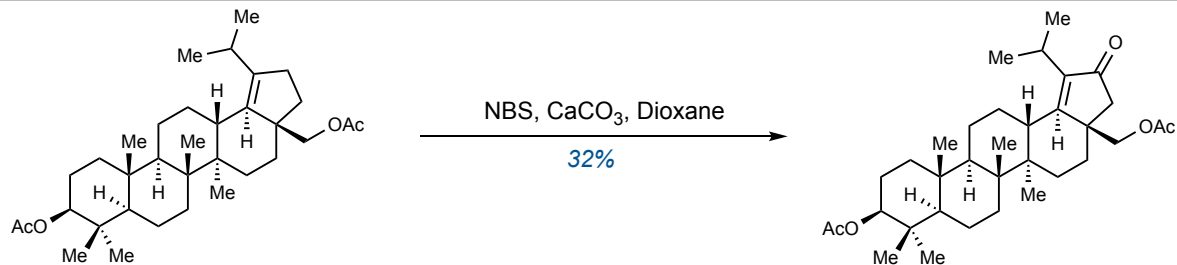


HIV maturation inhibitor used to treat HIV

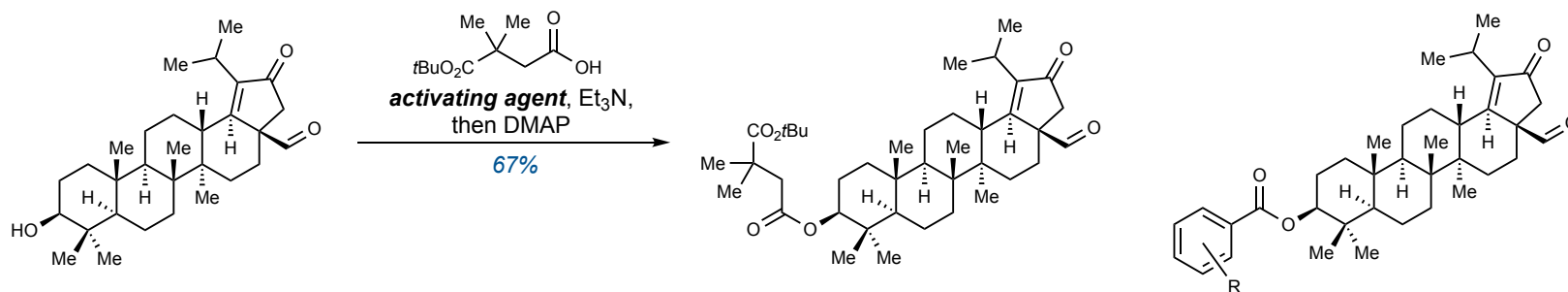
First patent route completed on ~500 g scale, up to 75 kg with slight modifications

Completed Phase IIa trials in late 2020

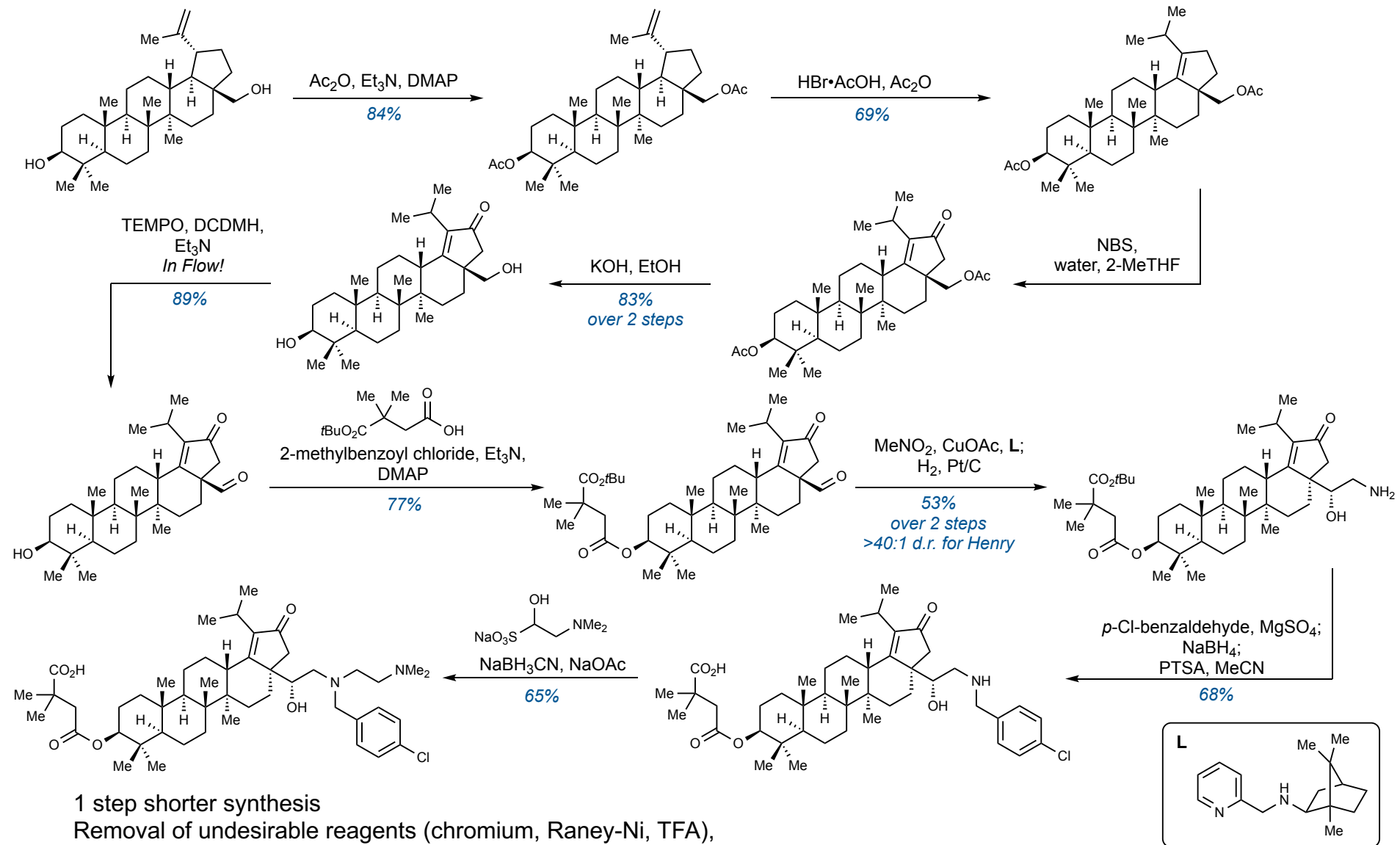
Further scaleups halted due to hazardous materials and halogenated waste



| Conditions | Outcome |
|--------------------|---|
| Photoirradiation | No change |
| 50 °C | Improved conversion, more side products |
| Recrystallized NBS | No change |
| Anaerobic reaction | More reproducible |
| Radical initiator | No change |
| No base | Full conversion |



| Activator | Reaction Time | Side Product Yield |
|----------------------------------|---------------|--------------------|
| <i>p</i> -anisoyl chloride | > 24 hours | trace |
| <i>p</i> -nitrobenzoyl chloride | 2 hours | 31% |
| <i>o</i> -methylbenzoyl chloride | 10 hours | trace |



1 step shorter synthesis
Removal of undesirable reagents (chromium, Raney-Ni, TFA),
Improved sustainability (fewer workups, less solvent)
8% overall yield