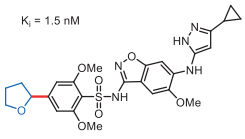
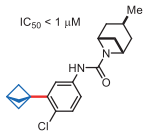
N-Hydroxyphthalimide (NHPI) Esters for Cross-coupling

Introduction

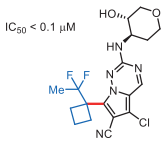
In recent years, *N*-Hydroxyphthalimide (NHPI) esters have proven excellent synthetic precursors for mild and selective radical C-C cross-coupling reactions, enabling the incorporation of small aliphatic sp^3-rich fragments into bioactive substances through photochemical, electrochemical, and organozinc processes.[1,2] NHPI esters are highly selective, easy-to-handle solids that are compatible with bioorthogonal reactions[3] and parallel chemistry settings.[4] NHPI esters can be derived from virtually any carboxylic acid, suggesting significant potential for generating extensive libraries of molecules containing aliphatic fragments.



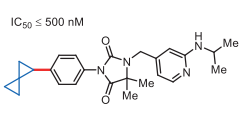
inhibitor of lysine acetyl transferase 6
WO 2025/074249
Pfizer



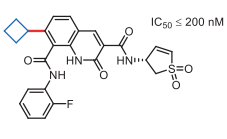
inhibitor of sterile alpha and TIR motif containing 1 protein
WO 2025/054369
Nico Ther.



inhibitor of cyclin-dependent kinase 6
WO 2025/024707
Kinnate Biopharm.



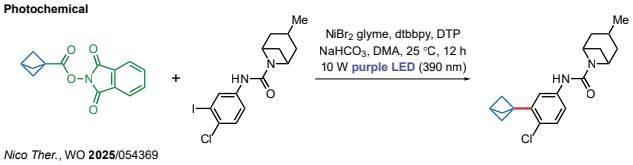
inhibitor of insulin-like growth factor 1 receptor
WO 2025/019715
Horizon



inhibitor of Werner syndrome ATP dependent helicase enzyme
WO 2025/085233
Enzem Ther.

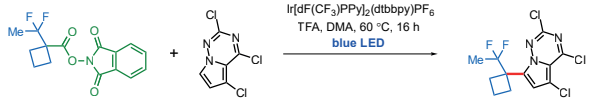
Examples

Photochemical



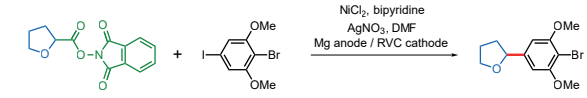
Nico Ther., WO **2025**/054369

Photochemical



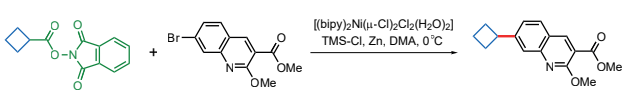
Kinnate Biopharm., WO **2025**/024707

Electrochemical



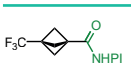
Pfizer, WO **2025**/074249

Catalytic



Enzem Ther, WO **2025**/085233

We offer: over 1,000 NHPI esters from stock on 5-10 gram scale.



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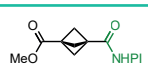

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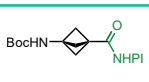

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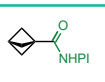

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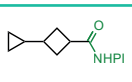

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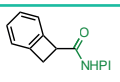

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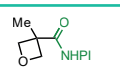

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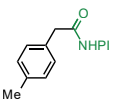

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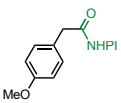

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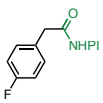

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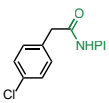

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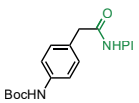

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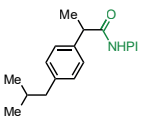

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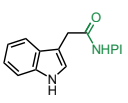

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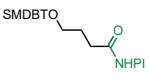

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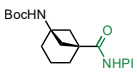

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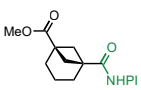

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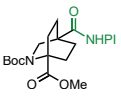

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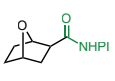

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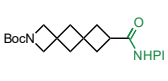

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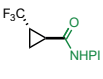

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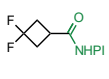

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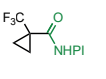

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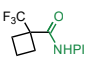

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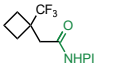

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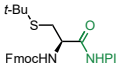

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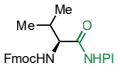

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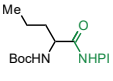

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References

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2. C. Azpilcueta-Nicolas and J.-P. Lumb. *Beilstein J. Org. Chem.* **2024**, *20*, 346.
3. D. Montoto et al. *Org. Biomol. Chem.* **2025**, doi: 10.1039/d5ob00476d.
4. J. Morvan et al. *Eur. J. Med. Chem.* **2025**, *291*, 117583.

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