esters nitrophenyl

amino acid aryl

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K. PUDHOM, T. VILAIVAN* (CHULALONGKORN UNIVERSITY, BANGKOK, THAILAND) Synthesis of Aryl Esters of Protected Amino Acids from Aryl Sulfonates Tetrahedron Lett. 1999, 40, 5939-5942, DOI: 10.1016/S0040-4039(99)01178-8.

Synthesis of Versatile N-Protected Amino Acid Esters from Aryl 4-Nitrosulfonates

Synthesis of coupling reagents: aryl 4-nitrobenzenesulfonates:

HOBt (10 mol%) DMF r.t., 20-30 min Ar = 2,4,5-trichlorophenol Ar = Pfp

Et₃N or DIEA (1 equiv)

Ar = 2,4,5-trichlorophenol

(1 equiv)

93% yield

Significance: The development of easily accessible and novel coupling reagents for the synthesis of activated amino acid esters is an important aspect of peptide chemistry. In 1999, Pudhom and Vilaivan found that aryl 4-nitrosulfonates are highly efficient reagents for the synthesis of aryl esters of protected amino acids.

88% yield

Comment: A series of 4-nitrophenyl or pentafluorophenyl esters of Boc- or Fmoc-protected amino acids were synthesized in good yield by treatment of amino acid with the corresponding aryl 4-nitrosulfonates in the presence of a base and a catalytic amount of 1-hydroxybenzotriazole (HOBt). This protocol is a beneficial and sustainable alternative to classical methods for the synthesis of activated aryl esters of protected amino acids.

88% yield

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