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2-Mercaptopyridone 1-Oxide-Based Uronium Salts: New Peptide Coupling Reagents J. Org. Chem. 1999, 64, 8936-8939, DOI: 10.1021/jo990660q.

Thiopyridone-Derived Reagents for Peptide Coupling Reactions

Preparation of the coupling reagents: 1. (COCI)₂ (1.2 equiv) DMF (cat.) NMe₂ (1.0 equiv) CH₂Cl₂ Et₃N (1.2 equiv) r.t., 1 h to reflux, 4 h NMe₂ 2. KPF₆ or NaBF₄ (1.2 equiv) r.t., 5 h to 45 °C, 1 h PF₆ or BF₄ MeCN r.t., 24 h **A**: $Z^- = PF_6^-$ (75%, HOTT) **B**: $Z^- = BF_4^-$ (55%, TOTT)

Selected examples for peptide coupling reactions:

^aUsing **A** as the coupling reagent. ^bUsing **B** as the coupling reagent.

Significance: Peptide coupling reagents are extremely important in peptide synthesis. In 1999, Nájera and co-workers reported a method for synthesizing thiopyridone-derived uronium salts as coupling reagents. The reagents were prepared from cheap starting materials, making them more economical than conventional coupling reagents such as HOBt.

Comment: By using the thiopyridone-derived reagents as coupling reagents, various dipeptides were synthesized in moderate to excellent yields.

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