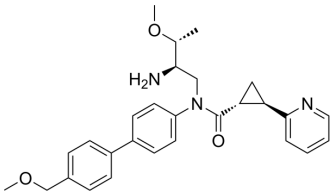
RTI-13951-33

Cat. No.:	HY-112612
CAS No.:	2244884-08-8
Molecular Formula:	$C_{28}H_{33}N_3O_3$
Molecular Weight:	459.58
Target:	GPR88
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	RTI-13951-33 is a potent, selective, and brain-penetrant GPR88 agonist, with an EC_{50} of 25 nM in GPR88 cAMP functional assay. RTI-13951-33 reduces alcohol reinforcement and intake behaviors in rats[1].
IC_{50} & Target	EC50: 25 nM (GPR88)[1]
In Vitro	RTI-13951-33 is a potent, selective, and brain-penetrant GPR88 agonist, with an EC_{50} of 25 nM in GPR88 cAMP functional assay. RTI-13951-33 elevates [^{35}S]-GTPγS binding (EC_{50} 535 nM) in mouse striatal membranes but not in membranes from GPR88 KO mice[1]. RTI-13951-33 has weak affinities at kappa opioid receptor (KOR; K_i, 2.29 μM), vesicular monoamine transporter (VMAT; K_i, 4.23 μM), and moderate affinity at serotonin transporter (SERT; K_i, 0.75 μM), however, RTI-13951-33 poorly inhibits SERT (IC_{50}, 25.1 ± 2.7 μM)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	RTI-13951-33 (10 mg/kg, i.p.) has sufficient brain penetration, with $t_{1/2}$ of 48 min and 87 min in rat plasma and brain[1]. RTI-13951-33 (10 and 20 mg/kg, i.p.) dose-dependently decreases alcohol lever responses in a rat model of alcohol self-administration[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- bioRxiv. 2020 May.

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REFERENCES

[1]. Jin C, et al. Discovery of a Potent, Selective, and Brain-Penetrant Small Molecule that Activates the Orphan Receptor GPR88 and Reduces Alcohol Intake. J Med Chem. 2018 Aug 9;61(15):6748-6758.

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

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