Ampreloxetine TFA

Cat. No.: HY-119541A

CAS No.: 1227056-85-0

Molecular Formula: $C_{20}H_{19}F_6NO_3$

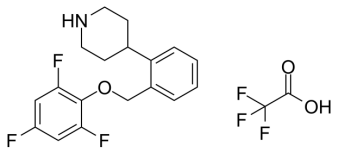
Molecular Weight: 435.36

Target: 5-HT Receptor; Adrenergic Receptor

Pathway: GPCR/G Protein; 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 (229.69 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2969 mL	11.4847 mL	22.9695 mL
	5 mM	0.4594 mL	2.2969 mL	4.5939 mL
	10 mM	0.2297 mL	1.1485 mL	2.2969 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 (5.74 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (5.74 mM); Clear solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (5.74 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

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

In Vivo

Ampreloxetine (0.3, 1, 5, 10, 30, 60 mg/kg; p.o.; single dose) TFA 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

Dosage:	0.3, 1, 5, 10, 30, 60 mg/kg
Administration:	P.o.; single dose
Result:	Showed good PK and PD parameter with Emax 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.

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