Industrial synthesis (19/08/2021)

New scalable synthetic route to ELQ-300, an antiparasitic quinolone

Org. Process. Res. Dev. 2021, DOI: 10.1021/acs.oprd.1c00099 (VA Portland Healthcare System)

endochin-like quinolone (ELQ) compound class may yield effective treatments for a range or important human and animal afflictions (antimalarial potency)

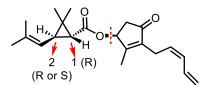
Retrosynthesis (4 disconnections) and synthesis (5 steps). Mechanisms of the key steps.

Pyrethroids

The pyrethroids are among the commercially most important insecticides on the plant protection market (several thousand tonnes per annum).



- pyrethrum has been obtained for a long time from the blooms of chrysanthemums
- only the (1R)diastereomers possess insecticidal activity

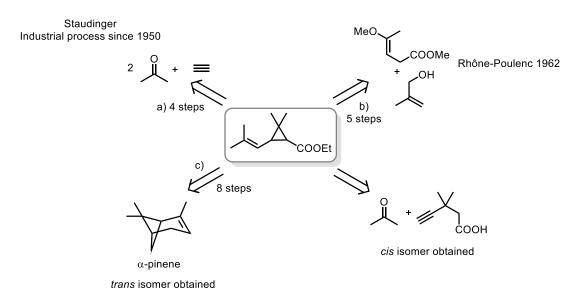


Pyrethrin I (+)-*trans*-Chrysanthemic acid

(1949, US 1954, Japan)

bypass the difficulties of trans/cis isomers

Find the 4 syntheses



Solution:

Part 1:

Part 2: