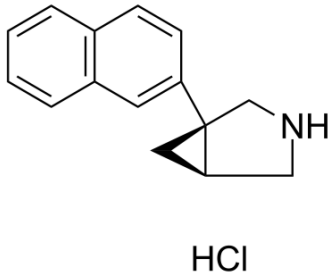
Centanafadine hydrochloride



Cat. No.: HY-16736A

CAS No.: 923981-14-0

Molecular Formula: $C_{15}H_{16}ClN$

Molecular Weight: 245.75

Target: Adrenergic Receptor; Dopamine Transporter; Serotonin Transporter

Pathway: GPCR/G Protein; Neuronal Signaling

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 : 125 mg/mL (508.65 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	4.0692 mL	20.3459 mL	40.6918 mL
5 mM	0.8138 mL	4.0692 mL	8.1384 mL
10 mM	0.4069 mL	2.0346 mL	4.0692 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: ≥ 2.08 mg/mL (8.46 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (8.46 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (8.46 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Centanafadine (hydrochloride) is dual norepinephrine (NE)/dopamine (DA) transporter inhibitor, also inhibits serotonin transporter, with IC_{50}s of 6 nM, 38 nM and 83 nM for human NE, DA and serotonin transporter , respectively.

IC_{50} & Target

IC50: 6 nM (human NE), 38 nM (human DA), 83 nM (human serotonin)[1].

In Vitro

Centanafadine (EB-1020) preferentially inhibits monoamine reuptake in cloned cell lines transfected with human transporters with IC_{50} values of 6 and 38 nM, respectively, for NE and DA transporters, Centanafadine has lesser effects on 5-

	HT transporter as it inhibits the reuptake of 5-HT with an IC_{50} value of 83 nM [1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	In microdialysis studies, Centanafadine markedly increases NE, and DA concentrations levels in rat prefrontal cortex in vivo with peak increases of 375 and 300%, respectively with the greatest effects on NE, and also increases DA extracellular concentrations in the striatum to 400% of baseline concentrations. Behavioral studies demonstrate that Centanafadine dose-dependently decreases immobility in the mouse tail suspension test of depression to 13% of control levels, and do not stimulate locomotor activity in adult rats in the optimal dose range. Centanafadine dose-dependently inhibits locomotor hyperactivity in juvenile rats lesioned with the neurotoxin 6-hydroxydopamine (100 µg intracisternally) as neonates; a well-established animal model for attention-deficit hyperactivity disorder (ADHD)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Bymaster FP, et al. Pharmacological characterization of the norepinephrine and dopamine reuptake inhibitor EB-1020: implications for treatment of attention-deficit hyperactivity disorder. Synapse. 2012 Jun;66(6):522-32.

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