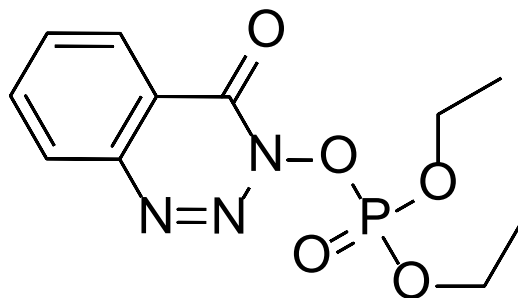


DEPBT

叶蕴华偶联试剂



DEPBT: 3-(Diethoxyphosphoryloxy)-1,2,3-benzotriazin-4(3H)-one

3-(二乙氧基磷酰氧基)-1,2,3-苯并三嗪-4-酮

CAS: 165534-43-0

Used in peptide synthesis with remarkable resistance to racemization.

INTRODUCTION

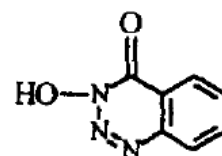
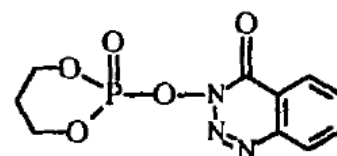
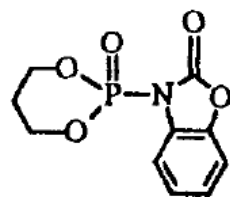
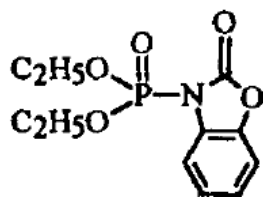
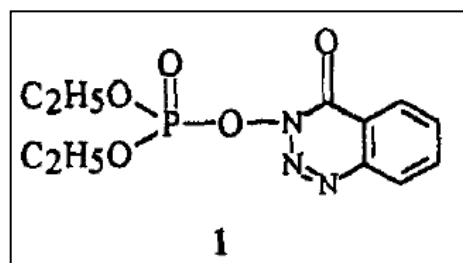


Yunhua Ye 叶蕴华

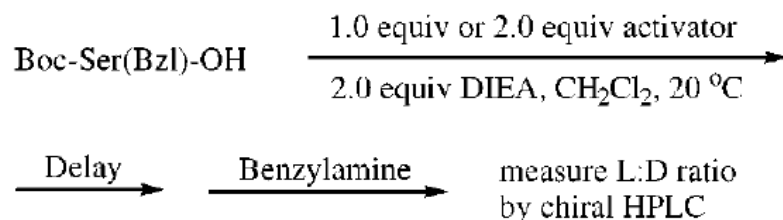
- Born 1936, in Nanjing
- 1960, Peking university, B.A.
- 1960-2000, Peking university, Professor
- 1964-1965, SIOC, Total synthesis of bovine insulin.

DEVELOPMENT

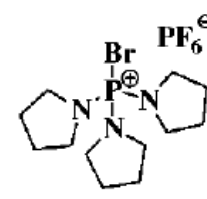
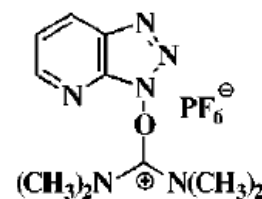
- Chongxu Fan, Xiaolin Hao, and Yunhua Ye. *Synth.commun*, **1996**, 26,1455.



- Org. Lett.* **1999**,1, 91-93

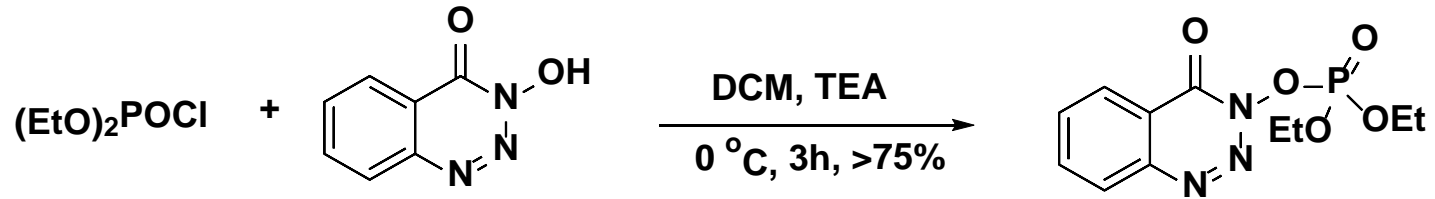


activation	delay time, min	L:D ratio	yield, %
PyBrop (1.0 equiv)	4	65:35	81
HATU (1.0 equiv)	4	84:16	91
HBTU (1.0 equiv)	15	79:21	>99
BOP (1.0 equiv)	15	85:15	95
DEPBT (1.0 equiv)	60 ^a	95:5	70
DEPBT (2.0 equiv)	60 ^a	96:4	>99

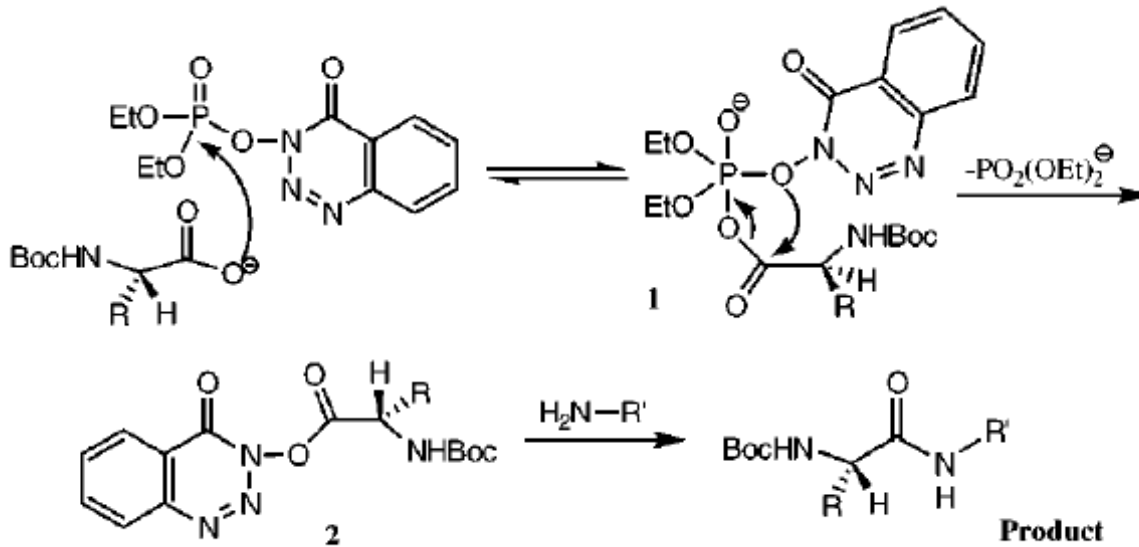


^a Even with such long delay time, very little racemization is observed.

PREPARATION



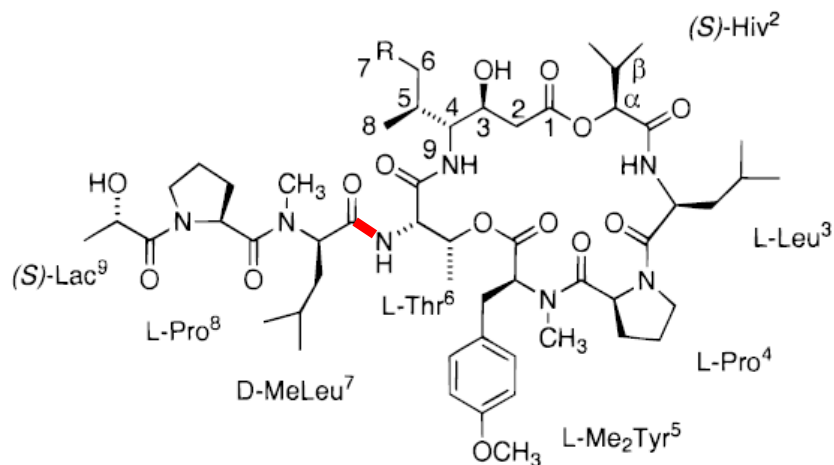
MECHANISM



FEATURES

- It is remarkable that amide bond forming reactions mediated by DEPBT are so strongly resistant to racemization.
- The compound is a crystalline colorless solid with a shelf life of months at room temperature.
- It is easy to prepare.

APPLICATIONS

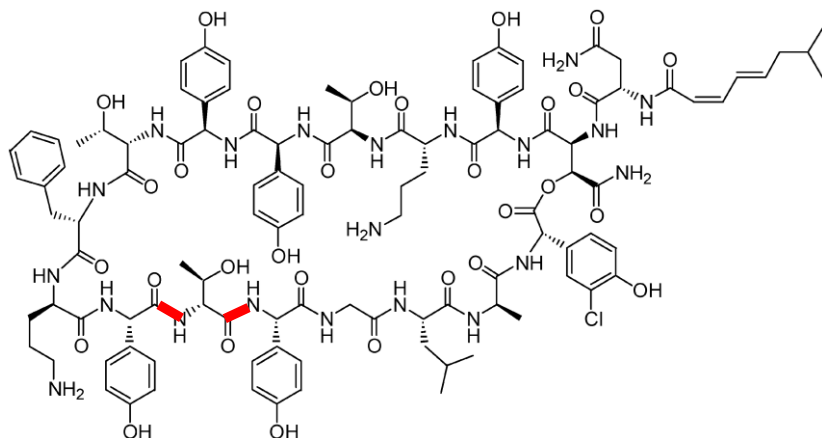


Tamandarin A (1): R = CH₃; (3S, 4R, 5S)-Ist¹

Tamandarin B (2): R = H; (3S, 4R)-Nst¹

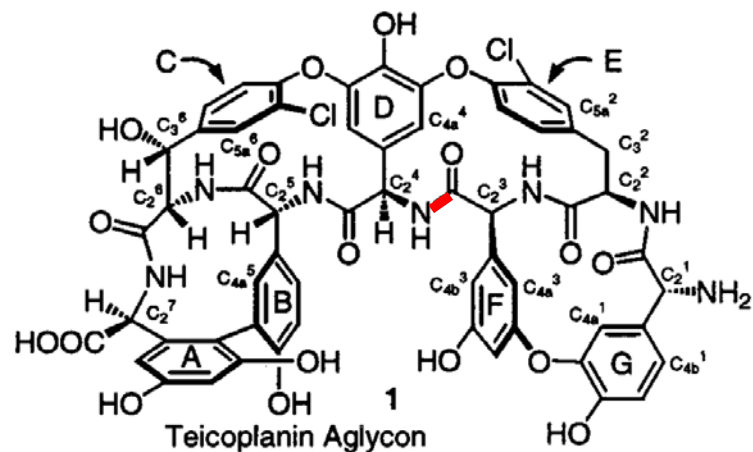
80% J. Am. Chem. Soc. **2001**, 123, 19, 4469-4474

20% HOBT



82%, 98% de, J. Am. Chem. Soc. **2002**, 124, 19, 5288

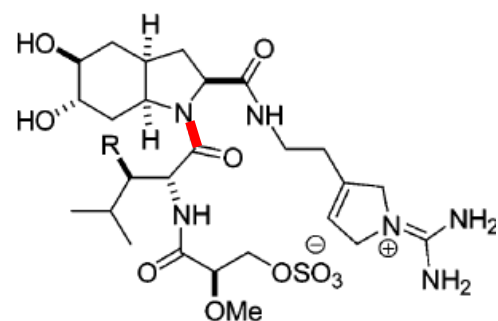
EDCI-HOAt, 80%de



83%, J. Am. Chem. Soc. **2000**, 122, 30, 7416

55%, 3 steps. J. Am. Chem. Soc. **2001**, 123, 49, 12411

de>10:1, HATU de=3/1



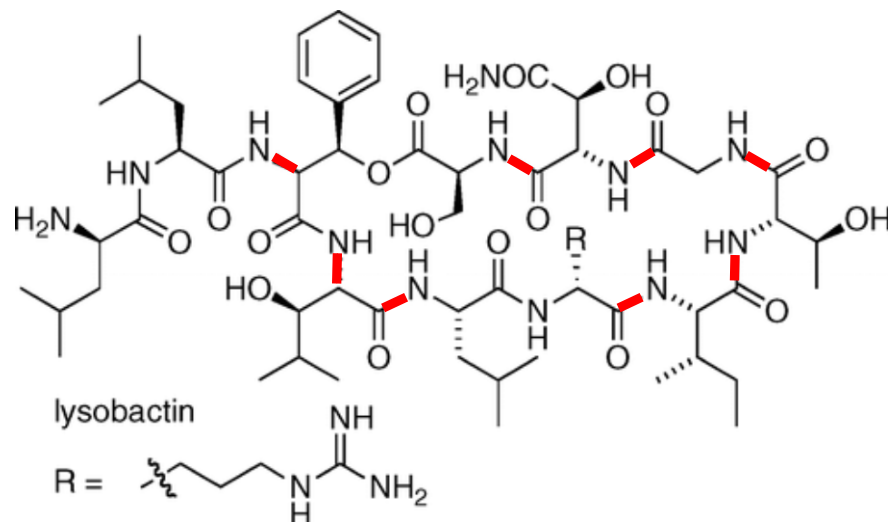
1 R = H dysinosin A

2 R = Cl chlorodysinosin A

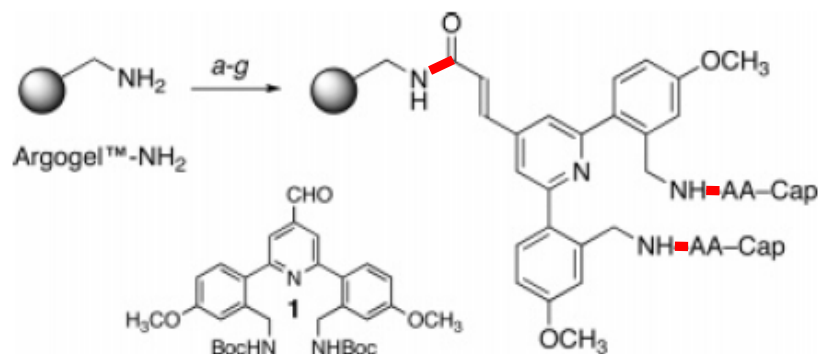
55-60% J. Am. Chem. Soc. **2006**, 128, 32, 10491

EDC/HOBT, HBTU/HOBT, or PyBOP <15%

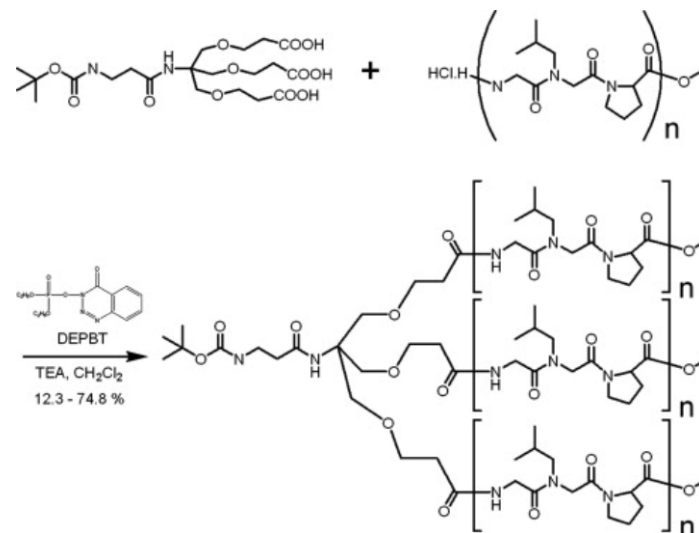
APPLICATIONS



>80%, J. Am. Chem. Soc. **2007**, 129, 18, 6017



J. Am. Chem. Soc. **2005**, 127, 29, 10124



Bioorg.Chem. **2007**, 35, 327

EDC, DCC, HBTU, and HATU gave poor results

THANKS!