

Diagonally protected 1-methylcyclobutane 1,3-diamines in Abrocitinib analogues synthesis

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Background and outline of the research

- Unique 3D structure of cyclobutane ring opens its wide applications in medicinal chemistry¹
- Introduction of a methyl substituent can change biological activity drastically ("magic methyl" effect²).
 A set of diastereomerically pure diagonally protected 1-methylcyclobutane-1,3-diamines was synthetized.
- The procedure was scaled up to afford 30 g of a desired amine in a single run.



Synthesis of Abrocitinib analogues

• Abrocitinib is an FDA-approved Janus kinase inhibitor used for eczema treatment. An array of Abrocitinib analogues was obtained for further biochemical evaluation.



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References

(1) Van der Kolk M. R. et al. ChemMedChem, 2022, 17, e202200020. (2) Aynetdinova D. et al. Chem. Soc. Rev., 2021, 50, 5517.