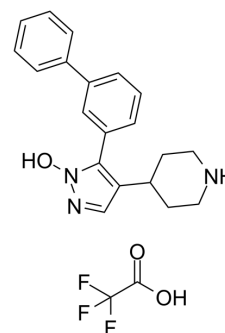


GABAA receptor agent 2 TFA

Cat. No.:	HY-135482
CAS No.:	1781880-44-1
Molecular Formula:	C ₂₂ H ₂₂ F ₃ N ₃ O ₃
Molecular Weight:	433.42
Target:	GABA Receptor
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	GABAA receptor agent 2 TFA is a potent and high-affinity GABA _A receptor antagonist with an IC ₅₀ of 24 nM (human α1β2γ2 GABA _A -expressing tsA201 cells) and a K _i of 28 nM (rat GABA _A receptors). GABAA receptor agent 2 TFA is inactive against four human GABA transporters (hGAT-1, hBGT-1, hGAT-2, and hGAT-3) ^[1] .
IC₅₀ & Target	IC ₅₀ : 24 nM (Human α1β2γ2 GABA _A -expressing tsA201 cells) ^[1] K _i : 28 nM (Rat GABA _A receptors) ^[1]
In Vitro	With the protonated piperidine nitrogen consistently placed to interact with β2 E155, only a simultaneous interaction with α1 R66 provided enough space above and below the ligand heteroaromatic ring to be compatible with the high affinity (0.022 μM) of the disubstituted GABAA receptor agent 2 (compound 19) ^[2] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Henriette A Møller, et al. Novel 4-(piperidin-4-yl)-1-hydroxypyrazoles as gamma-aminobutyric acid(A) receptor ligands: synthesis, pharmacology, and structure-activity relationships. *J Med Chem.* 2010 Apr 22;53(8):3417-21.

[2]. Tommy Sander, et al. New insights into the GABA(A) receptor structure and orthosteric ligand binding: receptor modeling guided by experimental data. *Proteins.* 2011 May;79(5):1458-77.

Caution: Product has not been fully validated for medical applications. For research use only.

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