

Product Data Sheet

Centanafadine

Cat. No.: HY-16736 CAS No.: 924012-43-1 Molecular Formula: $C_{15}H_{15}N$

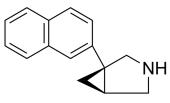
Molecular Weight: 209.29

Target: Adrenergic Receptor; Dopamine Transporter; Serotonin Transporter

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: Please store the product under the recommended conditions in the Certificate of

Analysis.



BIOLOGICAL ACTIVITY

Description	Centanafadine 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] .

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.

 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$

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