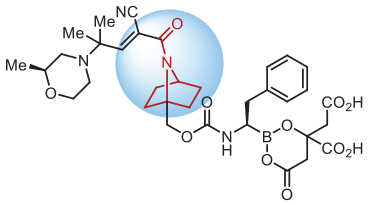
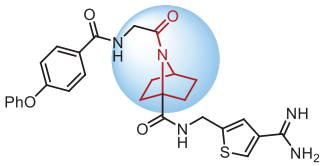
Highly Pyramidal Amides

Introduction

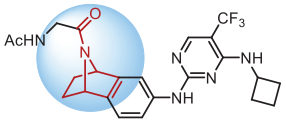
The amide bond is known for characteristic features such as planarity and slow rotation. The bicyclic structure of 7-azabicyclo[2.2.1]heptane imposes a high degree of pyramidalization on the amides it forms.[1,2] This may enhance the dynamic properties of bioactive molecules, potentially affecting their distribution and solubility,[3,4] and grant access to unusual, otherwise unattainable conformations. Explore our unique collection of 7-azabicyclo[2.2.1]heptane derivatives in your research!



large multifunctional peptidase 2 inhibitor
WO 2024/006337
Principia Biopharma



complement 1 esterase inhibitor
WO 2022/066774
Achillion Pharm

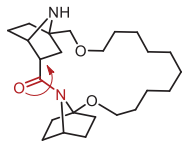


PF-03814735
reversible inhibitor of Aurora A/B kinases
Pfizer

Amide bond

Accelerated rotation Otani et al. *Nat. Comun.* **2019**, *10*, 461

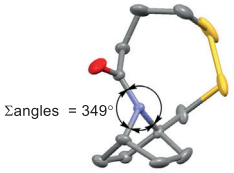
k ~ 1000 Hz



k ~ 0.01 - 1 Hz

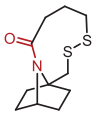
common amide

Conformation switch Cheng et al. *Chem. Commun.* **2024**, *60*, 6158



CCDC 2332996

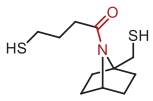
99% *cis*-amide



reduction

TCEP
aq. $NaHCO_3$
methanol, rt

99% *trans*-amide



TCEP = *tris*(2-carboxyethyl)phosphine

We offer: over 50 7-azabicyclo[2.2.1]heptanes from stock on 5-10 gram scale.

EN300-37373312

EN300-46760729

EN300-51687904

EN300-746609

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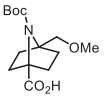

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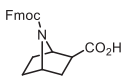

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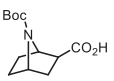

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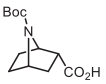

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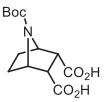

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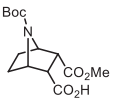

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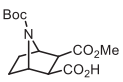

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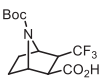

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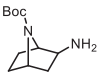

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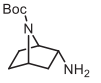

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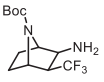

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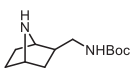

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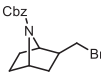

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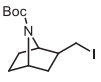

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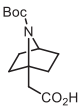

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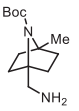

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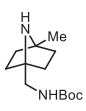

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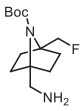

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EN300-51664188

EN300-311685

EN300-12717333

References

1. Y. Otani et al. *J. Am. Chem. Soc.* **2003**, *125*, 15191.
2. Y. Otani et al. *Nat. Commun.* **2019**, *10*, 461.
3. F. Liu et al. *ACS Med. Chem. Lett.* **2022**, *13*, 1730.
4. C. Allerton et al. *J. Med. Chem.* **2024**, *67*, 13550.