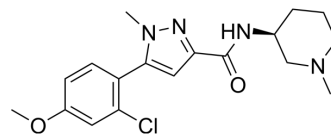


## CVN417

Cat. No.:	HY-149483
CAS No.:	2919851-73-1
Molecular Formula:	C <sub>18</sub> H <sub>23</sub> ClN <sub>4</sub> O <sub>2</sub>
Molecular Weight:	362.85
Target:	nAChR
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



### BIOLOGICAL ACTIVITY

<b>Description</b>	CVN417 is an orally active $\alpha 6$ subunit-containing nAChR antagonist, modulating phasic dopaminergic neurotransmission in an impulse-dependent manner. CVN417 inhibits Ca(2+) effluents mediated by nAChR subunits with IC <sub>50</sub> s of 0.086 $\mu$ M ( $\alpha 6$ ), 2.56 $\mu$ M ( $\alpha 3$ ) and 0.657 $\mu$ M ( $\alpha 4$ ), respectively. CVN417 attenuates resting tremor in Rodent models, displays the potential to improve movement dysfunction, in conditions such as Parkinson's disease <sup>[1]</sup> .									
<b>IC<sub>50</sub> &amp; Target</b>	IC <sub>50</sub> : 0.086 $\mu$ M (nAChR $\alpha 6$ ), 2.56 $\mu$ M (nAChR $\alpha 3$ ), 0.657 $\mu$ M (nAChR $\alpha 4$ ) <sup>[1]</sup>									
<b>In Vitro</b>	CVN417 (10 $\mu$ M; 0-2 h) shows low metabolic turnover in human liver microparticles or hepatocytes <sup>[1]</sup> . In Vitro ADME Data for CVN417 <sup>[1]</sup>									
		human	rat	mouse	dog					
	liver microsomes Cl <sub>int</sub> ( $\mu$ L/min/mg)	2.8	31.2	33.3	27.7					
	hepatocytes (mL/min/10 <sup>6</sup> cells)	3.7	20.8	25.1	32.3					
	MCE has not independently confirmed the accuracy of these methods. They are for reference only.									
<b>In Vivo</b>	CVN417 (3 mg/kg, 10 mg/kg, 25 mg/kg; po) shows a dose-dependent decrease of tremulous jaw movement duration in Rat tacrine tremor model <sup>[1]</sup> . Pharmacokinetic Analysis of CVN417 in Rat Model <sup>[1]</sup>									
	Route	Dose (mg/kg)	Cl (mL/min/kg)	V <sub>dss</sub> (L/kg)	AUC <sub>0-∞</sub> (ng·h/mL)	C <sub>max</sub> ( $\mu$ M)	F (%)	T <sub>1/2</sub> (h)	C <sub>brain,total</sub> (2 h)	K <sub>p</sub> , K <sub>p<sub>u</sub>/u</sub>
	i.v.	0.5	38.2	12.3	205					

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p.o.	10	0.35	775	11	5.9	1.82	4.1, 1.4
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MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## REFERENCES

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[1]. Christie LA, et al. Discovery of CVN417, a Novel Brain-Penetrant  $\alpha 6$ -Containing Nicotinic Receptor Antagonist for the Modulation of Motor Dysfunction. J Med Chem. 2023 Aug 31.

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

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