α-Fluoroamines

Introduction

Fluorinated amines are commonly found throughout drug discovery programs, $^{1\cdot3}$ although one type of structure has been notably absent: the α -fluorine atom, which is generally considered unstable and prone to intramolecular elimination. Recently, Enamine chemists have overcome this limitation by preparing several stable α -fluoroamines. We achieved this by positioning the fluorine atom at a bridgehead location, which resists elimination due to Bredt's rule. These substances are stable as free amines, can be handled routinely, and are capable of undergoing amine-specific reactions. Be among the first to explore these unique substances in your research!

voltage-gated sodium channel modulator WO 2023/049367 Xenon Pharm.

leucine rich repeat kinase 2 inhibitor WO 2024/054540 Neuron23

initiation factor 4E inhibitor WO 2024/103069 Ribometrix

Key Innovation

UNSTABLE

$$\underset{H_2N}{\overset{R}{\bigcap}} \quad \underset{F}{\longleftarrow} \quad \underset{H_2N}{\overset{\oplus}{\bigcap}} \quad + \quad F^{\ominus}$$

 $\qquad \Longrightarrow \qquad$

STABLE

Reactions

We offer: over 10 stable α -fluoroamines from stock on gram scale.



EN300-373605



EN300-1721405



EN300-27151340



EN300-45665585



EN300-52061904



EN300-52061921



EN300-52086371

BocN

EN300-52114394

BocN

EN300-52116757



EN300-46761967



EN300-46892916



EN300-46900613

References

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- 3. M. Braun et al. J. Med. Chem. 2024, 67, 8708.
- 4. F. Leroux et al. Chem. Rev. 2005, 105, 827.

