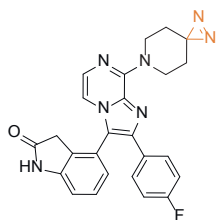
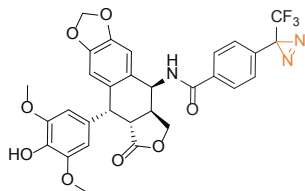


DIAZIRINES

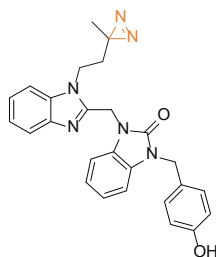
Diazirine is a smallest heterocycle that is stable in the dark, but forms reactive carbene upon irradiation with light. Its introduction into the structures of biologically active compounds accompanying with only minor change in MW (plus only 2 nitrogen atoms!) has proven to provide efficient tools to study interactions with biological targets including their isolation and identification. Given the success and progress in the field of activity-based protein profiling, the use of diazirine photolabeling will most likely continue to rise and it is important to have a commercial access to diverse diazirine-containing building blocks.



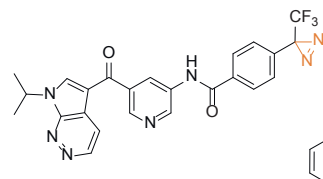
Antagonist of GRIA1
WO 2016/176457
Janssen Pharm.



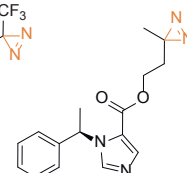
Analog of etoposide
Bioorg. Med. Chem.
2010, 830.



Inhibitor of RSV
Bioorg. Med. Chem.
2004, 1133.



Inhibitor of TrkA
US 2012/258950
Pfizer

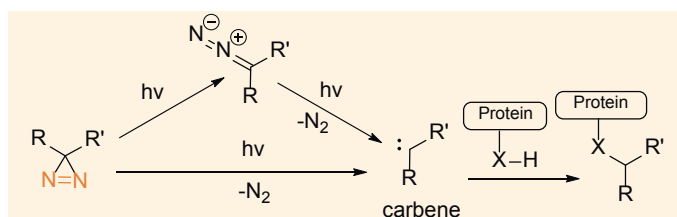


Blocker of nAChRs
Mol. Pharmacol.
2009, 1084.

Properties

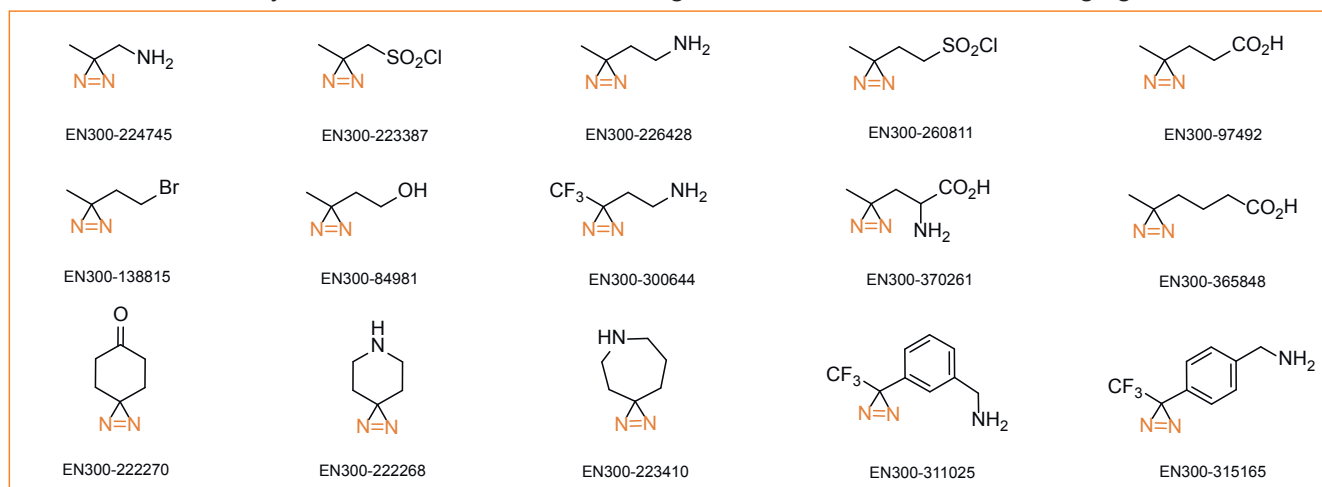
- smallest photoreactive group
- excitation at 355 nm
- high chemical stability

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



Our offer: >30 building blocks from stock.

Custom synthesis of the diazirine building blocks and diazirine-containing ligands.



References

¹ L. Dubinsky *et al. Bioorg. Med. Chem.* 2012, 554.
² N. Burkard *et al. Eur. J. Org. Chem.* 2010, 2176.

³ A. Blencowe *et al. Soft Matter.* 2005, 178.
⁴ Hatanaka *et al. Curr. Top. Med. Chem.* 2002, 271.