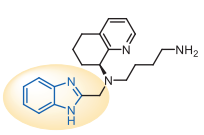
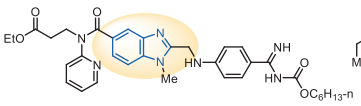
Heterocyclic Assembly of Benzazoles

Introduction

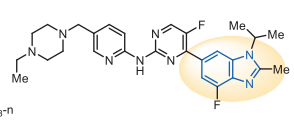
The construction of complex screening libraries requires a series of synthetic chemical reactions, culminating in a versatile, robust, and selective reaction for the high-throughput assembly of the final molecules.[1] Recently, researchers at *Merck* demonstrated that the heterocyclic assembly of benzimidazoles achieves parallel chemistry libraries with success rates (77%) comparable to the most common late-stage reactions, such as Suzuki and Buchwald-Hartwig cross-coupling. Their approach involves the late-stage transformation of *ortho*-diamino aromatics and *ortho*-azidoaldehydes, yielding azabenzimidazoles and azaindazoles, respectively.[2] The resulting heterocyclic cores are among the most prevalent in drug structures.[3,4]



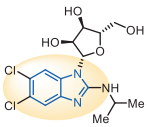
Mavorixafor
treatment of immunodeficiency
FDA approved 2024



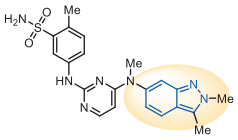
Dabigatran etexilate
antithrombotic
FDA approved 2021



Abemaciclib
anticancer
FDA approved 2017

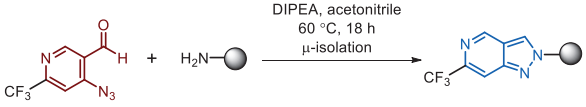


Maribavir
antiviral
FDA approved 2021



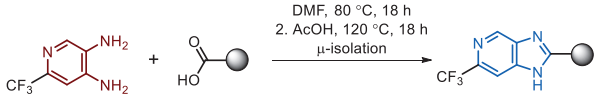
Pazopanib
anticancer
FDA approved 2009

Reactions



10 μmol | 2.5 equiv. | sucess rate 77%

Ar-NH_2, 1°, 2°, 3° Alk-NH_2

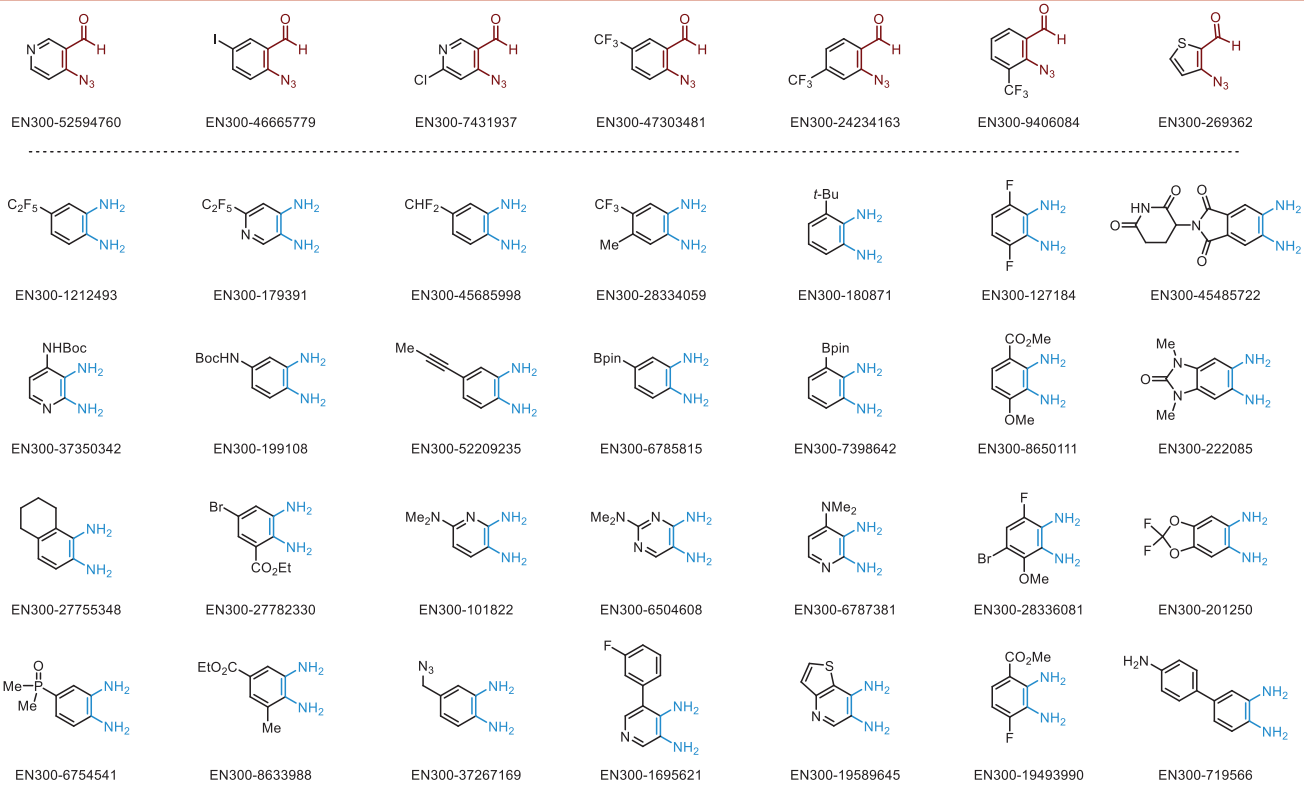


10 μmol | 1.5 equiv. | sucess rate 77%

Ac-CO_2H, 1°, 2°, 3° Alk-CO_2H

ACS Med. Chem. Lett. **2025**, *16*, 336 (*Merck*)

We offer: 12 *ortho*-azidoaldehydes and over 250 *ortho*-arylenediamines from stock on 5-10 gram scale.



References

1. Y. Wang et al. *J. Med. Chem.* **2021**, *64*, 17115.
2. B. Taoka et al. *ACS Med. Chem. Lett.* **2025**, *16*, 336.
3. J. Shearer et al. *J. Med. Chem.* **2022**, *65*, 8699.
4. Y. Yang et al. *J. Med. Chem.* **2024**, *67*, 11622.