Synthesis of Biotin

Why Synthesize Biotin in the chemical laboratory?

Some Biology of Biotin:
- Coenzyme for Pyruvate carboxylase
- Converts pyruvate to oxaloacetate
- Important in gluconeogenesis, citric acid cycle, fat metabolism, others
A Simple and Enantioselective Synthesis of (+)-Biotin
E.J. Corey and Mukund M. Mehrotra
Dept of Chemistry, Harvard University


“The importance of (+)-biotin in human and animal nutrition and the constantly increasing
demand for the synthetic vitamin has stimulated interest in new syntheses. Although several
novel syntheses of biotin have been reported recently, none appear to have a clear commercial
advantage…. We report here a simple new route to biotin.”

Some Chemical Reminders:

Nucleophile

Leaving Group

Base

Substitution reaction

Addition Reaction

The synthesis starts with Cystine:

COOMe is the same as
1. $\text{Ph}_3\text{P} / \text{heat}$
2. 6M HCl / heat
1. NaCN
2. H₂ Pd/C

(+)-Biotin

synthetic methyl ester: [α] +81.0
authentic methyl ester: [α] +80.7