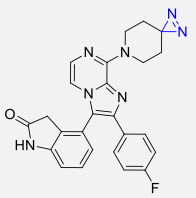
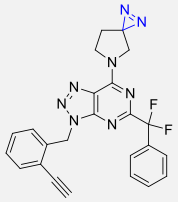
Diazirines for Photoaffinity Labeling

Introduction

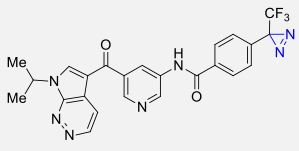
Diazirine is a smallest heterocycle that is stable in the dark, but forms reactive carbene upon irradiation with light. The formed carbenes smoothly insert into C-H, N-H, and O-H bonds. Therefore, diazirines are often used as photoreactive crosslinking reagents due to their small size, short period of irradiation, and stability toward nucleophiles. In this context, *Enamine* offers a library of diazirines for drug design.[1-4]



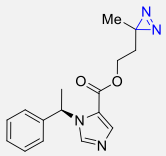
Antagonist of GRIA1
WO 2016/176457
Janssen Pharm.



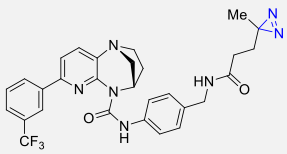
Antaginist of CB2
EP-3475280-B1, 2020
Hoffmann-La Roche



Inhibitor of TrkA
US 2012/258950
Pfizer



Blocker of nAChRs
Mol. Pharmacol.
2009, 1084.



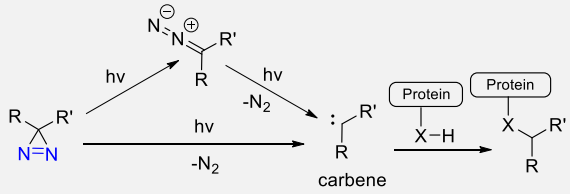
Sirtuin modulator
US 2020/10590135-B2
GlaxoSmithKline

Case studies

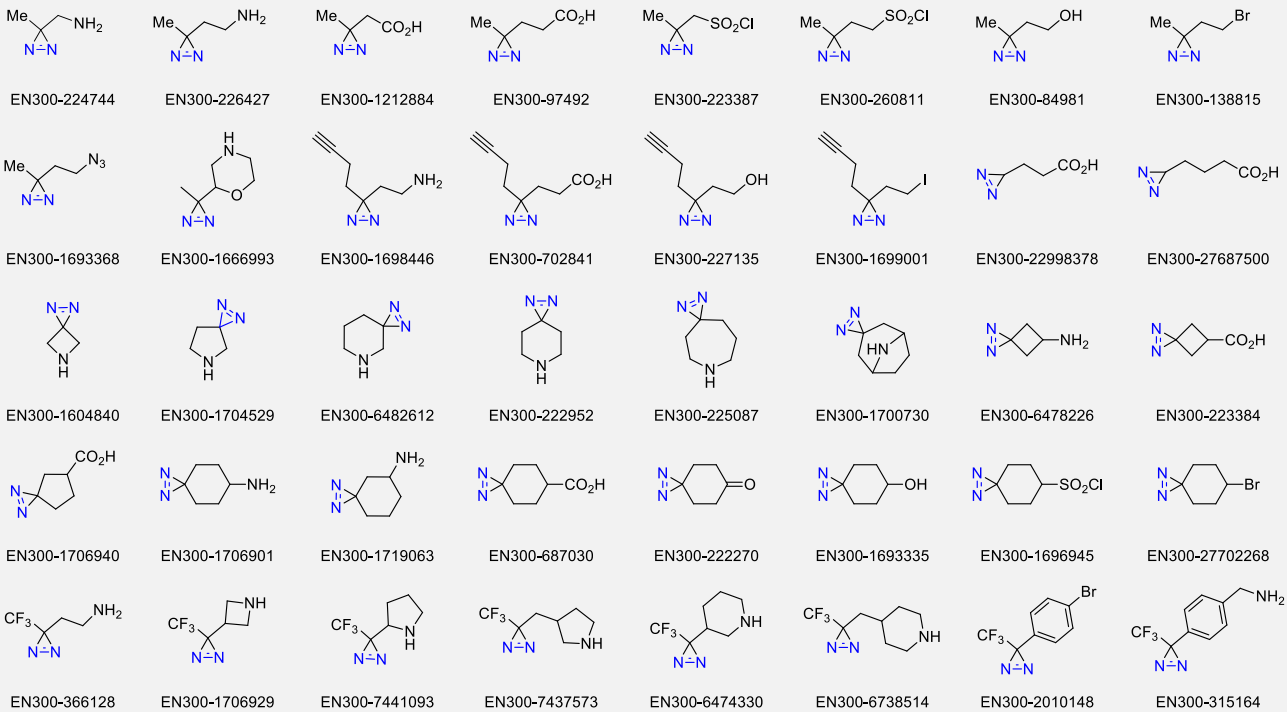
Properties

- smallest photoreactive group;
- excitation at 355 nm;
- high chemical stability.

Upon irradiation of a ligand-target complex, a diazirine-containing ligand generates a reactive carbene that covalently binds the ligand to the target.



We offer: more than 100 of diazirines from stock on a 5-10 g scale.



References

1. L. Dubinsky et al. *Bioorg. Med. Chem.* **2012**, *2*, 554.
2. N. Burkard et al. *Eur. J. Org. Chem.* **2010**, *11*, 2176.
3. O. V. Martyloga et al. *Eur. J. Org. Chem.* **2019**, *23*, 3744.
4. J. Das. *Chem. Rev.* **2011**, *111*, 4405.

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