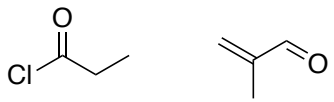
Synthesis Challenge 101

AG Wegner

17.02.2022



A

1-3

B

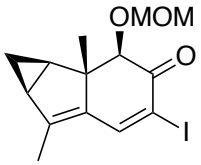
3-5

C

6

D

7-8



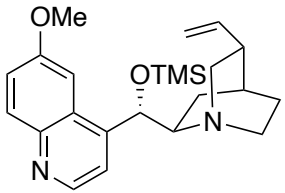
E

1) **Cat I** (10 mol%), LiI, iPr_2NEt, DCM/Et_2O
2) LiHMDS (xs), allyl iodide, THF/HMPA;
then **I**; then conc. aq. HCl, then $NaBH_4$, MeOH

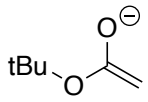
3) $pTsOH*H_2O$, $PhCH_3$, 70 to 100ºC
4) $CH_2=S(O)Me_2$, DMSO
5) MeLi, ether, –78 to 0ºC

6) 2nd gen. Grubbs cat., DCM, rt
then iPr_2NEt, MOMCl, TBAI

7) O_3, DCM/iPrOH, –78ºC; then PPh_3;
then piperidine (0,5 eq.) AcOH (1 eq.) rt to 60ºC;
then KOH, MeOH, rt
8) I_2, py, DCM, 55ºC



Cat I

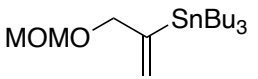


I

E

9-11

9) II, CuI, CsF, $Pd(PPh_3)_4$, DMF, rt
10) DBU, $PhCH_3$, 130ºC
11) TMSCl, MeCN, –45 to –40ºC



II

F

E

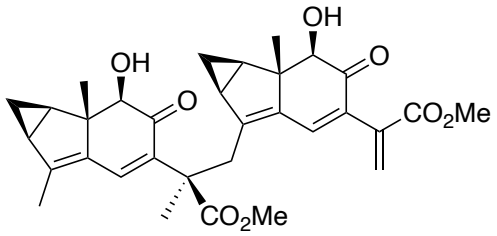
12-14

12) SeO_2, diocane, 100ºC
13) $LiAlH(OtBu)_3$, THF, –78ºC
14) CBr_4, PPh_3, imid., DCM, 0ºC

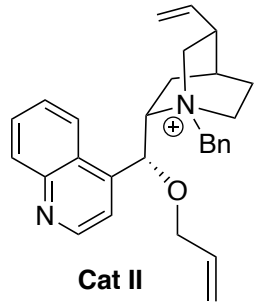
G

F + **G**

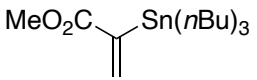
15-18



15) **Cat II** (20 mol%), aq. CsOH, $MnSO_4$, PhMe
16) Pinnick Oxidation; then $TMSCHN_2$, 0°C
17) **III**, $Pd(PPh_3)_4$, CuI, CsF, DMF, rt
18) TMSCl, NaI, MeCN, –40 to –20°C



Cat II



III