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Peptide News™

October 2011

Peptide News™ reports on upcoming events, recently published papers, and news of interest to scientists who synthesize or utilize peptides in their research. Peptide News™ will also feature submitted publications by AAPPTec customers, AAPPTec synthesizers and equipment recently cited in published literature, and special offers on our available product lines. Please submit any of your papers or publications to be included in a future edition of our newsletter to info@aapptec.com.

Upcoming Events

[International Symposium on the Separation of Peptides, Proteins, and Polynucleotides \(ISPPP\)](#)

October 23-26, Alexandria, Virginia

[Society for Neuroscience Annual Meeting](#)

November 12-16, Washington, DC

[Peptide Chemistry Conference - Cell Penetrating Peptides](#)

November 13-17, Puerto Morelos, Mexico

[American Society for Cell Biology](#)

December 3-7, Denver, Colorado

Peptide Synthesis

REVIEW

Peptide Coupling Reagents, More than a Letter Soup

Ayman El-Faham and Fernando Albericio, Chem. Rev., Articles ASAP (As Soon As Publishable)
Publication Date (Web): August 26, 2011 DOI:
10.1021/cr100048w

AAPPTec Awarded International Trade Excellence Award



More than 400 businesses gathered at the Louisville Marriott Downtown in Louisville, KY to recognize firms for outstanding achievements in international trade at the

COMMUNICATIONS

In Situ Carboxyl Activation Using a Silatropic Switch: A New Approach to Amide and Peptide Constructions

Wenting Wu, Zhihui Zhang, and Lanny S Liebeskind, J. Am. Chem. Soc., Articles ASAP (As Soon As Publishable) Publication Date (Web): August 16, 2011 DOI: 10.1021/ja2065158

The novel reactivity of O-silylthionoesters with amine nucleophiles to generate oxoamides (rather than thioamides) is described. A straightforward first-generation trimethylsilylation protocol using bistrimethylsilylacetylacetamide (BSA) combined with the unique reactivity of the O-silylthionoesters toward 1° and 2° amines to generate oxoamides provides the simplest means of activating a thiol acid for peptide bond formation at neutral pH. Excellent stereoretention is observed.

General and Scalable Amide Bond Formation with Epimerization-Prone Substrates Using T3P and Pyridine

Joshua R. Dunetz, Yangjiao Xiang, Aaron Baldwin, and Justin Ringling, Org. Lett., Articles ASAP (As Soon As Publishable) Publication Date (Web): August 29, 2011 DOI: 10.1021/ol201875q

The mild combination of T3 (n-propanephosphonic acid anhydride) and pyridine has been developed for low-epimerization amide bond formation and implemented for the synthesis of a key intermediate to a glucokinase activator. This robust method is general for the coupling of various racemization-prone acid substrates and amines, including relatively non-nucleophilic anilines, and provides amides in high yields with very low epimerization. With easy reaction setup and product isolation, this protocol offers several practical and experimental benefits.

Peptides with Pharmaceutical Potential

ANTIMICROBIAL Discovery and development of a synthetic

World Trade Day Awards Luncheon - the Commonwealth's largest annual gathering of international trade professionals.

AAPPTec was recognized at the ceremony and awarded the Martha Layne Collins International Excellence Award - formally known as the World Trade Success Award - for successfully engaging in international trade. The Awards Committee, made up of World Trade Center Kentucky Staff along with various representatives from the business community, choose each year's recipients based on the company's international trade success stories.

Dr. Hossain Saneii, AAPPTec's Chief Executive Officer, was recognized for the great success of the company in recent years. Through both domestic and international sales, AAPPTec has contributed to local communities, as well as communities worldwide, distributing products to more than 20 major western, Asian and North American countries.

AAPPTec would like to thank the World Trade Center Kentucky Staff and the many representatives who made up the Awards Committee for nominating the company for this prestigious award. We are honored to have been a part of the World Trade Day Awards Luncheon.

Focus XC Use in Research

In a paper recently published online, Z. Yuan et al. report using a Focus XC to prepare the peptides utilized in their studies.

Exploiting the P-1 Pocket of BRCT Domains Toward a Structure Guided Inhibitor Design

Yuan, Z; Kumar, EA; Campbell, SJ; Palermo, NY; Kizhake, S; Glover, JNM; Natarajan, A. ACS Med. Chem. Lett., Articles ASAP (As Soon As Publishable), Publication Date (web): August 17, 2011 (Letter), DOI: 10.1021/ml200147a

Breast cancer gene 1 carboxy terminus

peptide derived from lactoferrin for clinical use

Carlo P.J.M. Brouwera, Mahfuzur Rahmanb, Mick M. Wellinga, *Peptides*, 2011, 32, 1953-1963.

There is an urgent need to develop new antimicrobial drugs especially for combating the rise of infections caused by multi-resistant pathogens such as MRSA and VRSA. The problem of antibiotic resistant micro-organisms is expected to increase disproportionately and controlling of infections is becoming difficult because....[Click here to read the full abstract.](#)

TRANSPORT/DRUG DELIVERY Chemical Conjugation of the Neuropeptide Kyotorphin and Ibuprofen Enhances Brain Targeting and Analgesia

Marta M. B. Ribeiro, Antnia R. T. Pinto, Marco M. Domingues, Isa Serrano, Montserrat Heras, Eduard R. Bardaji, Isaura Tayares, Miguel A. Castanho, *Mol. Pharmaceutics*, Articles ASAP (As Soon As Publishable) Publication Date (Web): August 10, 2011 DOI: 10.1021/mp2003016

The pharmaceutical potential of natural analgesic peptides is mainly hampered by their inability to cross the blood-brain barrier, BBB. Increasing peptide-cell membrane affinity through drug design is a promising strategy to overcome this limitation. To address this challenge, we grafted ibuprofen (IBP), a nonsteroidal anti-inflammatory drug, to kyotorphin (I-Tyr-I-Arg, KTP), an analgesic neuropeptide unable to cross BBB... [Click here to read the full abstract.](#)

Cellular uptake of transportan 10 and its analogs in live cells: Selectivity and structure - activity relationship studies

Jingjing Songa, Ming Kaia, Wei Zhanga, Jindao Zhanga, Liwei Liua, Bangzhi Zhanga, Xin Liua, Rui Wang, *Peptides*, 2011, 32, 1934-1941.

Transportan 10 (TP10) is an amphipathic cell-penetrating peptide with high translocation ability. In order to obtain more details of structure-activity relationship of

(BRCT) domains are found in a number of proteins that are important for DNA damage response (DDR). The BRCT domains bind phosphorylated proteins, and these protein-protein interactions are essential for DDR and DNA repair. [..Click here to read the full abstract.](#)

Focus XC Automated Peptide Synthesizer



The Focus XC is available in 2 reactor, 4 reactor, and 6 reactor configurations to meet your productivity requirements. The synthesis in each reactor is controlled independently, allowing up to 6 different peptides to be prepared at the same time. In the standard configuration, Focus XC peptide instruments are equipped with the following:

- Two 5-liter solvent/reagent bottles
- One 2-liter solvent/reagent bottle
- Two 1-liter solvent/reagent bottles
- Twenty-four 90 mL reactant vessels that may be used for amino acid monomers and special reagents
- 36 or 48 reactant vessels or other combinations of solvent/reagent bottles optional

Optional deprotection monitoring with heating and sonication options contribute to the Focus XC peptide synthesizer's high performance even with long or difficult sequences. With the automatic deprotection monitoring option, the Focus XC peptide

TP10, we evaluated the effects of structure and charge on its translocation ability. Our results demonstrated that disrupting the helical structure or Arg substitution could remarkably decrease the cellular uptake of TP10... [Click here to read the full abstract.](#)

Role of Phenylalanine and Phenylalanine Analogs

Complementary π - π Interactions Induce Multicomponent Coassembly into Functional Fibrils

Derek M. Ryan, Todd M. Doran, and Bradley L. Nilsson, *Langmuir*, 2011, 27(17), 11145-11156.

Herein we report that complementary π - π interactions can be exploited to promote the coassembly of phenylalanine (Phe) derivatives that possess complementary aromatic side-chain functionality. Specifically, equimolar mixtures of Fmoc-Phe and Fmoc-F₅-Phe, which possess side-chain groups with complementary quadrupole electronics, readily co-assemble to form...[Click here to read the full abstract.](#)

Biological properties of prolactin-releasing peptide analogs with a modified aromatic ring of a C-terminal phenylalanine amide

Lenka Maletinska, Andrea Spolcova, Jana Maixnerova, Miroslava Blechova, Blanka Zelezna, *Peptides*, 2011, 32, 1887-1892.

In the present study, eight analogs of prolactin-releasing peptide (PrRP20) with C-terminal Phe amide modified with a bulky side-chain or a halogenated aromatic ring revealed high binding potency, activation of mitogen-activated protein kinase/extracellular-regulated kinase (MAPK/ERK1/2) and cAMP response element-binding protein (CREB) and prolactin release in RC-4B/C cells...[Click here to read the full abstract.](#)

Custom Peptides from AAPPTec

instrument will not proceed to the next step until the current deprotection is completed, thus assuring higher yields and purer crude peptides even when deprotection is slow. Sonication and heating increase reaction yields of difficult couplings. Focus XC peptide synthesizers apply heat and sonication only during specified steps in peptide synthesis to prevent damaging side reactions to the peptide.

For further information about Focus XC series peptide synthesizers, go to www.aapptec.com.

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Fmoc-Phe and Fmoc-Phe analogs from AAPPTec are high quality, reasonably priced derivatives for exploring and optimizing the effects phenylalanine analogs can have on receptor binding, hydrogel formation, and fibril formation. AAPPTec can provide these high quality products in bulk quantities for large scale production. Please send an email to sales@aapptec.com for a quotation.

Cat #	Product	Quantity	Price
AFF101	Fmoc-Phe-OH	100g	\$60
		500g	\$240
UFF111	Fmoc-Phe(4-Br)-OH	5g	\$110
		25g	\$440
UFF113	Fmoc-Phe(3-Cl)-OH	5g	\$100
		25g	\$400
UFF114	Fmoc-Phe(4-Cl)-OH	1g	\$70
		5g	\$295
UFF119 NEW	Fmoc-Phe(4-CN)-OH	1g	\$55
		5g	\$230
UFF121	Fmoc-Phe(4-F)-OH	5g	\$125
		25g	\$500
UFF122	Fmoc-Phe(4-I)-OH	5g	\$145
		25g	\$580
UFF124	Fmoc-Phe(4-NH ₂)-OH	1g	\$45
		5g	\$200

AAPPTec can supply high quality custom peptides from a few milligrams to multi-kilograms, a few peptides at a time or complete libraries. We can prepare peptides with special modifications, such as glycopeptides, phosphopeptides, PEGylated peptides, biotinylated peptides and peptides with fluorescent labels.

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- 90% or greater (in vivo studies; bioassays; markers for electrophoresis; monoclonal antibodies)
- 95% or greater (ELISA; RIA; enzyme substrate)
- 98% (NMR; chromatography standards)

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UFF125	Fmoc-Phe(4-NO ₂)-OH	1g	\$40
		5g	\$175
UFY131	Fmoc-Tyr(Me)-OH	1g	\$75
		5g	\$300
UFF104	Fmoc-1-Nal-OH	1g	\$50
		5g	\$195
UFF105	Fmoc-2-Nal-OH	1g	\$60
		5g	\$215
UFF128	Fmoc-Tic-OH	1g	\$75
		5g	\$300

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