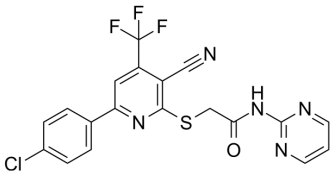
RTI-7470-44

Cat. No.:	HY-147319
CAS No.:	825658-63-7
Molecular Formula:	$C_{19}H_{11}ClF_3N_5OS$
Molecular Weight:	449.84
Target:	Others
Pathway:	Others
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 20.83 mg/mL (46.31 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2230 mL	11.1151 mL	22.2301 mL
	5 mM	0.4446 mL	2.2230 mL	4.4460 mL
	10 mM	0.2223 mL	1.1115 mL	2.2230 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

RTI-7470-44 is a potent, selective and blood-brain barrier (BBB) penetrant human trace amine-associated receptor subtype 1 (hTAAR1) antagonist with an IC_{50} value of 8.4 nM and a K_i value of 0.3 nM. RTI-7470-44 has moderate metabolic stability, and a favorable preliminary off-target profile. RTI-7470-44 can increase the spontaneous firing rate of mouse ventral tegmental area (VTA) dopaminergic neurons. RTI-7470-44 can be used for researching schizophrenia, agent addiction, and Parkinson's disease (PD)[1].

IC_{50} & Target

IC_{50}: 8.4 nM (human TAAR1), 748 nM (rat TAAR1), 1190 nM (mouse TAAR1)[1]

In Vitro

RTI-7470-44 (10 μM) shows little to no off-target activity with the exception of the benzylpiperazine (BZP) rat brain site and human sigma 2 with inhibition of 75 and 90%, respectively; has a moderate affinity for the BZP rat brain site (K_i=1 μM) and a very weak affinity for human sigma 2 (K_i=8.4 μM)[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

RTI-7470-44 (40 μM) significantly increases the firing rate of dopaminergic neurons in VTA slices from DAT-IRES-Cre; td-Tomato mice, and reverses the inhibitory effect of RO5166017 (a TAAR1 agonist)[1].

RTI-7470-44 (10 μM) has decent stability in human liver microsomes, less stable in mouse liver microsomes and very poor stability in rat liver microsomes[1].

Stability of RTI-7470-44 in Human, Rat, and Mouse Liver Microsomes[1].

species	half-life (min)	clearance, CLINT (mL/min/mg)
Human	83.9	14.9
Rat	9.11	274
Mouse	65.8	63.5

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Decker AM, et al. Identification of a Potent Human Trace Amine-Associated Receptor 1 Antagonist. ACS Chem Neurosci. 2022 Apr 6;13(7):1082-1095.

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