Ampreloxetine

Cat. No.: HY-119541

CAS No.: 1227056-84-9

Molecular Formula: $C_{18}H_{18}F_3NO$

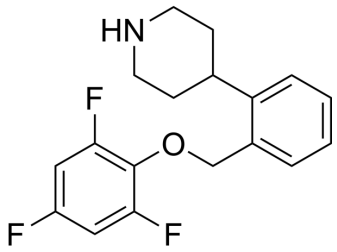
Molecular Weight: 321.34

Target: 5-HT Receptor; Adrenergic Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Pure form	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (311.20 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.1120 mL	15.5598 mL	31.1197 mL
	5 mM	0.6224 mL	3.1120 mL	6.2239 mL
	10 mM	0.3112 mL	1.5560 mL	3.1120 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 2.5 mg/mL (7.78 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (7.78 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Ampreloxetine (TD-9855) is a potent and orally active norepinephrine (NE) and serotonin 5-HT inhibitor. Ampreloxetine has the potential for the research of neurogenic orthostatic hypotension[1][2].

IC_{50} & Target

5-HT Receptor

In Vivo

Ampreloxetine (0.3, 1, 5, 10, 30, 60 mg/kg; p.o.; single dose) shows a plasma EC_{50}s of 11.7ng/mL and 50.8ng/mL in rat, respectively[1].

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

Animal Model:	Male Sprague Dawley rats[1]
Dosage:	0.3, 1, 5, 10, 30, 60 mg/kg
Administration:	P.o.; single dose
Result:	Showed good PK and PD parameter with E_{max} of 79%, 92% for SERT and NET, respectively; EC_{50} values of 50.8, 11.7 ng/mL for SERT, NET, respectively.

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.

[2]. Kaufmann H, et al. Safety and efficacy of ampreloxetine in symptomatic neurogenic orthostatic hypotension: a phase 2 trial. Clin Auton Res. 2021 Dec;31(6):699-711.

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

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