

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

Category

Peptide Chemistry

Key words

coupling reagent

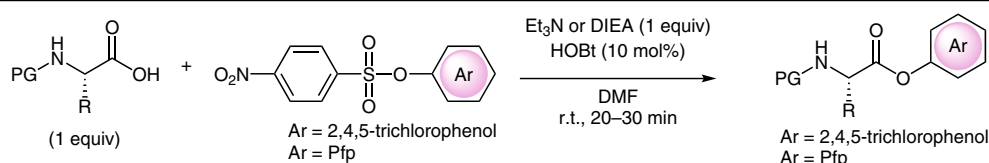
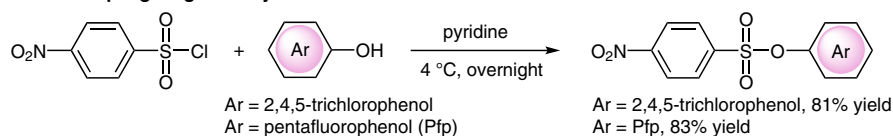
amino acid aryl esters

nitrophenyl nitrobenzenesulfonate

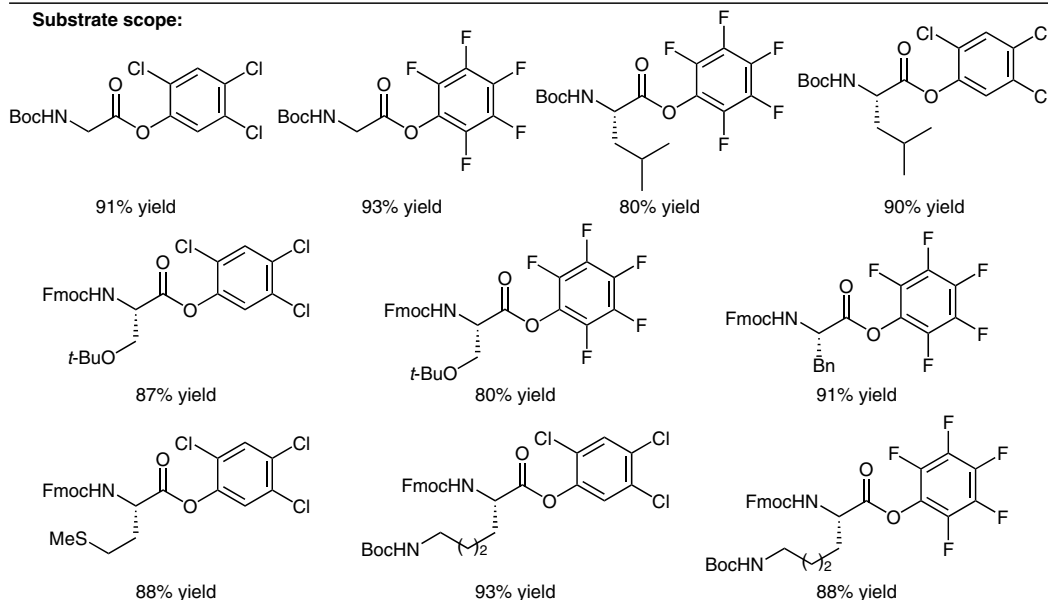
pentafluorophenyl nitrobenzenesulfonate



## Synthesis of coupling reagents: aryl 4-nitrobenzenesulfonates:



## Substrate scope:



**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.

**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.