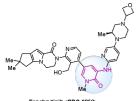
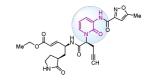
Aminopyridinone as an Amide Surrogate

Introduction

The amide bond is a ubiquitous structural motif found in many medicinal compounds and structural hits. Replacing it with isosteres can enhance resistance to proteases, thereby improving the substance's exposure and efficacy after administration.¹ 3-Aminopyridin-2(1*H*)-one is a heterocyclic fragment that mimics the amide bond formed by amino acids, thus making it a promising isostere. This fragment is present in a range of bioactive compounds, including several drugs currently in clinical trials and a number of recently developed lead molecules.²⁻⁴



Me Me Me Me



Fenebrutinib (GDC-0853)
inhibitor of Bruton's tyrosine kinase
Phase 3 trials against multiple sclerosis
Genentech Inc.

Siremadlin (NVP-HDM201) inhibitor of p53-MDM2 Phase 2 trials against neoplasm

RN 486 inhibitor of Bruton's tyrosine kinase treatment of rheumatoid arthritis Hoffmann-La Roche

Vilagletistat (ZED-1227) inhibitor of transglutaminase 2 Phase 2 trials for treatment of non-alcoholic fatty liver diseas Zedira GmbH

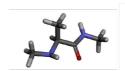
Imocitrelvir (AG-7404)
inhibitor of human rhinovirus 3C protease
treatment of viral infections
Pfizer

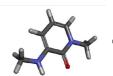
Concept



rigid conformation resistance to proteases











We offer: over 50 3-Aminopyridinones from stock on 5-10 gram scale.



EN300-1105902



EN300-27685834



EN300-1113295



EN300-27103879



EN300-26977730



EN300-27685193



EN300-1107434

 H_2N

EN300-1106656



EN300-1107243



EN300-27105896



EN300-27106328

H₂N Me Me

- Öме́ ме

H₂N N O N M

EN300-120401 EN300-104510



 H_2N

EN300-783219

 H_2N

EN300-1109146

H₂N CF₃

EN300-100495

 H_2N \bigvee_{O} \bigvee_{F} F

EN300-783230

H₂N CF₃

EN300-52036571

H₂N N Me

EN400-15734

U

H₂N Me

EN300-28247448

 H_2N N CN

H₂N NME

H₂N CO₂t-Bu

EN300-6510711 EN300-7471872

H₂N N

H₂N N

EN300-10299747

H₂N N N N N Me

EN300-1109453

EN300-264615

 $\operatorname{H}_2 \operatorname{N} = \operatorname{N} \operatorname{OMe}$

EN300-1659583

EN300-1109020

EN300-118545

EN300-25678794

 $\underset{\mathsf{H}_2\mathsf{N}}{ \text{ Br}} \underset{\mathsf{Me}}{ \text{ }}$

EN300-37368903

Me H₂N

Me H₂N Me

EN300-102605

H₂N Me

EN300-108530

N Me H₂N

H₂N Me

EN300-26982088 References

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 M. Pfaffenbach et al. J. Med. Chem. 2024, 67, 8383.
- 3. C. Schüß et al. *J. Med. Chem.* **2023**, *66*, 8745. 4. Y. Li et al. *J. Med. Chem.* **2024**, *67*, 2712.

