

Data Sheet

Product Name: Centanafadine (hydrochloride)

 Cat. No.:
 CS-0077776

 CAS No.:
 923981-14-0

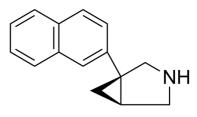
 Molecular Formula:
 C15H16CIN

 Molecular Weight:
 245.75

Target: Adrenergic Receptor; Dopamine Transporter; Serotonin

Transporter

Pathway: GPCR/G Protein; Neuronal Signaling Solubility: DMSO: 125 mg/mL (ultrasonic)



HCI

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 IC₅₀ 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 IC₅₀ 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

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

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