Ampreloxetine hydrochloride

Cat. No.: HY-107128

CAS No.: 1227056-87-2

Molecular Formula: $C_{18}H_{19}ClF_3NO$

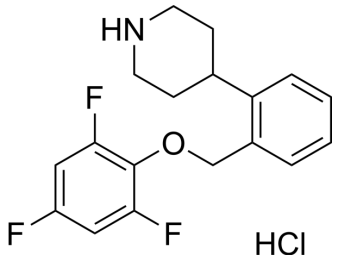
Molecular Weight: 357.8

Target: Serotonin Transporter

Pathway: Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (279.49 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7949 mL	13.9743 mL	27.9486 mL
	5 mM	0.5590 mL	2.7949 mL	5.5897 mL
	10 mM	0.2795 mL	1.3974 mL	2.7949 mL

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

BIOLOGICAL ACTIVITY

Description

Ampreloxetine (TD-9855) hydrochloride is an orally active and CNS-penetrant inhibitor of Norepinephrine transporter (NET) and Serotonin 5-HT uptake transporter (SERT), but not Dopamine transporter (DAT). Ampreloxetine hydrochloride binds norepinephrine transporters (NET) and serotonin transporters (SERT) with EC_{50} values of 11.7 ng/mL and 50.8 ng/mL, respectively, in plasma[1].

IC_{50} & Target				
	human Norepinephrine transporter 8.0 (pIC_{50})	human Serotonin transporter 8.6 (pIC_{50})	rat Norepinephrine transporter 7.9 (pIC_{50})	rat Serotonin transporter 8.9 (pIC_{50})

In Vivo

Ampreloxetine hydrochloride (0.3-60 mg/kg; PO; single dose) is irrespective between plasma concentration and dose level in rat model[1].

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

Animal Model:	Rat[1]
Dosage:	0.3, 1, 5, 10, 30, and 60mg/kg

Administration:	PO; euthanized by decapitation at 0.5, 2, 4, 6, and 8 hr for 5mg/ kg dose level; 2 hr for 0.3, 1, 10, 30, and 60mg/kg dose levels
Result:	In the effect compartment PK/PD analysis for NET and SERT occupancy, the estimated EC_{50} for occupancy was 11.7ng/mL for NET and 50.8ng/mL for SERT in rat spinal cords, and the projected human plasma EC_{50} values were 5.5ng/mL for NET and 23.9ng/mL for SERT.

REFERENCES

[1]. Smith JA, et al. Preclinical to clinical translation of CNS transporter occupancy of TD-9855, a novel norepinephrine and serotonin reuptake inhibitor. Int J Neuropsychopharmacol. 2014 Dec 13;18(2):pyu027.

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