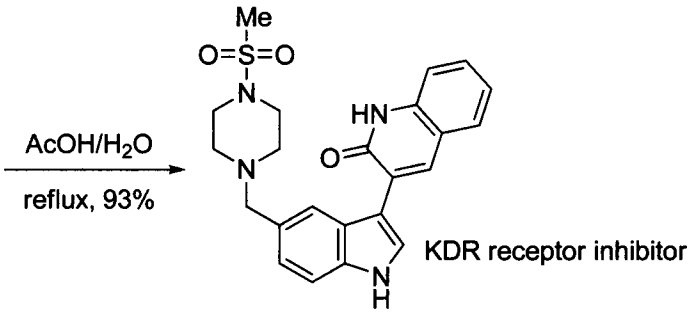
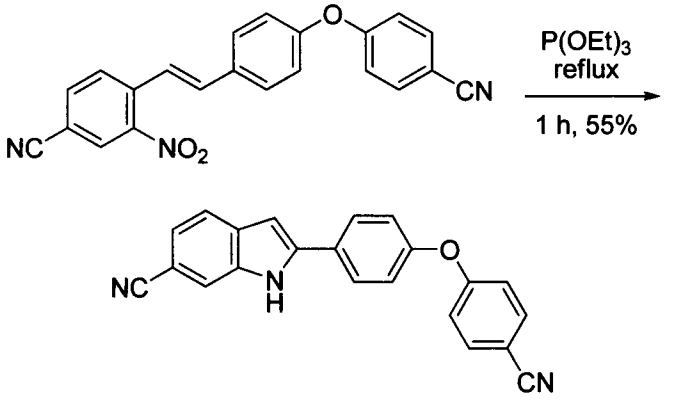


The Cadogan–Sundberg indole synthesis was also employed to prepare botulinum neurotoxin A light chain (BoNT/A LC) endopeptidase inhibitors.[57] Botulinum neurotoxins secreted by strains of the anaerobic spore forming bacterial species *Clostridium botulinum* are the most potent neurotoxins known and are categorized as category A (highest priority) bioterrorist agents by the Centers for Disease Control and Prevention (CDC). In the synthesis, the stilbene was refluxed in neat triethyl phosphite for 1 h to deliver the key indole intermediate, which was converted into botulinum neurotoxin A inhibitors in several additional steps.



3.4 Oxindole-containing Drug Synthesis

Although not as popular as indoles, oxindoles exist in some drugs. One example is Pfizer's atypical anti-psychotic ziprasidone (Geodon). Its right-hand fragment oxindole started with a Wolff–Kishner reduction of isatin to give the oxindole.[58–60] Friedel–Crafts acylation with chloroacetyl chloride afforded the aryl ketone, which was reduced with triethylsilane in trifluoroacetic acid to the phenethyl chloride. The alkyl chloride fragment was joined by alkylation with the piperazine fragment in the presence of NaI and Na_2CO_3 to give ziprasidone in 20% yield in isoamyl alcohol. The yield