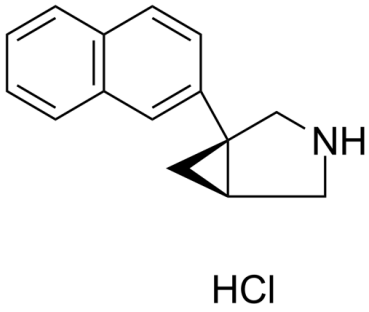
Data Sheet

Product Name:	Centanafadine (hydrochloride)
Cat. No.:	CS-0077776
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
Solubility:	DMSO : 125 mg/mL (508.65 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

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. IC50 & 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]. **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].

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.

CAIndexNames:

3-Azabicyclo[3.1.0]hexane, 1-(2-naphthalenyl)-, hydrochloride (1:1), (1R,5S)-

SMILES:

[C@@H]12[C@@](CNC2)(C3=CC(C=CC=C4)=C4C=C3)C1.Cl

Tel: 732-484-9848 Fax: 888-484-5008 E-mail: sales@ChemScene.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA