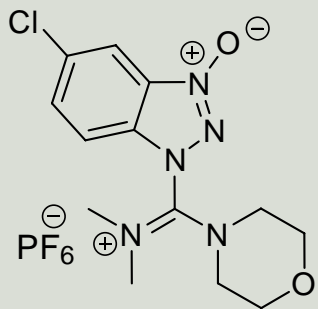


## HDMC - A New Family of Uronium-type Coupling Reagents



### HDMC – Reactivity

Yields and racemization for the formation of Z-Phg-Pro-NH<sub>2</sub>

Reagent	Base (eq.)	Yield [%]	D,L [%]
HATU	DIEA (2)	78.4	3.1
HBTU	DIEA (2)	80.2	8.2
<b>HDMC</b>	<b>DIEA (2)</b>	<b>84.5</b>	<b>1.5</b>

Yields and racemization for the formation of Z-Phe-Val-Pro-NH<sub>h</sub> (2+1) in DMF (Solution-phase synthesis)

Coupling Reagent	Base (equiv.)	Yield (%)	LDL (%)
HATU	DIEA (2)	85.8	13.9
HBTU	DIEA (2)	89.7	27.7
<b>HDMC</b>	<b>DIEA (2)</b>	<b>79.9</b>	<b>13.9</b>

The percentage of des-Aib-(H-Tyr-Aib-Phe-Leu-NH<sub>2</sub>) obtained during solid-phase assembly of the pentapeptide (H-Tyr-Aib-Phe-Leu-NH<sub>2</sub>).

Coupling Reagent	Base (equiv.)	Penta (%)	Des-Aib (%) tetra
HATU	DIEA (2)	83.0	17
	DIEA (1)	68.0	32
HBTU	DIEA (2)	47.0	53
	DIEA (1)	33.0	67
<b>HDMCB</b>	<b>DIEA (2)</b>	<b>98.7</b>	<b>1.3</b>
	<b>DIEA (1)</b>	<b>39.0</b>	<b>62.0</b>

### HDMC - Features and Advantages:

- Comparable coupling efficiency to HATU
- Reduced racemization level