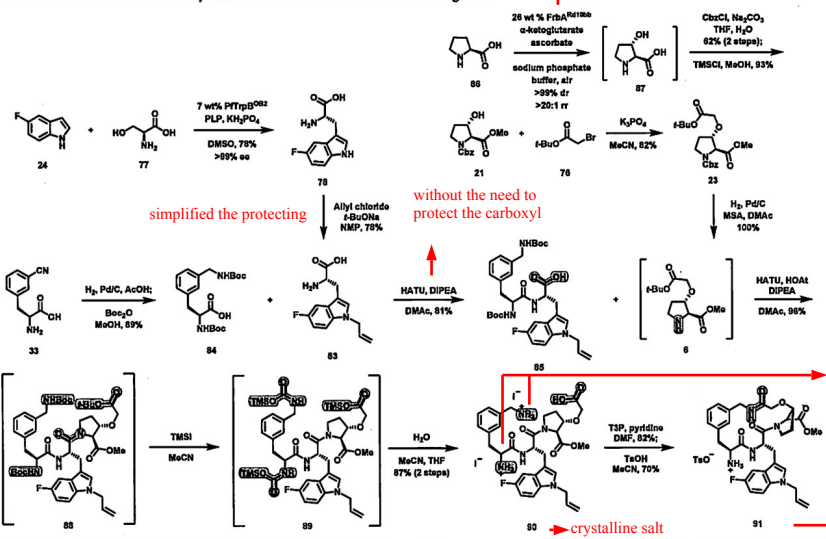


Scheme 6. Third Generation Synthesis of the Enlicitide Northern Fragment



α-ketoglutarate-dependent oxidation of L-proline (86) with

orally bioavailable inhibitor
of protein convertase

MK-0616

different steric environments and pK

14 steps total

crystalline salt

crystalline isolated in good yield and purity

Scheme 7. Second Generation Synthesis of the Enlicitide Southern Fragment

