Category

Peptide Chemistry

Key words

phosphonopeptides solid-phase synthesis aldehyde dimethylphosphite



M. RINNOVÁ, A. NEFZI, R. A. HOUGHTEN* (TORREY PINES INSTITUTE FOR MOLECULAR STUDIES, SAN DIEGO, USA)

An Expedient Method for the Solid-Phase Synthesis of α -Aminoalkyl Phosphonopeptides *Tetrahedron Lett.* **2002**, *43*, 4103–4106, DOI: 10.1016/S0040-4039(02)00695-0.

Solid-Phase Synthesis of α -Amino Alkyl or Aryl Phosphonopeptide Derivatives

Selected examples:

Selected examples:

$$OPh \ HO \ Ph \ HO \ Ph$$

n.d. = not determined

Significance: Amino phosphonopeptides are highly significant molecules in biological systems as potent antimicrobial agents and as inhibitors of various enzymes. In 2002, Houghten and co-workers developed a solid-phase synthesis of α-aminoal-kyl phosphonopeptides by using an aldehyde dimethylphosphite in the presence of the Lewis acid BF₃·Et₂O.

Comment: The developed method is one of the simplest and practically most viable methods for the generation of series of α -aminoalkyl or aryl phosphonopeptide derivatives in high yields with moderate stereoselectivity. The method can be used for the synthesis of libraries of peptide or nonpeptide phosphonates.

SYNFACTS Contributors: Hisashi Yamamoto, Isai Ramakrishna Synfacts 2022, 18(04), 0454 Published online: 18.03.2022 **DOI:** 10.1055/s-0041-1737549; **Reg-No.:** H01022SF