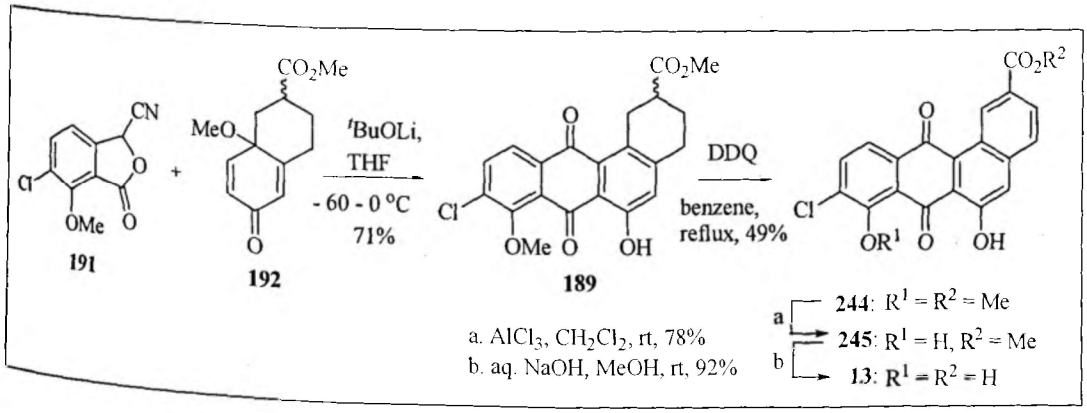
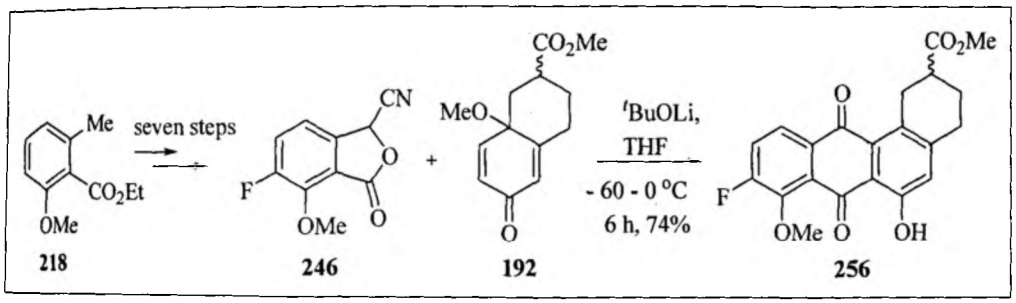
Abstract

This thesis describes total synthesis of **BE-23254** (**13**), a naturally occurring angucycline antibiotic, synthesis of fluoro containing analogue (**256**) of **BE-23254** and few simple analogs in sections 4.1, 4.2 and 4.3. Section 4.5 of the thesis describes investigation on installation of 6-amino group of **chrymutin** (c.f. **261** → **262**)

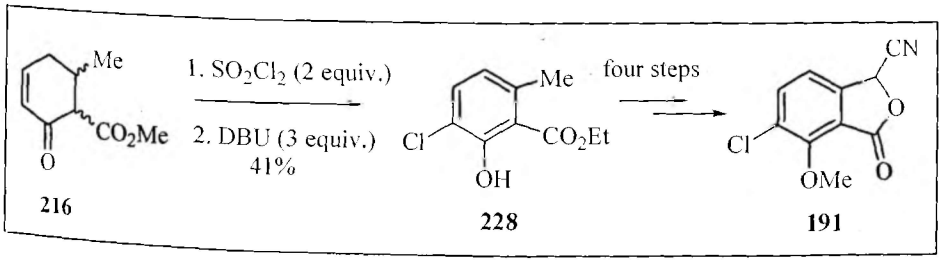
Total synthesis of BE-23254 (**13**) has been achieved by the combined use of Hauser–Kraus annulation reaction and DDQ-promoted oxidation. Annulation reaction of chloroisobenzofuranone **191** with naphthalenone **192** provided 1,2,3,4-tetrahydrobenz[*a*]anthraquinone **189** in 71% yield, which on oxidation with DDQ followed by demethylation and ester hydrolysis furnished **BE-23524** (**13**). This total synthesis was also preceded by thorough model studies with simpler targets.



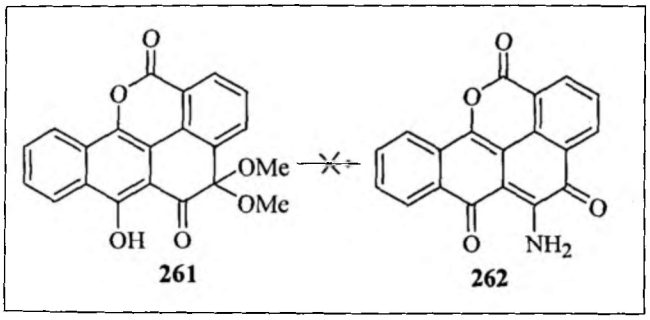
This strategy has been successfully extended to the synthesis of fluoro analogue **256** of angucycline antibiotics. Fluoroisobenzofuranone **246** has been prepared from ethyl 2-methoxy-6-methylbenzoate (**218**) in seven steps and its Hauser–Kraus annulation reaction with naphthalenone **192** gave fluoro analogue **256**.



The important subsidiary achievement in the synthetic study is the development of regiospecific synthesis of *ortho*-chlorinated phenol **228** based on chlorinative aromatization of cyclohexenone derivative **216**. Chlorination of **216** with 2 equivalents of sulfuryl chloride followed by dehydrochlorinative aromatization with DBU resulted in the synthesis of *ortho*-chlorophenol **228**, a key intermediate required for the synthesis of CD ring synthon **191**.



In section 4.5 of the thesis, the model synthetic study on **chrymutin** has been described. Compound **261** has been prepared and subjected to different imination conditions to incorporate the nuclear amine functionality. But, all the attempts met with failures.



The alternative attempts to synthesize an 6-amino benz[*a*]anthracenedione by annulating with quinone iminemonoketal **280** have been prepared from *β*-naphthylamine led to the formation of nitrogen free annulated product **282**.