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Zuschläge

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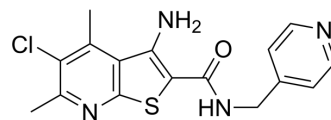
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VU0448088

Cat. No.:	HY-162663
CAS No.:	1417616-42-2
Molecular Formula:	C ₁₆ H ₁₅ ClN ₄ OS
Molecular Weight:	346.83
Target:	mAChR
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	VU0448088 (ML253) is a potent and cross the blood-brain barrier tricyclic muscarinic acetylcholine receptor subtype 4 (M4) positive allosteric modulator with EC ₅₀ values of 56, 176 nM for human and rat, respectively. VU0448088 has the potential for the research of psychotic ^{[1][2]} .								
IC₅₀ & Target	mAChR4 56 nM (EC50)								
In Vivo	<p>VU0448088 (3, 10, 30, 56.6, 100 mg/kg; i.p.) reverses amphetamine-induced hyperlocomotion in a dose-dependent manner [1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1"> <tr> <td>Animal Model:</td> <td>Rat (amphetamine-induced hyperlocomotion)^[1]</td> </tr> <tr> <td>Dosage:</td> <td>3, 10, 30, 56.6, 100 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>IP</td> </tr> <tr> <td>Result:</td> <td>Reversed amphetamine-induced hyperlocomotion in a dose-dependent manner, showed a modest reduction of 26% at a dose of 10 mg/kg; at the three highest doses (30, 56.6 and 100 mg/kg), showed significant reductions of 47.9%, 53.7% and 57.3%, respectively.</td> </tr> </table>	Animal Model:	Rat (amphetamine-induced hyperlocomotion) ^[1]	Dosage:	3, 10, 30, 56.6, 100 mg/kg	Administration:	IP	Result:	Reversed amphetamine-induced hyperlocomotion in a dose-dependent manner, showed a modest reduction of 26% at a dose of 10 mg/kg; at the three highest doses (30, 56.6 and 100 mg/kg), showed significant reductions of 47.9%, 53.7% and 57.3%, respectively.
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REFERENCES

[1]. Le U, et al. Discovery of a selective M₄ positive allosteric modulator based on the 3-amino-thieno[2,3-b]pyridine-2-carboxamide scaffold: development of ML253, a potent and brain penetrant compound that is active in a preclinical model of schizophrenia. *Bioorg Med Chem Lett*. 2013 Jan 1;23(1):346-50.

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

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