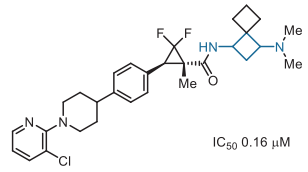
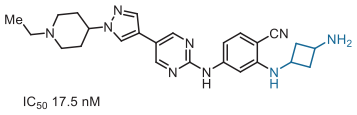
Cyclobutane Diamine Scaffold

Introduction

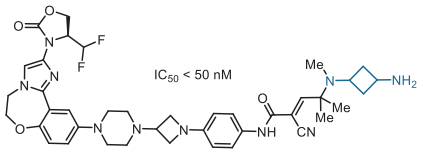
Cyclobutane-1,3-diamine is a highly effective small-molecule scaffold, offering both conformational rigidity and optimized metabolic stability.[1,2] Notably, Pfizer's Abrocitinib, a *cis*-cyclobutane-1,3-diamine derivative, recently received FDA approval for treating atopic dermatitis (eczema).[3] Over the past few years, our library of cyclobutane diamine compounds has expanded significantly, incorporating numerous spirocyclic structures to enhance the physicochemical properties.



inhibitor of mucosa-associated lymphoid tissue lymphoma translocation protein 1
WO 2026/003039
Janssen

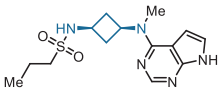


inhibitor of interleukin-1 receptor-associated kinase 4
WO 2026/005537
Daewoong



inhibitor of phosphoinositide 3-kinase alpha
WO 2025/237374
Wigen

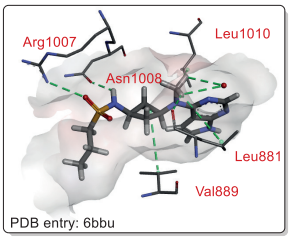
Case study



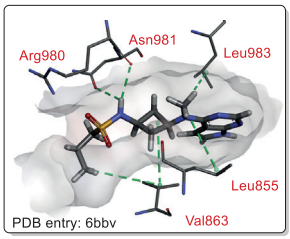
Abrocitinib (**PF-04965842**)
inhibitor of Janus kinase 1
FDA approved 2022 against atopic dermatitis
Pfizer

IC_{50} (JAK1) 0.03 μM
IC_{50} (JAK2) 0.80 μM
⇒ selective for JAK1

J. Med. Chem. **2018**, *61*, 1130

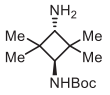


human tyrosine Janus kinase 1



human tyrosine Janus kinase 2

We offer: over 100 cyclobutane 1,3-diamines from stock on 5-10 gram scale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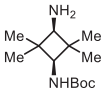
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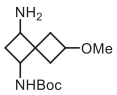
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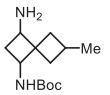
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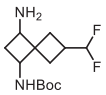
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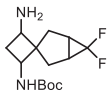
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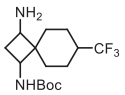
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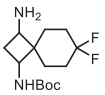
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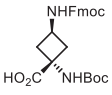
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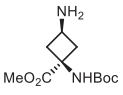
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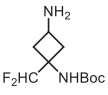
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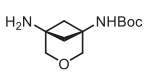
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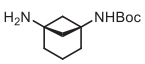
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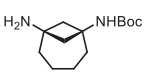
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EN300-7279672

References

1. S. Schiesser et al. *Eur. J. Med. Chem.* **2022**, *227*, 113925.
2. D. Freeman et al. *J. Med. Chem.* **2023**, *66*, 15629.
3. M. Vazquez et al. *J. Med. Chem.* **2018**, *61*, 1130.