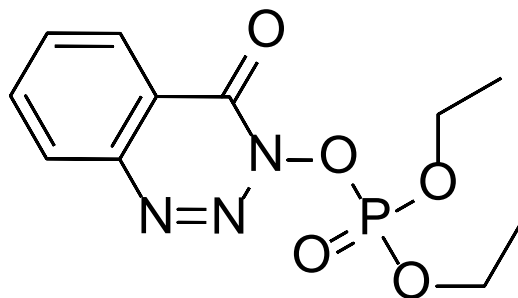


# DEPBT

## 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

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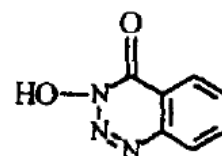
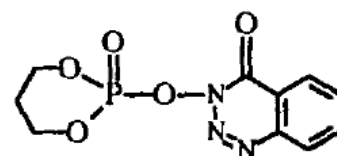
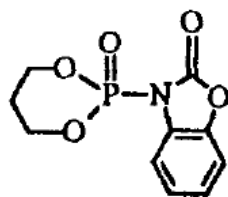
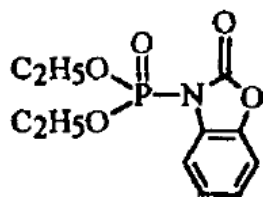
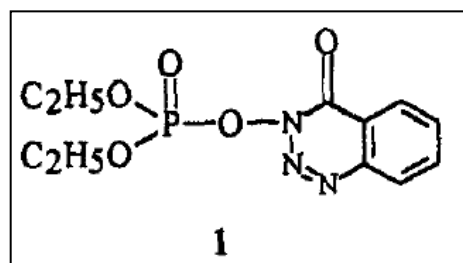


## Yunhua Ye 叶蕴华

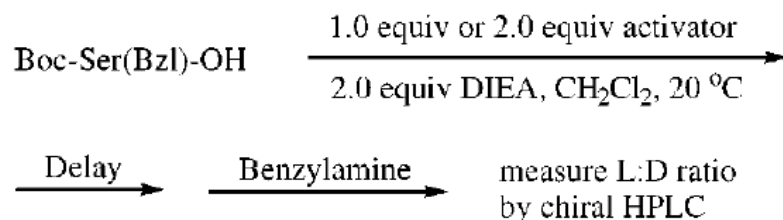
- Born 1936, in Nanjing
- 1960, Peking university, B.A.
- 1960-2000, Peking university, Professor
- 1964-1965, SIOC, Total synthesis of bovine insulin.

# DEVELOPMENT

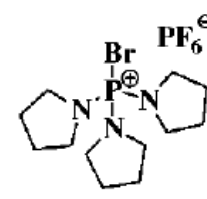
- Chongxu Fan, Xiaolin Hao, and Yunhua Ye. *Synth.commun*, **1996**, 26,1455.



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

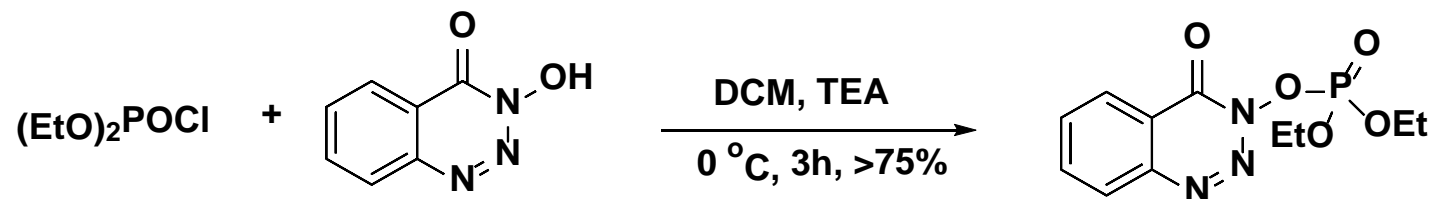


activation	delay time, min	L:D ratio	yield, %
PyBrop (1.0 equiv)	4	65:35	81
HATU (1.0 equiv)	4	84:16	91
HBTU (1.0 equiv)	15	79:21	>99
BOP (1.0 equiv)	15	85:15	95
DEPBT (1.0 equiv)	60 <sup>a</sup>	95:5	70
DEPBT (2.0 equiv)	60 <sup>a</sup>	96:4	>99

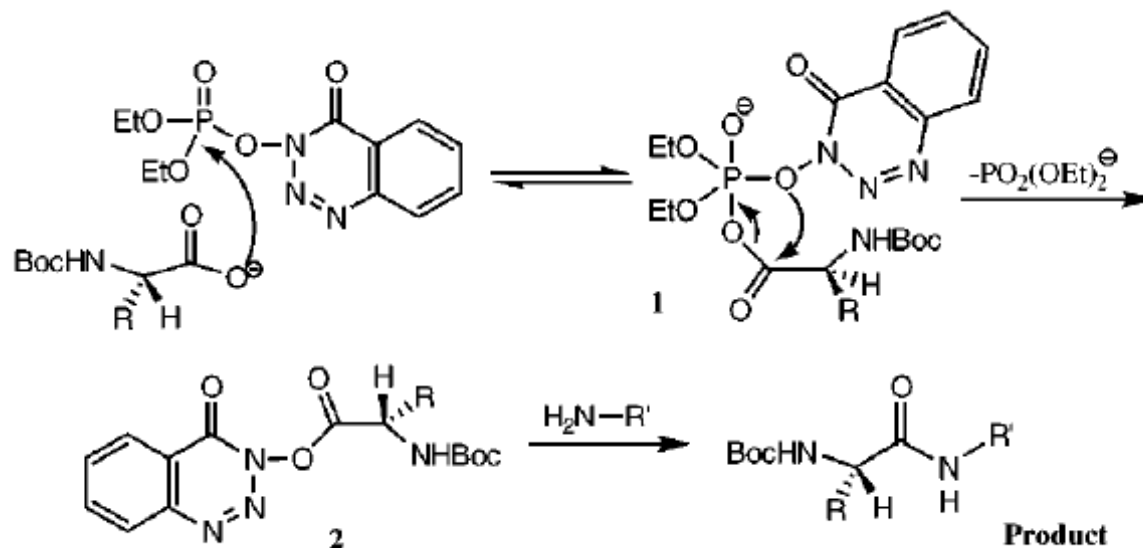


<sup>a</sup> Even with such long delay time, very little racemization is observed.

# PREPARATION



# MECHANISM

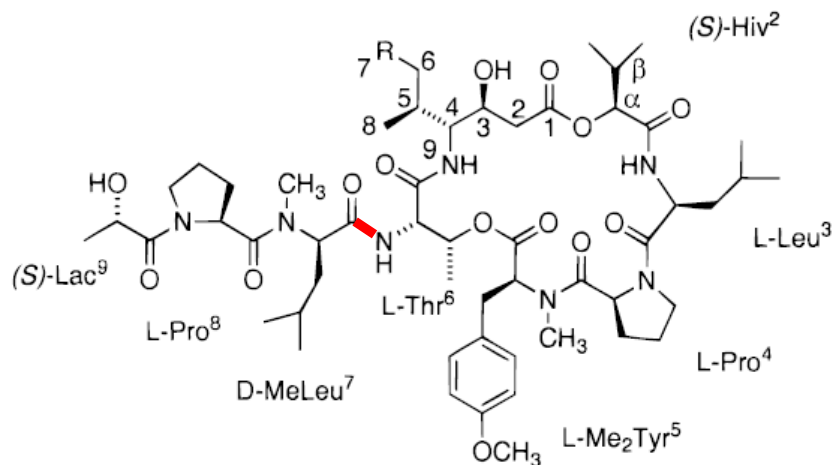


## *FEATURES*

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- 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

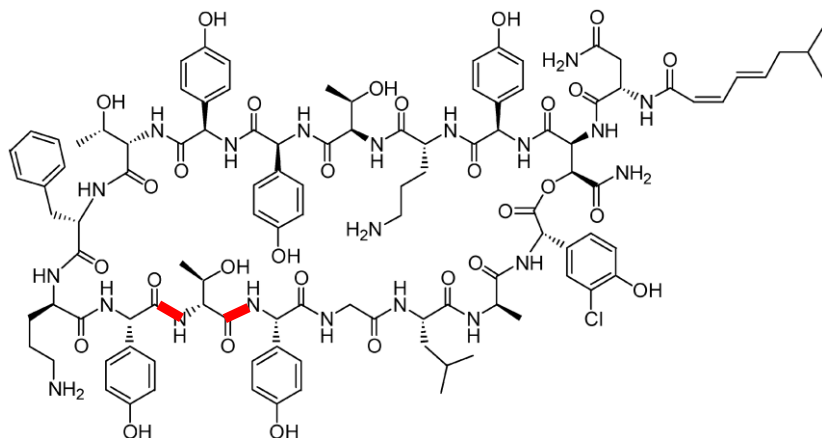


**Tamandarin A (1):** R = CH<sub>3</sub>; (3S, 4R, 5S)-Ist<sup>1</sup>

**Tamandarin B (2):** R = H; (3S, 4R)-Nst<sup>1</sup>

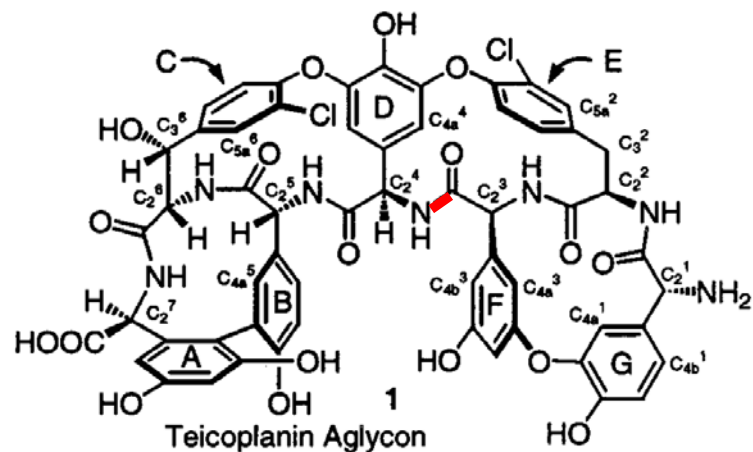
**80%** J. Am. Chem. Soc. **2001**, 123, 19, 4469-4474

**20% HOBT**

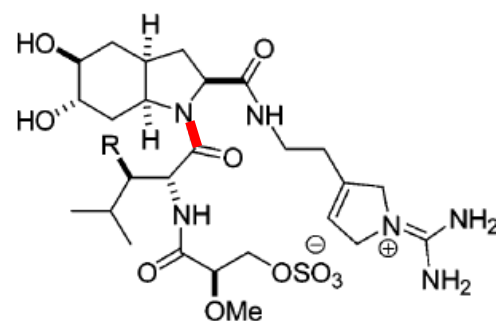


**82%, 98% de**, J. Am. Chem. Soc. **2002**, 124, 19, 5288

**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**



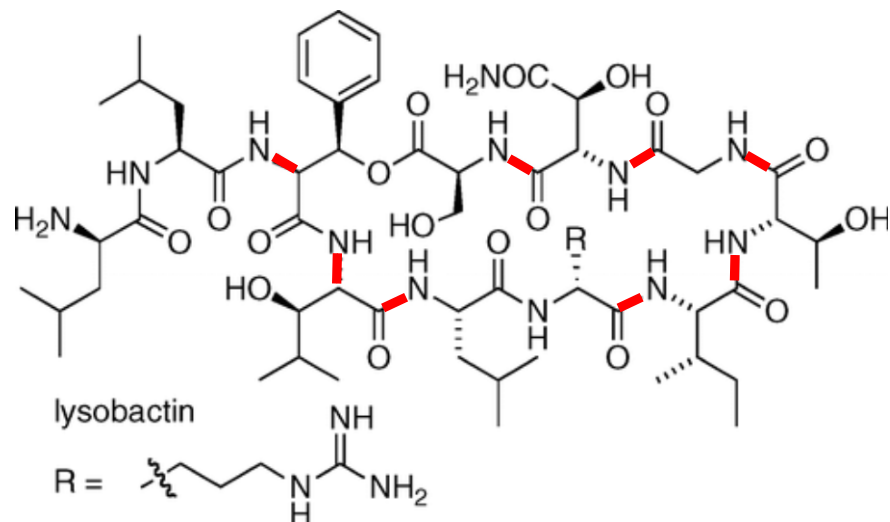
**1** R = H dysinosin A

**2** R = Cl chlorodysinosin A

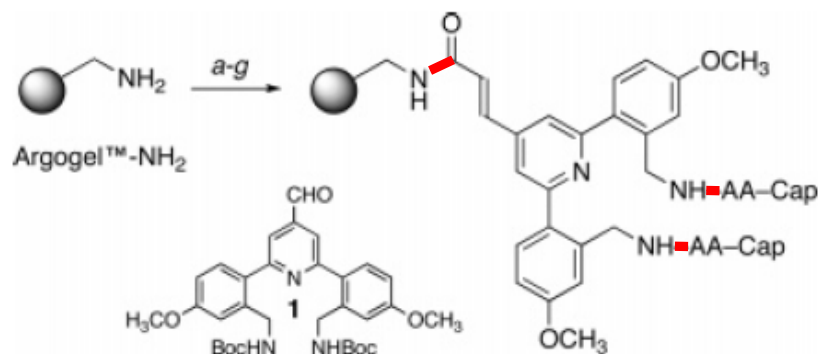
**55-60%** J. Am. Chem. Soc. **2006**, 128, 32, 10491

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

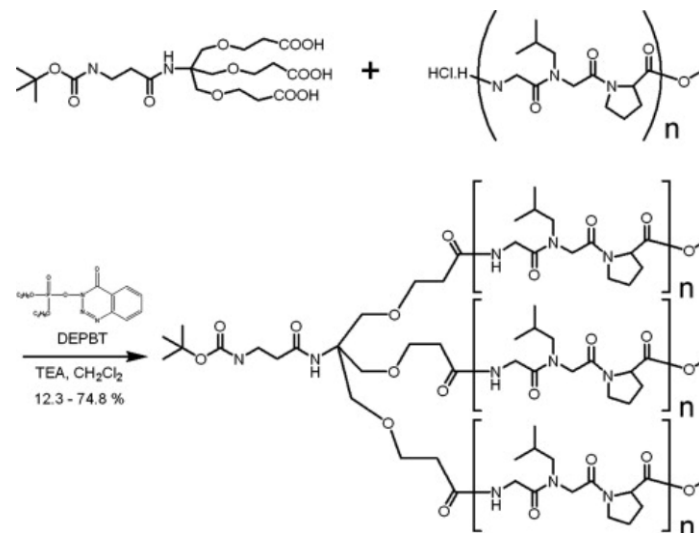
# APPLICATIONS



>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!***