

Total Synthesis of Enlitide Decanoate

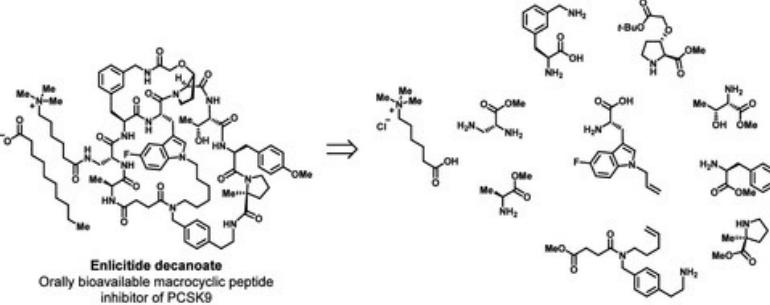
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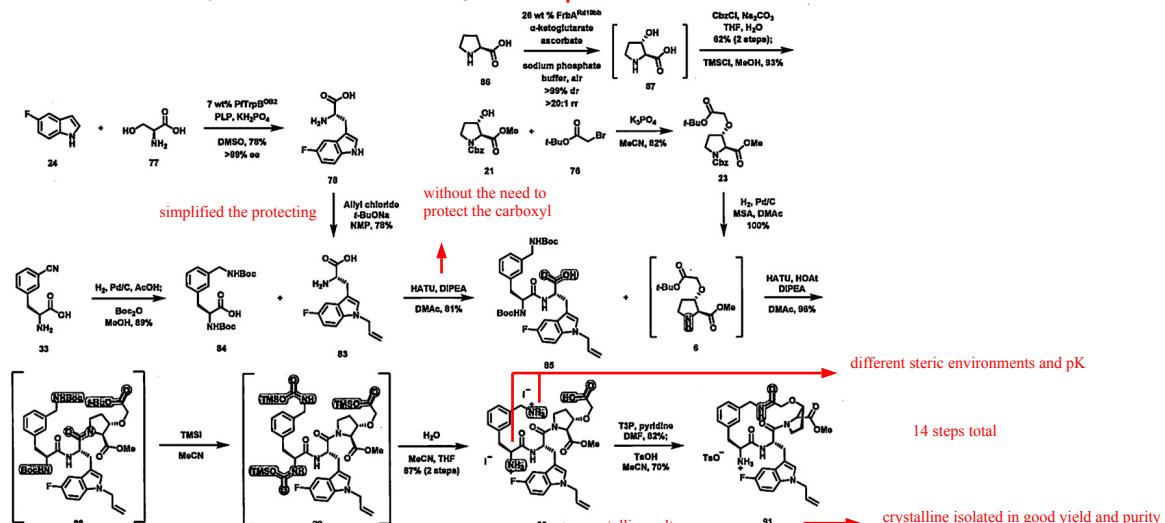
Canonical and non-canonical amino acid building blocks

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

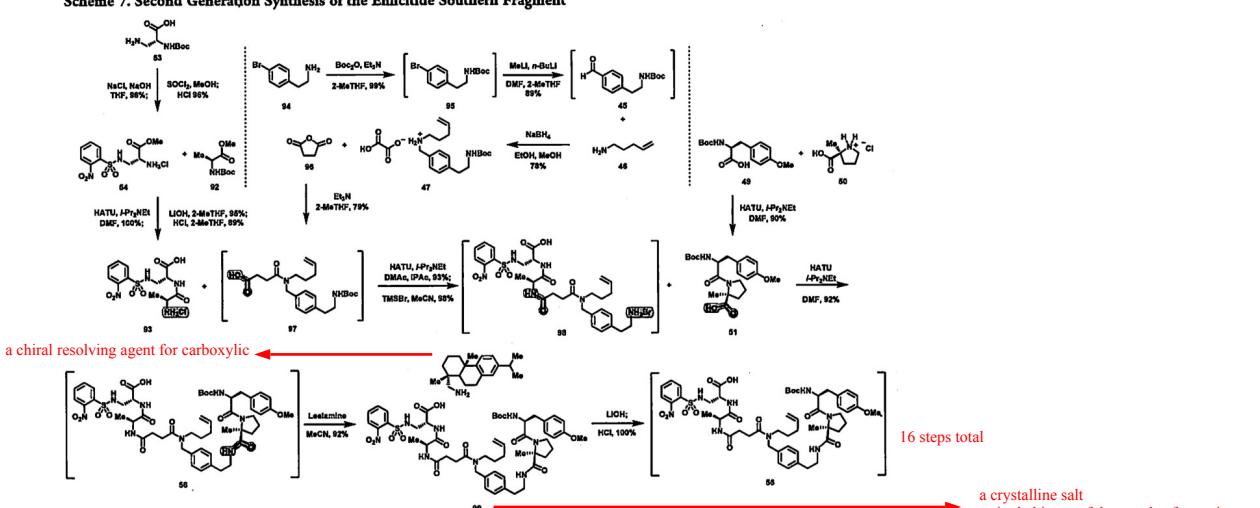
Scheme 6. Third Generation Synthesis of the Enlitide Northern Fragment

orally bioavailable inhibitor
of proprotein convertase

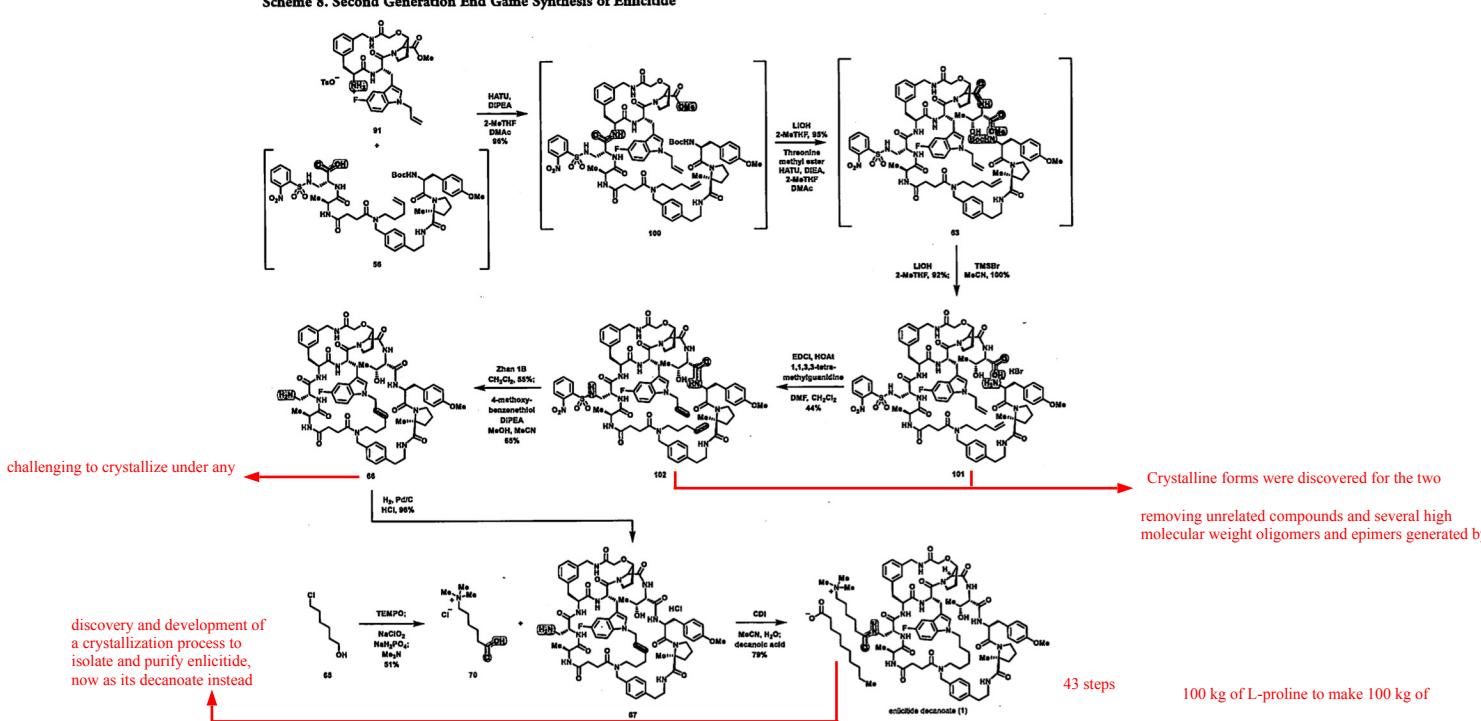
MK-0616



Scheme 7. Second Generation Synthesis of the Enlitide Southern Fragment



Scheme 8. Second Generation End Game Synthesis of Enlitide



discovery and development of
a crystallization process to
isolate and purify enlitide,
now as its decanoate instead

43 steps

100 kg of L-proline to make 100 kg of

Crystalline forms were discovered for the two
removing unrelated compounds and several high
molecular weight oligomers and epimers generated by

challenging to crystallize under any

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