yajima, H.; Moriga, M.; Murakami, M.; Mizuta, K.; Takagi, A.

Synthesis of a heptacosapeptide amide corresponding to the entire amino acid sequence of chicken gastrin releasing peptide (GRP).

Chem. Pharm. Bull. **1981,** *29* (10), 3080-3082.

38. Yajima, H.; Fujii, N.

Studies on peptides. 103. Chemical synthesis of a crystalline protein with the full enzymic activity of ribonuclease A.

J. Am. Chem. Soc. **1981,** *103* (19), 5867-5871.

39. Fujii, N.; Yajima, H.

Studies on peptides. CIV. Synthesis of ribonuclease S'.

Chem. Pharm. Bull. **1981,** *29* (7), 1927-1934.

40. Yajima, H.; Minamitake, Y.; Funakoshi, S.; Katayama, I.; Fujii, N.; Segawa, T.; Nakata, Y.; Yasuhara, T.; Nakajima, T.

Studies on peptides. CVI. Synthesis of a physalaemin-like peptide, [Lys^5, Thr^6]-physalaemin, isolated from the skin of a frog, Uperoleia rugosa.

Chem. Pharm. Bull. **1982,** *30* (1), 344-348.

41. Akaji, K.; Fujii, N.; Yajima, H.; Pearson, D.

Studies on peptides. CVII. Synthesis of urotensin II.

Chem. Pharm. Bull. **1982,** *30* (1), 349-353.

42. Funakoshi, S.; Fujii, N.; Yajima, H.; Shigeno, C.; Yamamoto, I.; Morita, R.; Torizuka, K.

Studies on peptides. CIX. Synthesis of the octatriacontapeptide corresponding to positions 1 to 38 of human parathyroid hormone.

Chem. Pharm. Bull. **1982,** *30* (5), 1706-1717.

43. Akaji, K.; Fujii, N.; Yajima, H.; Moriga, M.; Takagi, A.; Mizuta, K.; Noguchi, M.; McDonald, T. J.

Studies on peptides. CXI. Synthesis of chicken gastrin-releasing peptide.

Int. J. Pept. Protein Res. **1982,** *20* (3), 276-288.

44. Nishi, N.; Tsutsumi, A.; Morishige, M.; Kiyama, S.; Fujii, N.; Takeyama, M.; Yajima, H. Apparent autolysis of the N-terminal tetrapeptide of vasoactive intestinal polypeptide (VIP).
Chem. Pharm. Bull. **1983,** *31* (3), 1067-1072.

45. Yajima, H.; Fujii, N.; Shimokura, M.; Akaji, K.; Kiyama, S.; Nomizu, M.
Solution synthesis of a tetratetracontapeptide amide with growth hormone releasing activity.
Chem. Pharm. Bull. **1983,** *31* (5), 1800-1802.

46. Fujii, N.; Lee, W.; Yajima, H.; Moriga, M.; Mizuta, K.
Studies on peptides. CXII. Alternative synthesis of heptacosapeptide, a new gastrointestinal polypeptide.
Chem. Pharm. Bull. **1983,** *31* (10), 3503-3514.

47. Fujii, N.; Katakura, S.; Yajima, H.; Nakata, Y.; Inoue, A.; Segawa, T.
Studies on peptides. CXIV. Synthesis of hylambates-kassinin, a frog skin tachykinin peptide.
Chem. Pharm. Bull. **1983,** *31* (12), 4259-4262.

48. Yajima, H.; Akaji, K.; Fujii, N.; Hayashi, K.; Mizuta, K.; Aono, M.; Moriga, M.
Synthesis of a 53-residue peptide with EGF activity.
J. Chem. Soc., Chem. Commun. **1984,** (16), 1103-1105.

49. Kiyama, S.; Fujii, N.; Yajima, H.; Moriga, M.; Takagi, A.
Studies on peptides. CXIII. Synthesis of the heptacosapeptide amide corresponding to the entire amino acid sequence of chicken secretin.
Int. J. Pept. Protein Res. **1984,** *23* (2), 174-186.

50. Fujii, N.; Shimokura, M.; Akaji, K.; Kiyama, S.; Yajima, H.

Studies on peptides. CXVI. Synthesis of the protected docosapeptide corresponding to the C-terminal portion of human growth hormone releasing factor (GRF, somatocrinin).
Chem. Pharm. Bull. **1984,** *32* (2), 510-519.

51. Fujii, N.; Shimokura, M.; Nomizu, M.; Yajima, H.; Shono, F.; Tsuda, M.; Yoshitake, A.
Studies on peptides. CXVII. Solution synthesis of the tetratetracontapeptide amide corresponding to the entire amino acid sequence of growth hormone releasing factor, somatocrinin.
Chem. Pharm. Bull. **1984,** *32* (2), 520-529.

52. Fujii, N.; Lee, W.; Shimokura, M.; Yajima, H.
Studies on peptides. CXVIII. Synthesis of a hybrid growth hormone releasing factor (GRF)-PHI heptacosapeptide amide.
Chem. Pharm. Bull. **1984,** *32* (2), 739-743.

53. Fujii, N.; Shimokura, M.; Yajima, H.; Shono, F.; Tsuda, M.; Yoshitake, A.
Studies on peptides. CXIX. Synthesis of growth hormone releasing factor (hpGRF-40-OH).
Chem. Pharm. Bull. **1984,** *32* (3), 1193-1199.

54. Fujii, N.; Shimokura, M.; Yajima, H.; Shono, F.; Tsuda, M.; Yoshitake, A.
Studies on peptides. CXX. Synthesis of growth hormone releasing factor (GRF-37-NH_2) and N^{α}-biotinyl-GRF-44-NH_2.
Chem. Pharm. Bull. **1984,** *32* (3), 1200-1208.

55. Yajima, H.; Fujii, N.; Nomizu, M.; Watanabe, K.; Akaji, K.; Shimokura, M.; Katakura, S.; Shono, F.; Tsuda, M.; Yoshitake, A.
Synthesis of a hentetracontapeptide amide corresponding to the entire amino acid sequence of human corticotropin releasing factor (hCRF).

Chem. Pharm. Bull. **1984,** *32* (5), 2052-2055.

56. Fujii, N.; Futaki, S.; Yasumura, K.; Yajima, H.
Studies on peptides. CXXI. N^{in}-mesitylenesulfonyltryptophan, a new derivative for peptide synthesis.
Chem. Pharm. Bull. **1984,** *32* (7), 2660-2665.

57. Fujii, N.; Nomizu, M.; Akaji, K.; Shimokura, M.; Katakura, S.; Yajima, H.
Studies on peptides. CXXIII. Preparations of nine peptide fragments for the synthesis of human corticotropin releasing factor (hCRF).
Chem. Pharm. Bull. **1984,** *32* (12), 4786-4796.

58. Fujii, N.; Nomizu, M.; Akaji, K.; Watanabe, K.; Shimokura, M.; Katakura, S.; Yajima, H.; Shono, F.; Tsuda, M.; Yoshitake, A.; Imura, H.
Studies on peptides. CXXIV. Solution synthesis of the hentetracontapeptide amide corresponding to the entire amino acid sequence of human corticotropin releasing factor (hCRF).
Chem. Pharm. Bull. **1984,** *32* (12), 4797-4805.

59. Fujii, N.; Hayashi, Y.; Futaki, S.; Akaji, K.; Yajima, H.; Kitagawa, T.
Studies on peptides. CXXII. *N*-Succinimidyl *p*-(2-nitrovinyl)benzoate and its *m*-isomer, as heterobifunctional conjugating reagents for immunoassay.
Chem. Pharm. Bull. **1984,** *32* (12), 5036-5039.

60. Akaji, K.; Fujii, N.; Yajima, H.
Studies on peptides. CXXVI. Synthesis of the protected tetracosapeptide corresponding to positions 30-53 of mouse epidermal growth factor (EGF).
Chem. Pharm. Bull. **1985,** *33* (1), 173-183.

61. Akaji, K.; Fujii, N.; Yajima, H.; Hayashi, K.; Mizuta, K.; Aono, M.; Moriga, M.

Studies on peptides. CXXVII. Synthesis of a tripentacontapeptide with epidermal growth factor activity.

Chem. Pharm. Bull. **1985,** *33* (1), 184-201.

62. Fujii, N.; Akaji, K.; Hayashi, Y.; Yajima, H.

Studies on peptides. CXXV. 3-(3-*p*-Methoxybenzylthiopropionyl)thiazolidine-2-thione and its analogs as reagents for the introduction of the mercapto group into peptides and proteins.

Chem. Pharm. Bull. **1985,** *33* (1), 362-367.

63. Hosotani, R.; Inoue, K.; Fujii, N.; Yajima, H.; Tobe, T.

Effect of synthetic neuromedin C, a decapetide of gastrin-releasing peptide (GRP [18-27]), on blood flow and exocrine secretion of the pancreas in dogs.

Life Sci. **1985,** *36* (25), 2429-2434.

64. Fujii, N.; Hayashi, Y.; Katakura, S.; Akaji, K.; Yajima, H.; Inouye, A.; Segawa, T.

Studies on peptides. CXXVIII. Application of new heterobifunctional crosslinking reagents for the preparation of neurokinin (A and B)-BSA (bovine serum albumin) conjugates.

Int. J. Pept. Protein Res. **1985,** *26* (2), 121-129.

65. Fujii, N.; Yajima, H.; Otaka, A.; Funakoshi, S.; Nomizu, M.; Akaji, K.; Yamamoto, I.; Torizuka, K.; Kitagawa, K.; Akita, T.; Ando, K.; Kawamoto, T.; Shimonishi, Y.; Takao, T.

Application of *S*-1-adamantylcysteine to the synthesis of a 37-residue peptide amide corresponding to human calcitonin gene-related peptide (hCGRP).

J. Chem. Soc., Chem. Commun. **1985,** (9), 602-603.

66. Yajima, H.; Futaki, S.; Fujii, N.; Akaji, K.; Funakoshi, S.; Sakurai, M.; Katakura, S.; Inoue, K.; Hosotani, R.; Tobe, T.; Segawa.. T.; Inoue, A.; Tatemoto, T.; Mutt, V.

Synthesis of galanin, a new gastrointestinal polypeptide.

J. Chem. Soc., Chem. Commun. **1985,** (13), 877-878.

67. Kiyama, S.; Kitagawa, K.; Akita, T.; Chey, W. Y.; Ayalp, A.; Otsuki, A.; Funakoshi, S.; Fujii, N.; Yajima, H.

Alternative synthesis of porcine secretin and apparent autolysis of the product.

Chem. Pharm. Bull. **1985,** *33* (8), 3205-3217.

68. Yajima, H.; Fujii, N.; Akaji, K.; Sakurai, M.; Nomizu, M.; Mizuta, K.; Aono, M.; Moriga, M.; Inoue, K.; Hosotani, R.; Tobe, T.

Synthesis of a 42 residue peptide corresponding to the entire amino acid sequence of human GIP.

Chem. Pharm. Bull. **1985,** *33* (8), 3578-3281.

69. Fujii, N.; Futaki, S.; Akaji, K.; Yajima, H.; Inoue, A.; Segawa, T.

Studies on peptides. CXXIX. Application of N^{in}-mesitylenesulfonyltryptophan for the syntheses of neuromedin B and neuromedin C.

Chem. Pharm. Bull. **1985,** *33* (9), 3731-3737.

70. Fujii, N.; Sakurai, M.; Kuno, S.; Yajima, H.; Satoh, M.; Matsushita, M.; Yamamoto, N.; Takagi, H.; Wang, Z. M.; Lee, W.; Wang, P. F.

Studies on peptides. CXXXI. Synthesis of adrenorphin and enkephalin analogs.

Chem. Pharm. Bull. **1985,** *33* (10), 4326-4332.

71. Fujii, N.; Kuno, S.; Otaka, A.; Funakoshi, S.; Takagi, K.; Yajima, H.

Studies on peptides. CXXX. Convenient procedure for the reduction of methionine sulfoxide.

Chem. Pharm. Bull. **1985,** *33* (10), 4587-4588.

72. Yajima, H.; Futaki, S.; Fujii, N.; Akaji, K.; Funakoshi, S.; Sakurai, M.; Katakura, S.;

Inoue, K.; Hosotani, R.; Tobe, T.; Segawa, T.; Inoue, A.; Tatemoto, K.; Mutt, V.
Studies on peptides. CXXXIII. Synthesis and biological activity of galanin, a novel porcine intestinal polypeptide.
Chem. Pharm. Bull. **1986,** *34* (2), 528-539.

73. Fujii, N.; Otaka, A.; Funakoshi, S.; Nomizu, M.; Akaji, K.; Yajima, H.; Kitagawa, K.; Akita, T.; Ando, K.; Kawamoto, T.
Studies of peptides. CXXXV. Preparation of seven peptide fragments for the synthesis of human calcitonin gene-related peptide (hCGRP).
Chem. Pharm. Bull. **1986,** *34* (2), 603-612.

74. Fujii, N.; Otaka, A.; Funakoshi, S.; Nomizu, M.; Akaji, K.; Yajima, H.; Yamamoto, I.; Torizuka, K.; Kitagawa, K.; Akita, T.; Ando, K.; Kawamoto, T.; Shimonishi, Y.; Takao, T.
Studies on peptides. CXXXVI. Solution-phase synthesis of a 37-residue peptide amide corresponding to the entire amino acid sequence of human calcitonin gene-related peptide (hCGRP).
Chem. Pharm. Bull. **1986,** *34* (2), 613-620.

75. Fujii, N.; Nomizu, M.; Futaki, S.; Otaka, A.; Funakoshi, S.; Akaji, K.; Watanabe, K.; Yajima, H.
Studies on peptides. CXXXII. Evaluation of two β-carboxyl protecting groups of aspartic acid, cycloheptyl and cyclooctyl, for peptide synthesis.
Chem. Pharm. Bull. **1986,** *34* (2), 864-868.

76. Fujii, N.; Otaka, A.; Funakoshi, S.; Yajima, H.; Nishimura, O.; Fujino, M.
Studies on peptides. CXXXIV. Evaluation of *S*-1-adamantylcysteine for peptide synthesis.
Chem. Pharm. Bull. **1986,** *34* (2), 869-872.

77. Shimokura, M.; Kiso, Y.; Nagata, A.; Tsuda, M.; Seki, H.; Kai, Y.; Fujii, N.; Yajima, H.

Studies on peptides. CXXXVII. Conventional solution synthesis of porcine hypothalamic growth hormone releasing factor (pGRF).

Chem. Pharm. Bull. **1986,** *34* (4), 1814-1820.

78. Shimokura, M.; Kiso, Y.; Nagata, A.; Tsuda, M.; Seki, H.; Kai, Y.; Fujii, N.; Yajima, H.
Studies on peptides. CXXXVIII. Conventional solution synthesis of bovine hypothalamic growth hormone releasing factor (bGRF).
Chem. Pharm. Bull. **1986,** *34* (5), 2218-2223.

79. Fujii, N.; Sakurai, M.; Akaji, K.; Nomizu, M.; Yajima, H.; Mizuta, K.; Aono, M.; Moriga, M.; Inoue, K.; Hosotani, R.; Tobe, T.
Studies on peptides. CXXXIX. Solution synthesis of a 42-residue peptide corresponding to the entire amino acid sequence of human glucose-dependent insulinotropic polypeptide (GIP).
Chem. Pharm. Bull. **1986,** *34* (6), 2397-2410.

80. Satoh, M.; Oku, R.; Maeda, A.; Fujii, N.; Otaka, A.; Funakoshi, S.; Yajima, H;; Takagi, H.
Possible mechanisms of positive inotropic action of synthetic human calcitonin gene-related peptide in isolated rat atrium.
Peptides **1986**, *7* (4), 631-635.

81. Yamamoto, I.; Kitamura, N.; Aoki, J.; Shigeno, C.; Hino, M.; Asonuma, K.; Torizuka, K.; Fujii, N.; Otaka, A.; Yajima, H.
Human calcitonin gene-related peptide possesses weak inhibitory potency of bone resorption in vitro.
Calcif. Tissue Int. **1986,** *38* (6), 339-341.

82. Good, M. F.; Berzofsky, J. A.; Maloy, W. L.; Hayashi, Y.; Fujii, N.; Hockmeyer, W. T.; Miller, L. H.

Genetic control of the immune response in mice to a *Plasmodium falciparum* sporozoite vaccine. Widespread nonresponsiveness to single malaria T epitope in highly repetitive vaccine.

J. Exp. Med. **1986,** *164* (2), 655-660.

83. Nakamuta, H.; Fukuda, Y.; Koida, M.; Fujii, N.; Otaka, A.; Funakoshi, S.; Yajima, H.; Mitsuyasu, N.; Orlowski, R. C.

Binding sites of calcitonin gene-related peptide (CGRP): abundant occurrence in visceral organs.

Jpn. J. Pharmacol. **1986,** *42* (2), 175-180.

84. Sakurai, M.; Akaji, K.; Fujii, N.; Moriga, M.; Aono, M.; Mizuta, K.; Adachi, H.; Noguchi, M.; Inoue, K.; Hosotani, R.; Tobe, T.; Yajima, H.

Studies on peptides. CXLI. Synthesis of a 42-residue peptide corresponding to the entire amino acid sequence of porcine GIP (glucose-dependent insulinotropic polypeptide).

Chem. Pharm. Bull. **1986,** *34* (8), 3447-3453.

85. Otaka, A.; Fujii, N.; Funakoshi, S.; Yamamoto, I.; Torizuka, K.; Noda, T.; Morita, K.; Yajima, H.

Studies on peptides. CXLII. Synthesis of des-1-Ala-des-α-amino-human calcitonin gene-related peptide.

Chem. Pharm. Bull. **1986,** *34* (9), 3915-3918.

86. Yajima, H.; Futaki, S.; Otaka, A.; Yamashita, T.; Funakoshi, S.; Bessho, K.; Fujii, N.; Akaji, K.

Studies on peptides. CXLIII. Evaluation of β-menthyl aspartate for peptide synthesis.

Chem. Pharm. Bull. **1986,** *34* (10), 4356-4361.

87. Kuno, S.; Li, W.; Fujii, N.; Adachi, H.; Bessho, K.; Segawa, T.; Nakata, Y.; Inoue, A.;

Yajima, H.

Studies on peptides. CXLVI. Synthesis of Gln^{15}-motilin and examination of its immunological properties.

Chem. Pharm. Bull. **1986,** *34* (11), 4811-4816.

88. Oku, R.; Satoh, M.; Fujii, N.; Otaka, A.; Yajima, H.; Takagi, H.

Calcitonin gene-related peptide promotes mechanical nociception by potentiating release of substance P from the spinal dorsal horn in rats.

Brain Res. **1987,** *403* (2), 350-354.

89. Fujii, N.; Ikemura, O.; Funakoshi, S.; Matsuo, H.; Segawa, T.; Nakata, Y.; Inoue, A.; Yajima, H.

Studies on peptides. CXLVIII. Application of a new deprotecting procedure with trimethylsilyl trifluoromethanesulfonate for the syntheses of two porcine spinal cord peptides, neuromedin U-8 and neuromedin U-25.

Chem. Pharm. Bull. **1987,** *35* (3), 1076-1084.

90. Fujii, N.; Hayashi, Y.; Akaji, K.; Funakoshi, S.; Shimamura, M.; Yuguchi, S.; Lazarus, L. H.; Yajima, H.

Studies on peptides. CXLIX. Solid-phase synthesis of a rabbit stomach peptide by application of a new polymer support and a new deprotecting procedure.

Chem. Pharm. Bull. **1987,** *35* (3), 1266-1269.

91. Fujii, N.; Otaka, A.; Funakoshi, S.; Bessho, K.; Watanabe, T.; Akaji, K.; Yajima, H.

Studies on peptides. CLI. Syntheses of cystine-peptides by oxidation of *S*-protected cysteine-peptides with thallium (III) trifluoroacetate.

Chem. Pharm. Bull. **1987,** *35* (6), 2339-2347.

92. Fujii, N.; Otaka, A.; Ikemura, O.; Hatano, M.; Okamachi, A.; Funakoshi, S.; Sakurai, M.;

Shioiri, T.; Yajima, H.

Studies on peptides. CLII. Hard acid deprotecting procedure for peptide synthesis.

Chem. Pharm. Bull. **1987,** *35* (8), 3447-3452.

93. Robey, F. A.; Ohura, K.; Futaki, S.; Fujii, N.; Yajima, H.; Goldman, N.; Jones, K. D.; Wahl, S.

Proteolysis of human C-reactive protein produces peptides with potent immunomodulating activity.

J. Biol. Chem. **1987,** *262* (15), 7053-7057.

94. Fujii, N.; Otaka, A.; Funakoshi, S.; Bessho, K.; Yajima, H.

New procedure for the synthesis of cystine peptides by oxidation of *S*-substituted cysteine peptides with thallium(III) trifluoroacetate.

J. Chem. Soc., Chem. Commun. **1987,** (3), 163-164.

95. Fujii, N.; Otaka, A.; Ikemura, O.; Akaji, K.; Funakoshi, S.; Hayashi, Y.; Kuroda, Y.; Yajima, H.

Trimethylsilyl trifluoromethanesulfonate as a useful deprotecting reagent in both solution and solid phase peptide syntheses.

J. Chem. Soc., Chem. Commun. **1987,** (4), 274-275.

96. Fujii, N.; Otaka, A.; Watanabe, T.; Arai, H.; Funakoshi, S.; Bessho, K.; Yajima, H.

Sulfoxide-directed disulfide bond-forming reaction for the synthesis of cystine peptides.

J. Chem. Soc., Chem. Commun. **1987,** (21), 1676-1678.

97. Sugiyama, N.; Fujii, N.; Funakoshi, S.; Funakoshi, A.; Miyasaka, K.; Aono, M.; Moriga, M.; Inoue, K.; Kogire, M.; Sumi, S.; Doi, R.; Tobe, T.; Yajima, H.

Studies on peptides. CLIV. Synthesis of a 36-residue peptide amide corresponding to the entire amino acid sequence of human pancreatic polypeptide.

Chem. Pharm. Bull. **1987,** *35* (9), 3585-3596.

98. Akaji, K.; Nomizu, M.; Watanabe, K.; Funakoshi, S.; Imura, H.; Tsukada, T.; Fukata, J.; Nakamuta, H.; Koida, M.; Fujii, N.; Yajima, H.
Studies on peptides CLIII. Application of the hard-acid deprotecting procedure to the synthesis of ovine corticotropin releasing factor (oCRF).
Chem. Pharm. Bull. **1987,** *35* (9), 3859-3865.

99. Fujii, N.; Otaka, A.; Sugiyama, N.; Hatano, M.; Yajima, H.
Studies on peptides. CLV. Evaluation of trimethylsilyl bromide as a hard-acid deprotecting reagent in peptide synthesis.
Chem. Pharm. Bull. **1987,** *35* (9), 3880-3883.

100. Fujii, N.; Watanabe, T.; Otaka, A.; Bessho, K.; Yamamoto, I.; Noda, T.; Yajima, H.
Studies on peptides. CLVI. Synthesis of second human calcitonin gene-related peptide (β-hCGRP) by application of a new disulfide-bonding reaction with thallium(III) trifluoroacetate.
Chem. Pharm. Bull. **1987,** *35* (12), 4769-4776.

101. Fujii, N.; Futaki, S.; Morimoto, H.; Inoue, K.; Doi, R.; Tobe, T.; Yajima, H.
Total synthesis of human cholecystokinin-33.
J. Chem. Soc., Chem. Commun. **1988,** (4), 324-325.

102. Nomizu, M.; Akaji, K.; Fukata, J.; Imura, H.; Inoue, A.; Nakata, Y.; Segawa, T.; Fujii, N.; Yajima, H.
Studies on peptides. CLVII. Synthesis of a frog-skin peptide, sauvagine.
Chem. Pharm. Bull. **1988,** *36* (1), 122-133.

103. Sakina, K.; Fujii, N.; Funakoshi, S.; Yajima, H.
Partial structural revision of porcine pancreatic colipase.

Chem. Pharm. Bull. **1988,** *36* (1), 424-429.

104. Funakoshi, S.; Murayama, E.; Guo, L.; Fujii, N.; Yajima, H.
A modified benzhydrylamine as a handle reagent for the solid phase synthesis of peptide amides based on the (fluorenylmethoxy)carbonyl method.
J. Chem. Soc., Chem. Commun. **1988,** (5), 382-384.

105. Fujii, N.; Futaki, S.; Funakoshi, S.; Akaji, K.; Morimoto, H.; Ikemura, O.; Yajima, H.
Studies on peptides. CLIX. Preparation of a protected 33-residue peptide for the synthesis of human cholecystokinin (hCCK-33).
Chem. Pharm. Bull. **1988,** *36* (9), 3271-3280.

106. Fujii, N.; Futaki, S.; Funakoshi, S.; Akaji, K.; Morimoto, H.; Doi, R.; Inoue, K.; Kogire, M.; Sumi, S.; Yun, M.; Tobe, T.; Aono, M.; Matsuda, M.; Narusawa, H.; Moriga, M.; Yajima, H.
Studies on peptides. CLX. Synthesis of a 33-residue peptide corresponding to the entire amino acid sequence of human cholecystokinin (hCCK-33).
Chem. Pharm. Bull. **1988,** *36* (9), 3281-3291.

107. Fujii, N.; Watanabe, T.; Aotake, T.; Otaka, A.; Yamamoto, I.; Konishi, J.; Yajima, H.
Studies on peptides. CLXII. Synthesis of chicken calcitonin-gene-related peptide (cCGRP) by application of sulfoxide-directed disulfide-bond-forming reaction.
Chem. Pharm. Bull. **1988,** *36* (9), 3304-3311.

108. Hatano, M.; Funakoshi, S.; Fujii, N.; Takeyama, M.; Yun, M.; Inoue, K.; Kogire, M.; Tobe, T.; Yajima, H.
Studies on peptides. CLXIII. Synthesis of guinea pig vasoactive intestinal polypeptide (gVIP).
Chem. Pharm. Bull. **1988,** *36* (10), 3857-3866.

109. Doi, R.; Inoue, K.; Kogire, M.; Sumi, S.; Yun, M.; Futaki, S.; Fujii, N.; Yajima, H.; Tobe, T. Effect of synthetic human cholecystokinin-33 on exocrine pancreas. *Biochem. Biophys. Res. Commun.* **1988,** *150* (3), 1251-1255.

110. Fujii, N.; Otaka, A.; Funakoshi, S.; Watanabe, T.; Arai, H.; Bessho, K.; Yajima, H. Studies on peptides. CLVIII. Model experiments for the synthesis of open-chain unsymmetrical cystine-peptides. *J. Protein Chem.* **1988,** *7* (2), 151-156.

111. Doi, R.; Inoue, K.; Kogire, M.; Sumi, S.; Yun, M.; Futaki, S.; Fujii, N.; Yajima, H.; Tobe, T. Role of sulfated tyrosine residue in influencing the biologic activity of human cholecystokinin-33. *Biochem. Biophys. Res. Commun.* **1988,** *153* (3), 1209-1213.

112. Nakai, M.; Fukase, M.; Sakaguchi, K.; Noda, T.; Fujii, N.; Fujita, T. Human parathyroid hormone related protein fragment-(1-34) had glucose-6-phosphate dehydrogenase activity on distal convoluted tubules in cytochemical bioassay. *Biochem. Biophys. Res. Commun.* **1988,** *154* (1), 146-150.

113. Funakoshi, A.; Miyasaka, K.; Nakamura, R.; Kitani, K.; Funakoshi, S.; Tamamura, H.; Fujii, N.; Yajima, H. Bioactivity of synthetic human pancreastatin on exocrine pancreas. *Biochem. Biophys. Res. Commun.* **1988,** *156* (3), 1237-1242.

114. Kogire, M.; Inoue, K.; Sumi, S.; Doi, R.; Takaori, K.; Yun, M.; Fujii, N.; Yajima, H.; Tobe, T. Effects of synthetic human gastric inhibitory polypeptide on splanchnic circulation in dogs. *Gastroenterology* **1988,** *95* (6), 1636-1640.

115. Funakoshi, S.; Murayama, E.; Guo, L.; Fujii, N.; Yajima, H.
Studies on peptides. CLXI. A modified benzydrylamine. A useful handle reagent for 9-fluorenylmethyloxycarbonyl based solid phase synthesis of peptide amides.
Collect. Czech. Chem. Commun. **1988,** *53* (11B), 2791-2800.

116. Guo, L.; Murayama, E.; Funakoshi, S.; Fujii, N.; Aono, M.; Matsuda, M.; Moriga, M.; Yajima, H.
Studies on peptides. CLXIV. Solution-phase synthesis of a 36-residue peptide amide corresponding to the entire amino acid sequence of chicken antral peptide.
Chem. Pharm. Bull. **1988,** *36* (11), 4364-4376.

117. Fujimori, A.; Tsutsumi, M.; Yamada, H.; Fukase, M.; Noda, T.; Fujii, N.; Fujita, T.
The human parathyroid hormone-related protein mimics the action of human parathyroid hormone in an osteoblastic cell line, MC3T3-E1.
J. Bone Miner. Metab. **1988,** *6* (3), 172-175.

118. Shigeno, C.; Yamamoto, I.; Kitamura, N.; Noda, T.; Lee, K.; Sone, T.; Shiomi, K.; Ohtaka, A.; Fujii, N.; Yajima, H.; Konishi, J.
Interaction of human parathyroid hormone-related peptide with parathyroid hormone receptors in clonal rat osteosarcoma cells.
J. Biol. Chem. **1988,** *263* (34), 18369-18377.

119. Funakoshi, S.; Tamamura, H.; Fujii, N.; Yoshizawa, K.; Yajima, H.; Miyasaka, K.; Funakoshi, A.; Ohta, M.; Inagaki, Y.; Carpino, L. A.
Combination of a new amide-precursor reagent and trimethylsilyl bromide deprotection for the Fmoc-based solid-phase synthesis of human pancreastatin and one of its fragments (Fmoc = fluoren-9-ylmethoxy carbonyl).
J. Chem. Soc., Chem. Commun. **1988,** (24), 1588-1590.

120. Guo, L.; Funakoshi, S.; Fujii, N.; Yajima, H.

Studies on peptides. CLXV. Combination of a new amide-precursor reagent and trimethylsilyl bromide deprotection for the 9-fluorenylmethyloxycarbonyl-based solid-phase synthesis of chicken antral peptide.

Chem. Pharm. Bull. **1988,** *36* (12), 4989-4992.

121. Hayashi, Y.; Katakura, S.; Nomizu, M.; Tashiro, A.; Kuwata, S.; Miaki, N.; Liu, T. Y.; Fujii, N.; Yajima, H.

Studies on peptides. CLXVI. Solid-phase syntheses and immunological properties of fragment peptides related to human hepatitis B virus surface antigen (HBsAg) and its pre-S2 gene.

Chem. Pharm. Bull. **1988,** *36* (12), 4993-4999.

122. Takeyama, M.; Kino, T.; Guo, L. L.; Otaka, A.; Fujii, N.; Yajima, H.

Immunoaffinity purification of specific antibodies against human gastrin releasing peptide (h-GRP) by the h-GRP(1-8)-linked polydimethylacrylamide resin.

Int. J. Pept. Protein Res. **1989,** *33* (6), 457-462.

123. Otaka, A.; Morimoto, H.; Fujii, N.; Koide, T.; Funakoshi, S.; Yajima, H.

S-Benzyloxymethylcysteine, its properties and application in the synthesis of porcine brain natriuretic peptide (pBNP).

Chem. Pharm. Bull. **1989,** *37* (2), 526-528.

124. Takeyama, M.; Hatano, M.; Otaka, A.; Fujii, N.; Yajima, H.

Immuno-affinity purification of specific antibodies against vasoactive intestinal polypeptide (VIP) on VIP (1-10)-linked polydimethylacrylamide resin.

Chem. Pharm. Bull. **1989,** *37* (3), 834-837.

125. Akaji, K.; Hayashi, Y.; Fujii, N.; Liu, T. Y.; Berkower, I.; Yajima, H.

Studies on peptides. CLXVII. Solid-phase syntheses and immunological properties of fragment peptides related to human malaria circumsporozoite protein.
Chem. Pharm. Bull. **1989,** *37* (6), 1612-1615.

126. Yamada, H.; Tsutsumi, M.; Fukase, M.; Fujimori, A.; Yamamoto, Y.; Miyauchi, A.; Fujii, Y.; Noda, T.; Fujii, N.; Fujita, T.
Effects of human PTH-related peptide and human PTH on cyclic AMP production and cytosolic free calcium in an osteoblastic cell clone.
Bone Miner. **1989,** *6* (1), 45-54.

127. Takaori, K.; Inoue, K.; Kogire, M.; Doi, R.; Sumi, S.; Yun, M.; Fujii, N.; Yajima, H.; Tobe, T.
Effect of synthetic physalaemin on splanchnic circulation in dogs.
Life Sci. **1989,** *44* (10), 667-672.

128. Akaji, K.; Fujii, N.; Tokunaga, F.; Miyata, T.; Iwanaga, S.; Yajima, H.
Studies on peptides. CLXVIII. Syntheses of three peptides isolated from horseshoe crab hemocytes, tachyplesin I, tachyplesin II, and polyphemusin I.
Chem. Pharm. Bull. **1989,** *37* (10), 2661-2664.

129. Fujii, N.; Otaka, A.; Watanabe, T.; Okamachi, A.; Tamamura, H.; Yajima, H.; Inagaki, Y.; Nomizu, M.; Asano, K.
Silver trifluoromethanesulfonate as an *S*-deprotecting reagent for the synthesis of cystine peptides.
J. Chem. Soc., Chem. Commun. **1989,** (5), 283-284.

130. Kuraishi, Y.; Nanayama, T.; Ohno, H.; Fujii, N.; Otaka, A.; Yajima, H.; Satoh, M.
Calcitonin gene-related peptide increases in the dorsal root ganglia of adjuvant arthritic rat.

Peptides **1989,** *10* (2), 447-452.

131. Hayashi, Y.; Ikuta, K.; Fujii, N.; Ezawa, K.; Kato, S.
Inhibition of HIV-1 replication and syncytium formation by synthetic CD4 peptides.
Arch. Virol. **1989,** *105* (1-2), 129-135.

132. Matsuzaki, K.; Harada, M.; Handa, T.; Funakoshi, S.; Fujii, N.; Yajima, H.; Miyajima, K.
Magainin 1-induced leakage of entrapped calcein out of negatively-charged lipid vesicles.
Biochim. Biophys. Acta, Biomembr. **1989,** *981* (1), 130-134.

133. Funakoshi, S.; Tamamura, H.; Ohta, M.; Yoshizawa, K.; Funakoshi, A.; Miyasaka, K.; Tateishi, K.; Tatemoto, K.; Nakano, I.; Yajima, H.; Fujii, N.
Isolation and characterization of a tumor-derived human pancreastatin-related protein.
Biochem. Biophys. Res. Commun. **1988,** *164* (1), 141-148.

134. Funakoshi, A.; Miyasaka, K.; Kitani, K.; Funakoshi, S.; Tamamura, H.; Fujii, N.; Nakano, I.; Tatemoto, K.
Comparative effects of mammalian pancreastatins on the pancreatic exocrine secretion.
Jpn. J. Physiol. **1989,** *39* (6), 901-905.

135. Sugahara, K.; Nakamura, M.; Nagisa, J.; Masuda, M.; Nunokawa, Y.; Fujii, N.; Yamashina, I.
Regulation of serum glycosaminoglycan sulfotransferase activities: inhibition by sulfated glycosaminoglycans and activation by polyamines and basic peptides including a polylysine-containing segment of the c-Ki-ras 2 protein.
J. Biochem. **1989,** *106* (5), 910-919.

136. Doi, R.; Hosotani, R.; Inoue, K.; Kogire, M.; Sumi, S.; Fujii, N.; Yajima, H.; Rayford, P. L.; Tobe, T.
Effect of synthetic human cholecystokinin-33 on pancreatic blood flow in dogs.

Pancreas **1990,** *5* (5), 615-620.

137. Tominaga, T.; Fukata, J.; Naito, Y.; Nakai, Y.; Funakoshi, S.; Fujii, N.; Imura, H.
Effects of corticostatin-I on rat adrenal cells in vitro.
J. Endocrinol. **1990**, *125* (2), 287-292.

138. Miyasaka, K.; Funakoshi, A.; Kitani, K.; Tamamura, H.; Funakoshi, S.; Fujii, N.
Inhibitory effect of pancreastatin on pancreatic exocrine secretions. Pancreastatin inhibits central vagal nerve stimulation.
Gastroenterology **1990,** *99* (6), 1751-1756.

139. Ibuka, T.; Habashita, H.; Funakoshi, S.; Fujii, N.; Baba, K.; Kozawa, M.; Oguchi, Y.; Uyehara, T.; Yamamoto, Y.
Determination of absolute configuration of the alkyl group at the α-position in the acyclic α-alkyl-(*E*)-β,γ-enoates by circular dichroism.
Tetrahedron: Asymmetry **1990,** *1* (6), 389-394.

140. Doi, R.; Hosotani, R.; Inoue, K.; Fujii, N.; Yajima, H.; Rayford, P. L.; Tobe, T.
Receptor binding of cholecystokinin analogs in isolated rat pancreatic acini.
Biochem. Biophys. Res. Commun. **1990,** *166* (1), 286-292.

141. Miyasaka, K.; Funakoshi, A.; Yasunami, Y.; Nakamura, R.; Kitani, K.; Tamamura, H.; Funakoshi, S.; Fujii, N.
Rat pancreastatin inhibits both pancreatic exocrine and endocrine secretions in rats.
Regul. Pept. **1990,** *28* (2), 189-198.

142. Miyasaka, K.; Funakoshi, A.; Kitani, K.; Tamamura, H.; Fujii, N.; Funakoshi, S.
The importance of the C-terminal amide structure of rat pancreastatin to inhibit pancreatic exocrine secretion.
FEBS Lett. **1990,** *263* (2), 279-280.

143. Takeyama, M.; Yanaga, N.; Yarimizu, K.; Ono, J.; Takaki, R.; Fujii, N.; Yajima, H.
Enzyme immunoassay of somatostatin (SS)-like immunoreactive substance in bovine milk.
Chem. Pharm. Bull. **1990,** *38* (2), 456-459.

144. Takeyama, M.; Wakayama, K.; Takayama, F.; Kondo, K.; Fujii, N.; Yajima, H.
Micro-enzyme immunoassay of vasoactive intestinal polypeptide (VIP)-like immunoreactive substance in bovine milk.
Chem. Pharm. Bull. **1990,** *38* (4), 960-962.

145. Ibuka, T.; Habashita, H.; Funakoshi, S.; Fujii, N.; Oguchi, Y.; Uyehara, T.; Yamamoto, Y.
Highly selective synthesis of (*E*)-alkene isosteric dipeptides with high optical purity via organocyanocopper-boron trifluoride mediated reaction.
Angew. Chem. **1990,** *102* (7), 816-818; *Angew. Chem., Int. Ed. Engl.* **1990,** *29* (7), 801-803.

146. Takeyama, M.; Yasunaga, F.; Otaka, A.; Fujii, N.; Yajima, H.
Microenzyme immunoassay for the measurement of brain natriuretic peptide (BNP)-like immunoreactivity in porcine plasma.
J. Immunol. Methods **1990,** *130* (2), 217-222.

147. Tamamura, H.; Ohta, M.; Yoshizawa, K.; Ono, Y.; Funakoshi, A.; Miyasaka, K.; Tateishi, K.; Jimi, A.; Yajima, H.; Fujii, N.; Funakoshi, S.
Isolation and characterization of a tumor-derived human protein related to chromogranin A and its in vitro conversion to human pancreastatin-48.
Eur. J. Biochem. **1990** *191* (1), 33-39.

148. Nakai, M.; Fukase, M.; Yamaguchi, T.; Tsukamoto, T.; Fujii, N.; Fujita, T.
Human PTH-(3-34) inhibited the effects of human parathyroid hormone-related protein

on phosphate uptake in a cultured renal cell line (OK cells).

J. Bone Miner. Res. **1990,** *5* (10), 995-1002.

149. Takeyama, M.; Mori, K.; Takayama, F.; Kondo, K.; Kitagawa, K.; Fujii, N.

Enzyme immunoassay of a substance P-like immunoreactive substance in human plasma and saliva.

Chem. Pharm. Bull. **1990,** *38* (12), 3494-3496.

150. Yoshihara, Y.; Ueda, H.; Fujii, N.; Shide, A.; Yajima, H.; Satoh, M.

Purification of a novel type of calcium-activated neutral protease from rat brain. Possible involvement in production of the neuropeptide kyotorphin from calpastatin fragments.

J. Biol. Chem. **1990,** *265* (10), 5809-5815.

151. Kuraishi, Y.; Kawamura, M.; Yamaguchi, T.; Houtani, T.; Kawabata, S.; Futaki, S.; Fujii, N.; Satoh, M.

Intrathecal injections of galanin and its antiserum affect nociceptive response of rat to mechanical, but not thermal, stimuli.

Pain **1991**, *44* (3), 321-324.

152. Shinozaki, H.; Miyasaka, K.; Wakasugi, H.; Fujii, N.; Funakoshi, A.

Bioactivity of synthetic human cholecystokinin (CCK)-33 in vitro and in vivo.

Gastroenterol. Jpn. **1991**, *26* (1), 51-55.

153. Otaka, A.; Koide, T.; Shide, A.; Fujii, N.

Application of DMSO-trifluoroacetic acid oxidation to the synthesis of cystine-containing peptide.

Tetrahedron Lett. **1991,** *32* (9), 1223-1226.

154. Nomizu, M.; Inagaki, Y.; Yamashita, T.; Ohkubo, A.; Otaka, A.; Fujii, N.; Roller, P. P.; Yajima, H.

Two-step hard acid deprotection/cleavage procedure for solid phase peptide synthesis.
Int. J. Pept. Protein Res. **1991,** *37* (2), 145-152.

155. Matsuzaki, K.; Harada, M.; Funakoshi, S.; Fujii, N.; Miyajima, K.
Physicochemical determinants for the interactions of magainins 1 and 2 with acidic lipid bilayers.
Biochim. Biophys. Acta, Biomembr. **1991,** *1063* (1), 162-170.

156. Koide, T.; Otaka, A.; Suzuki, H.; Fujii, N.
Selective conversion of *S*-protected cysteine derivatives to cystine by various sulfoxide-silyl compound / trifluoroacetic acid systems.
Synlett **1991,** (5), 345-346.

157. Fujii, N.; Habashita, H.; Shigemori, N.; Otaka, A.; Ibuka, T.; Tanaka, M.; Yamamoto, Y.
A simple synthesis of ψ[(*E*)CH=CH]Gly dipeptide isosteres via reductive elimination of γ-oxygenated α,β-enoates with alkenylcopper reagents.
Tetrahedron Lett. **1991,** *32* (37), 4969-4972.

158. Takeyama, M.; Kondo, K.; Otaka, A.; Asakura, S.; Morikawa, N.; Itami, S.; Takayasu, S.; Fujii, N.
Gastrin releasing peptide-like immunoreactive substance in rat mammary glands during pregnancy.
Biochem. Biophys. Res. Commun. **1991,** *180* (1), 455-461.

159. Sumi, S.; Inoue, K.; Kogire, M.; Doi, R.; Yun, M.; Kaji, H.; Hosotani, R.; Fujimura, M.; Uchida, K.; Kiyama, S.; Kitagawa, K.; Yajima, H.; Fujii, N.; Tobe, T.
Effect of synthetic porcine neuropeptide Y (NPY) on splanchnic blood flows and exocrine pancreatic secretion in dogs.
Dig. Dis. Sci. **1991,** *36* (11) 1523-1528.

160. Matsuzaki, K.; Fukui, M.; Fujii, N.; Miyajima, K.
Interactions of an antimicrobial peptide, tachyplesin I, with lipid membranes.
Biochim. Biophys. Acta, Biomembr. **1991,** *1070* (1), 259-264.

161. Nomizu, M.; Inagaki, Y.; Iwamatsu, A.; Kashiwabara, T.; Ohta, H.; Morita, A.; Nishikori, K.; Otaka, A.; Fujii, N.; Roller, P. P.
Solid phase peptide synthesis of human endothelin precursor peptides using two-step hard acid deprotection/cleavage methods.
Int. J. Pept. Protein Res. **1991,** *38* (6), 580-587.

162. Ibuka, T.; Habashita, H.; Otaka, A.; Fujii, N.; Oguchi, Y.; Uyehara, T.; Yamamoto, Y.
A highly stereoselective synthesis of (*E*)-alkene dipeptide isosteres via organocyano-copper-Lewis acid mediation reaction.
J. Org. Chem. **1991,** *56* (14), 4370-4382.

163. Funakoshi, S.; Fukuda, H.; Fujii, N.
Chemoselective one-step purification method for peptides synthesized by the solid-phase technique.
Proc. Natl. Acad. Sci. U. S. A. **1991,** *88* (16), 6981-6985.

164. Nakashima, H.; Masuda, M.; Murakami, T.; Koyanagi, Y.; Matsumoto, A.; Fujii, N.; Yamamoto, N.
Anti-human immunodeficiency virus activity of a novel synthetic peptide, T22 ([$Tyr^{5,12}$, Lys^{7}]polyphemusin II): a possible inhibitor of virus-cell fusion.
Antimicrob. Agents Chemother. **1992,** *36* (6), 1249-1255.

165. Takeyama, M.; Otaka, A.; Fujii, N.
Enzyme immunoassay of thyrotropin releasing hormone (TRH).
Chem. Pharm. Bull. **1992,** *40* (8), 2199-2201.

166. Kohashi, O.; Ono, T.; Ohki, K.; Soejima, T.; Moriya, T.; Umeda, A.; Meno, Y.; Amako, K.; Funakosi, S.; Masuda, M.; Fujii, N.

Bactericidal activities of rat defensins and synthetic rabbit defensins on *Staphylococci, Klebsiella pneumoniae* (Chedid, 277, and 8N3), *Pseudomonas aeruginosa* (mucoid and nonmucoid strains), *Salmonella typhimurium* (Ra, Rc, Rd, and Re of LPS mutants) and *Escherichia coli*.

Microbiol. Immunol. **1992,** *36* (4), 369-380 [Erratum: *Microbiol. Immunol.* **1992,** *36* (8), 910]

167. Takaori, K.; Inoue, K.; Kogire, M.; Higashide, S.; Tun, T.; Aung, T.; Doi, R.; Fujii, N.; Tobe, T.

Effects of endothelin on microcirculation of the pancreas.

Life Sci. **1992,** *51* (8), 615-622.

168. Funakoshi, A.; Miyasaka, K.; Kitani, K.; Nakamura, J.; Funakoshi, S.; Fukuda, H.; Fujii, N.

Stimulatory effects of islet amyloid polypeptide (amylin) on exocrine pancreas and gastrin release in conscious rats.

Regul. Pept. **1992,** *38* (2), 135-143.

169. Ibuka, T.; Yoshizawa, H.; Habashita, H.; Fujii, N.; Chounan, Y.; Tanaka, M.; Yamamoto, Y.

"Higher order" zinc cuprates involving lithium chloride: synthesis of (*E*)-alkene dipeptide isosteres free from reductive elimination products.

Tetrahedron Lett. **1992,** *33* (26), 3783-3786.

170. Fujii, N.; Minetti, C. A. S. A.; Nakhasi, H. L.; Chen, S. W.; Barbehenn, E.; Nunes, P. H.; Nguyen, N. Y.

Isolation, cDNA cloning, and characterization of an 18-kDa hemagglutinin and amebocyte aggregation factor from *Limulus polyphemus*.

J. Biol. Chem. **1992,** *267* (31), 22452-22459.

171. Yonezawa, A.; Kuwahara, J.; Fujii, N.; Sugiura, Y.
Binding of tachyplesin I to DNA revealed by footprinting analysis: significant contribution of secondary structure to DNA binding and implication for biological action.
Biochemistry **1992,** *31* (11), 2998-3004.

172. Masuda, M; Nakashima, H; Ueda, T; Naba, H; Ikoma, R; Otaka, A; Terakawa, Y; Tamamura, H; Ibuka, T; Murakami, T; Koyanagi, Y; Waki, M; Matsumoto, A; Yamamoto, N; Funakoshi, S; Fujii, N.
A novel anti-HIV synthetic peptide, T-22 ([$Tyr^{5,12}$,Lys^{7}]-polyphemusin II).
Biochem. Biophys. Res. Commun. **1992,** *189* (2), 845-850.

173. Kasuya, Y.; Miyamoto, M.; Shimizu, K.; Fujimoto, K.; Otaka, A.; Funakoshi, S.; Fujii, N.; Kawaguchi, H.
Preparation and evaluation of polymer microspheres with cell adhesion activity.
Kobunshi Ronbunshu **1993,** *50* (5), 417-423.

174. Koide, T.; Itoh, H.; Otaka, A.; Yasui, H.; Kuroda, M.; Esaki, N.; Soda, K.; Fujii, N.
Synthetic study on selenocystine-containing peptides.
Chem. Pharm. Bull. **1993,** *41* (3), 502-566.

175. Tamamura, H.; Nakamura, J.; Noguchi, K.; Funakoshi, S.; Fujii, N.
Acceleration of the N^{α}-deprotection rate by the addition of *m*-cresol to diluted methanesulfonic acid and its application to the Z(OMe)-based solid-phase syntheses of human pancreastatin-29 and magainin 1.
Chem. Pharm. Bull. **1993,** *41* (5), 954-957.

176. Tamamura, H.; Ikoma, R.; Niwa, M.; Funakoshi, S.; Murakami, T.; Fujii, N.
Antimicrobial activity and conformation of tachyplesin I and its analogs.

Chem. Pharm. Bull. **1993,** *41* (5), 978-980.

177. Yoshizawa, H.; Otaka, A.; Habashita, H.; Fujii, N.
Direct disulfide formation from 2-quinolinylmethyl thioethers with iron(III) or copper(II) salt.
Chem. Lett. **1993,** (5), 803-806.

178. Koide, T.; Otaka, A.; Fujii, N.
Investigation of the dimethylsulfoxide-trifluoroacetic acid oxidation system for the synthesis of cystine-containing peptides.
Chem. Pharm. Bull. **1993,** *41* (6), 1030-1034.

179. Tamura, T.; Oikawa, T.; Ohtaka, A.; Fujii, N.; Esaki, N.; Soda, K.
Synthesis and characterization of the selenium analog of glutathione disulfide.
Anal. Biochem. **1993,** *208* (1), 151-154.

180. Kasuya, Y.; Fujimoto, K.; Miyamoto, M.; Juji, T.; Otaka, A.; Funakoshi, S.; Fujii, N.; Kawaguchi, H.
Preparation of peptide-carrying microspheres with bioactivity on platelets.
J. Biomater. Sci. Polym. Ed. **1993,** *4* (4), 369-380.

181. Koide, T.; Itoh, H.; Otaka, A.; Furuya, M.; Kitajima, Y.; Fujii, N.
Syntheses and biological activities of selenium analogs of α-rat atrial natriuretic peptide.
Chem. Pharm. Bull. **1993,** *41* (9), 1596-1600.

182. Nakashima, H.; Yamamoto, N.; Masuda, M.; Fujii, N.
Defensins inhibit HIV replication in vitro
AIDS **1993,** *7* (8), 1129.

183. Ibuka, T.; Nakai, K.; Habashita, H.; Bessho, K.; Fujii, N.; Chounan, Y.; Yamamoto, Y.

Remarkable difference in reactivity of ordinary vinylcopper reagents and vinylzinc halide containing a copper salt towards γ-mesyloxy-α,β-enoates. Synthesis of homochiral 1,4-dienes.

Tetrahedron **1993,** *49* (42), 9479-9488.

184. Tamamura, H.; Kuroda, M.; Masuda, M.; Otaka, A.; Funakoshi, S.; Nakashima, H.; Yamamoto, N.; Waki, M.; Matsumoto, A.; Lancelin, J. M.; Kohda, D.; Tate, S.; Inagaki, F.; Fujii, N.

A comparative study of the solution structures of tachyplesin I and a novel anti-HIV synthetic peptide, T22 ([$Tyr^{5,12}$, Lys^{7}]-polyphemusin II), determined by nuclear magnetic resonance.

Biochim. Biophys. Acta. **1993,** *1163* (2), 209-216.

185. Funakoshi, S.; Fukuda, H.; Fujii, N.

Affinity purification method using a reversible biotinylating reagent for peptides synthesized by the solid-phase technique.

J. Chromatogr. **1993,** *638* (1), 21-27.

186. Fujii, N.; Nakai, K.; Habashita, H.; Yoshizawa, H.; Ibuka, T.; Garrido, F.; Mann, A.; Chounan, Y.; Yamamoto, Y.

S_N2' Selective alkylation of allylic chlorides and mesylates with RZnX reagents generated from Grignard reagents, zinc chloride, lithium chloride, and copper(II)-salts.

Tetrahedron Lett. **1993,** *34* (26), 4227-4230.

187. Tamamura, H.; Otaka, A.; Nakamura, J.; Okubo, K.; Koide, T.; Ikeda, K.; Fujii, N.

Disulfide bond formation in *S*-acetamidomethyl cysteine-containing peptides by the combination of silver trifluoromethanesulfonate and dimethylsulfoxide/aqueous hydrochloric acid.

Tetrahedron Lett. **1993,** *34* (31), 4931-4934.

188. Iwashiro, M.; Kondo, T.; Shimizu, T.; Yamagishi, H.; Takahashi, K.; Matsubayashi, Y.; Masuda, T.; Otaka, A.; Fujii, N.; Ishimoto, A.; Miyazawa, M.; Robertson, M. N.; Chesebro, B.; Kuribayashi, K.
Multiplicity of virus-encoded helper T-cell epitopes expressed on FBL-3 tumor cells.
J. Virol. **1993,** *67* (8), 4533-4542.

189. Matsuzaki, K.; Fukui, M.; Fujii, N.; Miyajima, K.
Permeabilization and morphological changes in phosphatidylglycerol bilayers induced by an antimicrobial peptide, tachyplesin I.
Colloid Polym. Sci. **1993,** *271* (9), 901-908.

190. Ibuka, T.; Nakai, K.; Habashita, H.; Fujii, N.; Garrido, F.; Mann, A.; Chounan, Y.; Yamamoto, Y.
Unprecedented rearrangement reaction of 2-aziridinemethanols with "lower order" lithium methylcyanocuprate.
Tetrahedron Lett. **1993,** *34* (46), 7421-7424.

191. Matsuzaki, K.; Nakayama, M.; Fukui, M.; Otaka, A.; Funakoshi, S.; Fujii, N.; Bessho, K.; Miyajima, K.
Role of disulfide linkages in tachyplesin-lipid interactions.
Biochemistry **1993,** *32* (43), 11704-11710.

192. Ibuka, T.; Taga, T.; Habashita, H.; Nakai, K.; Tamamura, H.; Fujii, N.; Chounan, Y.; Nemoto, H.; Yamamoto, Y.
Syn-S_N2' pathway in the reaction of certain γ-(mesyloxy)-α,β-enoates with $RCu(CN)MgX.BF_3$ reagents. Importance of MgX and bulky R group upon the diastereoselectivity.

J. Org. Chem. **1993,** *58* (5), 1207-1214.

193. Weeks, B. S.; Nomizu, M.; Otaka, A.; Weston, C. A.; Okusu, A.; Tamamura, H.; Matsumoto, A.; Yamamoto, N.; Fujii, N.
Lymphocytes and promonocytes attach to the synthetic [$Tyr^{5,12}$, Lys^{7}]-polyphemusin II peptide.
Biochem. Biophys. Res. Commun. **1994,** *202* (1), 470-475.

194. Hosotani, R.; Doi, R.; Gu, Y.; Wada, M.; Inoue, K.; Fujii, N.; Rayford, P. L.; Imamura, M.
Metabolism of cholecystokinin-33 in vivo: effect of L-364,718, a CCK receptor antagonist.
Ann. Clin. Lab. Sci. **1994,** *24* (4), 346-354.

195. Fujii, N.; Nakai, K.; Habashita, H.; Hotta, Y.; Tamamura, H.; Otaka, A.; Ibuka, T.
Synthesis of optically pure 2-aziridinemethanols: versatile synthetic building blocks.
Chem. Pharm. Bull. **1994,** *42* (11), 2241-2250.

196. Sugahara, K.; Shigeno, K.; Masuda, M.; Fujii, N.; Kurosaka, A.; Takeda, K.
Structural studies on the chondroitinase ABC-resistant sulfated tetrasaccharides isolated from various chondroitin sulfate isomers.
Carbohydr. Res. **1994,** *255*, 145-163.

197. Kuroda, M.; Yamazaki, K.; Kobayashi, T.; Fujii, N.; Taga, T.
Monte-Carlo simulation taking account of solvent effects for a conformation analysis of peptides in solution.
Bull. Chem. Soc. Jpn. **1994,** *67* (3), 648-652.

198. Otaka, A.; Tamamura, H.; Terakawa, Y.; Masuda, M.; Koide, T.; Murakami, T.; Nakashima, H.; Matsuzaki, K.; Miyajima, K.; Ibuka, T.; Waki, M.; Matsumoto, A.; Yamamoto, N.; Fujii, N.

Molecular parameters for the anti-human immunodeficiency virus activity of T22 ([Tyr^{5,12}, Lys^{7}]-polyphemusin II).

Biol. Pharm. Bull. **1994,** *17* (12), 1669-1672.

199. Ibuka, T.; Nakai, K.; Habashita, H.; Hotta, Y.; Fujii, N.; Mimura, N.; Miwa, Y.; Taga, T.; Yamamoto, Y.

New route to diastereomeric (*E*)-alkene dipeptide isosteres from β-aziridinyl-α,β-enoates by reaction with organocopper reagents.

Angew. Chem. **1994,** *106* (6), 693-695; *Angew. Chem., Int. Ed. Engl.* **1994,** *33* (6), 652-654.

200. Tamamura, H.; Murakami, T.; Masuda, M.; Otaka, A.; Takada, W.; Ibuka, T.; Nakashima, H.; Waki, M.; Matsumoto, A.; Yamamoto, N.; Fujii, N.

Structure-activity relationships of an anti-HIV peptide, T22.

Biochem. Biophys. Res. Commun. **1994,** *205* (3), 1729-1735.

201. Matsuzaki, K.; Murase, O.; Tokuda, H.; Funakoshi, S.; Fujii, N.; Miyajima, K.

Orientational and aggregational states of magainin 2 in phospholipid bilayers.

Biochemistry **1994,** *33* (11), 3342-3349.

202. Shimizu, T.; Uenishi, H.; Teramura, Y.; Iwashiro, M.; Kuribayashi, K.; Tamamura, H.; Fujii, N.; Yamagishi, H.

Fine structure of a virus-encoded helper T-cell epitope expressed on FBL-3 tumor cells.

J. Virol. **1994,** *68* (12), 7704-7708.

203. Ibuka, T.; Suzuki, K.; Habashita, H.; Otaka, A.; Tamamura, H.; Mimura, N.; Miwa, Y.; Taga, T.; Fujii, N.

(*E*)-Stereoselective synthesis of vinylglycines from (*R*)-serine via organocopper-BF_3 and related reagents.

J. Chem. Soc., Chem. Commun. **1994,** (18), 2151-2152.

204. Tamamura, H.; Murakami, T.; Horiuchi, S.; Sugihara, K.; Otaka, A.; Takada, W.; Ibuka, T.; Waki, M.; Yamamoto, N.; Fujii, N.
Synthesis of protegrin-related peptides and their antibacterial and anti-human immunodeficiency virus activity.
Chem. Pharm. Bull. **1995,** *43* (5), 853-858

205. Weeks, B. S.; Nomizu, M.; Otaka, A.; Weston, C. A.; Okusu, A.; Tamamura, H.; Yamamoto, N.; Fujii, N.
The synthetic [$Tyr^{5,12}$,Lys^{7}]-polyphemusin II peptide (T22) binds to the CD4 cell surface molecule.
Biochem. Biophys. Res. Commun. **1995,** *215* (2), 626-631.

206. Wada, M.; Doi, R.; Hosotani, R.; Higashide, S.; Ibuka, T.; Habashita, H.; Nakai, .K; Fujii, N.; Imamura, M.
Effect of a new bombesin receptor antagonist, (*E*)-alkene bombesin isostere, on amylase release from rat pancreatic acini.
Pancreas **1995,** *10* (3), 301-305.

207. Tamamura, H.; Otaka, A.; Takada, W.; Terakawa, Y.; Yoshizawa, H.; Masuda, M.; Ibuka, T.; Murakami, T.; Nakashima, H.; Waki, M.; Matsumoto, A.; Yamamoto, N.; Fujii, N.
Solution-phase synthesis of an anti-human immunodeficiency virus peptide, T22 ([$Tyr^{5,12}$, Lys^{7}]-polyphemusin II), and the modification of Trp by the *p*-methoxybenzyl group of cys during trimethylsilyl trifluoromethanesulfonate deprotection.
Chem.Pharm. Bull. **1995**, *43* (1), 12-18.

208. Kondo, T.; Uenishi, H.; Shimizu, T.; Hirama, T.; Iwashiro, M.; Kuribayashi, K.; Tamamura, H.; Fujii, N.; Fujisawa, R.; Miyazawa M.; Yamagishi, H.

A single retroviral gag precursor signal peptide recognized by FBL-3 tumor-specific cytotoxic T lymphocytes.

J. Virol. **1995,** *69* (11), 6735-6741.

209. Otaka, A.; Miyoshi, K.; Burke, T. R. Jr.; Roller, P. P.; Kubota, H.; Tamamura, H.; Fujii, N. Synthesis and application of *N*-Boc-L-2-amino-4-(diethylphosphono)-4,4-difluoro-butanoic acid for solid-phase synthesis of nonhydrolyzable phosphoserine peptide analogs.

Tetrahedron Lett. **1995,** *36* (6), 927-930.

210. Tamamura, H.; Otaka, A.; Nakamura, J.; Okubo, K.; Koide, T.; Ikeda, K.; Ibuka, T.; Fujii, N. Disulfide bond-forming reaction using a dimethyl sulfoxide/aqueous HCl system and its application to regioselective two disulfide bond formation.

Int. J. Pept. Protein Res. **1995,** *45* (4), 312-319.

211. Nakai, K.; Ibuka, T.; Otaka, A.; Tamamura, H.; Fujii, N.; Yamamoto, Y.

A one-pot aza-Payne rearrangement-epoxide ring opening reaction of 2-aziridine-methanols: a regio- and stereoselective synthetic route to diastereomerically pure 1,2-amino alcohols.

Tetrahedron Lett. **1995,** *36* (35), 6247-6250.

212. Ookura, T.; Kainuma, K.; Kim, H.-j.; Otaka, A.; Fujii, N.; Kawamura, Y.

Active site peptides with CXXC motif on MAP-resin can mimic protein disulfide isomerase activity.

Biochem. Biophys. Res. Commun. **1995,** *213* (3), 746-751.

213. Ibuka, T.; Nakai, K.; Habashita, H.; Hotta, Y.; Otaka, A.; Tamamura, H.; Fujii, N.; Mimura, N.; Miwa, Y.; Chounan, Y.; Yamamoto, Y.

Aza-Payne rearrangement of activated 2-aziridinemethanols and 2,3-epoxy amines under

basic conditions.

J. Org. Chem. **1995,** *60* (7), 2044-2058.

214. Matsuzaki, K.; Sugishita, K.; Fujii, N.; Miyajima, K.

Molecular basis for membrane selectivity of an antimicrobial peptide, magainin 2.

Biochemistry **1995,** *34* (10), 3423-3429.

215. Matsuzaki, K.; Murase, O.; Fujii, N.; Miyajima, K.

Translocation of a channel-forming antimicrobial peptide, magainin 2, across lipid bilayers by forming a pore.

Biochemistry **1995,** *34* (19), 6521-6526.

216. Otaka, A.; Miyoshi, K.; Roller, P. P.; Burke, T. R. Jr.; Tamamura, H.; Fujii, N.

Practical synthesis of phosphopeptides using dimethyl-protected phosphoamino acid derivatives.

J. Chem. Soc., Chem. Commun. **1995,** (3), 387-389.

217. Otaka, A.; Miyoshi, K.; Kaneko, M.; Tamamura, H.; Fujii, N.; Nomizu, M.; Burke, T. R. Jr.; Roller, P. P.

Development of efficient two-step deprotection methodology for dimethyl-protected phosphoamino acid-containing peptide resins and its application to the practical synthesis of phosphopeptides.

J. Org. Chem. **1995,** *60* (13), 3967-3974.

218. Fujii, N.; Nakai, K.; Tamamura, H.; Otaka, A.; Mimura, N.; Miwa, Y.; Taga, T.; Yamamoto, Y.; Ibuka, T.

S_N2' ring opening of aziridines bearing an α,β-unsaturated ester group with organocopper reagents. A new stereoselective synthetic route to (*E*)-alkene dipeptide isosteres.

J. Chem. Soc., Perkin Trans. 1 **1995,** (11), 1359-1371.

219. Tamamura, H.; Otaka, A.; Murakami, T.; Ishihara, T.; Ibuka, T.; Waki, M.; Matsumoto, A.; Yamamoto, N.; Fujii, N.
Interaction of an anti-HIV peptide, T22, with GP120 and CD4.
Biochem. Biophys. Res. Commun. **1996,** *219* (2), 555-559.

220. Ibuka, T.; Akaji, M.; Mimura, N.; Habashita, H.; Nakai, K.; Tamamura, H.; Fujii, N.; Yamamoto, Y.
A thermodynamic preference of chiral *cis*-γ,δ-epimino-(*E*)-α,β-unsaturated esters over other stereoisomers: synthetically useful Pd(0)-catalyzed equilibrated reactions of aziridines bearing an α,β-unsaturated ester group.
Tetrahedron Lett. **1996,** *37* (16), 2849-2852.

221. Fujii, N.; Habashita, H.; Akaji, M.; Nakai, K.; Ibuka, T.; Fujiwara, M.; Tamamura, H.; Yamamoto, Y.
Simple one-pot transformations of toluene-*p*-sulfonates of 2,3-epoxy alcohols into allylic alcohols.
J. Chem. Soc., Perkin Trans. 1 **1996,** (9), 865-866.

222. Tamamura, H.; Ishihara, T.; Otaka, A.; Koide, T.; Miyoshi, K.; Ibuka, T.; Fujii, N.
A convenient one-pot synthesis of cystine-containing peptides from protected peptidyl resins using the trimethylsilyl chloride-dimethyl sulfoxide-trifluoroacetic acid system.
J. Chem. Soc., Perkin Trans. 1 **1996,** (16), 1911-1912.

223. Kuroda, Y.; Ogawa, M.; Nasu, H.; Terashima, M.; Kasahara, M.; Kiyama, Y.; Wakita, M.; Fujiwara, Y.; Fujii, N.; Nakagawa, T.
Locations of local anesthetic dibucaine in model membranes and the interaction between dibucaine and a Na^+ channel inactivation gate peptide as studied by ^2H- and ^1H-NMR spectroscopies.

Biophys. J. **1996,** *71* (3), 1191-1207.

224. Ibuka, T.; Nakai, K.; Akaji, M.; Tamamura, H.; Fujii, N.; Yamamoto, Y.
An aza-Payne rearrangement-epoxide ring opening reaction of 2-aziridinemethanols in a one-pot manner: a regio- and stereoselective synthetic route to diastereomerically pure N-protected 1,2-amino alcohols.
Tetrahedron **1996,** *52* (36), 11739-11752.

225. Matsuzaki, K.; Murase, O.; Fujii, N.; Miyajima, K.
An antimicrobial peptide, magainin 2, induced rapid flip-flop of phospholipids coupled with pore formation and peptide translocation.
Biochemistry **1996,** *35* (35), 11361-11368.

226. Tamamura, H.; Ishihara, T.; Otaka, A.; Murakami, T.; Ibuka, T.; Waki, M.; Matsumoto, A.; Yamamoto, N.; Fujii, N.
Analysis of the interaction of an anti-HIV peptide, T22 ([$Tyr^{5, 12}$, Lys^{7}]-polyphemusin II), with gp120 and CD4 by surface plasmon resonance.
Biochim. Biophys. Acta, Protein Struct. Mol. Enzymol. **1996,** *1298* (1), 37-44.

227. Fujimoto, K.; Doi, R.; Hosotani, R.; Wada, M.; Lee, J.-U.; Koshiba, T.; Ibuka, T.; Habashita, H.; Nakai, K.; Fujii, N.; Imamura, M.
Effects of structural modulation on biological activity of bombesin analogs with (*E*)-alkene bond.
Life Sci. **1996,** *60* (1), 29-34.

228. Waki, M.; Waki, K.; Miyamoto, K.; Matsumoto, A.; Tamamura, H.; Fujii, N.; Murakami, T.; Nakashima, H.; Yamamoto, N.
Molecular size of an anti-HIV peptide, T22, can be reduced without loss of the activity.
Chem. Lett. **1996,** (7), 571-572.

229. Mimura, N.; Ibuka, T.; Akaji, M.; Miwa, Y.; Taga, T.; Nakai, K.; Tamamura, H.; Fujii, N.; Yamamoto, Y.

An unusual thermodynamic preference of chiral *N*-arylsulfonyl *cis*-3-alkyl-2-vinylaziridines over their *trans*-isomers: palladium(0)-catalyzed equilibration reactions.

Chem. Commun. **1996,** (3), 351-352. [Erratum: *Chem. Commun.* **1996,** (11), 1399]

230. Tamamura, H.; Otaka, A.; Murakami, T.; Ibuka, T.; Sakano, K.; Waki, M.; Matsumoto, A.; Yamamoto, N.; Fujii, N.

An anti-HIV peptide, T22, forms a highly active complex with Zn(II).

Biochem. Biophys. Res. Commun. **1996,** *229* (2), 648-652.

231. Matsuzaki, K.; Nakamura, A.; Murase, O.; Sugishita, K.-i.; Fujii, N.; Miyajima, K.

Modulation of magainin 2-lipid bilayer interactions by peptide charge.

Biochemistry **1997,** *36* (8), 2104-2111.

232. Miyasaka, K.; Kanai, S.; Masuda, M.; Ibuka, T.; Nakai, K.; Fujii, N.; Funakoshi, A.

Involvement of cholinergic processes in cholecystokinin (CCK182) release by luminal oleic acid.

J. Auton. Nerv. Syst. **1997,** *63* (3), 179-182. [Erratum: *J. Auton. Nerv. Syst.* **1997,** *65* (1), 65]

233. Matsuzaki, K.; Sugishita, K.-i.; Harada, M.; Fujii, N.; Miyajima, K.

Interactions of an antimicrobial peptide, magainin 2, with outer and inner membranes of Gram-negative bacteria.

Biochim. Biophys. Acta, Biomembr. **1997,** *1327* (1), 119-130.

234. Noda, M.; Ibuka, T.; Habashita, H.; Fujii, N.

A highly stereoselective synthesis of the functionalized (*E*)-alkene dipeptide isostere of Trp-Val via organocyanocopper-Lewis acid mediated reaction.

Chem. Pharm. Bull. **1997,** *45* (8), 1259-1264.

235. Matsuzaki, K.; Yoneyama, S.; Fujii, N.; Miyajima, K.; Yamada, K.-i.; Kirino, Y.; Anzai, K.
Membrane permeabilization mechanisms of a cyclic antimicrobial peptide, tachyplesin I, and its linear analog.
Biochemistry **1997,** *36* (32), 9799-9806.

236. Ohno, H.; Mimura, N.; Otaka, A.; Tamamura, H.; Fujii, N.; Ibuka, T.; Shimizu, I.; Satake, A.; Yamamoto, Y.
Palladium-catalyzed reductive ring opening with formic acid of aziridines bearing an α,β-unsaturated ester group.
Tetrahedron **1997,** *53* (38), 12933-12946.

237. Aoyama, H.; Mimura, N.; Ohno, H.; Ishii, K.; Toda, A.; Tamamura, H.; Otaka, A.; Fujii, N.; Ibuka, T.
Regio- and stereoselectivity in reactions of 2,3-*cis*- and -*trans*-3-alkyl-2-vinylaziridines with organocopper reagents: importance of 2,3-*cis*-stereochemistry in controlling selectivity.
Tetrahedron Lett. **1997,** *38* (42), 7383-7386.

238. Murakami, T.; Nakajima, T.; Koyanagi, Y.; Tachibana, K.; Fujii, N.; Tamamura, H.; Yoshida, N.; Waki, M.; Matsumoto, A.; Yoshie, O.; Kishimoto, T.; Yamamoto, N.; Nagasawa, T.
A small molecule CXCR4 inhibitor that blocks T cell line-tropic HIV-1 infection.
J. Exp. Med. **1997,** *186* (8), 1389-1393.

239. Ibuka, T.; Mimura, N.; Aoyama, H.; Akaji, M.; Ohno, H.; Miwa, Y.; Taga, T.; Nakai, K.; Tamamura, H.; Fujii, N.; Yamamoto, Y.
A thermodynamic Ppreference of chiral *N*-methanesulfonyl and *N*-arenesulfonyl 2,3-*cis*-

3-alkyl-2-vinylaziridines over their 2,3-*trans*-isomers: useful palladium(0)-catalyzed equilibration reactions for the synthesis of (*E*)-alkene dipeptide isosteres.
J. Org. Chem. **1997,** *62* (4), 999-1015.

240. Habashita, H.; Kawasaki, T.; Akaji, M.; Tamamura, H.; Kimachi, T.; Fujii, N.; Ibuka, T. One-pot transformation of *p*-toluenesulfonates of 2,3-epoxy alcohols into allylic alcohols. *Tetrahedron Lett.* **1997,** *38* (48), 8307-8310.

241. Ibuka, T.; Mimura, N.; Ohno, H.; Nakai, K.; Akaji, M.; Habashita, H.; Tamamura, H.; Miwa, Y.; Taga, T.; Fujii, N.; Yamamoto, Y.
Palladium(0)-catalyzed isomerization reactions of aziridines bearing an α,β-unsaturated ester group: a thermodynamic preference for chiral alkyl (2*E*)-4,5-*cis*-4,5-epimino-*N*-(alkyl- or arylsulfonyl)-2-enoates over the other three stereoisomers.
J. Org. Chem. **1997,** *62* (9), 2982-2991.

242. Tamamura, H.; Yamashita, M.; Muramatsu, H.; Ohno, H.; Ibuka, T.; Otaka, A.; Fujii, N. Regiospecific ring-opening reactions of aziridines bearing an α,β-unsaturated ester group with trifluoroacetic acid or methanesulfonic acid: Application to the stereoselective synthesis of (*E*)-alkene dipeptide isosteres.
Chem. Commun. **1997**, (23), 2327-2328.

243. Tamamura, H.; Matsumoto, F.; Sakano, K.; Otaka, A.; Ibuka, T.; Fujii, N.
Unambiguous synthesis of stromal cell-derived factor-1 by regioselective disulfide bond formation using a DMSO-aqueous HCl system.
Chem. Commun. **1998,** (1), 151-152.

244. Tamamura, H.; Arakaki, R.; Funakoshi, H.; Imai, M.; Otaka, A.; Ibuka, T.; Nakashima, H.; Murakami, T.; Waki, M.; Matsumoto, A.; Yamamoto, N.; Fujii, N.
Effective lowly cytotoxic analogs of an HIV-cell fusion inhibitor, T22 ([$Tyr^{5,12}$, Lys^{7}]-

polyphemusin II).

Bioorg. Med. Chem. **1998,** *6* (2), 231-238.

245. Tamamura, H.; Ishihara, T.; Oyake, H.; Imai, M.; Otaka, A.; Ibuka, T.; Arakaki, R.; Nakashima, H.; Murakami, T.; Waki, M.; Matsumoto, A.; Yamamoto, N.; Fujii, N.
Convenient one-pot synthesis of cystine-containing peptides using the trimethylsilyl chloride-dimethyl sulfoxide/trifluoroacetic acid system and its application to the synthesis of bifunctional anti-HIV compounds.
J. Chem. Soc., Perkin Trans. 1 **1998,** (3), 495-500.

246. Tamamura, H.; Waki, M.; Imai, M.; Otaka, A.; Ibuka, T.; Waki, K.; Miyamoto, K.; Matsumoto, A.; Murakami, T.; Nakashima, H.; Yamamoto, N.; Fujii, N.
Downsizing of an HIV-cell fusion inhibitor, T22 ([$Tyr^{5,12}$, Lys^{7}]-polyphemusin II), with the maintenance of anti-HIV activity and solution structure.
Bioorg. Med. Chem. **1998,** *6* (4), 473-479.

247. Uenishi, H.; Iwanami, N.; Kuribayashi, K.; Tamamura, H.; Fujii, N.; Nakatani, T.; Kawasaki, T.; Yamagishi, H.
Overlapping epitopes of friend murine leukemia virus gag-encoded leader sequence recognized by single cytotoxic T-lymphocyte clones.
Immunol. Lett. **1998,** *62* (1), 33-38.

248. Tamamura, H.; Imai, M.; Ishihara, T.; Masuda, M.; Funakoshi, H.; Oyake, H.; Murakami, T.; Arakaki, R.; Nakashima, H.; Otaka, A.; Ibuka, T.; Waki, M.; Matsumoto, A.; Yamamoto, N.; Fujii, N.
Pharmacophore identification of a chemokine receptor (CXCR4) antagonist, T22 ([$Tyr^{5,12}$, Lys^{7}]-polyphemusin II), which specifically blocks T cell-line-tropic HIV-1 infection.
Bioorg. Med. Chem. **1998,** *6* (7), 1033-1041.

249. Habashita, H.; Kawasaki, T.; Takemoto, Y.; Fujii, N.; Ibuka, T.
A new synthesis of the central substructure of botryococcenes.
J. Org. Chem. **1998,** *63* (7), 2392-2396.

250. Uenishi, H.; Iwanami, N.; Yamagishi, H.; Nakatani, T.; Kawasaki, T.; Tamamura, H.; Fujii, N.; Kuribayashi, K.
Induction of cross-reactivity in an endogenous viral peptide non-reactive to FBL-3 tumor-specific helper T-cell clones.
Microbiol. Immunol. **1998,** *42* (7), 479-484.

251. Hattori, T.; Komoda, H.; Pahwa, S.; Tateyama, M.; Zhang, X.; Xu, Y.; Oguma, S.; Tamamura, H.; Fujii, N.; Fukutake, K.; Uchiyama, T.
Decline of anti-DP107 antibody associated with clinical progression.
AIDS **1998,** *12* (12), 1557-1559.

252. Ohno, H.; Ishii, K.; Honda, A.; Tamamura, H.; Fujii, N.; Takemoto, Y.; Ibuka, T.
A 2,3-*cis*-selective synthesis of aziridines bearing a vinyl group from allyl methyl carbonates and allyl mesylates.
J. Chem. Soc., Perkin Trans. 1 **1998,** (22), 3703-3716.

253. Ohno, H.; Toda, A.; Fujii, N.; Ibuka, T.
A convenient synthesis of activated enantiomerically pure 2-ethynylaziridines.
Tetrahedron: Asymmetry **1998,** *9* (22), 3929-3933.

254. Toda, A.; Aoyama, H.; Mimura, N.; Ohno, H.; Fujii, N.; Ibuka, T.
Reactions of *N*-arylsulfonyl-2,3-*cis*- and *N*-arylsulfonyl-2,3-*trans*-3-alkyl-2-vinylaziridines with organocopper reagents: importance of 2,3-*cis*-stereochemistry in controlling regio- and stereoselectivity.
J. Org. Chem. **1998,** *63* (20), 7053-7061.

255. Tamamura, H.; Xu, Y.; Hattori, T.; Zhang, X.; Arakaki, R.; Kanbara, K.; Omagari, A.; Otaka, A.; Ibuka, T.; Yamamoto, N.; Nakashima, H.; Fujii, N.
A low-molecular-weight inhibitor against the chemokine receptor CXCR4: a strong anti-HIV peptide T140.
Biochem. Biophys. Res. Commun. **1998,** *253* (3), 877-882.

256. Ohno, H.; Toda, A.; Miwa, Y.; Taga, T.; Fujii, N.; Ibuka, T.
Synthesis of chiral amino allenes via an organocyanocuprate-mediated ring-opening reaction of enantiopure ethynylaziridines.
Tetrahedron Lett. **1999,** *40* (2), 349-352.

257. Arakaki, R.; Tamamura, H.; Premanathan, M.; Kanbara, K.; Ramanan, S.; Mochizuki, K.; Baba, M.; Fujii, N.; Nakashima, H.
T134, a small-molecule CXCR4 inhibitor, has no cross-drug resistance with AMD3100, a CXCR4 antagonist with a different structure.
J. Virol. **1999,** *73* (2), 1719-1723.

258. Ohno, H.; Toda, A.; Fujii, N.; Miwa, Y.; Taga, T.; Yamaoka, Y.; Osawa, E.; Ibuka, T.
Sterically congested chiral activated aziridines: synthesis of both 2,3-*cis*- and 2,3-*trans*-2-alkenyl-3-alkylaziridines from common intermediates.
Tetrahedron Lett. **1999,** *40* (7), 1331-1334.

259. Xu, Y.; Tamamura, H.; Arakaki, R.; Nakashima, H.; Zhang, X.; Fujii, N.; Uchiyama, T.; Hattori, T.
Marked increase in anti-HIV activity, as well as inhibitory activity against HIV entry mediated by CXCR4, linked to enhancement of the binding ability of tachyplesin analogs to CXCR4.
AIDS Res. Hum. Retroviruses **1999,** *15* (5), 419-427.

260. Ohno, H.; Toda, A.; Miwa, Y.; Taga, T.; Osawa, E.; Yamaoka, Y.; Fujii, N.; Ibuka, T.
First palladium-catalyzed aziridination reaction of amino allenes.
J. Org. Chem. **1999,** *64* (9), 2992-2993.

261. Kanaoka, S.; Yamasaki, S.; Okino, T.; Inoue, N.; Shimada, Y.; Kaneko, M.; Otaka, A.; Fujii, N.; Imamura, M.
Induction of human leukocyte antigen (HLA)-A2-restricted and MAGE-3- gene-derived peptide-specific cytolytic T lymphocytes using cultured dendritic cells from an HLA-A2 esophageal cancer patient.
J. Surg Oncol. **1999,** *71* (1), 16-21.

262. Murakami, T.; Zhang, T.-Y.; Koyanagi, Y.; Tanaka, Y.; Kim, J.; Suzuki, Y.; Minoguchi, S.; Tamamura, H.; Waki, M.; Matsumoto, A.; Fujii, N.; Shida, H.; Hoxie, J. A.; Peiper, S. C.; Yamamoto, N.
Inhibitory mechanism of the CXCR4 antagonist T22 against human immunodeficiency virus type 1 infection.
J. Virol. **1999,** *73* (9), 7489-7496 [Erratum: *J. Virol.* **2002,** *76* (2), 933]

263. Kuroda, Y.; Maeda, Y.; Miyamoto, K.; Tanaka, K.; Kanaori, K.; Otaka, A.; Fujii, N.; Nakagawa, T.
^{1}H-NMR and circular dichroism spectroscopic studies on changes in secondary structures of the sodium channel inactivation gate peptides as caused by the pentapeptide KIFMK.
Biophys. J. **1999,** *77* (3), 1363-1373.

264. Anzai, M.; Toda, A.; Ohno, H.; Takemoto, Y.; Fujii, N.; Ibuka, T.
Palladium-catalyzed regio- and stereoselective synthesis of *N*-protected 2,4-dialkyl azacyclobutanes from amino allenes.
Tetrahedron Lett. **1999,** *40* (41), 7393-7397.

265. Buffet, P. A.; Gamain, B.; Scheidig, C.; Baruch, D.; Smith, J. D.; Hernandez-Rivas, R.; Pouvelle, B.; Oishi, S.; Fujii, N.; Fusai, T.; Parzy, D.; Miller, L. H.; Gysin, J.; Scherf, A. Plasmodium falciparum domain mediating adhesion to chondroitin sulfate A: a receptor for human placental infection.
Proc. Natl. Acad. Sci. U. S. A. **1999,** *96* (22), 12743-12748.

266. Uehara, T.; Arano, Y.; Ono, M.; Fujioka, Y.; Ogawa, K.; Namba, S.; Nakayama, M.; Koizumi, M.; Fujii, N.; Horiuchi, K.; Yokoyama, A.; Saji, H.
The integrity of the disulfide bond in a cyclic somatostatin analog during ^{99m}Tc complexation reactions.
Nucl. Med. Biol. **1999,** *26* (8), 883-890.

267. Tamamura, H.; Yamashita, M.; Nakajima, Y.; Sakano, K.; Otaka, A.; Ohno, H.; Ibuka, T.; Fujii, N.
Regiospecific ring-opening reactions of β-aziridinyl α,β-enoates with acids: application to the stereoselective synthesis of a couple of diastereoisomeric (*E*)-alkene dipeptide isosteres from a single β-aziridinyl α,β-enoate and to the convenient preparation of amino alcohols bearing α,β-unsaturated ester groups.
J. Chem. Soc., Perkin Trans. 1 **1999,** (20), 2983-2996.

268. Ishii, K.; Ohno, H.; Takemoto, Y.; Osawa, E.; Yamaoka, Y.; Fujii, N.; Ibuka, T.
Selective synthesis of *cis*-2-vinyl-3-alkylaziridines and 3-pyrrolines from common intermediates (*Z*)-4-*N*-arylsulfonylaminoalk-2-en-1-ols.
J. Chem. Soc., Perkin Trans. 1 **1999,** (15), 2155-2163.

269. Ohno, H.; Toda, A.; Takemoto, Y.; Fujii, N.; Ibuka, T.
Convenient syntheses of chiral 3-substituted 2-ethynylaziridines.
J. Chem. Soc., Perkin Trans. 1 **1999,** (20), 2949-2962.

270. Miyoshi, K.; Otaka, A.; Kaneko, M.; Tamamura, H.; Fujii, N.
A new practical strategy for the synthesis of long-chain phosphopeptide.
Chem. Pharm. Bull. **2000,** *48* (8), 1230-1233.

271. Kuroda, Y.; Miyamoto, K.; Tanaka, K.; Maeda, Y.; Ishikawa, J.; Hinata, R.-I.; Otaka, A.; Fujii, N.; Nakagawa, T.
Interactions between local anesthetics and Na^+ channel inactivation gate peptides in phosphatidylserine suspensions as studied by ^{1}H-NMR spectroscopy.
Chem. Pharm. Bull. **2000,** *48* (9), 1293-1298.

272. Kanbara, K.; Fujii, N.; Nakashima, H.
A study of anti-HIV compounds which interfere the virus entry via coreceptor CXCR4.
Kansenshogaku Zasshi **2000,** *74* (3), 237-244.

273. Hodohara, K.; Fujii, N.; Yamamoto, N.; Kaushansky, K.
Stromal cell-derived factor-1 (SDF-1) acts together with thrombopoietin to enhance the development of megakaryocytic progenitor cells (CFU-MK).
Blood **2000,** *95* (3), 769-775.

274. Ohno, H.; Toda, A.; Fujii, N.; Takemoto, Y.; Tanaka, T.; Ibuka, T.
Stereoselective synthesis of chiral amino allenes by organocopper-mediated anti-S_N2'-substitution reaction of chiral ethynylaziridines.
Tetrahedron **2000,** *56* (18), 2811-2820.

275. Otaka, A.; Mitsuyama, E.; Watanabe, H.; Tamamura, H.; Fujii, N.
Development of new methodology for the synthesis of functionalized α-fluorophosphonates and its practical application to the preparation of phosphopeptide mimetics.
Chem. Commun. **2000,** (12), 1081-1082.

276. Ohno, H.; Toda, A.; Oishi, S.; Tanaka, T.; Takemoto, Y.; Fujii, N.; Ibuka, T.

Novel synthesis of chiral terminal allenes via palladium(0)-catalyzed reduction of mesylates of 2-bromoalk-2-en-1-ols bearing a protected amino group, using diethylzinc.
Tetrahedron Lett. **2000,** *41* (26), 5131-5134.

277. Otaka, A.; Mitsuyama, E.; Kinoshita, T.; Tamamura, H.; Fujii, N.
Stereoselective synthesis of CF_2-substituted phosphothreonine mimetics and their incorporation into peptides using newly developed deprotection procedures.
J. Org. Chem. **2000,** *65* (16), 4888-4899.

278. Kuroda, Y.; Miyamoto, K.; Matsumoto, M.; Maeda, Y.; Otaka, A.; Fujii, N.; Nakagawa, T.; Kanaori, K.
Structural study of the sodium channel inactivation gate peptide including an isoleucine-phenylalanine-methionine motif and its analogous peptide (phenylalanine/glutamine) in trifluoroethanol solutions and SDS micelles.
J. Pep. Res. **2000,** *56* (3), 172-184.

279. Koshiba, T.; Hosotani, R.; Miyamoto, Y.; Ida, J.; Tsuji, S.; Nakajima, S.; Kawaguchi, M.; Kobayashi, H.; Doi, R.; Hori, T.; Fujii, N.; Imamura, M.
Expression of stromal cell-derived factor 1 and CXCR4 ligand receptor system in pancreatic cancer: A possible role for tumor progression.
Clin. Cancer Res. **2000,** *6* (9), 3530-3535.

280. Fujimoto, K.; Hosotani, R.; Miyamoto, Y.; Doi, R.; Koshiba, T.; Otaka, A.; Fujii, N.; Beauchamp, R. D.; Imamura, M.
Inhibition of pRb phosphorylation and cell cycle progression by an antennapedia-p16(INK4A) fusion peptide in pancreatic cancer cells.
Cancer Lett. **2000,** *159* (2), 151-158.

281. Tamamura, H.; Omagari, A.; Oishi, S.; Kanamoto, T.; Yamamoto, N.; Peiper, S. C.;

Nakashima, H.; Otaka, A.; Fujii, N.

Pharmacophore identification of a specific CXCR4 inhibitor, T140, leads to development of effective anti-HIV agents with very high selectivity indexes.

Bioorg. Med. Chem. Lett. **2000**, *10* (23), 2633-2637.

282. Ponomaryov, T.; Peled, A.; Petit, I.; Taichman, R. S.; Habler, L.; Sandbank, J.; Arenzana-Seisdedos, F.; Magerus, A.; Caruz, A.; Fujii, N.; Nagler, A.; Lahav, M.; Szyper-Kravitz, M.; Zipori, D.; Lapidot, T.

Induction of the chemokine stromal-derived factor-1 following DNA damage improves human stem cell function.

J. Clin. Invest. **2000,** *106* (11), 1331-1339.

283. Otaka, A.; Watanabe, H.; Mitsuyama, E.; Yukimasa, A.; Tamamura, H.; Fujii, N.

Synthesis of (*Z*)-fluoroalkene dipeptide isosteres utilizing organocopper-mediated reduction of γ,γ-difluoro-α,β-enoates.

Tetrahedron Lett. **2001**, *42* (2), 285-287.

284. Tamamura, H.; Sugioka, M.; Odagaki, Y.; Omagari, A.; Kan, Y.; Oishi, S.; Nakashima, H.; Yamamoto, N.; Peiper, S. C.; Hamanaka, N.; Otaka, A.; Fujii, N.

Conformational study of a highly specific CXCR4 inhibitor, T140, disclosing the close proximity of its intrinsic pharmacophores associated with strong anti-HIV activity.

Bioorg. Med. Chem. Lett. **2001,** *11* (3) 359-362. [Erratum: *Bioorg. Med. Chem. Lett.* **2001,** *11* (17) 2409]

285. Takemoto, Y.; Anzai, M.; Yanada, R.; Fujii, N.; Ohno, H.; Ibuka, T.

Stereoselective synthesis of nonracemic 1,3-amino alcohols from chiral 2-vinylaziridines by InI-Pd(0)-promoted metalation.

Tetrahedron Lett. **2001,** *42* (9), 1725-1728.

286. Gotoh, K.; Yoshimori, M.; Kanbara, K.; Tamamura, H.; Kanamoto, T.; Mochizuki, K.; Fujii, N.; Nakashima, H.
Increase of R5 HIV-1 infection and CCR5 expression in T cells treated with high concentrations of CXCR4 antagonists and SDF-1.
J. Infect. Chemother. **2001,** *7* (1), 28-36.

287. Ohno, H.; Anzai, M.; Toda, A.; Ohishi, S.; Fujii, N.; Tanaka, T.; Takemoto, Y.; Ibuka, T.
Stereoselective synthesis of 2-alkenylaziridines and 2-alkenylazetidines by palladium-catalyzed intramolecular amination of α- and β-amino allenes.
J. Org. Chem. **2001,** *66* (14), 4904-4914.

288. Tamamura, H.; Omagari, A.; Hiramatsu, K.; Gotoh, K.; Kanamoto, T.; Xu, Y.; Kodama, E.; Matsuoka, M.; Hattori, T.; Yamamoto, N.; Nakashima, H.; Otaka, A.; Fujii, N.
Development of specific CXCR4 inhibitors possessing high selectivity indexes as well as complete stability in serum based on an anti-HIV peptide T140.
Bioorg. Med. Chem. Lett. **2001,** *11* (14), 1897-1902.

289. Otaka, A.; Watanabe, H.; Yukimasa, A.; Oishi, S.; Tamamura, H.; Fujii, N.
New access to α-substituted (*Z*)-fluoroalkene dipeptide isosteres utilizing organocopper reagents under 'reduction-oxidative alkylation (R-OA)' conditions.
Tetrahedron Lett. **2001,** *42* (32), 5443-5446.

290. Kanbara, K.; Sato, S.; Tanuma, J.-I.; Tamamura, H.; Gotoh, K.; Yoshimori, M.; Kanamoto, T.; Kitano, M.; Fujii, N.; Nakashima, H.
Biological and genetic characterization of a human immunodeficiency virus strain resistant to CXCR4 antagonist T134.
AIDS Res. Hum. Retroviruses **2001,** *17* (7), 615-622.

291. Tamamura, H.; Omagari, A.; Hiramatsu, K.; Kanamoto, T.; Gotoh, K.; Kanbara, K.;

Yamamoto, N.; Nakashima, H.; Otaka, A.; Fujii, N.

Synthesis and evaluation of bifunctional anti-HIV agents based on specific CXCR4 antagonists-AZT conjugation.

Bioorg. Med. Chem. **2001,** *9* (8), 2179-2187.

292. Egawa, T.; Kawabata, K.; Kawamoto, H.; Amada, K.; Okamoto, R.; Fujii, N.; Kishimoto, T.; Katsura, Y.; Nagasawa, T.

The earliest stages of B cell development require a chemokine stromal cell-derived factor/pre-B cell growth-stimulating factor.

Immunity **2001,** *15* (2), 323-334.

293. Hara, T.; Mitani, Y.; Tanaka, K.; Uematsu, N.; Takakura, A.; Tachi, T.; Kodama, H.; Kondo, M.; Mori, H.; Otaka, A.; Nobutaka, F.; Matsuzaki, K.

Heterodimer formation between the antimicrobial peptides magainin 2 and PGLa in lipid bilayers: A cross-linking study.

Biochemistry **2001,** *40* (41), 12395-12399.

294. Oishi, S.; Tamamura, H.; Yamashita, M.; Odagaki, Y.; Hamanaka, N.; Otaka, A.; Fujii, N.

Stereoselective synthesis of a set of two functionalized (*E*)-alkene dipeptide isosteres of L-amino acid-L-Glu and L-amino acid-D-Glu.

J. Chem. Soc., Perkin Trans. 1 **2001,** (19), 2445-2451.

295. Kawaguchi, M.; Hosotani, R.; Ohishi, S.; Fujii, N.; Tulachan, S. S.; Koizumi, M.; Toyoda, E.; Masui, T.; Nakajima, S.; Tsuji, S.; Ida, J.; Fujimoto, K.; Wada, M.; Doi, R.; Imamura, M.

A novel synthetic Arg-Gly-Asp-containing peptide cyclo(-RGDf=V-) is the potent inhibitor of angiogenesis.

Biochem. Biophys. Res. Commun. **2001,** *288* (3), 711-717.

296. Tanaka, R.; Yoshida, A.; Murakami, T.; Baba, E.; Lichtenfeld, J.; Omori, T.; Kimura, T.;

Tsurutani, N.; Fujii, N.; Wang, Z.-X.; Peiper, S. C.; Yamamoto, N.; Tanaka, Y.
Unique monoclonal antibody recognizing the third extracellular loop of CXCR4 induces lymphocyte agglutination and enhances human immunodeficiency virus type 1-mediated syncytium formation and productive infection.
J. Virol. **2001,** *75* (23), 11534-11543.

297. Ohno, H.; Miyamura, K.; Tanaka, T.; Oishi, S.; Toda, A.; Takemoto, Y.; Fujii, N.; Ibuka, T.
Synthesis of allenes from allylic alcohol derivatives bearing a bromine atom using a palladium(0)/diethylzinc system.
J. Org. Chem. **2002,** *67* (4), 1359-1367.

298. Tamamura, H.; Omagari, A.; Hiramatsu, K.; Oishi, S.; Habashita, H.; Kanamoto, T.; Gotoh, K.; Yamamoto, N.; Nakashima, H.; Otaka, A.; Fujii, N.
Certification of the critical importance of L-3-(2-naphthyl)alanine at position 3 of a specific CXCR4 inhibitor, T140, leads to an exploratory performance of its downsizing study.
Bioorg. Med. Chem. **2002,** *10* (5), 1417-1426.

299. Tamamura, H.; Hiramatsu, K.; Miyamoto, K.; Omagari, A.; Oishi, S.; Nakashima, H.; Yamamoto, N.; Kuroda, Y.; Nakagawa, T.; Otaka, A.; Fujii, N.
Synthesis and evaluation of pseudopeptide analogues of a specific CXCR4 inhibitor, T140: The insertion of an (*E*)-alkene dipeptide isostere into the βII'-turn moiety.
Bioorg. Med. Chem. Lett. **2002,** *12* (6), 923-928.

300. Hosotani, R.; Miyamoto, Y.; Fujimoto, K.; Doi, R.; Otaka, A.; Fujii, N.; Imamura, M.
Trojan p16 peptide suppresses pancreatic cancer growth and prolongs survival in mice.
Clin. Cancer Res. **2002,** *8* (4), 1271-1276.

301. Tamamura, H.; Hori, T.; Otaka, A.; Fujii, N.

Efficient stereoselective synthesis of peptidomimetics containing hydroxyethylamine dipeptide isosteres utilizing the aza-Payne rearrangement and *O*,*N*-acyl transfer reactions. *J. Chem. Soc., Perkin Trans. 1* **2002,** (5), 577-580. [Erratum: *J. Chem. Soc., Perkin Trans. 1* **2002,** (7), 998]

302. Oishi, S.; Kamano, T.; Niida, A.; Odagaki, Y.; Tamamura, H.; Otaka, A.; Hamanaka, N.; Fujii, N.
Diastereoselective synthesis of ψ[(*E*)-CH=CMe]- and ψ[(*Z*)-CH=CMe]-type dipeptide isosteres by organocopper-mediated anti-S_N2' reaction.
Org. Lett. **2002,** *4* (7), 1051-1054.

303. Oishi, S.; Niida, A.; Kamano, T.; Odagaki, Y.; Tamamura, H.; Otaka, A.; Hamanaka, N.; Fujii, N.
Diastereoselective Synthesis of ψ[(*E*)-CMe=CH]- and ψ[(*E*)-CMe=CMe]-type dipeptide isosteres based on organocopper-mediated anti-S_N2' reaction.
Org. Lett. **2002,** *4* (7), 1055-1058.

304. Yanada, R.; Nishimori, N.; Matsumura, A.; Fujii, N.; Takemoto, Y.
Indium-mediated atom-transfer cyclizations and reductive cyclizations.
Tetrahedron Lett. **2002,** *43* (26), 4585-4588.

305. Zhang, W.-B.; Navenot, J.-M.; Haribabu, B.; Tamamura, H.; Hiramatu, K.; Omagari, A.; Pei, G.; Manfredi, J. P.; Fujii, N.; Broach, J. R.; Peiper, S. C.
A point mutation that confers constitutive activity to CXCR4 reveals that T140 is an inverse agonist and that AMD3100 and ALX40-4C are weak partial agonists.
J. Biol. Chem. **2002,** *277* (27), 24515-24521.

306. Petit, I.; Szyper-Kravitz, M.; Nagler, A.; Lahav, M.; Peled, A.; Habler, L.; Ponomaryov, T.; Taichman, R. S.; Arenzana-Seisdedos, F.; Fujii, N.; Sandbank, J.; Zipori, D.; Lapidot, T.

G-CSF induces stem cell mobilization by decreasing bone marrow SDF-1 and up-regulating CXCR4.

Nat. Immunol. **2002,** *3* (7), 687-694. [Erratum: *Nat. Immunol.* **2002,** *3* (8), 787]

307. Lee, J.-U.; Hosotani, R.; Wada, M.; Doi, R.; Koshiba, T.; Fujimoto, K.; Miyamoto, Y.; Tsuji, S.; Nakajima, S.; Hirohashi, M.; Uehara, T.; Arano, Y.; Fujii, N.; Imamura, M.
Antiproliferative activity induced by the somatostatin analogue, TT-232, in human pancreatic cancer cells.
Eur. J. Cancer **2002,** *38* (11), 1526-1534.

308. Anzai, M.; Yanada, R.; Fujii, N.; Ohno, H.; Ibuka, T.; Takemoto, Y.
Asymmetric synthesis of β-2,3-amino acids by InI-Pd(0)-promoted metalation and addition of chiral 2-vinylaziridines.
Tetrahedron **2002,** *58* (26), 5231-5239.

309. Otaka, A.; Nakamura, M.; Nameki, D.; Kodama, E.; Uchiyama, S.; Nakamura, S.; Nakano, H.; Tamamura, H.; Kobayashi, Y.; Matsuoka, M.; Fujii, N.
Remodeling of gp41-C34 peptide leads to highly effective inhibitors of the fusion of HIV-1 with target cells.
Angew. Chem., Int. Ed. **2002,** *41* (16), 2938-2940.

310. Otaka, A.; Katagiri, F.; Kinoshita, T.; Odagaki, Y.; Oishi, S.; Tamamura, H.; Hamanaka, N.; Fujii, N.
Regio- and stereoselective synthesis of (*E*)-alkene *trans*-Xaa-Pro dipeptide mimetics utilizing organocopper-mediated anti-S_N2' reactions.
J. Org. Chem. **2002,** *67* (17), 6152-6161.

311. Oishi, S.; Kamano, T.; Niida, A.; Odagaki, Y.; Hamanaka, N.; Yamamoto, M.; Ajito, K.; Tamamura, H.; Otaka, A.; Fujii, N.

Diastereoselective synthesis of new ψ[(*E*)-CH=CMe]- and ψ[(*Z*)-CH=CMe]-type alkene dipeptide isosteres by organocopper reagents and application to conformationally Restricted cyclic RGD peptidomimetics.

J. Org. Chem. **2002,** *67* (17), 6162-6173.

312. Oishi, S.; Niida, A.; Kamano, T.; Miwa, Y.; Taga, T.; Odagaki, Y.; Hamanaka, N.; Yamamoto, M.; Ajito, K.; Tamamura, H.; Otaka, A.; Fujii, N.

Regio- and stereoselective ring-opening of chiral 1,3-oxazolidin-2-one derivatives by organocopper reagents provides novel access to di-, tri- and tetra-substituted alkene dipeptide isosteres.

J. Chem. Soc., Perkin Trans. 1 **2002,** (15), 1786-1793.

313. Owen, S. M.; Rudolph, D.; Schols, D.; Fujii, N.; Yamamoto, N.; Lal, R. B.

Susceptibility of diverse primary HIV isolates with varying co-receptor specificity's to CXCR4 antagonistic compounds.

J. Med. Virol. **2002,** *68* (2), 147-155.

314. Ogawa, M.; Hatano, K.; Oishi, S.; Kawasumi, Y.; Fujii, N.; Kawaguchi, M.; Doi, R.; Imamura, M.; Yamamoto, M.; Ajito, K.; Mukai, T.; Saji, H.; Ito, K.

Direct electrophilic radiofluorination of a cyclic RGD peptide for in vivo αvβ3 integrin related tumor imaging.

Nucl. Med. Biol. **2003,** *30* (1), 1-9.

315. Tamamura, H.; Koh, Y.; Ueda, S.; Sasaki, Y.; Yamasaki, T.; Aoki, M.; Maeda, K.; Watai, Y.; Arikuni, H.; Otaka, A.; Mitsuya, H.; Fujii, N.

Reduction of peptide character of HIV protease inhibitors that exhibit nanomolar potency against multidrug resistant HIV-1 strains.

J. Med. Chem. **2003,** *46* (9), 1764-1768.

316. Ara, T.; Itoi, M.; Kawabata, K.; Egawa, T.; Tokoyoda, K.; Sugiyama, T.; Fujii, N.; Amagai, T.; Nagasawa, T.
A role of CXC chemokine ligand 12/stromal cell-derived factor-1/pre-B cell growth stimulating factor and its receptor CXCR4 in fetal and adult T cell development in vivo.
J. Immunol. **2003,** *170* (9), 4649-4655.

317. Yasuda, T.; Poole, A. R.; Shimizu, M.; Nakagawa, T.; Julovi, S. M.; Tamamura, H.; Fujii, N.; Nakamura, T.
Involvement of CD44 in induction of matrix metalloproteinases by a COOH-terminal heparin-binding fragment of fibronectin in human articular cartilage in culture.
Arthritis Rheum. **2003,** *48* (5), 1271-1280.

318. Fujii, N.; Oishi, S.; Hiramatsu, K.; Araki, T.; Ueda, S.; Tamamura, H.; Otaka, A.; Kusano, S.; Terakubo, S.; Nakashima, H.; Broach, J. A.; Trent, J. O.; Wang, Z.-x.; Peiper, S. C.
Molecular-size reduction of a potent CXCR4-chemokine antagonist using orthogonal combination of conformation- and sequence-based libraries.
Angew. Chem., Int. Ed. **2003,** *42* (28), 3251-3253.

319. Otaka, A.; Yukimasa, A.; Watanabe, J.; Sasaki, Y.; Oishi, S.; Tamamura, H.; Fujii, N.
Application of samarium diiodide (SmI_2)-induced reduction of γ-acetoxy-α,β-enoates with α-specific kinetic electrophilic trapping for the synthesis of amino acid derivatives.
Chem. Commun. **2003,** (15), 1834-1835.

320. Tamamura, H.; Hori, A.; Kanzaki, N.; Hiramatsu, K.; Mizumoto, M.; Nakashima, H.; Yamamoto, N.; Otaka, A.; Fujii, N.
T140 analogs as CXCR4 antagonists identified as anti-metastatic agents in the treatment of breast cancer.
FEBS Lett. **2003,** *550* (1-3), 79-83.

321. Hanna, J.; Wald, O.; Goldman-Wohl, D.; Prus, D.; Markel, G.; Gazit, R.; Katz, G.; Haimov-Kochman, R.; Fujii, N.; Yagel, S.; Peled, A.; Mandelboim, O.
CXCL12 expression by invasive trophoblasts induces the specific migration of CD16$^-$ human natural killer cells.
Blood **2003,** *102* (5), 1569-1577.

322. Oonuma, T.; Morimatsu, M.; Nakagawa, T.; Uyama, R.; Sasaki, N.; Nakaichi, M.; Tamamura, H.; Fujii, N.; Hashimoto, S.; Yamamura, H.; Syuto, B.
Role of CXCR4 and SDF-1 in mammary tumor metastasis in the cat.
J. Vet. Med. Sci. **2003,** *65* (10), 1069-1073.

323. Tamamura, H.; Kato, T.; Otaka, A.; Fujii, N.
Synthesis of potent β-secretase inhibitors containing a hydroxyethylamine dipeptide isostere and their structure-activity relationship studies.
Org. Biomol. Chem. **2003,** *1* (14), 2468-2473.

324. Burger, M.; Glodek, A.; Hartmann, T.; Schmitt-Graeff, A.; Silberstein, L. E.; Fujii, N.; Kipps, T. J.; Burger, J. A.
Functional expression of CXCR4 (CD184) on small-cell lung cancer cells mediates migration, integrin activation, and adhesion to stromal cells.
Oncogene **2003,** *22* (50), 8093-8101.

325. Tamamura, H.; Hiramatsu, K.; Kusano, S.; Terakubo, S.; Yamamoto, N.; Trent, J. O.; Wang, Z.; Peiper, S. C.; Nakashima, H.; Otaka, A.; Fujii, N.
Synthesis of potent CXCR4 inhibitors possessing low cytotoxicity and improved biostability based on T140 derivatives.
Org. Biomol. Chem. **2003,** *1* (21), 3656-3662.

326. Tamamura, H.; Hiramatsu, K.; Mizumoto, M.; Ueda, S.; Kusano, S.; Terakubo, S.;

Akamatsu, M.; Yamamoto, N.; Trent, J. O.; Wang, Z.; Peiper, S. C.; Nakashima, H.; Otaka, A.; Fujii, N.

Enhancement of the T140-based pharmacophores leads to the development of more potent and bio-stable CXCR4 antagonists.

Org. Biomol. Chem. **2003,** *1* (21), 3663-3669.

327. Suzuki, N.; Nakatsuka, H.; Mochizuki, M.; Nishi, N.; Kadoya, Y.; Utani, A.; Oishi, S.; Fujii, N.; Kleinman, H. K.; Nomizu, M.

Biological activities of homologous loop regions in the laminin α chain G domains.

J. Biol. Chem. **2003,** *278* (46), 45697-45705.

328. Trent, J. O.; Wang, Z.-X.; Murray, J. L.; Shao, W.; Tamamura, H.; Fujii, N.; Peiper, S. C.

Lipid bilayer simulations of CXCR4 with inverse agonists and weak partial agonists.

J. Biol. Chem. **2003,** *278* (47), 47136-47144.

329. Ohno, H.; Takemoto, Y.; Fujii, N.; Tanaka, T.; Ibuka, T.

Stereodivergent synthesis of chiral 2-alkenylaziridines: palladium(0)-catalyzed 2,3-*cis*-selective aziridination and base-mediated 2,3-*trans*-selective aziridination.

Chem. Pharm. Bull. **2004,** *52* (1), 111-119.

330. Mori, T.; Doi, R.; Koizumi, M.; Toyoda, E.; Ito, D.; Kami, K.; Masui, T.; Fujimoto, K.; Tamamura, H.; Hiramatsu, K.; Fujii, N.; Imamura, M.

CXCR4 antagonist inhibits stromal cell-derived factor 1-induced migration and invasion of human pancreatic cancer.

Mol. Cancer Ther. **2004,** *3* (1), 29-37.

331. Masui, T.; Doi, R.; Mori, T.; Toyoda, E.; Koizumi, M.; Kami, K.; Ito, D.; Peiper, S. C.; Broach, J. R.; Oishi, S.; Niida, A.; Fujii, N.; Imamura, M.

Metastin and its variant forms suppress migration of pancreatic cancer cells.

Biochem. Biophys. Res. Commun. **2004,** *315* (1), 85-92.

332. Otaka, A.; Watanabe, J.; Yukimasa, A.; Sasaki, Y.; Watanabe, H.; Kinoshita, T.; Oishi, S.; Tamamura, H.; Fujii, N.
SmI_2-mediated reduction of γ,γ-difluoro-α,β-enoates with application to the synthesis of functionalized (*Z*)-fluoroalkene-type dipeptide isosteres.
J. Org. Chem. **2004,** *69* (5), 1634-1645.

333. Peng, H.; Huang, Y.; Rose, J.; Erichsen, D.; Herek, S.; Fujii, N.; Tamamura, H.; Zheng, J.
Stromal cell-derived factor 1-mediated CXCR4 signaling in rat and human cortical neural progenitor cells.
J. Neurosci. Res. **2004,** *76* (1), 35-50.

334. Yanada, R.; Koh, Y.; Nishimori, N.; Matsumura, A.; Obika, S.; Mitsuya, H.; Fujii, N.; Takemoto, Y.
Indium-mediated atom-transfer and reductive radical cyclizations of iodoalkynes: synthesis and biological evaluation of HIV-protease inhibitors.
J. Org. Chem. **2004,** *69* (7), 2417-2422.

335. Tamamura, H.; Mizumoto, M.; Hiramatsu, K.; Kusano, S.; Terakubo, S.; Yamamoto, N.; Trent, J. O.; Wang, Z.; Peiper, S. C.; Nakashima, H.; Otaka, A.; Fujii, N.
Topochemical exploration of potent compounds using retro-enantiomer libraries of cyclic pentapeptides.
Org. Biomol. Chem. **2004,** *2* (8), 1255-1257.

336. Yamamoto, N.; Yang, R.; Yoshinaka, Y.; Amari, S.; Nakano, T.; Cinatl, J.; Rabenau, H.; Doerr, H. W.; Hunsmann, G.; Otaka, A.; Tamamura, H.; Fujii, N.; Yamamoto, N.
HIV protease inhibitor nelfinavir inhibits replication of SARS-associated coronavirus.
Biochem. Biophys. Res. Commun. **2004,** *318* (3), 719-725.

337. Tamamura, H.; Fujisawa, M.; Hiramatsu, K.; Mizumoto, M.; Nakashima, H.; Yamamoto, N.; Otaka, A.; Fujii, N.
Identification of a CXCR4 antagonist, a T140 analog, as an anti-rheumatoid arthritis agent.
FEBS Lett. **2004,** *569* (1-3), 99-104.

338. Takenaga, M.; Tamamura, H.; Hiramatsu, K.; Nakamura, N.; Yamaguchi, Y.; Kitagawa, A.; Kawai, S.; Nakashima, H.; Fujii, N.; Igarashi, R.
A single treatment with microcapsules containing a CXCR4 antagonist suppresses pulmonary metastasis of murine melanoma.
Biochem. Biophys. Res. Commun. **2004,** *320* (1), 226-232.

339. Otaka, A.; Ueda, S.; Tomita, K.; Yano, Y.; Tamamura, H.; Matsuzaki, K.; Fujii, N.
Facile synthesis of membrane-embedded peptides utilizing lipid bilayer-assisted chemical ligation.
Chem. Commun. **2004,** (15), 1722-1723.

340. Allen, C. D. C.; Ansel, K. M.; Low, C.; Lesley, R.; Tamamura, H.; Fujii, N.; Cyster, J. G.
Germinal center dark and light zone organization is mediated by CXCR4 and CXCR5.
Nat. Immunol. **2004,** *5* (9), 943-952.

341. Yokoyama, F.; Suzuki, N.; Haruki, M.; Nishi, N.; Oishi, S.; Fujii, N.; Utani, A.; Kleinman, H. K.; Nomizu, M.
Cyclic peptides from the loop region of the laminin α4 chain LG4 module show enhanced biological activity over linear peptides.
Biochemistry **2004,** *43* (42), 13590-13597.

342. Nameki, D.; Kodama, E.; Ikeuchi, M.; Mabuchi, N.; Otaka, A.; Tamamura, H.; Ohno, M.; Fujii, N.; Matsuoka, M.
Mutations conferring resistance to human immunodeficiency virus type 1 fusion

inhibitors are restricted by gp41 and rev-responsive element functions.
J. Virol. **2005,** *79* (2), 764-770.

343. Tamamura, H.; Hiramatsu, K.; Ueda, S.; Wang, Z.; Kusano, S.; Terakubo, S.; Trent, J. O.; Peiper, S. C.; Yamamoto, N.; Nakashima, H.; Otaka, A.; Fujii, N.
Stereoselective synthesis of [L-Arg-L/D-3-(2-naphthyl)alanine]-type (*E*)-alkene dipeptide isosteres and its application to the synthesis and biological evaluation of pseudopeptide analogues of the CXCR4 antagonist FC131.
J. Med. Chem. **2005,** *48* (2), 380-391.

344. Piovan, E.; Tosello, V.; Indraccolo, S.; Cabrelle, A.; Baesso, I.; Trentin, L.; Zamarchi, R.; Tamamura, H.; Fujii, N.; Semenzato, G.; Chieco-Bianchi, L.; Amadori, A.
Chemokine receptor expression in EBV-associated lymphoproliferation in hu/SCID mice: implications for CXCL 12/CXCR4 axis in lymphoma generation.
Blood **2005,** *105* (3), 931-939.

345. Zannettino, A. C. W.; Farrugia, A. N.; Kortesidis, A.; Manavis, J.; To, L. B.; Martin, S. K.; Diamond, P.; Tamamura, H.; Lapidot, T.; Fujii, N.; Gronthos, S.
Elevated serum levels of stromal-derived factor-1α are associated with increased osteoclast activity and osteolytic bone disease in multiple myeloma patients.
Cancer Res. **2005,** *65* (5), 1700-1709.

346. Percherancier, Y.; Berchiche, Y. A.; Slight, I.; Volkmer-Engert, R.; Tamamura, H.; Fujii, N.; Bouvier, M.; Heveker, N.
Bioluminescence resonance energy transfer reveals ligand-induced conformational changes in CXCR4 homo- and heterodimers.
J. Biol. Chem. **2005,** *280* (11), 9895-9903.

347. Ichikawa, N.; Kasai, S.; Suzuki, N.; Nishi, N.; Oishi, S.; Fujii, N.; Kadoya, Y.; Hatori,

K.; Mizuno, Y.; Nomizu, M.; Arikawa-Hirasawa, E.
Identification of neurite outgrowth active sites on the laminin α4 chain G domain.
Biochemistry **2005,** *44* (15), 5755-5762.

348. Tamamura, H.; Araki, T.; Ueda, S.; Wang, Z.; Oishi, S.; Esaka, A.; Trent, J. O.; Nakashima, H.; Yamamoto, N.; Peiper, S. C.; Otaka, A.; Fujii, N.
Identification of novel low molecular weight CXCR4 antagonists by structural tuning of cyclic tetrapeptide scaffolds.
J. Med. Chem. **2005,** *48* (9), 3280-3289.

349. Zhong, Y.; Yoshinaka, Y.; Takeda, T.; Shimizu, N.; Yoshizaki, S.; Inagaki, Y.; Matsuda, S.; Honda, G.; Fujii, N.; Yamamoto, N.
Highly potent anti-HIV-1 activity isolated from fermented *Polygonum tinctorium* Aiton.
Antiviral Res. **2005,** *66* (2-3), 119-128.

350. Niida, A.; Oishi, S.; Sasaki, Y.; Mizumoto, M.; Tamamura, H.; Fujii, N.; Otaka, A.
Facile access to (*Z*)-alkene-containing diketopiperazine mimetics utilizing organocopper-mediated anti-S_N2' reactions.
Tetrahedron Lett. **2005,** *46* (24), 4183-4186.

351. Hartmann, T. N.; Burger, J. A.; Glodek, A.; Fujii, N.; Burger, M.
CXCR4 chemokine receptor and integrin signaling co-operate in mediating adhesion and chemoresistance in small cell lung cancer (SCLC) cells.
Oncogene **2005,** *24* (27), 4462-4471.

352. Bhonsle, J. B.; Wang, Z.-x.; Tamamura, H.; Fujii, N.; Peiper, S. C.; Trent, J. O.
A simple, automated quasi-4D-QSAR, quasi-multi way PLS approach to develop highly predictive QSAR models for highly flexible CXCR4 inhibitor cyclic pentapeptide ligands using scripted common molecular modeling tools.

QSAR Comb. Sci. **2005,** *24* (5), 620-630.

353. Burger, M.; Hartmann, T.; Krome, M.; Rawluk, J.; Tamamura, H.; Fujii, N.; Kipps, T. J.; Burger, J. A.
Small peptide inhibitors of the CXCR4 chemokine receptor (CD184) antagonize the activation, migration, and antiapoptotic responses of CXCL12 in chronic lymphocytic leukemia B cells.
Blood **2005,** *106* (5), 1824-1830.

354. Ueda, S.; Fujita, M.; Tamamura, H.; Fujii, N.; Otaka, A.
Photolabile protection for one-pot sequential native chemical ligation.
ChemBioChem **2005,** *6* (11), 1983-1986.

355. Navenot, J.-M.; Wang, Z.; Chopin, M.; Fujii, N.; Peiper, S. C.
Kisspeptin-10-induced signaling of GPR54 negatively regulates chemotactic responses mediated by CXCR4: a potential mechanism for the metastasis suppressor activity of kisspeptins.
Cancer Res. **2005,** *65* (22), 10450-10456.

356. Retz, M.; Sidhu, S. S.; Lehmann, J.; Tamamura, H.; Fujii, N.; Basbaum, C.
New HIV-drug inhibits in vitro bladder cancer migration and invasion.
Eur. Urol. **2005**, *48* (6), 1025-1030.

357. Tamamura, H.; Esaka, A.; Ogawa, T.; Araki, T.; Ueda, S.; Wang, Z.; Trent, J. O.; Tsutsumi, H.; Masuno, H.; Nakashima, H.; Yamamoto, N.; Peiper, S. C.; Otaka, A.; Fujii, N.
Structure-activity relationship studies on CXCR4 antagonists having cyclic pentapeptide scaffolds.
Org. Biomol. Chem. **2005,** *3* (24), 4392-4394.

358. Niida, A.; Wang, Z.; Tomita, K.; Oishi, S.; Tamamura, H.; Otaka, A.; Navenot, J.-M.;

Broach, J. R.; Peiper, S. C.; Fujii, N.

Design and synthesis of down-sized metastin (45-54) analogs with maintenance of high GPR54 agonistic activity.

Bioorg. Med. Chem. Lett. **2006,** *16* (1), 134-137.

359. Dewan, M. Z.; Uchihara, J.-n.; Terashima, K.; Honda, M.; Sata, T.; Ito, M.; Fujii, N.; Uozumi, K.; Tsukasaki, K.; Tomonaga, M.; Kubuki, Y.; Okayama, A.; Toi, M.; Mori, N.; Yamamoto, N.

Efficient intervention of growth and infiltration of primary adult T-cell leukemia cells by an HIV protease inhibitor, ritonavir.

Blood **2006,** *107* (2), 716-724.

360. Avniel, S.; Arik, Z.; Maly, A.; Sagie, A.; Ben Basst, H.; Yahana, M. D.; Weiss, I. D.; Pal, B.; Wald, O.; Ad-El, D.; Fujii, N.; Arenzana-Seisdedos, F.; Jung, S.; Galun, E.; Gur, E.; Peled, A.

Involvement of the CXCL12/CXCR4 pathway in the recovery of skin following burns.

J. Invest. Dermatol. **2006,** *126* (2), 468-476.

361. Ohta, Y.; Itoh, S.; Shigenaga, A.; Shintaku, S.; Fujii, N.; Otaka, A.

Cysteine-derived S-protected oxazolidinones: potential chemical devices for the preparation of peptide thioesters.

Org. Lett. **2006,** *8* (3), 467-470.

362. Oishi, S.; Miyamoto, K.; Niida, A.; Yamamoto, M.; Ajito, K.; Tamamura, H.; Otaka, A.; Kuroda, Y.; Asai, A.; Fujii, N.

Application of tri- and tetrasubstituted alkene dipeptide mimetics to conformational studies of cyclic RGD peptides.

Tetrahedron **2006,** *62* (7), 1416-1424.

363. Niida, A.; Tomita, K.; Mizumoto, M.; Tanigaki, H.; Terada, T.; Oishi, S.; Otaka, A.; Inui, K.-I.; Fujii, N.

Unequivocal synthesis of (*Z*)-alkene and (*E*)-fluoroalkene dipeptide isosteres to probe structural requirements of the peptide transporter PEPT1.

Org. Lett. **2006,** *8* (4), 613-616.

364. Kasyanov, A.; Tamamura, H.; Fujii, N.; Xiong, H.

HIV-1 gp120 enhances giant depolarizing potentials via chemokine receptor CXCR4 in neonatal rat hippocampus.

Eur. J. Neurosci. **2006,** *23* (5), 1120-1128.

365. Ohno, H.; Kadoh, Y.; Fujii, N.; Tanaka, T.

Potassium carbonate-promoted stereospecific 5-*endo-trig* cyclization of unactivated allenes in the absence of any transition metals.

Org. Lett. **2006,** *8* (5), 947-950.

366. Menu, E.; Asosingh, K.; Indraccolo, S.; De Raeve, H.; Van Riet, I.; Van Valckenborgh, E.; Vande Broek, I.; Fujii, N.; Tamamura, H.; Van Camp, B.; Vanderkerken, K.

The involvement of stromal derived factor 1α in homing and progression of multiple myeloma in the 5TMM model.

Haematologica **2006,** *91* (5), 605-612. [Erratum: *Haematologica* **2007,** *92* (11), 1584]

367. Hanaoka, H.; Mukai, T.; Tamamura, H.; Mori, T.; Ishino, S.; Ogawa, K.; Iida, Y.; Doi, R.; Fujii, N.; Saji, H.

Development of a ^{111}In-labeled peptide derivative targeting a chemokine receptor, CXCR4, for imaging tumors.

Nucl. Med. Biol. **2006,** *33* (4), 489-494.

368. Niida, A.; Tanigaki, H.; Inokuchi, E.; Sasaki, Y.; Oishi, S.; Ohno, H.; Tamamura, H.;

Wang, Z.; Peiper, S. C.; Kitaura, K.; Otaka, A.; Fujii, N.

Stereoselective synthesis of 3,6-disubstituted-3,6-dihydropyridin-2-ones as potential diketopiperazine mimetics using organocopper-mediated anti-S_N2' reactions and their use in the preparation of low-molecule CXCR4 antagonists.

J. Org. Chem. **2006,** *71* (10), 3942-3951.

369. Niida, A.; Mizumoto, M.; Narumi, T.; Inokuchi, E.; Oishi, S.; Ohno, H.; Otaka, A.; Kitaura, K.; Fujii, N.

Synthesis of (*Z*)-alkene and (*E*)-fluoroalkene-containing diketopiperazine mimetics utilizing organocopper-mediated reduction-alkylation and diastereoselectivity examination using DFT calculations.

J. Org. Chem. **2006,** *71* (11), 4118-4129.

370. Tamamura, H.; Ojida, A.; Ogawa, T.; Tsutsumi, H.; Masuno, H.; Nakashima, H.; Yamamoto, N.; Hamachi, I.; Fujii, N.

Identification of a new class of low molecular weight antagonists against the chemokine receptor CXCR4 having the dipicolylamine-zinc(II) complex structure.

J. Med. Chem. **2006,** *49* (11), 3412-3415.

371. Tamamura, H.; Tsutsumi, H.; Masuno, H.; Mizokami, S.; Hiramatsu, K.; Wang, Z.; Trent, J. O.; Nakashima, H.; Yamamoto, N.; Peiper, S. C.; Fujii, N.

Development of linear type of low molecular weight CXCR4 antagonists based on T140 analogs.

Org. Biomol. Chem. **2006,** *4* (12), 2354-2357.

372. Sasaki, Y.; Niida, A.; Tsuji, T.; Shigenaga, A.; Fujii, N.; Otaka, A.

Stereoselective synthesis of (*Z*)-alkene-containing proline dipeptide mimetics.

J. Org. Chem. **2006,** *71* (13), 4969-4979.

373. Tsuda, M.; Terada, T.; Irie, M.; Katsura, T.; Niida, A.; Tomita, K.; Fujii, N.; Inui, K.-i.
Transport characteristics of a novel peptide transporter 1 substrate, antihypotensive drug midodrine, and its amino acid derivatives.
J. Pharmacol. Exp. Ther. **2006,** *318* (1), 455-460.

374. Tomita, K.; Niida, A.; Oishi, S.; Ohno, H.; Cluzeau, J.; Navenot, J.-M.; Wang, Z.-x.; Peiper, S. C.; Fujii, N.
Structure-activity relationship study on small peptidic GPR54 agonists.
Bioorg. Med. Chem. **2006,** *14* (22), 7595-7603.

375. Narumi, T.; Niida, A.; Tomita, K.; Oishi, S.; Otaka, A.; Ohno, H.; Fujii, N.
A novel one-pot reaction involving organocopper-mediated reduction/transmetalation/ asymmetric alkylation, leading to the diastereoselective synthesis of functionalized (*Z*)-fluoroalkene dipeptide isosteres.
Chem. Commun. **2006,** (45), 4720-4722.

376. Ueda, S.; Oishi, S.; Wang, Z.-x.; Araki, T.; Tamamura, H.; Cluzeau, J.; Ohno, H.; Kusano, S.; Nakashima, H.; Trent, J. O.; Peiper, S. C.; Fujii, N.
Structure-activity relationships of cyclic peptide-based chemokine receptor CXCR4 antagonists: disclosing the importance of side-chain and backbone functionalities.
J. Med. Chem. **2007,** *50* (2), 192-198.

377. Berchiche, Y. A.; Chow, K. Y.; Lagane, B.; Leduc, M.; Percherancier, Y.; Fujii, N.; Tamamura, H.; Bachelerie, F.; Heveker, N.
Direct assessment of CXCR4 mutant conformations reveals complex link between receptor structure and Gαi activation.
J. Biol. Chem. **2007,** *282* (8), 5111-5115. [Erratum: *J. Biol. Chem.* **2011,** *286* (33), 29440]

378. Sasaki, Y.; Shigenaga, A.; Fujii, N.; Otaka, A.

Synthesis of (*Z*)-alkene-containing cis-proline dipeptide mimetics using samarium(II) diiodide (SmI_2)-mediated reductive alkylation reaction.

Tetrahedron **2007,** *63* (9), 2000-2008.

379. Kubonishi, S.; Kikuchi, T.; Yamaguchi, S.; Tamamura, H.; Fujii, N.; Watanabe, T.; Arenzana-Seisdedos, F.; Ikeda, K.; Matsui, T.; Tanimoto, M.; Katayama, Y.

Rapid hematopoietic progenitor mobilization by sulfated colominic acid.

Biochem. Biophys. Res. Commun. **2007,** *355* (4), 970-975.

380. Sasaki, Y.; Yamaguchi, K.; Tsuji, T.; Shigenaga, A.; Fujii, N.; Otaka, A.

Development of copper-mediated allylation of γ-activated-α,β-unsaturated lactam toward peptide mimetic synthesis.

Tetrahedron Lett. **2007,** *48* (18), 3221-3224.

381. Tomita, K.; Narumi, T.; Niida, A.; Oishi, S.; Ohno, H.; Fujii, N.

Fmoc-based solid-phase synthesis of GPR54-agonistic pentapeptide derivatives containing alkene- and fluoroalkene-dipeptide isosteres.

Biopolymers **2007,** *88* (2), 272-278.

382. Juarez, J; Dela Pena, A; Baraz, R; Hewson, J; Khoo, M; Cisterne, A; Fricker, S; Fujii, N; Bradstock, K. F; Bendall, L. J.

CXCR4 antagonists mobilize childhood acute lymphoblastic leukemia cells into the peripheral blood and inhibit engraftment.

Leukemia **2007,** *21* (6), 1249-1257.

383. Katagiri, F.; Tomita, K.; Oishi, S.; Takeyama, M.; Fujii, N.

Establishment and clinical application of enzyme immunoassays for determination of luteinizing hormone releasing hormone and metastin.

J. Pept. Sci. **2007,** *13* (6), 422-429.

384. Tanaka, M.; Oishi, S.; Ohno, H.; Fujii, N.
A novel oxazolidine linker for the synthesis of peptide aldehydes.
Int. J. Pept. Res. Ther. **2007,** *13* (1-2), 271-279.

385. Ohno, H.; Mizutani, T.; Kadoh, Y.; Aso, A.; Miyamura, K.; Fujii, N.; Tanaka, T.
A highly regio- and stereoselective formation of bicyclo[4.2.0]oct-5-ene derivatives through thermal intramolecular [2 + 2] cycloaddition of allenes.
J. Org. Chem. **2007,** *72* (12), 4378-4389.

386. Cluzeau, J.; Oishi, S.; Ohno, H.; Wang, Z.; Evans, B.; Peiper, S. C.; Fujii, N.
Design and synthesis of all diastereomers of cyclic pseudo-dipeptides as mimics of cyclic CXCR4 pentapeptide antagonists.
Org. Biomol. Chem. **2007,** *5* (12), 1915-1923.

387. Hamaguchi, H.; Kosaka, S.; Ohno, H.; Fujii, N.; Tanaka, T.
Bromoallenes as allyl dication equivalents in the presence or absence of palladium(0): direct construction of bicyclic sulfamides containing five- to eight-membered rings by tandem cyclization of bromoallenes.
Chem. - Eur. J. **2007,** *13* (6), 1692-1708.

388. Ohno, H.; Ohta, Y.; Oishi, S.; Fujii, N.
Direct synthesis of 2-(aminomethyl)indoles through copper(I)-catalyzed domino three-component coupling and cyclization reactions.
Angew. Chem., Int. Ed. **2007,** *46* (13), 2295-2298. [Erratum: *Angew. Chem., Int. Ed.* **2007,** *46* (18), 3173]

389. Ono, Y.; Kashiwagi, H.; Esaki, T.; Tadakatsu, T.; Sato, H.; Fujii, N.
Systematic solution-phase parallel synthesis of active vitamin D3 analogs with elongated side chains and their cell differentiation activities.

J. Comb. Chem. **2007,** *9* (4), 711-716.

390. Tomita, K.; Oishi, S.; Cluzeau, J.; Ohno, H.; Navenot, J.-M.; Wang, Z.-X.; Peiper, S. C.; Akamatsu, M.; Fujii, N.
SAR and QSAR studies on the N-terminally acylated pentapeptide agonists for GPR54.
J. Med. Chem. **2007,** *50* (14), 3222-3228.

391. Ogo, N.; Oishi, S.; Matsuno, K.; Sawada, J.-i.; Fujii, N.; Asai, A.
Synthesis and biological evaluation of L-cysteine derivatives as mitotic kinesin Eg5 inhibitors.
Bioorg. Med. Chem. Lett. **2007,** *17* (14), 3921-3924.

392. Narumi, T.; Tomita, K.; Inokuchi, E.; Kobayashi, K.; Oishi, S.; Ohno, H.; Fujii, N.
Facile synthesis of fluoroalkenes by palladium-catalyzed reductive defluorination of allylic *gem*-difluorides.
Org. Lett. **2007,** *9* (17), 3465-3468.

393. Ohno, H.; Aso, A.; Kadoh, Y.; Fujii, N.; Tanaka, T.
Heck-type cyclization of oxime ethers: stereoselective carbon-carbon bond formation with aryl halides to produce heterocyclic oximes.
Angew. Chem., Int. Ed. **2007,** *46* (33), 6325-6328.

394. Tamamura, H.; Tanaka, T.; Tsutsumi, H.; Nemoto, K.; Mizokami, S.; Ohashi, N.; Oishi, S.; Fujii, N.
Versatile use of acid-catalyzed ring-opening of β-aziridinyl-α,β-enoates to stereoselective synthesis of peptidomimetics.
Tetrahedron **2007,** *63* (37), 9243-9254.

395. Kabashima, K.; Shiraishi, N.; Sugita, K.; Mori, T.; Onoue, A.; Kobayashi, M.; Sakabe, J.-i.; Yoshiki, R.; Tamamura, H.; Fujii, N.; Inaba, K.; Tokura, Y.

CXCL12-CXCR4 engagement is required for migration of cutaneous dendritic cells. *Am. J. Pathol.* **2007,** *171* (4), 1249-1257.

396. Kabashima, K.; Sugita, K.; Shiraishi, N.; Tamamura, H.; Fujii, N.; Tokura, Y. CXCR4 engagement promotes dendritic cell survival and maturation. *Biochem. Biophys. Res. Commun.* **2007,** *361* (4), 1012-1016.

397. Zhang, W.; Navenot, J.-M.; Frilot, N. M.; Fujii, N.; Peiper, S. C. Association of nucleophosmin negatively regulates CXCR4-mediated G protein activation and chemotaxis. *Mol. Pharmacol.* **2007,** *72* (5), 1310-1321.

398. Watanabe, T.; Ueda, S.; Inuki, S.; Oishi, S.; Fujii, N.; Ohno, H. One-pot synthesis of carbazoles by palladium-catalyzed *N*-arylation and oxidative coupling. *Chem. Commun.* **2007,** (43), 4516-4518.

399. Ohno, H.; Iuchi, M.; Fujii, N.; Tanaka, T. Zipper-mode double C-H activation: palladium-catalyzed direct construction of highly-fused heterocyclic systems. *Org. Lett.* **2007,** *9* (23), 4813-4815.

400. Watanabe, T.; Oishi, S.; Fujii, N.; Ohno, H. Gold-catalyzed hydroarylation of allenes: a highly regioselective carbon-carbon bond formation producing six-membered rings. *Org. Lett.* **2007,** *9* (23), 4821-4824.

401. Kohara, H.; Omatsu, Y.; Sugiyama, T.; Noda, M.; Fujii, N.; Nagasawa, T. Development of plasmacytoid dendritic cells in bone marrow stromal cell niches requires CXCL12-CXCR4 chemokine signaling.

Blood **2007,** *110* (13), 4153-4160.

402. Liapi, A.; Pritchett, J.; Jones, O.; Fujii, N.; Parnavelas, J. G.; Nadarajah, B.
Stromal-derived factor 1 signaling regulates radial and tangential migration in the developing cerebral cortex.
Dev. Neurosci. **2008,** *30* (1-3), 117-131.

403. Ujike, M.; Nishikawa, H.; Otaka, A.; Yamamoto, N.; Yamamoto, N.; Matsuoka, M.; Kodama, E.; Fujii, N.; Taguchi, F.
Heptad repeat-derived peptides block protease-mediated direct entry from the cell surface of severe acute respiratory syndrome coronavirus but not entry via the endosomal pathway.
J. Virol. **2008,** *82* (1), 588-592.

404. Ohta, Y.; Oishi, S.; Fujii, N.; Ohno, H.
Facile synthesis of 3-(aminomethyl)isoquinolines by copper-catalyzed domino four-component coupling and cyclization.
Chem. Commun. **2008,** (7), 835-837.

405. Oishi, S.; Ito, S.; Nishikawa, H.; Watanabe, K.; Tanaka, M.; Ohno, H.; Izumi, K.; Sakagami, Y.; Kodama, E.; Matsuoka, M.; Fujii, N.
Design of a novel HIV-1 fusion inhibitor that displays a minimal interface for binding affinity.
J. Med. Chem. **2008,** *51* (3), 388-391.

406. Driessen, W. H. P.; Fujii, N.; Tamamura, H.; Sullivan, S. M.
Development of peptide-targeted lipoplexes to CXCR4-expressing rat glioma cells and rat proliferating endothelial cells.
Mol. Ther. **2008,** *16* (3), 516-524.

407. Ohno, H.; Okano, A.; Kosaka, S.; Tsukamoto, K.; Ohata, M.; Ishihara, K.; Maeda, H.; Tanaka, T.; Fujii, N.
Direct construction of bicyclic heterocycles by palladium-catalyzed domino cyclization of propargyl bromides.
Org. Lett. **2008,** *10* (6), 1171-1174.

408. Watanabe, T.; Oishi, S.; Fujii, N.; Ohno, H.
Palladium-catalyzed sp^3 C-H activation of simple alkyl groups: direct preparation of indoline derivatives from *N*-alkyl-2-bromoanilines.
Org. Lett. **2008,** *10* (9), 1759-1762.

409. Kasiyanov, A.; Fujii, N.; Tamamura, H.; Xiong, H.
Modulation of network-driven, GABA-mediated giant depolarizing potentials by SDF-1α in the developing hippocampus.
Dev. Neurosci. **2008,** *30* (4), 285-292.

410. Oishi, S.; Masuda, R.; Evans, B.; Ueda, S.; Goto, Y.; Ohno, H.; Hirasawa, A.; Tsujimoto, G.; Wang, Z.; Peiper, S. C.; Naito, T.; Kodama, E.; Matsuoka, M.; Fujii, N.
Synthesis and application of fluorescein- and biotin-labeled molecular probes for the chemokine receptor CXCR4.
ChemBioChem **2008,** *9* (7), 1154-1158.

411. Narumi, T.; Tomita, K.; Inokuchi, E.; Kobayashi, K.; Oishi, S.; Ohno, H.; Fujii, N.
Diastereoselective synthesis of highly functionalized fluoroalkene dipeptide isosteres and its application to Fmoc-based solid-phase synthesis of a cyclic pentapeptide mimetic.
Tetrahedron **2008,** *64* (19), 4332-4346.

412. Inokuchi, E.; Narumi, T.; Niida, A.; Kobayashi, K.; Tomita, K.; Oishi, S.; Ohno, H.; Fujii, N.
Efficient synthesis of trifluoromethyl and related trisubstituted alkene dipeptide isosteres

by palladium-catalyzed carbonylation of amino acid derived allylic carbonates.
J. Org. Chem. **2008,** *73* (10), 3942-3945.

413. Nakata, H.; Steinberg, S. M.; Koh, Y.; Maeda, K.; Takaoka, Y.; Tamamura, H.; Fujii, N.; Mitsuya, H.
Potent synergistic anti-human immunodeficiency virus (HIV) effects using combinations of the CCR5 inhibitor aplaviroc with other anti-HIV drugs.
Antimicrob. Agents Chemother. **2008,** *52* (6), 2111-2119.

414. Yano, Y.; Yano, A.; Oishi, S.; Sugimoto, Y.; Tsujimoto, G.; Fujii, N.; Matsuzaki, K.
Coiled-coil tag-probe system for quick labeling of membrane receptors in living cells.
ACS Chem. Biol. **2008,** *3* (6), 341-345.

415. Ueda, S.; Kato, M.; Inuki, S.; Ohno, H.; Evans, B.; Wang, Z.-x.; Peiper, S. C.; Izumi, K.; Kodama, E.; Matsuoka, M.; Nagasawa, H.; Oishi, S.; Fujii, N.
Identification of novel non-peptide CXCR4 antagonists by ligand-based design approach.
Bioorg. Med. Chem. Lett. **2008,** *18* (14), 4124-4129.

416. Okano, A.; Mizutani, T.; Oishi, S.; Tanaka, T.; Ohno, H.; Fujii, N.
Palladium-catalysed biscyclisation of allenic bromoalkenes through a zipper-mode cascade.
Chem. Commun. **2008,** (30), 3534-3536.

417. Suzuki, Y.; Cluzeau, J.; Hara, T.; Hirasawa, A.; Tsujimoto, G.; Oishi, S.; Ohno, H.; Fujii, N.
Structure-activity relationships of pyrazine-based CK2 inhibitors: synthesis and evaluation of 2,6-disubstituted pyrazines and 4,6-disubstituted pyrimidines.
Arch. Pharm. **2008,** *341* (9), 554-561.

418. Nishikawa, H.; Kodama, E.; Sakakibara, A.; Fukudome, A.; Izumi, K.; Oishi, S.; Fujii, N.; Matsuoka, M.

Novel screening systems for HIV-1 fusion mediated by two extra-virion heptad repeats of gp41.

Antiviral Res. **2008,** *80* (1), 71-76.

419. Tomita, K.; Oishi, S.; Ohno, H.; Fujii, N.

Structure-activity relationship study and NMR analysis of fluorobenzoyl pentapeptide GPR54 agonists.

Biopolymers **2008,** *90* (4), 503-511.

420. Nishikawa, H.; Oishi, S.; Fujita, M.; Watanabe, K.; Tokiwa, R.; Ohno, H.; Kodama, E.; Izumi, K.; Kajiwara, K.; Naitoh, T.; Matsuoka, M.; Otaka, A.; Fujii, N.

Identification of minimal sequence for HIV-1 fusion inhibitors.

Bioorg. Med. Chem. **2008,** *16* (20), 9184-9187.

421. Mikami, S.; Nakase, H.; Yamamoto, S.; Takeda, Y.; Yoshino, T.; Kasahara, K.; Ueno, S.; Uza, N.; Oishi, S.; Fujii, N.; Nagasawa, T.; Chiba, T.

Blockade of CXCL12/CXCR4 axis ameliorates murine experimental colitis.

J. Pharmacol. Exp. Ther. **2008,** *327* (2), 383-392.

422. Tanaka, T.; Tsutsumi, H.; Nomura, W.; Tanabe, Y.; Ohashi, N.; Esaka, A.; Ochiai, C.; Sato, J.; Itotani, K.; Murakami, T.; Ohba, K.; Yamamoto, N.; Fujii, N.; Tamamura, H.

Structure-activity relationship study of CXCR4 antagonists bearing the cyclic pentapeptide scaffold: identification of the new pharmacophore.

Org. Biomol. Chem. **2008,** *6* (23), 4374-4377.

423. Ohta, Y.; Chiba, H.; Oishi, S.; Fujii, N.; Ohno, H.

Concise synthesis of indole-fused 1,4-diazepines through copper(I)-catalyzed domino Three-component coupling-cyclization-*N*-arylation under microwave irradiation.

Org. Lett. **2008,** *10* (16), 3535-3538.

424. Inuki, S.; Oishi, S.; Fujii, N.; Ohno, H.

Total synthesis of (±)-lysergic acid, lysergol, and isolysergol by palladium-catalyzed domino cyclization of amino allenes bearing a bromoindolyl group.

Org. Lett. **2008,** *10* (22), 5239-5242. [Erratum: *Org. Lett.* **2011,** *13* (8), 2145]

425. Tomita, K.; Oishi, S.; Ohno, H.; Peiper, S. C.; Fujii, N.

Development of novel G-protein-coupled receptor 54 agonists with resistance to degradation by matrix metalloproteinase.

J. Med. Chem. **2008,** *51* (23), 7645-7649.

426. Evans, B. J.; Wang, Z.; Mobley, L. T.; Khosravi, D.; Fujii, N.; Navenot, J.-M.; Peiper, S. C.

Physical association of GPR54 C-terminal with protein phosphatase 2A.

Biochem. Biophys. Res. Commun. **2008,** *377* (4), 1067-1071.

427. Mizukoshi, F.; Baba, K.; Goto-Koshino, Y.; Setoguchi-Mukai, A.; Fujino, Y.; Ohno, K.; Tamamura, H.; Oishi, S.; Fujii, N.; Tsujimoto, H.

Inhibitory effect of newly developed CXC-chemokine receptor 4 antagonists on the infection with feline immunodeficiency virus.

J. Vet. Med. Sci. **2009,** *71* (1), 121-124.

428. Tomita, K.; Popiel, H. A.; Nagai, Y.; Toda, T.; Yoshimitsu, Y.; Ohno, H.; Oishi, S.; Fujii, N.

Structure-activity relationship study on polyglutamine binding peptide QBP1.

Bioorg. Med. Chem. **2009,** *17* (3), 1259-1263.

429. Nagai, K.; Doi, R.; Katagiri, F.; Ito, T.; Kida, A.; Koizumi, M.; Masui, T.; Kawaguchi, Y.; Tomita, K.; Oishi, S.; Fujii, N.; Uemoto, S.

Prognostic value of metastin expression in human pancreatic cancer.

J. Exp. Clin. Cancer Res. **2009,** *28*, 9.

430. Izumi, K.; Kodama, E.; Shimura, K.; Sakagami, Y.; Watanabe, K.; Ito, S.; Watabe, T.;

Terakawa, Y.; Nishikawa, H.; Sarafianos, S. G.; Kitaura, K.; Oishi, S.; Fujii, N.; Matsuoka, M.

Design of peptide-based inhibitors for human immunodeficiency virus type 1 strains resistant to T-20.

J. Biol. Chem. **2009,** *284* (8), 4914-4920.

431. Kitaori, T.; Ito, H.; Schwarz, E. M.; Tsutsumi, R.; Yoshitomi, H.; Oishi, S.; Nakano, M.; Fujii, N.; Nagasawa, T.; Nakamura, T.

Stromal cell-derived factor 1/CXCR4 signaling is critical for the recruitment of mesenchymal stem cells to the fracture site during skeletal repair in a mouse model.

Arthritis Rheum. **2009,** *60* (3), 813-823.

432. Naito, T.; Izumi, K.; Kodama, E.; Sakagami, Y.; Kajiwara, K.; Nishikawa, H.; Watanabe, K.; Sarafianos, S. G.; Oishi, S.; Fujii, N.; Matsuoka, M.

SC29EK, a peptide fusion inhibitor with enhanced α-helicity, inhibits replication of human immunodeficiency virus type 1 mutants resistant to enfuvirtide.

Antimicrob. Agents Chemother. **2009,** *53* (3), 1013-1018.

433. Ueno, M.; Kodama, E. N.; Shimura, K.; Sakurai, Y.; Kajiwara, K.; Sakagami, Y.; Oishi, S.; Fujii, N.; Matsuoka, M.

Synonymous mutations in stem-loop III of Rev responsive elements enhance HIV-1 replication impaired by primary mutations for resistance to enfuvirtide.

Antiviral Res. **2009,** *82* (1), 67-72.

434. Nishikawa, H.; Nakamura, S.; Kodama, E.; Ito, S.; Kajiwara, K.; Izumi, K.; Sakagami, Y.; Oishi, S.; Ohkubo, T.; Kobayashi, Y.; Otaka, A.; Fujii, N.; Matsuoka, M.

Electrostatically constrained α-helical peptide inhibits replication of HIV-1 resistant to enfuvirtide.

Int. J. Biochem. Cell Biol. **2009,** *41* (4), 891-899.

435. Mizukoshi, F.; Baba, K.; Goto, Y.; Setoguchi, A.; Fujino, Y.; Ohno, K.; Oishi, S.; Kodera, Y.; Fujii, N.; Tsujimoto, H.
Antiviral activity of membrane fusion inhibitors that target gp40 of the feline immunodeficiency virus envelope protein.
Vet. Microbiol. **2009,** *136* (1-2), 155-159.

436. Katagiri, F.; Nagai, K.; Kida, A.; Tomita, K.; Oishi, S.; Takeyama, M.; Doi, R.; Fujii, N.
Clinical significance of plasma metastin level in pancreatic cancer patients.
Oncol. Rep. **2009,** *21* (3), 815-819.

437. Navenot, J.-M.; Fujii, N.; Peiper, S. C.
KiSS1 metastasis suppressor gene product induces suppression of tyrosine kinase receptor signaling to Akt, tumor necrosis factor family ligand expression, and apoptosis.
Mol. Pharmacol. **2009,** *75* (5), 1074-1083.

438. Yamaki, Y.; Shigenaga, A.; Tomita, K.; Narumi, T.; Fujii, N.; Otaka, A.
Synthesis of fluoroalkene dipeptide isosteres by an intramolecular redox reaction utilizing N-heteorocyclic carbenes (NHCS).
J. Org. Chem. **2009,** *74* (9), 3272-3277.

439. Ohta, Y.; Oishi, S.; Fujii, N.; Ohno, H.
Facile synthesis of 1,2,3,4-tetrahydro-β-carbolines by one-pot domino three-component indole formation and nucleophilic cyclization.
Org. Lett. **2009,** *11* (9), 1979-1982.

440. Navenot, J.-M.; Fujii, N.; Peiper, S. C.
Activation of Rho and Rho-associated kinase by GPR54 and KiSS1 metastasis suppressor gene product induces changes of cell morphology and contributes to apoptosis.

Mol. Pharmacol. **2009,** *75* (6), 1300-1306.

441. Suzuki, Y.; Ohta, Y.; Oishi, S.; Fujii, N.; Ohno, H.
Efficient synthesis of aminomethylated pyrroloindoles and dipyrrolopyridines via controlled copper-catalyzed domino multicomponent coupling and bis-cyclization.
J. Org. Chem. **2009,** *74* (11), 4246-4251.

442. Kobayashi, K.; Narumi, T.; Oishi, S.; Ohno, H.; Fujii, N.
Amino acid-based synthesis of trifluoromethylalkene dipeptide isosteres by alcohol-assisted nucleophilic trifluoromethylation and organozinc-copper-mediated S_N2' alkylation.
J. Org. Chem. **2009,** *74* (12), 4626-4629.

443. Diamond, P.; Labrinidis, A.; Martin, S. K.; Farrugia, A. N.; Gronthos, S.; To, L. B.; Fujii, N.; O'Loughlin, P. D.; Evdokiou, A.; Zannettino, A. C. W.
Targeted disruption of the CXCL12/CXCR4 axis inhibits osteolysis in a murine model of myeloma-associated bone loss.
J. Bone Miner. Res. **2009,** *24* (7), 1150-1161.

444. Watanabe, T.; Oishi, S.; Fujii, N.; Ohno, H.
Palladium-catalyzed direct synthesis of carbazoles via one-pot N-arylation and oxidative biarylcoupling: synthesis and mechanistic study.
J. Org. Chem. **2009,** *74* (13), 4720-4726.

445. Ohta, Y.; Kubota, Y.; Watabe, T.; Chiba, H.; Oishi, S.; Fujii, N.; Ohno, H.
Rapid access to 3-(aminomethyl)isoquinoline-fused polycyclic compounds by copper-catalyzed four-component coupling, cascade cyclization, and oxidation.
J. Org. Chem. **2009,** *74* (16), 6299-6302.

446. Oishi, S.; Kodera, Y.; Nishikawa, H.; Kamitani, H.; Watabe, T.; Ohno, H.; Tochikura, T.;

Shimane, K.; Kodama, E.; Matsuoka, M.; Mizukoshi, F.; Tsujimoto, H.; Fujii, N.
Design and synthesis of membrane fusion inhibitors against the feline immunodeficiency virus.
Bioorg. Med. Chem. **2009,** *17* (14), 4916-4920.

447. Oishi, S.; Kamitani, H.; Kodera, Y.; Watanabe, K.; Kobayashi, K.; Narumi, T.; Tomita, K.; Ohno, H.; Naito, T.; Kodama, E.; Matsuoka, M.; Fujii, N.
Peptide bond mimicry by (*E*)-alkene and (*Z*)-fluoroalkene peptide isosteres: synthesis and bioevaluation of α-helical anti-HIV peptide analogues.
Org. Biomol. Chem. **2009,** *7* (14), 2872-2877.

448. Mizuhara, T.; Inuki, S.; Oishi, S.; Fujii, N.; Ohno, H.
Cu(II)-mediated oxidative intermolecular ortho C-H functionalization using tetrahydropyrimidine as the directing group.
Chem. Commun. **2009,** (23), 3413-3415.

449. Arthur, A.; Shi, S.; Zannettino, A. C. W.; Fujii, N.; Gronthos, S.; Koblar, S. A.
Implanted adult human dental pulp stem cells induce endogenous axon guidance.
Stem Cells **2009,** *27* (9), 2229-2237.

450. Tanaka, T.; Nomura, W.; Narumi, T.; Esaka, A.; Oishi, S.; Ohashi, N.; Itotani, K.; Evans, B. J.; Wang, Z.-x.; Peiper, S. C.; Fujii, N.; Tamamura, H.
Structure-activity relationship study on artificial CXCR4 ligands possessing the cyclic pentapeptide scaffold: the exploration of amino acid residues of pentapeptides by substitutions of several aromatic amino acids.
Org. Biomol. Chem. **2009,** *7* (18), 3805-3809.

451. Ohta, Y.; Chiba, H.; Oishi, S.; Fujii, N.; Ohno, H.
Construction of nitrogen heterocycles bearing an aminomethyl group by copper-catalyzed

domino three-component coupling-cyclization.

J. Org. Chem. **2009,** *74* (18), 7052-7058.

452. Watabe, T.; Terakawa, Y.; Watanabe, K.; Ohno, H.; Nakano, H.; Nakatsu, T.; Kato, H.; Izumi, K.; Kodama, E.; Matsuoka, M.; Kitaura, K.; Oishi, S.; Fujii, N.

X-ray crystallographic study of an HIV-1 fusion inhibitor with the gp41 S138A substitution.

J. Mol. Biol. **2009,** *392* (3), 657-665.

453. Inuki, S.; Yoshimitsu, Y.; Oishi, S.; Fujii, N.; Ohno, H.

Ring-construction/stereoselective functionalization cascade: total synthesis of pachastrissamine (jaspine B) through palladium-catalyzed bis-cyclization of bromoallenes.

Org. Lett. **2009,** *11* (19), 4478-4481.

454. Tanaka, M.; Kajiwara, K.; Tokiwa, R.; Watanabe, K.; Ohno, H.; Tsutsumi, H.; Hata, Y.; Izumi, K.; Kodama, E.; Matsuoka, M.; Oishi, S.; Fujii, N.

Bioorganic synthesis of end-capped anti-HIV peptides by simultaneous cyanocysteine-mediated cleavages of recombinant proteins.

Bioorg. Med. Chem. **2009,** *17* (21), 7487-7492.

455. Kajiwara, K.; Watanabe, K.; Tokiwa, R.; Kurose, T.; Ohno, H.; Tsutsumi, H.; Hata, Y.; Izumi, K.; Kodama, E.; Matsuoka, M.; Oishi, S.; Fujii, N.

Bioorganic synthesis of a recombinant HIV-1 fusion inhibitor, SC35EK, with an N-terminal pyroglutamate capping group.

Bioorg. Med. Chem. **2009,** *17* (23), 7964-7970.

456. Mizuhara, T.; Oishi, S.; Fujii, N.; Ohno, H.

Efficient synthesis of pyrimido[1,2-*c*][1,3]benzothiazin-6-imines and related tricyclic

heterocycles by S_NAr-type C-S, C-N, or C-O bond formation with heterocumulenes.
J. Org. Chem. **2010,** *75* (1), 265-268.

457. Narumi, T.; Hayashi, R.; Tomita, K.; Kobayashi, K.; Tanahara, N.; Ohno, H.; Naito, T.; Kodama, E.; Matsuoka, M.; Oishi, S.; Fujii, N.
Synthesis and biological evaluation of selective CXCR4 antagonists containing alkene dipeptide isosteres.
Org. Biomol. Chem. **2010,** *8* (3), 616-621.

458. Hirano, K.; Inaba, Y.; Watanabe, T.; Oishi, S.; Fujii, N.; Ohno, H.
Gold-catalyzed intramolecular alkyne cycloisomerization cascade: direct synthesis of aryl-annulated[*a*]carbazoles from aniline-substituted diethynylarenes.
Adv. Synth. Catal. **2010,** *352* (2+3), 368-372.

459. Martin, S. K.; Diamond, P.; Williams, S. A.; To, L. B.; Peet, D. J.; Fujii, N.; Gronthos, S.; Harris, A. L.; Zannettino, A. C. W.
Hypoxia-inducible factor-2 is a novel regulator of aberrant CXCL12 expression in multiple myeloma plasma cells.
Haematologica **2010,** *95* (5), 776-784.

460. Okano, A.; Oishi, S.; Tanaka, T.; Fujii, N.; Ohno, H.
Construction of linked nitrogen heterocycles by palladium(0)-catalyzed intramolecular domino cyclization of 2-alkynylaziridines bearing a 2-aminoethyl group via ring Expansion with isocyanate.
J. Org. Chem. **2010,** *75* (10), 3396-3400.

461. Melchionna, R.; di Carlo, A.; de Mori, R.; Cappuzzello, C.; Barberi, L.; Musaro, A.; Cencioni, C.; Fujii, N.; Tamamura, H.; Crescenzi, M.; Capogrossi, M. C.; Napolitano, M.; Germani, A.

Induction of myogenic differentiation by SDF-1 via CXCR4 and CXCR7 receptors.
Muscle Nerve **2010,** *41* (6), 828-835.

462. Inuki, S.; Yoshimitsu, Y.; Oishi, S.; Fujii, N.; Ohno, H.
Ring-construction/stereoselective functionalization cascade: total synthesis of pachastrissamine (jaspine B) through palladium-catalyzed bis-cyclization of propargyl chlorides and carbonates.
J. Org. Chem. **2010,** *75* (11), 3831-3842.

463. Yoshimitsu, Y.; Inuki, S.; Oishi, S.; Fujii, N.; Ohno, H.
Stereoselective divergent synthesis of four diastereomers of pachastrissamine (jaspine B).
J. Org. Chem. **2010,** *75* (11), 3843-3846.

464. Oishi, S.; Watanabe, T.; Sawada, J.-i.; Asai, A.; Ohno, H.; Fujii, N.
Kinesin spindle protein (KSP) inhibitors with 2,3-fused indole scaffolds.
J. Med. Chem. **2010,** *53* (13), 5054-5058.

465. Okano, A.; Tsukamoto, K.; Kosaka, S.; Maeda, H.; Oishi, S.; Tanaka, T.; Fujii, N.; Ohno, H.
Synthesis of fused and linked bicyclic nitrogen heterocycles by palladium-catalyzed domino cyclization of propargyl bromides.
Chem. - Eur. J. **2010,** *16* (28), 8410-8418.

466. Izumi, K.; Nakamura, S.; Nakano, H.; Shimura, K.; Sakagami, Y.; Oishi, S.; Uchiyama, S.; Ohkubo, T.; Kobayashi, Y.; Fujii, N.; Matsuoka, M.; Kodama, E. N.
Characterization of HIV-1 resistance to a fusion inhibitor, N36, derived from the gp41 amino-terminal heptad repeat.
Antiviral Res. **2010,** *87* (2), 179-186.

467. Omatsu, Y.; Sugiyama, T.; Kohara, H.; Kondoh, G.; Fujii, N.; Kohno, K.; Nagasawa, T.
The essential functions of adipo-osteogenic progenitors as the hematopoietic stem and

progenitor cell niche.

Immunity **2010,** *33* (3), 387-399.

468. Ding, S.; Nishizawa, K.; Kobayashi, T.; Oishi, S.; Lv, J.; Fujii, N.; Ogawa, O.; Nishiyama, H.
A potent chemotherapeutic strategy for bladder cancer: (*S*)-methoxy-trityl-L-cysteine, a novel Eg5 inhibitor.
J. Urol. **2010,** *184* (3), 1175-1181.

469. Nishizawa, K.; Nishiyama, H.; Oishi, S.; Tanahara, N.; Kotani, H.; Mikami, Y.; Toda, Y.; Evans, B. J.; Peiper, S. C.; Saito, R.; Watanabe, J.; Fujii, N.; Ogawa, O.
Fluorescent imaging of high-grade bladder cancer using a specific antagonist for chemokine receptor CXCR4.
Int. J. Cancer **2010,** *127* (5), 1180-1187.

470. Ohta, Y.; Tokimizu, Y.; Oishi, S.; Fujii, N.; Ohno, H.
Direct synthesis of quinazolines through copper-catalyzed reaction of aniline-derived benzamidines.
Org. Lett. **2010,** *12* (17), 3963-3965.

471. Oishi, S.; Watanabe, K.; Ito, S.; Tanaka, M.; Nishikawa, H.; Ohno, H.; Shimane, K.; Izumi, K.; Sakagami, Y.; Kodama, E. N.; Matsuoka, M.; Asai, A.; Fujii, N.
Affinity selection and sequence-activity relationships of HIV-1 membrane fusion inhibitors directed at the drug-resistant variants.
MedChemComm **2010,** *1* (4), 276-281.

472. Gravel, S.; Malouf, C.; Boulais, P. E.; Berchiche, Y. A.; Oishi, S.; Fujii, N.; Leduc, R.; Sinnett, D.; Heveker, N.
The peptidomimetic CXCR4 antagonist TC14012 recruits β-arrestin to CXCR7: roles of receptor domains.

J. Biol. Chem. **2010,** *285* (49), 37939-37943.

473. Mandawat, A.; Fiskus, W.; Buckley, K. M.; Robbins, K.; Rao, R.; Balusu, R.; Navenot, J.-M.; Wang, Z.-X.; Ustun, C.; Chong, D. G.; Atadja, P.; Fujii, N.; Peiper, S. C.; Bhalla, K.
Pan-histone deacetylase inhibitor panobinostat depletes CXCR4 levels and signaling and exerts synergistic antimyeloid activity in combination with CXCR4 antagonists.
Blood **2010,** *116* (24), 5306-5315.

474. Shimura, K.; Nameki, D.; Kajiwara, K.; Watanabe, K.; Sakagami, Y.; Oishi, S.; Fujii, N.; Matsuoka, M.; Sarafianos, S. G.; Kodama, E. N.
Resistance profiles of novel electrostatically constrained HIV-1 fusion inhibitors.
J. Biol. Chem. **2010,** *285* (50), 39471-39480.

475. Oishi, S.; Misu, R.; Tomita, K.; Setsuda, S.; Masuda, R.; Ohno, H.; Naniwa, Y.; Ieda, N.; Inoue, N.; Ohkura, S.; Uenoyama, Y.; Tsukamura, H.; Maeda, K.-i.; Hirasawa, A.; Tsujimoto, G.; Fujii, N.
Activation of neuropeptide FF receptors by kisspeptin receptor ligands.
ACS Med. Chem. Lett. **2011,** *2* (1), 53-57.

476. Noda, M.; Omatsu, Y.; Sugiyama, T.; Oishi, S.; Fujii, N.; Nagasawa, T.
CXCL12-CXCR4 chemokine signaling is essential for NK-cell development in adult mice.
Blood **2011,** *117* (2), 451-458.

477. Xing, N.-D.; Ding, S.-T.; Saito, R.; Nishizawa, K.; Kobayashi, T.; Inoue, T.; Oishi, S.; Fujii, N.; Lv, J.-J.; Ogawa, O.; Nishiyama, H.
A potent chemotherapeutic strategy in prostate cancer: *S*-(methoxytrityl)-L-cysteine, a novel Eg5 inhibitor.
Asian J. Androl. **2011,** *13* (2), 236-241.

478. Hirano, K.; Inaba, Y.; Takahashi, N.; Shimano, M.; Oishi, S.; Fujii, N.; Ohno, H.
Direct synthesis of fused indoles by gold-catalyzed cascade cyclization of diynes.
J. Org. Chem. **2011,** *76* (5), 1212-1227.

479. Kang, H. S.; Baba, T.; Mandai, M.; Matsumura, N.; Hamanishi, J.; Kharma, B.; Kondoh, E.; Yoshioka, Y.; Oishi, S.; Fujii, N.; Murphy, S. K.; Konishi, I.
GPR54 is a target for suppression of metastasis in endometrial cancer.
Mol. Cancer Ther. **2011,** *10* (4), 580-590.

480. Inuki, S.; Iwata, A.; Oishi, S.; Fujii, N.; Ohno, H.
Enantioselective total synthesis of (+)-lysergic acid, (+)-lysergol, and (+)-isolysergol by Palladium-catalyzed domino cyclization of allenes bearing amino and bromoindolyl groups.
J. Org. Chem. **2011,** *76* (7), 2072-2083.

481. Inokuchi, E.; Yamada, A.; Hozumi, K.; Tomita, K.; Oishi, S.; Ohno, H.; Nomizu, M.; Fujii, N.
Design and synthesis of amidine-type peptide bond isosteres: application of nitrile oxide derivatives as active ester equivalents in peptide and peptidomimetics synthesis.
Org. Biomol. Chem. **2011,** *9* (9), 3421-3427.

482. Masuda, R.; Oishi, S.; Ohno, H.; Kimura, H.; Saji, H.; Fujii, N.
Concise site-specific synthesis of DTPA-peptide conjugates: application to imaging probes for the chemokine receptor CXCR4.
Bioorg. Med. Chem. **2011,** *19* (10), 3216-3220.

483. Kuil, J.; Buckle, T.; Yuan, H.; van den Berg, N. S.; Oishi, S.; Fujii, N.; Josephson, L.; van Leeuwen, F. W. B.
Synthesis and evaluation of a bimodal CXCR4 antagonistic peptide.

Bioconjugate Chem. **2011,** *22* (5), 859-864.

484. Xu, C.; Liu, J.; Chen, L.; Liang, S.; Fujii, N.; Tamamura, H.; Xiong, H.
HIV-1 gp120 enhances outward potassium current via CXCR4 and cAMP-dependent protein kinase A signaling in cultured rat microglia.
Glia **2011,** *59* (6), 997-1007.

485. Inokuchi, E.; Oishi, S.; Kubo, T.; Ohno, H.; Shimura, K.; Matsuoka, M.; Fujii, N.
Potent CXCR4 antagonists containing amidine type peptide bond isosteres.
ACS Med. Chem. Lett. **2011,** *2* (6), 477-480.

486. Yamada, M.; Kubo, H.; Kobayashi, S.; Ishizawa, K.; He, M.; Suzuki, T.; Fujino, N.; Kunishima, H.; Hatta, M.; Nishimaki, K.; Aoyagi, T.; Tokuda, K.; Kitagawa, M.; Yano, H.; Tamamura, H.; Fujii, N.; Kaku, M.
The increase in surface CXCR4 expression on lung extravascular neutrophils and its effects on neutrophils during endotoxin-induced lung injury.
Cell. Mol. Immunol. **2011,** *8* (4), 305-314.

487. Iwata, A.; Inuki, S.; Oishi, S.; Fujii, N.; Ohno, H.
Formal total synthesis of (+)-lysergic acid via zinc(II)-mediated regioselective ring-opening reduction of 2-alkynyl-3-indolyloxirane.
J. Org. Chem. **2011,** *76* (13), 5506-5512.

488. Ohno, H.; Yamamoto, M.; Iuchi, M.; Fujii, N.; Tanaka, T.
Palladium-catalyzed construction of polycyclic heterocycles by an alkyne insertion and direct arylation cascade.
Synthesis **2011,** (16), 2567-2578.

489. Takeuchi, T.; Oishi, S.; Watanabe, T.; Ohno, H.; Sawada, J.-i.; Matsuno, K.; Asai, A.; Asada, N.; Kitaura, K.; Fujii, N.

Structure-activity relationships of carboline and carbazole derivatives as a novel class of ATP-competitive kinesin spindle protein inhibitors.

J. Med. Chem. **2011,** *54* (13), 4839-4846.

490. Tokimizu, Y.; Ohta, Y.; Chiba, H.; Oishi, S.; Fujii, N.; Ohno, H.

Direct synthesis of highly fused perimidines by copper(I)-catalyzed hydroamination of 2-ethynylbenzaldehydes.

Tetrahedron **2011,** *67* (29), 5168-5175.

491. Dar, A.; Schajnovitz, A.; Lapid, K.; Kalinkovich, A.; Itkin, T.; Ludin, A.; Kao, W.-M.; Battista, M.; Tesio, M.; Kollet, O.; Cohen, N. N.; Margalit, R.; Buss, E. C.; Baleux, F.; Oishi, S.; Fujii, N.; Larochelle, A.; Dunbar, C. E.; Broxmeyer, H. E.; Frenette, P. S.; Lapidot, T.

Rapid mobilization of hematopoietic progenitors by AMD3100 and catecholamines is mediated by CXCR4-dependent SDF-1 release from bone marrow stromal cells.

Leukemia **2011,** *25* (8), 1286-1296 [Erratum: *Org. Lett.* **2011,** *13* (8), 2145]

492. Izumi, K.; Watanabe, K.; Oishi, S.; Fujii, N.; Matsuoka, M.; Sarafianos, S. G.; Kodama, E. N.

Potent anti-HIV-1 activity of N-HR-derived peptides including a deep pocket-forming region without antagonistic effects on T-20.

Antiviral Chem. Chemother. **2011,** *22* (1), 51-55.

493. Yoshimitsu, Y.; Oishi, S.; Miyagaki, J.; Inuki, S.; Ohno, H.; Fujii, N.

Pachastrissamine (jaspine B) and its stereoisomers inhibit sphingosine kinases and atypical protein kinase C.

Bioorg. Med. Chem. **2011,** *19* (18), 5402-5408.

494. Nishizawa, K.; Nishiyama, H.; Matsui, Y.; Kobayashi, T.; Saito, R.; Kotani, H.; Masutani,

H.; Oishi, S.; Toda, Y.; Fujii, N.; Yodoi, J.; Ogawa, O.
Thioredoxin-interacting protein suppresses bladder carcinogenesis.
Carcinogenesis **2011,** *32* (10), 1459-1466.

495. Inoue, N.; Sasagawa, K.; Ikai, K.; Sasaki, Y.; Tomikawa, J.; Oishi, S.; Fujii, N.; Uenoyama, Y.; Ohmori, Y.; Yamamoto, N.; Hondo, E.; Maeda, K.-i.; Tsukamura, H.
Kisspeptin neurons mediate reflex ovulation in the musk shrew (*Suncus murinus*).
Proc. Natl. Acad. Sci. U S A **2011,** *108* (42), 17527-17532.

496. Hirano, K.; Inaba, Y.; Takasu, K.; Oishi, S.; Takemoto, Y.; Fujii, N.; Ohno, H.
Gold(I)-catalyzed polycyclizations of polyenyne-type anilines based on hydroamination and consecutive hydroarylation cCascade.
J. Org. Chem. **2011,** *76* (21), 9068-9080.

497. Buckle, T.; van den Berg, N. S.; Kuil, J.; Bunschoten, A.; Oldenburg, J.; Borowsky, A. D.; Wesseling, J.; Masuda, R.; Oishi, S.; Fujii, N.; van Leeuwen, F. W. B.
Non-invasive longitudinal imaging of tumor progression using an ^{111}indium labeled CXCR4 peptide antagonist.
Am. J. Nucl. Med. Mol. Imaging **2012,** *2* (1), 99-109.

498. Suzuki, Y.; Naoe, S.; Oishi, S.; Fujii, N.; Ohno, H.
Gold-catalyzed three-component annulation: efficient synthesis of highly functionalized dihydropyrazoles from alkynes, hydrazines, and aldehydes or ketones.
Org. Lett. **2012,** *14* (1), 326-329.

499. Hou, Z.; Suzuki, Y.; Oishi, S.; Fujii, N.; Ohno, H.
Efficient synthesis of aminomethylated azaindoles and corresponding pyrrole-fused derivatives by copper-catalyzed domino multicomponent coupling and cyclization.
Tetrahedron **2012,** *68* (6), 1695-1703.

500. Sekiya, A.; Oishi, S.; Fujii, N.; Koide, T.

High-throughput turbidimetric screening for heparin-neutralizing agents and low-molecular-weight heparin mimetics.

Chem. Pharm. Bull. **2012,** *60* (3), 371-376.

501. Yoshikawa, Y.; Kobayashi, K.; Oishi, S.; Fujii, N.; Furuya, T.

Molecular modeling study of cyclic pentapeptide CXCR4 antagonists: new insight into CXCR4-FC131 interactions.

Bioorg. Med. Chem. Lett. **2012,** *22* (6), 2146-2150.

502. Hou, Z.; Nakanishi, I.; Kinoshita, T.; Takei, Y.; Yasue, M.; Misu, R.; Suzuki, Y.; Nakamura, S.; Kure, T.; Ohno, H.; Murata, K.; Kitaura, K.; Hirasawa, A.; Tsujimoto, G.; Oishi, S.; Fujii, N.

Structure-based design of novel potent protein kinase CK2 (CK2) inhibitors with phenyl-azole scaffolds.

J. Med. Chem. **2012,** *55* (6), 2899-2903.

503. Kobayashi, K.; Oishi, S.; Hayashi, R.; Tomita, K.; Kubo, T.; Tanahara, N.; Ohno, H.; Yoshikawa, Y.; Furuya, T.; Hoshino, M.; Fujii, N.

Structure-activity relationship study of a CXC chemokine receptor Type 4 antagonist, FC131, using a series of alkene dipeptide isosteres.

J. Med. Chem. **2012,** *55* (6), 2746-2757.

504. Navenot, J.-M.; Evans, B.; Oishi, S.; Setsuda, S.; Fujii, N.; Peiper, S. C.

The metastasis suppressor KISS1 lacks antimetastatic activity in the C8161.9 xenograft model of melanoma.

Melanoma Res. **2012,** *22* (2), 140-150.

505. Kobayashi, K.; Oishi, S.; Kobayashi, Y.; Ohno, H.; Tsutsumi, H.; Hata, Y.; Fujii, N.

Synthesis and application of an N^{δ}-acetyl-N^{δ}-hydroxyornithine analog: identification of novel metal complexes of deferriferrichrysin.

Bioorg. Med. Chem. **2012,** *20* (8), 2651-2655.

506. Ohno, H.; Iuchi, M.; Kojima, N.; Yoshimitsu, T.; Fujii, N.; Tanaka, T.

Double C-H functionalization in sequential order: direct synthesis of polycyclic compounds by a palladium-catalyzed C-H alkenylation-arylation cascade.

Chem. - Eur. J. **2012,** *18* (17), 5352-5360.

507. Masuda, R.; Oishi, S.; Tanahara, N.; Ohno, H.; Hirasawa, A.; Tsujimoto, G.; Kodama, E.; Matsuoka, M.; Fujii, N.

Development and application of fluorescent SDF-1 derivatives.

Future Med. Chem. **2012,** *4* (7), 837-844.

508. Murata, K.; Kitaori, T.; Oishi, S.; Watanabe, N.; Yoshitomi, H.; Tanida, S.; Ishikawa, M.; Kasahara, T.; Shibuya, H.; Fujii, N.; Nagasawa, T.; Nakamura, T.; Ito, H.

Stromal cell-derived factor 1 regulates the actin organization of chondrocytes and chondrocyte hypertrophy.

PLoS One **2012,** *7* (5), e37163.

509. Suzuki, Y.; Oishi, S.; Takei, Y.; Yasue, M.; Misu, R.; Naoe, S.; Hou, Z.; Kure, T.; Nakanishi, I.; Ohno, H.; Hirasawa, A.; Tsujimoto, G.; Fujii, N.

Design and synthesis of a novel class of CK2 inhibitors: application of copper- and gold-catalysed cascade reactions for fused nitrogen heterocycles.

Org. Biomol. Chem. **2012,** *10* (25), 4907-4915.

510. Masuda, R.; Oishi, S.; Tanahara, N.; Ohno, H.; Hirasawa, A.; Tsujimoto, G.; Yano, Y.; Matsuzaki, K.; Navenot, J.-M.; Peiper, S. C.; Fujii, N.

Paradoxical downregulation of CXC chemokine receptor 4 induced by polyphemusin II-

derived antagonists.

Bioconjugate Chem. **2012,** *23* (6), 1259-1265.

511. Naoe, S.; Suzuki, Y.; Hirano, K.; Inaba, Y.; Oishi, S.; Fujii, N.; Ohno, H.
Gold(I)-catalyzed regioselective inter-/intramolecular addition cascade of di- and triynes for direct construction of substituted naphthalenes.
J. Org. Chem. **2012,** *77* (11), 4907-4916. [Erratum: *J. Org. Chem.* **2014,** *79* (5), 2339]

512. Li, X.; Qian, H.; Miyamoto, F.; Naito, T.; Kawaji, K.; Kajiwara, K.; Hattori, T.; Matsuoka, M.; Watanabe, K.; Oishi, S.; Fujii, N.; Kodama, E. N.
A simple, rapid, and sensitive system for the evaluation of anti-viral drugs in rats.
Biochem. Biophys. Res. Commun. **2012,** *424* (2), 257-261.

513. Chiba, H.; Oishi, S.; Fujii, N.; Ohno, H.
Total synthesis of (-)-quinocarcin by gold(I)-catalyzed regioselective hydroamination.
Angew. Chem., Int. Ed. **2012,** *51* (36), 9169-9172.

514. Mizuhara, T.; Oishi, S.; Ohno, H.; Shimura, K.; Matsuoka, M.; Fujii, N.
Concise synthesis and anti-HIV activity of pyrimido[1,2-*c*][1,3]benzothiazin-6-imines and related tricyclic heterocycles.
Org. Biomol. Chem. **2012,** *10* (33), 6792-6802.

515. Zhang, Y.; Patel, S.; Abdelouahab, H.; Wittner, M.; Willekens, C.; Shen, S.; Betems, A.; Joulin, V.; Opolon, P.; Bawa, O.; Pasquier, F.; Ito, M.; Fujii, N.; Gonin, P.; Solary, E.; Vainchenker, W.; Coppo, P.; De Botton, S.; Louache, F.
CXCR4 inhibitors selectively eliminate CXCR4-expressing human acute myeloid leukemia cells in NOG mouse model.
Cell Death Dis. **2012,** *3* (10), e396.

516. Otani, Y.; Kijima, T.; Kohmo, S.; Oishi, S.; Minami, T.; Nagatomo, I.; Takahashi, R.;

Hirata, H.; Suzuki, M.; Inoue, K.; Takeda, Y.; Kida, H.; Tachibana, I.; Fujii, N.; Kumanogoh, A.

Suppression of metastases of small cell lung cancer cells in mice by a peptidic CXCR4 inhibitor TF14016.

FEBS Lett. **2012,** *586* (20), 3639-3644.

517. Mizuhara, T.; Oishi, S.; Ohno, H.; Shimura, K.; Matsuoka, M.; Fujii, N.

Structure-activity relationship study of pyrimido[1,2-*c*][1,3]benzothiazin-6-imine derivatives for potent anti-HIV agents.

Bioorg. Med. Chem. **2012,** *20* (21), 6434-6441.

518. Chiba, H.; Sakai, Y.; Oishi, S.; Fujii, N.; Ohno, H.

Lewis-acid-mediated ring-exchange reaction of dihydrobenzofurans and its application to the formal total synthesis of (-)-quinocarcinamide.

Tetrahedron Lett. **2012,** *53* (46), 6273-6276.

519. Tanaka, G.; Nakase, I.; Fukuda, Y.; Masuda, R.; Oishi, S.; Shimura, K.; Kawaguchi, Y.; Takatani-Nakase, T.; Langel, U.; Graslund, A.; Okawa, K.; Matsuoka, M.; Fujii, N.; Hatanaka, Y.; Futaki, S.

CXCR4 stimulates macropinocytosis: implications for cellular uptake of arginine-rich cell-penetrating peptides and HIV.

Chem. Biol. **2012,** *19* (11), 1437-1446.

520. Hattori, Y.; Nakamura, T.; Ohno, H.; Fujii, N.; Maitani, Y.

siRNA delivery into tumor cells by lipid-based nanoparticles composed of hydroxyethylated cholesteryl triamine.

Int. J. Pharm. **2013,** *443* (1-2), 221-229.

521. Mizuhara, T.; Oishi, S.; Ohno, H.; Shimura, K.; Matsuoka, M.; Fujii, N.

Design and synthesis of biotin- or alkyne-conjugated photoaffinity probes for studying the target molecules of PD 404182.

Bioorg. Med. Chem. **2013,** *21* (7), 2079-2087.

522. Izumi, K.; Kawaji, K.; Miyamoto, F.; Shimane, K.; Shimura, K.; Sakagami, Y.; Hattori, T.; Watanabe, K.; Oishi, S.; Fujii, N.; Matsuoka, M.; Kaku, M.; Sarafianos, S. G.; Kodama, E. N.

Mechanism of resistance to S138A substituted enfuvirtide and its application to peptide design.

Int. J. Biochem. Cell Biol. **2013,** *45* (4), 908-915.

523. Misu, R.; Noguchi, T.; Ohno, H.; Oishi, S.; Fujii, N.

Structure-activity relationship study of tachykinin peptides for the development of novel neurokinin-3 receptor selective agonists.

Bioorg. Med. Chem. **2013,** *21* (8), 2413-2417.

524. Misu, R.; Oishi, S.; Setsuda, S.; Noguchi, T.; Kaneda, M.; Ohno, H.; Evans, B.; Navenot, J.-M.; Peiper, S. C.; Fujii, N.

Characterization of the receptor binding residues of kisspeptins by positional scanning using peptide photoaffinity probes.

Bioorg. Med. Chem. Lett. **2013,** *23* (9), 2628-2631.

525. Hattori, Y.; Nakamura, T.; Ohno, H.; Fujii, N.; Maitani, Y.

Enhanced plasmid DNA transfer into tumor cells by nanoparticle composed of cholesteryl triamine and diamine.

Biol. Pharm. Bull. **2013,** *36* (5), 856-860.

526. Yoshimitsu, Y.; Miyagaki, J.; Oishi, S.; Fujii, N.; Ohno, H.

Synthesis of pachastrissamine (jaspine B) and its derivatives by the late-stage

introduction of the C-2 alkyl side-chains using olefin cross metathesis.
Tetrahedron **2013,** *69* (21), 4211-4220.

527. Hou, Z.; Oishi, S.; Suzuki, Y.; Kure, T.; Nakanishi, I.; Hirasawa, A.; Tsujimoto, G.; Ohno, H.; Fujii, N.
Diversity-oriented synthesis of pyrazolo[4,3-*b*]indoles by gold-catalysed three-component annulation: application to the development of a new class of CK2 inhibitors.
Org. Biomol. Chem. **2013,** *11* (20), 3288-3296.

528. Yoshikawa, Y.; Oishi, S.; Kubo, T.; Tanahara, N.; Fujii, N.; Furuya, T.
Optimized method of G-protein-coupled receptor homology modeling: its application to the discovery of novel CXCR7 ligands.
J. Med. Chem. **2013,** *56* (11), 4236-4251.

529. Yoshimitsu, Y.; Inuki, S.; Oishi, S.; Fujii, N.; Ohno, H.
Palladium-catalyzed medium-ring formation for construction of the core structure of laurencia oxacycles: synthetic study of laurendecumallene B.
Org. Lett. **2013,** *15* (12), 3046-3049.

530. Chiba, H.; Sakai, Y.; Ohara, A.; Oishi, S.; Fujii, N.; Ohno, H.
Convergent synthesis of (-)-quinocarcin based on the combination of Sonogashira coupling and gold(I)-catalyzed 6-*endo*-*dig* hydroamination.
Chem. - Eur. J. **2013,** *19* (27), 8875-8883.

531. Noguchi, T.; Oishi, S.; Honda, K.; Kondoh, Y.; Saito, T.; Kubo, T.; Kaneda, M.; Ohno, H.; Osada, H.; Fujii, N.
Affinity-based screening of MDM2/MDMX-p53 interaction inhibitors by chemical array: identification of novel peptidic inhibitors.
Bioorg. Med. Chem. Lett. **2013,** *23* (13), 3802-3805.

532. Kobayashi, Y.; Oishi, S.; Kobayashi, K.; Ohno, H.; Tsutsumi, H.; Hata, Y.; Fujii, N.
Synthesis and functional analysis of deferriferrichrysin derivatives: application to colorimetric pH indicators.
Bioorg. Med. Chem. **2013,** *21* (14), 4296-4300.

533. Shimane, K.; Kawaji, K.; Miyamoto, F.; Oishi, S.; Watanabe, K.; Sakagami, Y.; Fujii, N.; Shimura, K.; Matsuoka, M.; Kaku, M.; Sarafianos, S. G.; Kodama, E. N.
HIV-1 resistance mechanism to an electrostatically constrained peptide fusion inhibitor that is active against T-20-resistant strains.
Antimicrob. Agents Chemother. **2013,** *57* (8), 4035-4038.

534. Mizuhara, T.; Kato, T.; Hirai, A.; Kurihara, H.; Shimada, Y.; Taniguchi, M.; Maeta, H.; Togami, H.; Shimura, K.; Matsuoka, M.; Okazaki, S.; Takeuchi, T.; Ohno, H.; Oishi, S.; Fujii, N.
Structure-activity relationship study of phenylpyrazole derivatives as a novel class of anti-HIV agents.
Bioorg. Med. Chem. Lett. **2013,** *23* (16), 4557-4561.

535. Suzuki, T.; Tokimizu, Y.; Sakano, Y.; Katoono, R.; Fujiwara, K.; Naoe, S.; Fujii, N.; Ohno, H.
5,10-Dihydrobenzo[*a*]indolo[2,3-*c*]carbazole: a highly fluorescent disk-shaped electron donor exhibiting dual UV-vis-NIR and fluorescence spectral changes upon electrolysis.
Chem. Lett. **2013,** *42* (9), 1001-1003.

536. Nakahara, T.; Uenoyama, Y.; Iwase, A.; Oishi, S.; Nakamura, S.; Minabe, S.; Watanabe, Y.; Deura, C.; Noguchi, T.; Fujii, N.; Kikkawa, F.; Maeda, K.-i.; Tsukamura, H.
Chronic peripheral administration of κ-opioid receptor antagonist advances puberty onset associated with acceleration of pulsatile luteinizing hormone secretion in female rats.

J. Reprod. Dev. **2013,** *59* (5), 479-484.

537. Naniwa, Y.; Nakatsukasa, K.; Setsuda, S.; Oishi, S.; Fujii, N.; Matsuda, F.; Uenoyama, Y.; Tsukamura, H.; Maeda, K.-i.; Ohkura, S.
Effects of full-length kisspeptin administration on follicular development in Japanese black beef cows.
J. Reprod. Dev. **2013,** *59* (6), 588-594.

538. Suzuki, T.; Sakano, Y.; Tokimizu, Y.; Miura, Y.; Katoono, R.; Fujiwara, K.; Yoshioka, N.; Fujii, N.; Ohno, H.
Wurster's blue-type cation radicals framed in a 5,10-dihydrobenzo[*a*]indolo[2,3-*c*]carbazole (BIC) skeleton: dual electrochromism with drastic changes in UV/Vis/NIR and fluorescence.
Chem. - Asian J. **2014,** *9* (7), 1841-1846.

539. Iwata, A.; Inuki, S.; Oishi, S.; Fujii, N.; Ohno, H.
Synthesis of fused tetracyclic spiroindoles via palladium-catalysed cascade cyclisation.
Chem. Commun. **2014,** *50* (3), 298-300.

540. Wieteck, M.; Tokimizu, Y.; Rudolph, M.; Rominger, F.; Ohno, H.; Fujii, N.; Hashmi, A. S. K.
Dual gold catalysis: synthesis of polycyclic compounds via C-H insertion of gold vinylidenes.
Chem. - Eur. J. **2014,** *20* (49), 16331-16336.

541. Sano, K.; Masuda, R.; Hisada, H.; Oishi, S.; Shimokawa, K.; Ono, M.; Fujii, N.; Saji, H.; Mukai, T.
A radiogallium-DOTA-based bivalent peptidic ligand targeting a chemokine receptor, CXCR4, for tumor imaging.

Bioorg. Med. Chem. Lett. **2014,** *24* (5), 1386-1388.

542. Takeuchi, T.; Oishi, S.; Kaneda, M.; Ohno, H.; Nakamura, S.; Nakanishi, I.; Yamane, M.; Sawada, J.-i.; Asai, A.; Fujii, N.
Kinesin spindle protein inhibitors with diaryl amine scaffolds: crystal packing analysis for improved aqueous solubility.
ACS Med. Chem. Lett. **2014,** *5* (5), 566-571.

543. Tokimizu, Y.; Oishi, S.; Fujii, N.; Ohno, H.
Gold-catalyzed cascade cyclization of (azido)ynamides: an efficient strategy for the construction of indoloquinolines.
Org. Lett. **2014,** *16* (11), 3138-3141.

544. Takeuchi, T.; Oishi, S.; Kaneda, M.; Misu, R.; Ohno, H.; Sawada, J.-i.; Asai, A.; Nakamura, S.; Nakanishi, I.; Fujii, N.
Optimization of diaryl amine derivatives as kinesin spindle protein inhibitors.
Bioorg. Med. Chem. **2014,** *22* (12), 3171-3179.

545. Kaneda, M.; Misu, R.; Ohno, H.; Hirasawa, A.; Ieda, N.; Uenoyama, Y.; Tsukamura, H.; Maeda, K.-i.; Oishi, S.; Fujii, N.
Design and synthesis of fluorescent probes for GPR54.
Bioorg. Med. Chem. **2014,** *22* (13), 3325-3330.

546. Tsutsui, A.; Imamaki, R.; Kitazume, S.; Hanashima, S.; Yamaguchi, Y.; Kaneda, M.; Oishi, S.; Fujii, N.; Kurbangalieva, A.; Taniguchi, N.; Tanaka, K.
Polyamine modification by acrolein exclusively produces 1,5-diazacyclooctanes: a previously unrecognized mechanism for acrolein-mediated oxidative stress.
Org. Biomol. Chem. **2014,** *12* (28), 5151-5157.

547. Misu, R.; Oishi, S.; Yamada, A.; Yamamura, T.; Matsuda, F.; Yamamoto, K.; Noguchi,

T.; Ohno, H.; Okamura, H.; Ohkura, S.; Fujii, N.

Development of novel neurokinin 3 receptor (NK3R) selective agonists with resistance to proteolytic degradation.

J. Med. Chem. **2014,** *57* (20), 8646-8651.

548. Nabika, R.; Oishi, S.; Misu, R.; Ohno, H.; Fujii, N.

Synthesis of IB-01212 by multiple N-methylations of peptide bonds.

Bioorg. Med. Chem. **2014,** *22* (21), 6156-6162.

549. Wieteck, M.; Tokimizu, Y.; Rudolph, M.; Rominger, F.; Ohno, H.; Fujii, N.; Hashmi, A. S. K.

Dual gold catalysis: synthesis of polycyclic compounds via C-H insertion of gold vinylidenes.

Chem. - Eur. J. **2014,** *20* (49), 16331-16336.

550. Kawabata, H.; Uchiyama, T.; Sakamoto, S.; Kanda, J.; Oishi, S.; Fujii, N.; Tomosugi, N.; Kadowaki, N.; Takaori-Kondo, A.

A HAMP promoter bioassay system for identifying chemical compounds that modulate hepcidin expression.

Exp. Hematol. **2015,** *43* (5), 404-413.

551. Hattori, Y.; Hara, E.; Shingu, Y.; Minamiguchi, D.; Nakamura, A.; Arai, S.; Ohno, H.; Kawano, K.; Fujii, N.; Yonemochi, E.

siRNA delivery into tumor cells by cationic cholesterol derivative-based nanoparticles and liposomes.

Biol. Pharm. Bull. **2015,** *38* (1), 30-38.

552. Nabika, R.; Suyama, T. L.; Hau, A. M.; Misu, R.; Ohno, H.; Ishmael, J. E.; McPhail, K. L.; Oishi, S.; Fujii, N.

Synthesis and biological evaluation of the [D-MeAla[11]]-epimer of coibamide A.
Bioorg. Med. Chem. Lett. **2015,** *25* (2), 302-306.

553. Tsunematsu, Y.; Nishimura, S.; Hattori, A.; Oishi, S.; Fujii, N.; Kakeya, H.
Isolation, structure elucidation, and total synthesis of tryptopeptins A and B, new TGF-β signaling modulators from Streptomyces sp.
Org. Lett. **2015,** *17* (2), 258-261.

554. Matsuda, Y.; Naoe, S.; Oishi, S.; Fujii, N.; Ohno, H.
Formal [4+2] reaction between 1,3-diynes and pyrroles: gold(I)-catalyzed indole synthesis by double hydroarylation.
Chem. - Eur. J. **2015,** *21* (4), 1463-1467.

555. Tokimizu, Y.; Wieteck, M.; Rudolph, M.; Oishi, S.; Fujii, N.; Hashmi, A. S. K.; Ohno, H.
Dual gold catalysis: a novel synthesis of bicyclic and tricyclic pyrroles from *N*-propargyl ynamides.
Org. Lett. **2015,** *17* (3), 604-607.

556. Miyamoto, F.; Kawaji, K.; Kodama, E. N.; Oishi, S.; Fujii, N.; Kaku, M.
Anti-HIV-1 activity determined by β-galactosidase activity in the multinuclear activation of an indicator assay is comparable with that by a conventional focus counting method.
Antivir. Chem. Chemother. **2015,** *24* (2) 77-82.

557. Montpas, N.; Cabana, J.; St-Onge, G.; Gravel, S.; Morin, G.; Kuroyanagi, T.; Lavigne, P.; Fujii, N.; Oishi, S.; Heveker, N
Mode of binding of the cyclic agonist peptide TC14012 to CXCR7: identification of receptor and compound determinants.
Biochemistry **2015,** *54* (7), 1505-1515.

558. Misu, R.; Yamamoto, K.; Yamada, A.; Noguchi, T.; Ohno, H.; Yamamura, T.; Okamura,

H.; Matsuda, F.; Ohkura, S.; Oishi, S.; Fujii, N.

Structure-activity relationship study on senktide for development of novel potent neurokinin-3 receptor selective agonists.

MedChemComm **2015,** *6* (3), 469-476.

559. Okazaki, S.; Mizuhara, T.; Shimura, K.; Murayama, H.; Ohno, H.; Oishi, S.; Matsuoka, M.; Fujii, N.

Identification of anti-HIV agents with a novel benzo[4,5]isothiazolo[2,3-*a*]pyrimidine scaffold.

Bioorg. Med. Chem. **2015,** *23* (7), 1447-1452.

560. Naoe, S.; Saito, T.; Uchiyama, M.; Oishi, S.; Fujii, N.; Ohno, H.

Direct construction of fused indoles by gold-catalyzed cascade cyclization of conjugated diynes.

Org. Lett. **2015,** *17* (7), 1774-1777.

561. Yasuda, Y.; Arakawa, T.; Nawata, Y.; Shimada, S.; Oishi, S.; Fujii, N.; Nishimura, S.; Hattori, A.; Kakeya, H.

Design, synthesis, and structure-activity relationships of 1-ethylpyrazole-3-carboxamide compounds as novel hypoxia-inducible factor (HIF)-1 inhibitors.

Bioorg. Med. Chem. **2015,** *23* (8), 1776-1787.

562. Okazaki, S.; Oishi, S.; Mizuhara, T.; Shimura, K.; Murayama, H.; Ohno, H.; Matsuoka, M.; Fujii, N.

Investigations of possible prodrug structures for 2-(2-mercaptophenyl)tetrahydro-pyrimidines: reductive conversion from anti-HIV agents with pyrimidobenzothiazine and isothiazolopyrimidine scaffolds.

Org. Biomol. Chem. **2015,** *13* (16), 4706-4713.

563. Zenda, M.; Yasui, H.; Oishi, S.; Masuda, R.; Fujii, N.; Koide, T.
A cisplatin derivative that inhibits collagen fibril-formation in vitro.
Chem. Biol. Drug Des. **2015,** *85* (5), 519-526.

564. Takenaga, M.; Yamamoto, Y.; Takeuchi, T.; Ohta, Y.; Tokura, Y.; Hamaguchi, A.; Asai, D.; Nakashima, H.; Oishi, S.; Fujii, N.
Potential new chemotherapy strategy for human ovarian carcinoma with a novel KSP inhibitor.
Biochem. Biophys. Res. Commun. **2015,** *463* (3), 222-228.

565. Tokimizu, Y.; Oishi, S.; Fujii, N.; Ohno, H.
Gold-catalyzed cascade cyclization of 2-alkynyl-*N*-propargylanilines by rearrangement of a propargyl group.
Angew. Chem., Int. Ed. **2015,** *54* (27), 7862-7866.

566. Oishi, S.; Kuroyanagi, T.; Kubo, T.; Montpas, N.; Yoshikawa, Y.; Misu, R.; Kobayashi, Y.; Ohno, H.; Heveker, N.; Furuya, T.; Fujii, N.
Development of novel CXC chemokine receptor 7 (CXCR7) ligands: selectivity switch from CXCR4 antagonists with a cyclic pentapeptide scaffold.
J. Med. Chem. **2015,** *58* (13), 5218-5225.

567. Iwata, A.; Inuki, S.; Oishi, S.; Fujii, N.; Ohno, H.
Convenient synthesis of spiroindole derivatives via palladium-catalyzed cyclization of propargyl chlorides.
Tetrahedron **2015,** *71* (37), 6580-6585.

568. Shimura, K.; Miyazato, P.; Oishi, S.; Fujii, N.; Matsuoka, M.
Impact of HIV-1 infection pathways on susceptibility to antiviral drugs and on virus spread.

Virology **2015,** *484*, 364-376.

569. Taguchi, M.; Tokimizu, Y.; Oishi, S.; Fujii, N.; Ohno, H.
Synthesis of fused carbazoles by gold-catalyzed tricyclization of conjugated diynes via rearrangement of an *N*-propargyl group.
Org. Lett. **2015,** *17* (24), 6250-6253.

570. Ohno, H.; Minamiguchi, D.; Nakamura, D.; Shu, K.; Okazaki, S.; Honda, M.; Misu, R.; Moriwaki, H.; Nakanishi, S.; Oishi, S.; Kinoshita, T.; Nakanishi, I.; Fujii, N.
Structure–activity relationship study of 4-(thiazol-5-yl)benzoic acid derivatives as potent protein kinase CK2 inhibitors.
Bioorg. Med. Chem. doi: 10.1016/j.bmc.2016.01.043.

学会紀要（Proceedings）

1. Yajima, H.; Fujii, N.; Ogawa, H.; Irie, H.; Shinagawa, S.; Fujino, M.
   Synthesis of a methionine containing peptide. I. Side reaction of methionine occurring in a treatment with methanesulfonic acid.
   PEPTIDE CHEMISTRY 1976 (14th) 57-60.

2. Fujii, N.; Koyama, K.; Yajima, H.
   Synthesis of the protected tetrapentacosapeptide, bovine pancreatic RNase (71-124).
   PEPTIDE CHEMISTRY 1976 (14th) 77-80.

3. Sasaki, T.; Funakoshi, S.; Fujii, N.; Irie, H.; Yajima, H.
   Convenient procedure for the preparation of methionine sulfoxide derivatives.
   PEPTIDE CHEMISTRY 1977 (15th) 15-18.

4. Fujii, N.; Koyama, K.; Yajima, H.
   Synthesis of the protected pentanonacontapeptide, bovine pancreatic ribonuclease A (30-124).
   PEPTIDE CHEMISTRY 1977 (15th) 201-204.

5. Fujii, N.; Yajima, H.
   Synthesis of the protected S-protein and S-peptide of bovine pancreatic ribonuclease A.
   PEPTIDE CHEMISTRY 1978 (16th) 207-210.

6. Fujii, N.; Yajima, H.
   Total synthesis of bovine pancreatic ribonuclease A.
   PEPTIDE CHEMISTRY 1979 (17th) 219-222.

7. Funakoshi, S.; Akaji, K.; Fujii, N.; Irie, H.; Yajima, H.
   Chemical behavior of S-substituted cysteine sulfoxides.

PEPTIDE CHEMISTRY 1979 (17th) 95-98.

8. Akaji, K.; Fujii, N.; Yajima, H.; Moriga, M.; Aono, M.; Takagi, A.
   Synthesis of gastrin releasing peptide, using a new carboxyl-activating reagent, 3-acylthiazoline-2-thione.
   PEPTIDE CHEMISTRY 1980 (18th) 219-222.

9. Yajima, H.; Fujii, N.
   Chemical synthesis of bovine pancreatic ribonuclease A.
   Peptides (Sci. Press): **1980**; pp 157-161.

10. Akaji, K.; Fujii, N.; Yajima, H.; Moriga, M.
    Synthesis of avian gastric peptide.
    PEPTIDE CHEMISTRY 1981 (19th) 105-108.

11. Nishi, N.; Tsutsumi, A.; Morishige, M.; Fujii, N.; Takeyama, M.; Kiyama, S.; Yajima, H.
    Apparent autolysis of the N-terminal tetrapeptide of vasoactive intestinal polypeptide(VIP).
    PEPTIDE CHEMISTRY 1982 (20th) 91-96.

12. Akaji, K.; Fujii, N.; Yajima, H.; Hayashi, K.; Takagi, A.; Moriga, M.
    Synthesis of mouse epidermal growth factor (EGF).
    PEPTIDE CHEMISTRY 1982 (20th) 139-142.

13. Akaji, K.; Fujii, N.; Yajima, H.; Hayashi, K.; Takagi, A.; Moriga, M.
    Protein synthesis by solution method.
    Peptides (Elsevier): **1983**; pp 63-72.

14. Sakina, K.; Fujii, N.; Yajima, H.,
    Isolation of colipase from porcine pancreas.

PEPTIDE CHEMISTRY 1983 (21st) 115-118.

15. Fujii, N.; Hayashi, Y.; Futaki, S.; Katakura, S.; Nomizu, M.; Yajima, H.; Shih, J. W. K.; Gerety, R. J.; Liu, T. Y.
Synthesis of peptide fragments of hepatitis B virus surface antigen (HBsAg) and their immunogenicity.
PEPTIDE CHEMISTRY 1983 (21st) 215-220.

16. Shimokura, M.; Fujii, N.; Akaji, K.; Kiyama, S.; Nomizu, M.; Yajima, H.; Shono, F.; Tsuda, M.; Yoshitake, A.
Solution syntheses of human growth hormone releasing factors, GRF-44-NH_2, GRF-40-OH and GRF-37-NH_2.
PEPTIDE CHEMISTRY 1983 (21st) 279-284.

17. Yajima, H.; Fujii, N.; Akaji, K.
Protein synthesis by solution method.
Peptides (Elsevier): **1984**; pp 331-339.

18. Shih, J. W. K.; Gerety, R. J.; Liu, D. T. Y.; Yajima, H.; Fujii, N.; Nomizu, M.; Hayashi, Y.; Katakura, S.
Immunogenicity of the unconjugated synthetic polypeptides of hepatitis-B surface antigen.
The Immune Response to Viral Infections (Plenum Press): **1984**; pp 127-132.

19. Hayashi, Y.; Akaji, K.; Fujii, N.; Yajima, H.
Application of a new heterobifunctional conjugating reagent, DPNVB, and a new SH-introducing reagent, MTPTT.
PEPTIDE CHEMISTRY 1984 (22nd) 19-22.

20. Futaki, S.; Akaji, K.; Katakura, S.; Sakurai, M.; Fujii, N.; Yajima, H.

Synthesis of galanin using a new aspartic acid derivative, β-cycloheptyl aspartate.
PEPTIDE CHEMISTRY 1984 (22nd) 23-26.

21. Nomizu, M.; Watanabe, K.; Katakura, S.; Shimokura, M.; Akaji, K.; Fujii, N.; Yajima, H.; Shono, F.; Tsuda, M.; Yoshitake, A.; Tsukada, T.; Imura, H.
Total synthesis of human corticotropin releasing factor (hCRF).
PEPTIDE CHEMISTRY 1984 (22nd) 143-146.

22. Sakurai, M.; Fujii, N.; Akaji, K.; Funakoshi, S.; Nomizu, M.; Aono, M.; Moriga, M.; Inoue, K.; Hosotani, R.
Application of γ-cycloheptyl glutamate to the synthesis of human GIP.
PEPTIDE CHEMISTRY 1985 (23rd) 73-6.

23. Kuno, S.; Otaka, A.; Fujii, N.; Funakoshi, S.; Yajima, H.
A new reducing reagent of methionine sulfoxide and S-protected cysteine sulfoxide.
PEPTIDE CHEMISTRY 1985 (23rd) 143-146.

24. Otaka, A.; Fujii, N.; Bessho, K.; Yajima, H.
Application of thallium(III) trifluoroacetate for the synthesis of cystine-containing peptide.
PEPTIDE CHEMISTRY 1986 (24th) 279-284.

25. Yajima, H.; Fujii, N.; Funakoshi, S.; Otaka, A.
Hard acid-deprotecting procedure for peptide synthesis.
PEPTIDE CHEMISTRY 1987 (25th) 209-212.

26. Fujii, N.; Otaka, A.; Ikemura, O.; Watanabe, T.; Arai, H.; Funakoshi, S.; Yajima, H.
Two new disulfide bonding reactions for the synthesis of cystine peptides
Peptides (ESCOM Sci. Pub.): **1988**; pp 217-219.

27. Nomizu, M.; Inagaki, Y.; Asano, K.; Fujii, N.; Ikemura, O.; Otaka, A.; Yajima, H.
Application of trimethylsilyl trifluoromethanesulfonate deprotection procedure for solid phase peptide synthesis.
Peptides (ESCOM Sci. Pub.): **1988**; pp 255-258.

28. Funakoshi, S.; Tamamura, H.; Fujii, N.; Yoshizawa, K.; Yajima, H.; Funakoshi, A.
Combination of a new amide-precursor reagent and trimethylsilyl bromide deprotection for the FMOC-based solid-phase synthesis of human pancreastatin and one of its fragments.
PEPTIDE CHEMISTRY 1988 (26th) 139-142.

29. Otaka, A.; Fujii, N.; Funakoshi, S.; Yajima, H.
Trimethylsilyl bromide (TMSBr) deprotecting procedure for the FMOC-based solid phase synthesis.
PEPTIDE CHEMISTRY 1988 (26th) 143-146.

30. Fujii, N.; Funakoshi, S.; Otaka, A.; Morimoto, H.; Tamamura, H.; Carpino, L. A.; Yajima, H.
Simultaneous and multiple peptide synthesis.
PEPTIDE CHEMISTRY 1988 (26th) 147-152.

31. Otaka, A.; Morimoto, H.; Fujii, N.; Yajima, H.
Evaluation of *S*-benzyloxymethylcysteine [Cys(Bom)] for peptide synthesis.
PEPTIDE CHEMISTRY 1988 (26th) 26th, 207-210.

32. Morimoto, H.; Fujii, N.; Otaka, A.; Kuramochi, K.; Yajima, H.
Silver trifluoromethanesulfonate, as an *S*-ACM deprotecting reagent and its application to the synthesis of endothelin and endothelin-like peptide.
PEPTIDE CHEMISTRY 1988 (26th) 211-214.

33. Fujii, N.; Funakoshi, S.; Koide, T.; Masuda, M.; Otaka, A.; Yajima, H.
New methods for the synthesis of cystine-containing peptide.
The *3rd Akabori Conference (*Max-Planck-Inst. Biochem.): **1989**; pp 1-6.

34. Koide, T.; Otaka, A.; Arai, H.; Funakoshi, S.; Fujii, N.; Yajima, H.
Synthetic studies on cystine-containing peptides using regioselective disulfide bond-forming reactions.
PEPTIDE CHEMISTRY 1989 (27th) 171-174.

35. Fujii, N.; Okamachi, A.; Funakoshi, S.; Kuroda, M.; Hayashi, Y.; Yajima, H.; Fukada, J.; Imura, H.; Bessalle, R.; Fridkin, M.
Synthesis and biological activities of rabbit corticostatin (rCS).
Peptides (ESCOM Sci. Pub.): **1990**; pp 241-243.

36. Nomizu, M.; Inagaki, Y.; Iwamatsu, A.; Kashiwabara, T.; Ohta, H.; Morita, A.; Nishikori, K.; Otaka, A.; Fujii, N.; Yajima, H.
Application of two-step hard acid deprotection/cleavage procedures to the solid-phase synthesis of the putative precursor of human endothelin.
Peptides (ESCOM Sci. Pub.): **1990**; pp 276-278.

37. Fujii, N.; Habashita, H.; Funakoshi, S.; Ibuka, T.; Yamamoto, Y.
Highly selective synthesis of (*E*)-alkene isosteric dipeptides with high optical purity via organocyanocopper-boron trifluoride mediated reaction.
PEPTIDE CHEMISTRY 1990 (28th) 1-4.

38. Matsuzaki, K.; Harada, M.; Funakoshi, S.; Fujii, N.; Miyajima, K.
Interactions of antimicrobial peptides, magainins, with acidic lipid bilayers.
PEPTIDE CHEMISTRY 1990 (28th) 389-394.

39. Funakoshi, S.; Fukuda, H.; Fujii, N.

Chemoselective one-step purification method for peptides synthesized by the solid-phase technique.

PEPTIDE CHEMISTRY 1991 (29th) 33-36.

40. Fujii, N.; Habashita, H.; Shigemori, N.; Otaka, A.; Ibuka, T.; Tanaka, M.; Yamamoto, Y. A simple synthesis of *ψ*[(*E*)CH=CH]Gly dipeptide isosteres via reductive elimination of γ-oxygenated α,β-enoates with alkenylcopper reagents.

PEPTIDE CHEMISTRY 1991 (29th) 183-186.

41. Matsuzaki, K.; Murase, O.; Tokuda, H.; Funakoshi, S.; Fujii, N.; Miyajima, K. Interactions of Trp-substituted magainins with lipid bilayers.

PEPTIDE CHEMISTRY 1991 (29th) 269-274.

42. Funakoshi, S.; Fukuda, H.; Fujii, N.

Chemoselective one-step purification method for peptides synthesized by the solid-phase technique.

Peptides (ESCOM): **1992**; pp 627-628.

43. Matsuzaki, K.; Murase, O.; Tokuda, H.; Funakoshi, S.; Fujii, N.; Miyajima, K. Orientation and aggregation of magainin in lipid bilayers.

PEPTIDE CHEMISTRY 1992 (30st) 673-676.

44. Matsuzaki, K.; Murase, O.; Funakoshi, S.; Fujii, N.; Miyajima, K.

Interactions of C terminal truncated magainin 2 with lipid membranes.

PEPTIDE CHEMISTRY 1992 (30st) 691-693.

45. Tamamura, H.; Otaka, A.; Koide, T.; Fujii, N.

Stepwise disulfide bond formation using dimethylsulfoxide / aqueous HCl system.

PEPTIDE CHEMISTRY 1993 (31st) 73-76.

46. Terakawa, Y.; Tamamura, H.; Otaka, A.; Masuda, M.; Koide, T.; Matsuzaki, K.; Kuroda, M.; Miyajima, K.; Fujii, N.; Nakashima, H.; Oshiro, Y.; Yamamoto, N.; Waki, M.; Matsumoto, A.
Structure-activity relationships of T22 ([Tyr, Lys]-polyphemusin II) as an anti-HIV agent.
PEPTIDE CHEMISTRY 1993 (31st) 221-224.

47. Kuroda, M.; Yamazaki, K.; Fujii, N.; Taga, T.
Conformational analysis of tetragastrin in DMSO by Monte-Carlo simulation.
PEPTIDE CHEMISTRY 1993 (31st) 457-460.

48. Koide, T.; Itoh, H.; Otaka, A.; Kurod, M.; Fujii, N.
Synthesis of selenocystine-containing peptides.
Peptides (ESCOM): **1993**; pp 76-78.

49. Funakoshi, S.; Fukuda, H.; Fujii, N.
The affinity purification procedure for peptide synthesized by the solid-phase technique.
Peptides (ESCOM): **1993**; pp 99-102.

50. Matsuzaki, K.; Komori, M.; Fukui, M.; Funakoshi, S.; Fujii, N.; Miyajima, K.
Effects of membrane charge and transmembrane potential on the interactions of β-sheet peptides (tachyplesin I, defensin, gramicidin S) with lipid bilayers.
Peptides (ESCOM): **1993**; pp 694-696.

51. Otaka, A.; Miyoshi, K.; Kaneko, M.; Burke, T. R., Jr.; Roller, P. P.; Tamamura, H.; Fujii, N.
Synthesis and biological activity of phosphatases resistant phosphoamino acid mimetics containing peptides.
PEPTIDE CHEMISTRY 1994 (32nd) 9-12.

52. Matsuzaki, K.; Yoneyama, S.; Murase, O.; Fujii, N.; Miyajima, K.

A novel mechanism of membrane-acting antimicrobial peptides: Translocation of peptides through lipid membranes.

PEPTIDE CHEMISTRY 1994 (32nd) 137-140.

53. Suzuki, K.; Ibuka, T.; Taga, T.; Miwa, Y.; Mimura, N.; Tamamura, H.; Otaka, A.; Fujii, N.

Stereoselective synthesis of L-vinylglycine derivatives.

PEPTIDE CHEMISTRY 1994 (32nd) 165-168.

54. Otaka, A.; Miyoshi, K.; Burke, T. R., Jr.; Roller, P. P.; Tamamura, H.; Fujii, N.

Application of Ser(OPO_3Me_2) derivative to the synthesis of phosphoserine-containing peptide.

PEPTIDE CHEMISTRY 1994 (32nd) 193-196.

55. Kuroda, Y.; Ogawa, M.; Fujii, N.; Nakagawa, T.

^{1}H-NMR spectroscopic studies on the interaction between local anesthetic dibucaine and a Na^+-channel inactivation gate peptide.

Bull. Magn. Reson. **1995,** *17* (1-4, Proceedings of the International Society of Magnetic Resonance XIIth Meeting, Part 1), 262-263.

56. Miyoshi, K.; Otaka, A.; Kaneko, M.; Tamamura, H.; Fujii, N.

Practical phosphopeptides synthesis using dimethylphosphono amino acids (No.2).

PEPTIDE CHEMISTRY 1995 (33rd) 25-28.

57. Waki, M.; Waki, K.; Miyamoto, K.; Matsumoto, A.; Tamamura, H.; Fujii, N.; Murakami, T.; Nakashima, H.; Yamamoto, N.

Design, synthesis and activity of shortened analogs of an anti-HIV peptide, T22.

PEPTIDE CHEMISTRY 1995 (33rd) 233-236.

58. Tamamura, H.; Otaka, A.; Murakami, T.; Waki, M.; Matsumoto, A.; Yamamoto, N.; Fujii,

N.

Identification of binding proteins of an anti-HIV peptide, T22.

PEPTIDE CHEMISTRY 1995 (33rd) 237-240.

59. Noda, M.; Ibuka, T.; Habashita, H.; Fujii, N.

A highly stereoselective synthesis of the functionalized (*E*)-alkene dipeptide isostere of Trp-Val via organocyanocopper-Lewis acid mediated reaction.

PEPTIDE CHEMISTRY 1996 (34th) 45-48.

60. Matsuzaki, K.; Nakamura, A.; Murase, O.; Sugishita, K.-i.; Fujii, N.; Miyajima, K.

Modulation of magainin 2-lipid bilayer interactions by peptide charge.

PEPTIDE CHEMISTRY 1996 (34th) 237-240.

61. Tamamura, H.; Otaka, A.; Murakami, T.; Waki, M.; Matsumoto, A.; Yamamoto, N.; Fujii, N.

Identification of a polyphemusin analog(T22)-Zn(II) complex by mass spectrometric analysis and its anti-HIV activity.

PEPTIDE CHEMISTRY 1996 (34th) 269-272.

62. Otaka, A.; Miyoshi, K.; Tamamura, H.; Roller, P. P.; Burker, T. R., Jr.; Fujii, N.

Development of a practical synthetic methodology using dimethylphosphono amino acid for phosphopeptides.

Peptides (Mayflower Scientific): **1996**; pp 442-443.

63. Otaka, A.; Miyoshi, K.; Kaneko, M.; Burke, T., Jr.; Roller, P.; Tamamura, H.; Fujii, N.

Development of new efficient deprotecting methodologies for the synthesis of phosphoamino acids-containing peptides.

Peptides (Mayflower Scientific): **1998**; pp 701-702.

64. Tamamura, H.; Omagari, A.; Murakami, T.; Arakaki, R.; Xu, Y.; Hattori, T.; Waki, M.; Matsumoto, A.; Nakashima, H.; Yamamoto, N.; Otaka, A.; Fujii, N.

HIV-cell fusion inhibitors targeted to the HIV second receptor: T22 and its downsized analogs with high activity.

PEPTIDE SCIENCE 1998 (35th) 49-52.

65. Otaka, A.; Mitsuyama, E.; Miyoshi, K.; Fujii, N.

Synthesis of nonhydrolyzable phosphothreonine derivatives and their practical application to peptide synthesis.

PEPTIDE SCIENCE 1998 (35th) 137-140.

66. Hirohashi, M.; Oishi, S.; Murakami, T.; Arakaki, R.; Xu, Y.; Hattori, T.; Yamamoto, N.; Koshiba, T.; Hosotani, R.; Imamura, M.; Mio, T.; Nagai, S.; Izumi, T.; Tamamura, H.; Otaka, A.; Fujii, N.

Synthesis of a CC chemokine, vMIP-II, encoded by Kaposi's sarcoma-associated herpesvirus and its biological activity.

PEPTIDE SCIENCE 1998 (35th) 285-288.

67. Fujii, N.; Hirohashi, M.; Oishi, S.; Akaji, M.; Omagari, A.; Otaka, A.; Ibuka, T.

Application of ring closing olefin metathesis to the conformational restriction of biologically active peptide. Part 1.

PEPTIDE SCIENCE 1999 (36th) 193-194.

68. Otaka, A.; Umeda, Y.; Oishi, S.; Ibuka, T.; Fujii, N.

New strategy for the synthesis of aspartyl protease inhibitor based on "aza-Payne rearrangement".

PEPTIDE SCIENCE 1999 (36th) 195-196.

69. Otaka, A.; Mitsuyama, E.; Oishi, S.; Miyoshi, K.; Tamamura, H.; Fujii, N.

Synthesis of nonhydrolyzable phosphothreonine mimetic and its practical application to peptide synthesis.

Peptides (Akademiai Kiado): **1999**; pp 264-265.

70. Hirohashi, M.; Tamamura, H.; Otaka, A.; Ibuka, T.; Arakaki, R.; Nakashima, H.; Fujii, N. Ring-closing metathesis produced a CXCR4 antagonist with anti-HIV activity. *Peptides* (Akademiai Kiado): **1999**; pp 662-663.

71. Otaka, A.; Mitsuyama, E.; Watanabe, H.; Tamamura, H.; Hayashi, T.; Nakao, K.; Fujii, N. Stereoselective synthesis of CF_2 or CHF-substituted phosphoamino acids and their incorporation into peptides. *PEPTIDE SCIENCE 2000 (37th)* 17-20.

72. Omagari, A.; Tamamura, H.; Oishi, S.; Nakashima, H.; Otaka, A.; Fujii, N. Development of specific CXCR4 inhibitors based on an anti-HIV peptide, T140, and their structure-activity relationships study. *PEPTIDE SCIENCE 2000 (37th)* 129-132.

73. Oishi, S.; Kamano, T.; Niida, A.; Kawaguchi, M.; Hosotani, R.; Imamura, M.; Yawata, N.; Ajito, K.; Tamamura, H.; Otaka, A.; Fujii, N. Efficient synthesis of cyclic RGD pseudopeptide with (*E*)-alkene dipeptide isostere and its biological activity. *PEPTIDE SCIENCE 2000 (37th)* 249-250.

74. Otaka, A.; Watanabe, H.; Watanabe, J.; Tamamura, H.; Fujii, N. Synthesis of fluoroalkene dipeptide isosteres utilizing organometallic reagents. *Peptides* (American Peptide Society): **2001**; pp 606-607.

75. Watanabe, J.; Otaka, A.; Watanabe, H.; Yukimasa, A.; Tamamura, H.; Fujii, N. Synthesis of fluoroalkene dipeptide isosteres utilizing organocopper or SmI_2 reagents. *PEPTIDE SCIENCE 2001 (38th)* 29-32.

76. Nakamura, M.; Otaka, A.; Kodama, E.; Matsuoka, M.; Uchiyama, S.; Nakamura, S.; Kobayashi, Y.; Tamamura, H.; Fujii, N.
Design and synthesis of highly active anti-HIV peptide based on Gp41-C34 peptide.
PEPTIDE SCIENCE 2001 (38th) 73-76.

77. Hiramatsu, K.; Tamamura, H.; Omagari, A.; Nakashima, H.; Xu, Y.; Matsuoka, M.; Otaka, A.; Fujii, N.
Synthesis of novel anti-HIV peptides based on a CXCR4 antagonist, T140, and their SAR study.
PEPTIDE SCIENCE 2001 (38th) 175-178.

78. Niida, A.; Oishi, S.; Kamano, T.; Tamamura, H.; Otaka, A.; Fujii, N.
Synthesis of Ψ[(*E*)-CMe=CMe]- and Ψ[(*E*)-CMe=CH]-type alkene dipeptide isosteres from a chiral amino acid.
PEPTIDE SCIENCE 2001 (38th) 237-240.

79. Oishi, S.; Kamano, T.; Niida, A.; Tamamura, H.; Otaka, A.; Fujii, N.
Synthesis of ψ[(*E*)-CH=CMe]- and ψ[(*Z*)-CH=CMe]-type dipeptide isosteres and their application to a cyclic RGD peptide.
PEPTIDE SCIENCE 2001 (38th) 241-244.

80. Takeshima, K.; Chikushi, A.; Kobayashi, S.; Lee, K.-K.; Yonehara, S.; Otaka, A.; Fujii, N.; Matsuzaki, K.
Translocation of basic peptides through human cell membranes.
PEPTIDE SCIENCE 2001 (38th) 321-324.

81. Oishi, S.; Kamano, T.; Niida, A.; Tamamura, H.; Otaka, A.; Fujii, N.
Organocopper-mediated stereoselective synthesis of multi-substituted alkene dipeptide isosteres and application to cyclic RGD peptides.

Peptides (Edizioni Ziino): **2002**; pp 244-245.

82. Otaka, A.; Nakamura, M.; Kodama, E.; Uchiyama, S.; Tamamura, H.; Kobayashi, Y.; Matsuoka, M.; Fujii, N.
Artificial remodeling of gp41-C34 peptide leads to effective HIV fusion inhibitor with high anti-HIV activity.
Peptides (Edizioni Ziino): **2002**; pp 838-839.

83. Otaka, A.; Nakamura, M.; Kodama, E.; Nakamura, S.; Nakano, H.; Uchiyama, S.; Tamamura, H.; Matsuoka, M.; Kobayashi, Y.; Fujii, N.
Development of fusion-inhibiting anti-HIV-1 peptides based on the structure of molecular complex involved in HIV-1-cell fusion.
PEPTIDE SCIENCE 2002 (39th) 65-68.

84. Nemoto, K.; Tamamura, H.; Mizokami, S.; Oishi, S.; Otaka, A.; Fujii, N.
Acid-catalyzed ring-opening reactions of β-aziridinyl-α,β-enoates and their application.
PEPTIDE SCIENCE 2002 (39th) 139-142.

85. Tamamura, H.; Kato, T.; Hori, T.; Otaka, A.; Fujii, N.
Stereoselective synthesis of peptidomimetics with hydroxyethylamine dipeptide isosteres utilizing aza-Payne rearrangement and *O*,*N*-acyl transfer reactions.
PEPTIDE SCIENCE 2002 (39th) 143-146.

86. Hiramatsu, K.; Tamamura, H.; Nakashima, H.; Otaka, A.; Fujii, N.
Synthesis of CXCR4 antagonists, T140 derivatives with improved biostability, and their SAR study.
PEPTIDE SCIENCE 2002 (39th) 213-216.

87. Otaka, A.; Sasaki, Y.; Katagiri, F.; Oishi, S.; Tamamura, H.; Fujii, N.
Synthesis of (*E*)-alkene trans-Xaa-Pro mimetics using organocopper reagents.

PEPTIDE SCIENCE 2002 (39th) 357-360.

88. Ueda, S.; Tomita, K.; Otaka, A.; Tamamura, H.; Yano, Y.; Matsuzaki, K.; Fujii, N.
Synthetic studies on 7TM-GPCR (I): Lipid bilayer assisted membrane peptide ligation.
PEPTIDE SCIENCE 2003 (40th) 53-56.

89. Tamamura, H.; Hori, A.; Kanzaki, N.; Hiramatsu, K.; Mizumoto, M.; Nakashima, H.; Yamamoto, N.; Otaka, A.; Fujii, N.
CXCR4 antagonists identified as anti-cancer-metastatic agents.
PEPTIDE SCIENCE 2003 (40th) 65-68.

90. Nomizu, M.; Suzuki, N.; Yokoyama, F.; Yasui, T.; Oishi, S.; Fujii, N.; Nishi, N.
Biological activity of a cyclic peptide from laminin α1 chain loop region.
PEPTIDE SCIENCE 2003 (40th) 115-118.

91. Araki, T.; Ueda, S.; Hiramatsu, K.; Oishi, S.; Tamamura, H.; Otaka, A.; Fujii, N.; Kusano, S.; Terakubo, S.; Nakashima, H.; Wang, Z.; Peiper, S. C.
A new strategy for molecular-size reduction of bioactive peptide, using two orthogonal libraries of cyclic peptides.
PEPTIDE SCIENCE 2003 (40th) 207-210.

92. Kato, T.; Tamamura, H.; Otaka, A.; Fujii, N.
Development of potent β-secretase inhibitors containing a hydroxyethylamine dipeptide isostere.
PEPTIDE SCIENCE 2003 (40th) 231-234.

93. Mizokami, S.; Tamamura, H.; Hiramatsu, K.; Mizumoto, M.; Akamatsu, M.; Nakashima, H.; Wang, Z.; Peiper, S. C.; Yamamoto, N.; Otaka, A.; Fujii, N.
New leads of low molecular weight CXCR4 antagonists based on enhancement of the T140-based pharmacophores.

PEPTIDE SCIENCE 2003 (40th) 285-288.

94. Tamamura, H.; Hiramatsu, K.; Ueda, S.; Araki, T.; Takenaga, M.; Igarashi, R.; Hori, A.; Kanzaki, N.; Fujisawa, M.; Nakashima, H.; Yamamoto, N.; Otaka, A.; Fujii, N.
The chemokine receptor CXCR4 as a therapeutic target for several diseases including AIDS, cancer and rheumatoid arthritis.
PEPTIDE SCIENCE 2004 (41st) 121-122.

95. Niida, A.; Mizumoto, M.; Sasaki, Y.; Tamamura, H.; Otaka, A.; Fujii, N.
Stereoselective synthesis of diketopiperazine mimetics as promising scaffolds for drug discovery utilizing organocopper-mediated anti-S_N2' reaction.
PEPTIDE SCIENCE 2004 (41st) 169-172.

96. Tamamura, H.; Araki, T.; Esaka, A.; Ueda, S.; Oishi, S.; Wang, Z.; Peiper, S. C.; Otaka, A.; Fujii, N.
Development of low molecular weight CXCR4 antagonists by exploratory structural tuning of cyclic tetrapeptide-scaffolds.
PEPTIDE SCIENCE 2004 (41st) 509-510.

97. Kato, T.; Tamamura, H.; Otaka, A.; Fujii, N.
Synthesis of β-secretase inhibitors containing a hydroxyethylamine dipeptide isostere and their structure-activity relationship studies.
PEPTIDE SCIENCE 2004 (41st) 515-516.

98. Ueda, S.; Otaka, A.; Tamamura, H.; Fujii, N.
4-(Dimethylamino)phenacyl group: new photoremovable protecting group for amines and carboxylic acids.
PEPTIDE SCIENCE 2004 (41st) 599-602.

99. Ohta, Y.; Itoh, S.; Fujii, N.; Otaka, A.

Synthesis of peptide thioester based on *N*-*S* acyl transfer utilizing cysteine-derived acyloxazolidinone with practical application to peptide synthesis.

PEPTIDE SCIENCE 2005 (42nd) 19-22.

100. Konno, H.; Kubo, K.; Makabe, H.; Fujii, N.; Nosaka, K.; Akaji, K.

Synthetic studies of tokaramide A and miraziridine A isolated from marine sponge Theonella aff. mirabilis.

PEPTIDE SCIENCE 2005 (42nd) 47-50.

101. Tamamura, H.; Hiramatsu, K.; Araki, T.; Ueda, S.; Oishi, S.; Esaka, A.; Wang, Z.; Peiper, S. C.; Trent, J. O.; Nakashima, H.; Yamamoto, N.; Otaka, A.; Fujii, N.

Synthesis and biological evaluation of peptidomimetic analogs of the CXCR4 antagonist FC131.

PEPTIDE SCIENCE 2005 (42nd) 61-62.

102. Narumi, T.; Niida, A.; Tomita, K.; Oishi, S.; Ohno, H.; Otaka, A.; Fujii, N.

Endeavors for highly stereoselective synthesis of functionalized fluoroalkene dipeptide isosteres.

PEPTIDE SCIENCE 2005 (42nd) 87-88.

103. Sasaki, Y.; Niida, A.; Tsuji, T.; Fujii, N.; Otaka, A.

Synthesis of (*Z*)-alkene *cis*-Ala-Pro mimetics using organocopper reagents.

PEPTIDE SCIENCE 2005 (42nd) 89-92.

104. Tomita, K.; Niida, A.; Oishi, S.; Ohno, H.; Otaka, A.; Fujii, N.

Structure-activity relationship study on hOT7T175 agonist with RW-amide.

PEPTIDE SCIENCE 2005 (42nd) 205-206.

105. Niida, A.; Tomita, K.; Tanigaki, H.; Terada, T.; Mizumoto, M.; Ohno, H.; Tamamura, H.; Otaka, A.; Inui, K.-i.; Fujii, N.

Synthesis of alkene type dipeptide isosteres and their use to probe the structural requirements of peptide transporter (PEPT1).

PEPTIDE SCIENCE 2005 (42nd) 427-428.

106. Tomita, K.; Oishi, S.; Ohno, H.; Akamatsu, M.; Fujii, N.

Structure-activity relationship studies on GPR54 agonists.

PEPTIDE SCIENCE 2006 (43rd) 8.

107. Tanaka, M.; Oishi, S.; Ohno, H.; Fujii, N.

A novel oxazolidine linker for the synthesis of peptide aldehydes.

PEPTIDE SCIENCE 2006 (43rd) 58.

108. Tamamura, H.; Hanaoka, H.; Tsutsumi, H.; Ohashi, N.; Tanaka, T.; Mori, T.; Mukai, T.; Doi, R.; Saji, H.; Fujii, N.

Development of chemical probes for the chemokine receptor CXCR4 involving radiolabeled T140 analogs.

PEPTIDE SCIENCE 2006 (43rd) 254.

109. Tamamura, H.; Tsutsumi, H.; Hiramatsu, K.; Araki, T.; Ueda, S.; Oishi, S.; Masuno, H.; Tanaka, T.; Ohashi, N.; Mizokami, S.; Ogawa, T.; Wang, Z.; Peiper, S. C.; Trent, J. O.; Nakashima, H.; Yamamoto, N.; Fujii, N.

Development of the chemokine receptor CXCR4 antagonists as multi-pharmaceutical agents involving a new class of low molecular weight antagonists.

PEPTIDE SCIENCE 2006 (43rd) 279.

110. Katagiri, F.; Tomita, K.; Oishi, S.; Takeyama, M.; Fujii, N.

Establishment and clinical application of an enzyme immunoassay for metastin to determination of the human plasma levels.

PEPTIDE SCIENCE 2006 (43rd) 297-298.

111. Tsuji, T.; Sasaki, Y.; Yamaguchi, K.; Shigenaga, A.; Fujii, N.; Otaka, A.
Synthesis of (*Z*)-alkene cis-Xaa-Pro type dipeptide isosteres using organocopper-mediated anti-S_N2' reactions.
PEPTIDE SCIENCE 2006 (43rd) 327.

112. Narumi, T.; Tomita, K.; Inokuchi, E.; Kobayashi, K.; Oishi, S.; Ohno, H.; Fujii, N.
Fluoroalkene skeleton as a peptide bond mimetic: its scope and limitations.
PEPTIDE SCIENCE 2007 (44th) 25-26.

113. Shimbo, T.; Yano, Y.; Oishi, S.; Fujii, N.; Sugimoto, Y.; Matsuzaki, K.
Properties of intracellular fragment peptides of mouse prostaglandin E2 receptor EP3 isoform.
PEPTIDE SCIENCE 2007 (44th) 309-310.

114. Watanabe, K.; Ito, S.; Nishikawa, H.; Tanaka, M.; Oishi, S.; Ohno, H.; Izumi, K.; Kodama, E.; Matsuoka, M.; Fujii, N.
Helix-inducible motifs affect helicity and bioactivity of anti-HIV peptides.
PEPTIDE SCIENCE 2007 (44th) 311-312.

115. Yano, Y.; Yano, A.; Oishi, S.; Sugimoto, Y.; Tsujimoto, G.; Fujii, N.; Matsuzaki, K.
Specific fluorescence labeling of membrane receptors in living cells using coiled-coil tag.
PEPTIDE SCIENCE 2007 (44th) 395-398.

116. Masuda, R.; Oishi, S.; Ueda, S.; Goto, Y.; Ohno, H.; Evans, B.; Peiper, S. C.; Hirasawa, A.; Tsujimoto, G.; Kodama, E.; Matsuoka, M.; Fujii, N.
Synthesis and application of novel fluorescence-labeled CXCR4 antagonist.
PEPTIDE SCIENCE 2007 (44th) 403-404.

117. Kobayashi, K.; Narumi, T.; Oishi, S.; Ohno, H.; Fujii, N.
Diastereoselective synthesis of trifluoromethylalkene dipeptide isosteres based on

nucleophilic trifluoromethylation.

PEPTIDE SCIENCE 2008 (45th) 13-14.

118. Katagiri, F.; Nagai, K.; Tomita, K.; Kida, A.; Oishi, S.; Itoh, H.; Doi, R.; Fujii, N.; Takeyama, M.

Utility of plasma bioactive peptides levels as biomarkers.

PEPTIDE SCIENCE 2008 (45th) 123-126.

119. Kamitani, H.; Kodera, Y.; Watanabe, K.; Narumi, T.; Ohno, H.; Oishi, S.; Fujii, N.

Diastereoselective synthesis of (*Z*)-fluoroalkene dipeptide isostere and the application to a HIV membrane fusion inhibitor.

PEPTIDE SCIENCE 2008 (45th) 161-162.

120. Kajiwara, K.; Tokiwa, R.; Watanabe, K.; Ohno, H.; Izumi, K.; Kodama, E.; Matsuoka, M.; Oishi, S.; Fujii, N.

Bioorganic synthesis of end-capped HIV-1 fusion inhibitor SC35EK.

PEPTIDE SCIENCE 2008 (45th) 203-204.

121. Watabe, T.; Oishi, S.; Watanabe, K.; Ohno, H.; Nakano, H.; Nakatsu, T.; Kato, H.; Izumi, K.; Kodama, E.; Matsuoka, M.; Fujii, N.

X-ray crystallographic study of the HIV-1 fusion inhibitor against the drug-resistant N43D variant.

PEPTIDE SCIENCE 2008 (45th) 229-232.

122. Tomita, K.; Oishi, S.; Ohno, H.; Fujii, N.

Development of novel GPR54 agonists with resistance to degradation by MMP.

PEPTIDE SCIENCE 2008 (45th) 233-234.

123. Watanabe, K.; Ito, S.; Ohno, H.; Izumi, K.; Kodama, E.; Matsuoka, M.; Oishi, S.; Fujii, N.

Structure activity relationship study of the helix-inducible motifs in HIV fusion inhibitors.

PEPTIDE SCIENCE 2008 (45th) 257-258.

124. Tanaka, T.; Tsutsumi, H.; Nomura, W.; Tanabe, Y.; Ohashi, N.; Esaka, A.; Ochiai, C.; Sato, J.; Itotani, K.; Murakami, T.; Ohba, K.; Yamamoto, N.; Fujii, N.; Tamamura, H.
Structure-activity relationship study of CXCR4 antagonists on the cyclic pentapeptide scaffold: identification of new pharmacophore moieties.
PEPTIDE SCIENCE 2008 (45th) 273-276.

125. Tanaka, M.; Watanabe, K.; Ohno, H.; Izumi, K.; Kodama, E.; Matsuoka, M.; Oishi, S.; Fujii, N.
Identification of novel HIV-1 fusion inhibitors by template-assisted peptide aldehyde ligation.
PEPTIDE SCIENCE 2008 (45th) 361-362.

126. Tamamura, H.; Tanaka, T.; Tsutsumi, H.; Ohashi, N.; Hiramatsu, K.; Araki, T.; Ojida, A.; Hamachi, I.; Wang, Z.; Peiper, S. C.; Trent, J. O.; Ueda, S.; Oishi, S.; Fujii, N.
Development of chemokine receptor CXCR4 antagonists using bio-mimetic strategy.
Adv. Exp. Med. Biol. **2009,** *611* (Peptides for Youth), 145-146.

127. Tamamura, H.; Tanaka, T.; Tsutsumi, H.; Nemoto, K.; Mizokami, S.; Ohashi, N.; Oishi, S.; Fujii, N.
Stereoselective synthesis of peptidomimetics based on acid-catalyzed ring-opening of β-aziridinyl-α,β-enoates.
Adv. Exp. Med. Biol. **2009,** *611* (Peptides for Youth), 149-150.

128. Tomita, K.; Narumi, T.; Niida, A.; Oishi, S.; Ohno, H.; Fujii, N.
Synthesis and application of (*Z*)-alkene- and (*E*)-fluoroalkene-dipeptide isosteres as cis-amide equivalents.
Adv. Exp. Med. Biol. **2009,** *611* (Peptides for Youth), 365-366.

129. Oishi, S.; Ito, S.; Nishikawa, H.; Tanaka, M.; Ohno, H.; Otaka, A.; Izumi, K.; Kodama, E.; Matsuoka, M.; Fujii, N.
Development of a novel fusion inhibitor against T-20-resistant HIV-1.
Adv. Exp. Med. Biol. **2009,** *611* (Peptides for Youth), 389-391.

130. Kajiwara, K.; Tokiwa, R.; Tanaka, M.; Watanabe, K.; Ohno, H.; Izumi, K.; Naito, T.; Kodama, E.; Matsuoka, M.; Oishi, S.; Fujii, N.
Bioorganic synthesis of end-capped HIV-1 fusion inhibitor SC35EK.
PEPTIDE SCIENCE 2009 (46th) 77-78.

131. Masuda, R.; Ohno, H.; Oishi, S.; Fujii, N.
Facile solid-phase synthesis of DTPA-labeled peptides.
PEPTIDE SCIENCE 2009 (46th) 159-160.

132. Tanahara, N.; Masuda, R.; Ohno, H.; Naitoh, T.; Satou, Y.; Kodama, E.; Matsuoka, M.; Hirasawa, A.; Tsujimoto, G.; Oishi, S.; Fujii, N.
Application of a fluorescent CXCR4 probe to a homogeneous assay for ligand screening.
PEPTIDE SCIENCE 2009 (46th) 249-250.

133. Misu, R.; Oishi, S.; Tomita, K.; Setsuda, S.; Masuda, R.; Ohno, H.; Naniwa, Y.; Ieda, N.; Inoue, N.; Ohkura, S.; Uenoyama, Y.; Tsukamura, H.; Maeda, K.-i.; Hirasawa, A.; Tsujimoto, G.; Fujii, N.
Functional characterization of kisspeptin receptor ligands: activation of neuropeptide FF receptors.
PEPTIDE SCIENCE 2010 (47th) 60.

134. Kobayashi, K.; Hayashi, R.; Tomita, K.; Oishi, S.; Ohno, H.; Fujii, N.
Stereoselective synthesis of Tyr-Orn type alkene dipeptide isosteres and their application to bioactive peptides.

PEPTIDE SCIENCE 2010 (47th) 120.

135. Nabika, R.; Oishi, S.; Inokuchi, E.; Ohno, H.; Fujii, N.
Synthetic studies on coibamide A.
PEPTIDE SCIENCE 2010 (47th) 191.

136. Kobayashi, Y.; Oishi, S.; Kobayashi, K.; Ohno, H.; Tsutsumi, H.; Hata, Y.; Fujii, N.
Synthesis and investigation of novel metal complexes of deferriferrichrysin.
PEPTIDE SCIENCE 2012 (49th) 181-182.

137. Noguchi, T.; Oishi, S.; Misu, R.; Ohno, H.; Yamamura, T.; Okamura, H.; Fujii, N.
Structure-activity relationship study of neurokinin-3 receptor agonists.
PEPTIDE SCIENCE 2012 (49th) 189-190.

138. Kobayashi, Y.; Oishi, S.; Kobayashi, K.; Ohno, H.; Tsutsumi, H.; Hata, Y.; Fujii, N.
Synthesis and investigation of ferrichrysin derivatives.
PEPTIDE SCIENCE 2013 (50th) 133-131

139. Misu, R.; Oishi, S.; Yamada, A.; Yamamoto, K.; Noguchi, T.; Ohno, H.; Yamamura, T.; Okamura, H.; Matsuda, F.; Ohkura, S.; Fujii, N.
Development of a novel neurokinin-3 receptor selective agonist with highly biological stability.
PEPTIDE SCIENCE 2014 (51st) 23-24.

140. Kuroyanagi, T.; Oishi, S.; Kuzbol, T.; Kobayashi, Y.; Misu, R.; Ohno, H.; Montpas, N.; Heveker, N.; Yoshikawa, Y.; Furuya, T.; Fujii, N.
Identification of novel CXCR7 selective ligands with cyclic pentapeptide scaffold.
PEPTIDE SCIENCE 2014 (51st) 147-148.

141. Yamamoto, K.; Oishi, S.; Misu, R.; Noguchi, T.; Ohno, H.; Yamamura, T.; Okamura, H.;

Fujii, N.

Optimization of neurokinin-3 receptor (NK3R)-selective agonists.

PEPTIDE SCIENCE 2014 (51st) 241-242.

著書（Books）

1. Yajima, H.; Fujii, N.

   Acidolytic deprotecting procedures in peptide synthesis.

   The Peptides

   Academic Press, N. Y., **1983,** *5*, pp 65-109.

2. 矢島治明、藤井信孝 他（共著）

   ホルモン系ペプチド、タンパク質:視床下部、下垂体以外のペプチドタンパク質ホルモン

   医薬品の開発第３巻、生体成分

   廣川書店, **1989,** pp 111-187.

3. 藤井信孝、舩越奨

   ペプチド合成:下垂体系ホルモンの合成

   新生化学実験講座:第９巻、ホルモンⅠ ペプチドホルモン

   東京化学同人，**1991,** pp 40-50.

4. 藤井信孝、大高章

   タンパク質、ペプチドの化学合成:化学合成の現状

   新生化学実験講座第１巻、タンパク質IV 合成および発現

   東京化学同人，**1992,** pp 3-29.

5. 藤井信孝、辻本豪三、奥野恭史 他（共著）

   インシリコ創薬科学―ゲノム情報から創薬へ―

   京都廣川書店，**2008**.

6. Fujii, N.; Kiso, Y.; Editors

   Peptide Science 2010; 47th Japanese Symposium. (Proceedings of the 5th International

Peptide Symposium)

Japanese Peptide Society, **2010**.

総説（Reviews）

1. Yajima, H.; Fujii, N.

   Recent advances in biologically active peptides. Total synthesis of RNase A.

   Kagaku no Ryoiki **1982,** *36* (5), 296-304.

2. Yajima, H.; Fujii, N.

   Total synthesis of RNase A.

   Tanpakushitsu Kakusan Koso **1982,** *27* (12), 1929-1937.

3. Fujii, N.

   Synthetic studies on peptides using organosulfonic acids-deprotecting procedure.

   Yakugaku Zasshi **1983,** *103* (8), 805-818.

4. Fujii, N.

   Growth factor.

   Farumashia **1987,** *23* (10), 1020-1025.

5. Yajima, H.; Fujii, N.; Funakoshi, S.; Watanabe, T.; Murayama, E.; Otaka, A.

   New strategy for the chemical synthesis of proteins.

   Tetrahedron **1988,** *44* (3), 805-819.

6. Otaka, A.; Fujii, N.

   New synthetic methods of cystine-containing peptides.

   Yuki Gosei Kagaku Kyokaishi **1990,** *48* (7), 658-671.

7. Otaka, A.; Fujii, N.

   Thallium trifluoroacetate as a disulfide bond-forming reagent.

   Yuki Gosei Kagaku Kyokaishi **1990,** *48* (11), 1010-1011.

8. Otaka, A.; Fujii, N.

TMSX (X = OTf, Br, I) as deprotecting reagents for peptide synthesis.

Yuki Gosei Kagaku Kyokaishi **1990,** *48* (11), 1044-1045.

9. Kiso, Y., Fujii, N., Yajima, H.

New disulfide bond-forming reactions for peptide and protein synthesis.

Brazil. J. Med. Biol. Res. **1994,** *27* (12), 2733-2744.

10. Tamamura, H.; Fujii, N.

Developmental status of anti-AIDS drugs targeting at coreceptors.

BME **1998,** *12* (10), 44-51.

11. Fujii, N.; Tamamura, H.

Peptide-lead CXCR4 antagonists with high anti-HIV activity.

Curr. Opin. Invest. Drugs **2001,** *2* (9), 1198-1202.

12. Fujii, N.

Genomic information-based astringent drug discovery and development.

Biobencha **2002,** *2* (5), 24-29.

13. Fujii, N.; Nakashima, H.; Tamamura, H.

The therapeutic potential of CXCR4 antagonists in the treatment of HIV.

Expert Opin. Invest. Drugs **2003,** *12* (2), 185-195.

14. Fujii, N.

Medicinal chemistry based on proteomics: from knowledge to controlling.

BIO Clin. **2004,** *19* (14), 1178-1184.

15. Otaka, A.; Fujii, N.; Yamamoto, N.

Prospect of SARS drugs.

Gendai Iryo **2004,** *36* (11), 2198-2203.

16. Zhang, W. B.; Wang, Z. X.; Murray, J. L.; Fujii, N.,; Broach, J.; Peiper, S. C.
Functional expression of CXCR4 in *S. cerevisiae*: development of tools for mechanistic and pharmacologic studies.
Ernst Schering Research Foundation workshop **2004,** *45* (Chemokine Roles in Immunoregulation and Disease), 125-152.

17. Otaka, A.; Mitsuyama, E.; Watanabe, J.; Watanabe, H.; Fujii, N.
Synthesis of fluorine-containing bioisosteres corresponding to phosphoamino acids and dipeptide units.
Biopolymers **2004,** *76* (2), 140-149.

18. Tamamura, H.; Fujii, N.
Two orthogonal approaches to overcome multi-drug resistant HIV-1s: Development of protease inhibitors and entry inhibitors based on CXCR4 antagonists.
Curr. Drug Targets: Infect. Disord. **2004,** *4* (2), 103-110.

19. Fujii, N.; Otake, S.; Tamamura, H.
Innovative platform for drug discovery based on chemical proteomics: from knowledge to controlling.
Saibo Kogaku **2005,** *24* (11), 1181-1186.

20. Tamamura, H.; Fujii, N.
The therapeutic potential of CXCR4 antagonists in the treatment of HIV infection, cancer metastasis and rheumatoid arthritis.
Expert Opin. Ther. Targets **2005,** *9* (6), 1267-1282.

21. Tamamura, H.; Otaka, A.; Fujii, N.
Development of anti-HIV agents targeting dynamic supramolecular mechanism: Entry and fusion inhibitors based on CXCR4/CCR5 antagonists and gp41-C34-Remodeling

peptides.

Curr. HIV Res. **2005,** *3* (4), 289-301.

22. 西川裕輝, 藤井信孝, 大高章

抗SARS-CoV活性ペプチドの創製研究

MEDCHEM NEWS, **2005**, *15*, 18-23.

23. Otaka, A.; Fujii, N.

Development of antiviral fusion inhibiting peptides.

Kagaku Kogyo **2006,** *57* (10), 797-801.

24. Tamamura, H.; Tsutsumi, H.; Fujii, N.

The chemokine receptor CXCR4 as a therapeutic target for several diseases.

Mini-Rev. Med. Chem. **2006,** *6* (9), 989-995.

25. 藤井信孝, 大石真也, 大高章

プロテオミクスを基盤とするケミカルバイオロジー ― 情報から制御へ

バイオニクス **2006**, *3* (10), 46-51.

26. Oishi, S.; Fujii, N.

Peptide-lead drug discovery.

Tanpakushitsu Kakusan Koso **2007,** *52* (13, Zokan), 1696-1701.

27. Oishi, S.; Fujii, N.

Peptide drug discovery based on chemical biology.

Idenshi Igaku Mook **2007,** *8*, 87-91.

28. Tamamura, H.; Tsutsumi, H.; Masuno, H.; Fujii, N.

Development of low molecular weight CXCR4 antagonists by exploratory structural tuning of cyclic tetra- and pentapeptide-scaffolds towards the treatment of HIV infection,

cancer metastasis, and rheumatoid arthritis.

Curr. Med. Chem. **2007,** *14* (1), 93-102.

29. Tsutsumi, H.; Tamamura, H.; Fujii, N.

Inhibitors of the chemokine receptor CXCR4: chemotherapy of AIDS, metastatic cancer, leukemia and rheumatoid arthritis.

Lett. Drug Des. Discovery **2007,** *4* (1), 20-26.

30. Tsutsumi, H.; Tanaka, T.; Ohashi, N.; Masuno, H.; Tamamura, H.; Hiramatsu, K.; Araki, T.; Ueda, S.; Oishi, S.; Fujii, N.

Therapeutic potential of the chemokine receptor CXCR4 antagonists as multifunctional agents.

Biopolymers **2007,** *88* (2), 279-289.

31. Wang, Z.-x.; Tamamura, H.; Frilot, N.; Broach, J.; Fujii, N.; Peiper, S. C.

Screening and characterization of cyclic pentapeptide CXCR4 antagonists/inverse agonists using a pheromone responsive reporter gene in *Saccharomyces cerevisia*e: utility of G protein coupled receptor constitutively active mutants.

Chemokine Biology-Basic Research and Clinical Application, Vol. 2: Pathophysiology of Chemokines (Birkhaeuser Verlag): **2007,** 61-77.

32. Oishi, S.; Narumi, T.; Ohno, H.; Otaka, A.; Fujii, N.

Synthesis of highly functionalized alkene dipeptide isosteres and its application to the structure-activity relationship study on bioactive peptides.

Yuki Gosei Kagaku Kyokaishi **2008,** *66* (9), 846-857.

33. Tamamura, H.; Tsutsumi, H.; Nomura, W.; Fujii, N.

Exploratory studies on development of the chemokine receptor CXCR4 antagonists toward downsizing.

Perspect. Med. Chem. **2008,** *2*, 1-9.

34. Tamamura, H.; Tsutsumi, H.; Nomura, W.; Tanaka, T.; Fujii, N.
A future perspective on the development of chemokine receptor CXCR4 antagonists.
Expert Opin. Drug Discov. **2008,** *3* (10), 1155-1166.

35. Evans, B. J.; Wang, Z.; Broach, J. R.; Oishi, S.; Fujii, N.; Peiper, S. C.
Expression of CXCR4, a G-protein-coupled receptor for CXCL12 in yeast: identification of new-generation inverse agonists.
Methods Enzymol. **2009,** *460* (Chemokines, Part A), 399-412.

36. Shim, H.; Oishi, S.; Fujii, N.
Chemokine receptor CXCR4 as a therapeutic target for neuroectodermal tumors.
Semin. Cancer Biol. **2009,** *19* (2), 123-134.

37. Popiel, H. A.; Burke, J. R.; Strittmatter, W. J.; Oishi, S.; Fujii, N.; Takeuchi, T.; Toda, T.; Wada, K.; Nagai, Y.
The aggregation inhibitor peptide QBP1 as a therapeutic molecule for the polyglutamine neurodegenerative diseases.
J. Amino Acids **2011,** 265084.

38. Takeuchi, T.; Oishi, M.; Fujii, N.
Design of anticancer drugs based on chemical biological research: KSP inhibitors.
Idenshi Igaku Mook **2011,** *20*, 54-59.

39. Oishi, S.; Fujii, N.
Peptide and peptidomimetic ligands for CXC chemokine receptor 4 (CXCR4).
Org. Biomol. Chem. **2012,** *10* (30), 5720-5731.

40. 大石真也, 藤井信孝

ウイルスの変異に学ぶ抗HIV活性ペプチドのデザイン

ペプチド医薬の最前線 **2012,** 60-64

41. Fujii, N.

Peptide-based drug discovery.

Pept. Sci. **2013,** *50th*, 1-4.

42. Ohno, H.; Chiba, H.; Inuki, S.; Oishi, S.; Fujii, N.

The synthesis of alkaloids using transition-metal-catalyzed intramolecular amination reactions.

Synlett **2014,** *25* (2), 179-192.

43. Ohno, H.; Oishi, S.; Fujii, N.

Gold-catalyzed atom-economical cascade reactions of alkynes for ring formation.

Yuki Gosei Kagaku Kyokaishi **2014,** *72* (11), 1218-1227.

44. Oishi, S.; Fujii, N.

Design of anti-HIV peptides based on drug-resistant mutations.

Kagaku Kogyo **2014,** *65* (11), 857-861.

45. Oishi, S.; Fujii, N.

Neuropeptide derivatives to regulate the reproductive axis: kisspeptin receptor (KISS1R) ligands and neurokinin-3 receptor (NK3R) Lligands.

Biopolymers in press.

海外における招待講演（Invited Lectures）

1. Two-types of HIV-cell fusion inhibitors targeting multi-drug-resistant HIV
   The 16th French-Japanese symposium on medicinal and fine chemistry
   Nimes/France・2002 年 9 月

2. Important role of peptide chemistry to overcome multi-drug-resistant HIV-1
   9th Akabori conference
   Kloster Seeon/Germany・2002 年 9 月

3. Peptide-lead drug templates for genome-lead drug discovery
   6th Australia/Japan symposium on drug design & development
   Sydney/Australia・2004 年 6 月

4. From genome to lead: peptide-lead templates for drug discovery
   1st European conference on chemistry for life sciences
   Rimini/Italy・2005 年 10 月

5. From genome to lead: medicinal chemistry beyond XXX-omics researches
   The 11th Korea-Japan joint symposium on drug design and development
   Jeju Island/Korea・2006 年 5 月

6. From amino acids to peptide isosteres: Implications to peptide-lead drug templates for genome-lead drug discovery
   232nd American chemical society national meeting
   San Francisco/USA・2006 年 9 月

7. CXCR4 antagonists; relevance to cancer chemotherapy
   6th AFMC International medicinal chemistry symposium
   Istanbul/Turkey・2007 年 7 月

8. From amino acids to peptide isosteres: implication to genome-lead drug discovery

   2nd World congress of pharmacy and pharmaceutical sciences

   Beijing/China・2007 年 9 月

9. CXCR4 antagonists: relevance to cancer chemotherapy

   XXth International symposium on medicinal chemistry: EFMC-ISMC2008

   Vienna/Austria・2008 年 9 月

特許出願（Patents）

1. Noda, T.; Fujii, N.; Morita, K.; Hori, M.

   Calcitonin related peptide derivatives.

   EP212432A2, 1987

2. Nomizu, M.; Yamashita, T.; Kawai, A.; Fujii, N.

   Preparation of peptides as reverse transcriptase inhibitors and virucides.

   JP01224398A, 1989

3. Ezawa, K.; Hayashi, Y.; Ikuta, K.; Kato, S.; Fujii, N.

   Preparation of segments of the T-cell surface glycoprotein CD4 inhibiting HIV infection and syncytium formation.

   JP02152989A, 1990

4. Fujii, N.; Yamamoto, N.

   Polypeptides as anti-HIV drugs.

   WO9204374A1, 1992

5. Fujii, N.; Yamamoto, N.; Matsumoto, A.; Waki, M.

   Preparation of peptides with affinity to lipopolysaccharides and their uses as anti-HIV agents.

   EP513613A1, 1992

6. Fujii, N.

   Preparation of novel anti-HIV complexes and medicinal compositions.

   WO9843995A1, 1998

7. Fujii, N.; Ibuka, T.; Noda, M.

   Preparation of trans-alkene dipeptide isosteres containing tryptophan.

JP10072437A, 1998

8. Fujii, N.

Preparation of taxol-peptide conjugates with antitumor activity.

WO199925729A1, 1999

9. Fujii, N.; Nakashima, H.

Preparation of alkane- or alkene-bridged cyclopeptides and peptide cyclic disulfides as antiviral agents.

WO2001064716A1, 2001

10. Fujii, N.

Novel polypeptides and anti-HIV drugs containing the same.

WO2002020561A1, 2002

11. Fujii, N.; Otaka, A.; Matsuoka, M.

Anti-HIV agent.

WO2003029284A1, 2003

12. Fujii, N.; Tamamura, H.; Hori, A.

CXCR4 antagonist and use thereof.

WO2004020462A1, 2004

13. Fujii, N.; Nakajima, H.; Piper, S. C.

Preparation of cyclopeptides as chemokine receptor CXCR4 antagonists.

JP2004196769A, 2004

14. Fujii, N.; Doi, R.; Oishi, S.

Metastin derivatives and their use in suppressing cancer proliferation and metastasis.

US20040142875A1, 2004

15. Fujii, N.; Yamamoto, N.
(Benzoylamino)isoquinolinecarboxamide derivatives as anti-coronavirus drugs.
WO2005004868A1, 2005

16. Fujii, N.; Otaka, A.; Yamamoto, N.; Yamamoto, N.
Preparation peptides for inhibition of SARS virus infection.
WO2006025536A1, 2006

17. Peled, A.; Fujii, N.
CXCR4 antagonists for wound healing and re-epithelialization.
WO2006126188A2, 2006

18. Fujii, N.; Hamachi, I.; Ojida, A.; Tamamura, H.; Nakashima, H.
Novel CXCR4 antagonist and use thereof.
WO2007074871A1, 2007

19. Fujii, N.; Oishi, S.; Tomita, K.; Niida, A.
Novel compound having GPR54 agonistic activity.
WO2007125619A1, 2007

20. Tsutsumi, H.; Ishida, H.; Hisada, H.; Mizumoto, M.; Hata, Y.; Fujii, N.; Matsuoka, M.; Kodama, E.; Oishi, S.
Preparation of retrovirus N36-binding peptide and its use for antiaids agent.
WO2008013242A1, 2008

21. Fujii, N.; Oishi, S.; Matsuoka, M.; Kodama, E.
Polypeptide agents with anti-HIV activities.
WO2008050830A1, 2008

22. Asai, A.; Sawada, J.; Matsuno, K.; Ogo, N.; Fujii, N.; Oishi, S.

Eg5 inhibitor and agent for treatment of cell proliferative disease containing the same.
WO2008114505A1, 2008

23. Fujii, N.; Tsujimoto, H.
Polypeptides, anti-FIV agents containing them, and pharmaceutical compositions containing the agents.
JP2008063275A, 2008

24. Matsuzaki, K.; Yano, Y.; Sugimoto, Y.; Tsujimoto, G.; Fujii, N.
The coiled coil tag-labeled transmembrane proteins for studying protein dynamics in membrane.
WO2009041633A1, 2009

25. Fujii, N.; Oishi, S.; Tomita, K.
Metastin derivative and use thereof.
WO2009139298A1, 2009

26. Fujii, N.; Ogawa, O.; Nishiyama, H.; Ohno, H.; Oishi, S.; Watanabe, T.; Takeuchi, T.; Asai, A.; Sawada, J.
Preparation of 9*H*-carbazole and 9*H*-pyrido[3,4-*b*]indole derivatives as Eg5 inhibitors.
WO2010073719A1, 2010

27. Fujii, N.
Novel polypeptide anti-HIV agent containing the same.
US20100222256A1, 2010

28. Kakeya, H.; Hattori, A.; Takasu, Y.; Fujii, N.; Oishi, S.; Kojima, S.; Hara, M.
Preparation of benzenesulfonamide derivatives as signal transduction inhibitors of transforming growth factor-β (TGF-β).
WO2011102436A1, 2011

29. Fujii, N.; Matsuoka, M.; Kodama, E.; Oishi, S.; Tanaka, R.; Hata, Y.; Tsutsumi, H.; Kajiwara, K.; Joban, A.
Hydrolysis-resistant modified peptides showing anti-HIV activity, etc., their preparation, and pharmaceutical compositions and food containing the modified peptides.
JP2011020926A, 2011

30. Bando, H.; Tsutsumi, H.; Hata, Y.; Kajiwara, K.; Fujii, N.; Matsuoka, M.; Oishi, S.
Production of HIV gp41 N36 binding agents for therapy/prevention of retrovirus infection by *Aspergillus oryzae* transformant.
JP2011167076A, 2011

31. Kajiwara, K.; Tanaka, T.; Hata, Y.; Tsutsumi, H.; Fujii, N.; Matsuoka, M.; Oishi, S.
Preparation of retrovirus N36 protein-binding protein in yeast transformant cells.
JP2011177135A, 2011

32. Fujii, N.; Ohno, H.; Oishi, S.; Inokuchi, E.; Kubo, T.; Matsuoka, M.; Shimura, K.
Preparation of cyclic peptides containing amidine bond as novel chemokine receptor antagonist.
WO2012118124A1, 2012

33. Tsujimoto, G.; Hirasawa, A.; Murata, K.; Fujii, N.; Ohno, H.; Oishi, S.; Suzuki, Y.; Zengye, H.; Nakanishi, I.; Kinoshita, T.; Takakura, Y.; Nishikawa, M.
Preparation of 4-(5-amido-1,3,4-thiadiazol-2-yl)benzoic acid derivatives as CK2 inhibitors for treatment of nephritis and cancer.
WO2012121168A1, 2012

34. Maeda, H.; Kato, T.; Matsuoka, M.; Shimura, K.; Fujii, N.; Ohno, H.; Oishi, S.; Mizuhara, T.
Preparation of pyrmidobenzothiazin-6-imine derivatives as antiviral agents
WO2012153768A1, 2012

35. Fujii, N.; Ohno, H.; Oishi, S.; Watabe, T.; Asai, A.; Sawada, J.
Preparation of 9*H*-carbazole and 9H-pyrido[3,4-*b*]indole derivatives as Eg5 inhibitors.
JP2012051804A, 2012

36. Fujii, N.; Ohno, H.; Oishi, S.; Noguchi, T.; Misu, R.; Okamura, H.; Yamamura, T.
Novel NK3 receptor agonist peptide and pharmaceutical agent containing the same.
WO2014061772A1, 2014

37. Fujii, N.; Ohno, H.; Oishi, S.; Takeuchi, T.; Asai, A.; Sawada, J.
Water-soluble heteropolycyclic compounds and Eg5 inhibitors containing them.
WO2014174745A1, 2014

38. Fujii, N.; Ohno, H.; Oishi, S.; Misu, R.; Yamada, A.; Yamamoto, K.; Okamura, H.; Yamamura, T.
Novel NK3 receptor agonist and drug for treatment of disorders associated with excess or deficiency in the secretion of tachykinins.
WO2015083816A1, 2015

39. 藤井信孝, 大野浩章, 大石真也, 久保達彦, 黒柳友子, ニコラ モンパ, ニコラウス, ヘヴェカー
CXCR7結合剤およびCXCR7結合剤を含有する医薬組成物
特願2014-195189

40. 松岡雅雄, 志村和也, 藤井信孝, 大野浩章, 大石真也, 水原司, 岡崎志穂
ベンゾイソチアゾロピリミジン誘導体またはその塩、およびウイルス感染阻害剤ならびに医薬品
特願2014-206613 ・ PCT/JP2015/078540

41. 大石真也, 藤井信孝, 大野浩章, 桑井真司, 若林嘉浩, 山村崇
新規NK3受容体アゴニスト

特願2015-215128

42. 大石真也, 大野浩章, 藤井信孝, 山本昴輝

新規な化合物、薬剤およびNK3受容体拮抗剤

特願2015-215269