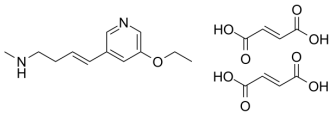
TC-2559 difumarate

Cat. No.:	HY-136207
CAS No.:	2454492-41-0
Molecular Formula:	$C_{20}H_{26}N_2O_9$
Molecular Weight:	438.43
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

Description

TC-2559 idifumarate is a CNS-selective, orally active α4β2 subtype of nicotinic acetylcholine receptor (nAChR) partial agonist (EC_{50}=0.18 μM). TC-2559 difumarate shows selectivity for α4β2 over α2β4, α4β4 and α3β4 receptors, with EC_{50}s in the range of 10-30 μM. Antinociceptive effect[1][2].

In Vivo

TC-2559 difumarate (1-10 mg/kg; i.p.) dose dependently reduces acute formalin-induced biphasic nociceptive responses in mice[2].

TC-2559 difumarate (0.3-3 mg/kg; i.p.) dose dependently inhibits CCI-induced neuropathic pain in rats[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Adult male mice (body weight 15-30 g) (formalin test)[2]
Dosage:	1, 3, 10 mg/kg
Administration:	I.p.
Result:	Dose dependently reduced both early and late phases of formalin induced nociceptive behavioral responses.

Animal Model:	Adult male SD rats (body weight 200-220 g) (chronic constriction injury (CCI))[2]
Dosage:	0.3, 1, 3 mg/kg
Administration:	I.p.
Result:	Significantly reversed CCI induced the paw withdrawal threshold decreases.

REFERENCES

[1]. Bencherif M, et al. TC-2559: a novel orally active ligand selective at neuronal acetylcholine receptors. Eur J Pharmacol. 2000;409(1):45-55.

[2]. Cheng LZ, et al. Enhanced inhibitory synaptic transmission in the spinal dorsal horn mediates antinociceptive effects of TC-2559. Mol Pain. 2011;7:56. Published 2011 Aug 4.

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

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