of this calss of compounds is that they exhibit improved pharmacological profiles due to their blood brain barrier(BBB) permeability, when compared to other calss of compounds. It is also known that thiophen ring can be utilized as isostere for the benzene ring.
So we modified the quinolone structure by substituting thiophene for the benzene ring of L-701324 to give several thienopyrimidine compounds. The synthesis of the thienopyrimidines starting from readily available material and their brief biological activities will be presented

[PD1-17] [04/21/2000 (Fri) 14:50 - 15:50 / [1st Fl, Bldg 3]]

Practical large scale synthesis to introduce t-butyl group as a non-polar moiety of D-serine

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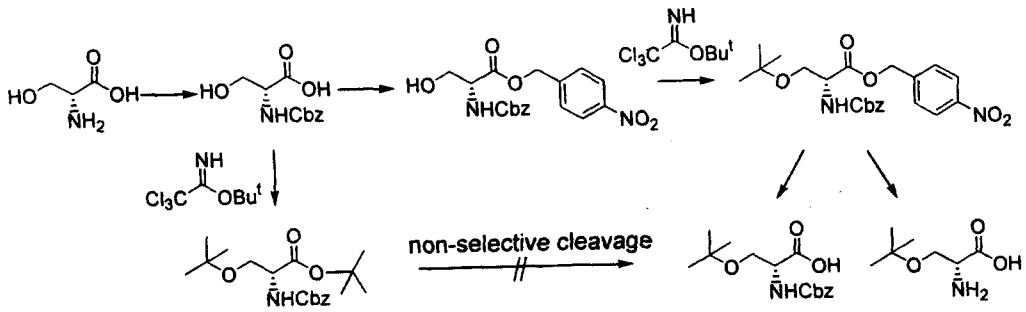
Synthetic peptides has been increasingly widely recognized as potential pharmaceutical agents. Therefore, there are growing demands for amino acid derivatives used as building blocks in peptide synthesis. Especially, the side-chain protection of polyfunctional amino acids such as SER, THR, TYR is not easy. Although these derivatives are commercially available, they are expensive and not supplied sometimes.
Here we describe practical large scale synthesis of tert-butyl introduced D-serine which is, for example, one of building blocks of zoladex, peptide drug(pyro-gly-his-trp-ser-tyr-D-ser(But)-Leu-Arg-Pro-Azgly-NH2 acetate).



[PD1-18] [04/21/2000 (Fri) 14:50 - 15:50 / [1st Fl, Bldg 3]]

Synthesis and properties of dextran-nalidixic acid ester as a colon-specific prodrug of nalidixic acid

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Dextran-nalidixic acid ester with varied degree of substitution (DS) was synthesized as a colon-specific prodrug of nalidixic acid (NA). Solubility in water (mg/ml) of dextran-nalidixic acid ester (dextran-NA) with DS (mg NA/100 mg dextran-NA) of 7, 19, or 32 was 57.57 (equivalent to 4.00 mg NA/ml), 0.53 (equivalent to 0.10 mg NA/ml), or 0.03 (equivalent to 0.01 mg NA/ml), respectively, and that for NA was 0.03 at 25℃. To assure the chemical stability of dextran-NA at conditions similar to stomach and small intestine, dextran-NA was placed in a solution of pH 1.2 hydrochloric acid buffer or pH 6.8 phosphate buffer and incubated at 37℃ and no NA was detected during the 6 hours of incubation period, which indicated that dextran-NA might be chemically stable during the