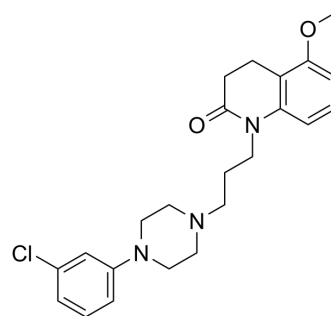


OPC-14523 free base

Cat. No.:	HY-116594
CAS No.:	145969-30-8
Molecular Formula:	C ₂₃ H ₂₈ ClN ₃ O ₂
Molecular Weight:	413.94
Target:	Sigma Receptor; 5-HT Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	OPC-14523 free base is an orally active sigma and 5-HT _{1A} receptor agonist, with high affinity for sigma receptors ($\sigma_1/2$ IC ₅₀ =47/56 nM), the 5-HT _{1A} receptor (IC ₅₀ =2.3 nM), and the 5-HT transporter (IC ₅₀ =80 nM). OPC-14523 free base shows antidepressant-like activity ^{[1][2]} .																		
IC₅₀ & Target	sigma 1 47 nM (IC ₅₀)	sigma 2 56 nM (IC ₅₀)	5-HT _{1A} Receptor 2.3 nM (IC ₅₀)																
In Vivo	<p>OPC-14523 free base (0.3-100 mg/kg; p.o.; daily for 0, 2, 4, 7 days) produces a marked antidepressant-like effect in the forced swimming test (FST) with rats and mice without affecting the general locomotor activity^[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>Wistar strain of rats (140-245 g)^[1]</td> </tr> <tr> <td>Dosage:</td> <td>0.3-100 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>P.o.; daily for 0, 2, 4, 7 days</td> </tr> <tr> <td>Result:</td> <td>A single doses of 1 mg/kg and higher of OPC-14523 reduced immobility time in the FST with an ED₅₀ value of 27 mg/kg. The ED₅₀ value for OPC-14523 on day 7 was 18 mg/kg.</td> </tr> </table> <table border="1"> <tr> <td>Animal Model:</td> <td>ICR strain of mice (25-45 g)^[1]</td> </tr> <tr> <td>Dosage:</td> <td>0.3-100 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>P.o.; daily for 0, 2, 4, 7 days</td> </tr> <tr> <td>Result:</td> <td>A single oral dose of OPC-14523 produced a marked reduction in immobility time during the FST at oral doses of 1 mg/kg and higher, with an ED₅₀ value of 20 mg/kg. The potency of OPC-14523 in decreasing immobility time was greater after treatment for seven consecutive days, producing an ED₅₀ value of 2 mg/kg.</td> </tr> </table>			Animal Model:	Wistar strain of rats (140-245 g) ^[1]	Dosage:	0.3-100 mg/kg	Administration:	P.o.; daily for 0, 2, 4, 7 days	Result:	A single doses of 1 mg/kg and higher of OPC-14523 reduced immobility time in the FST with an ED ₅₀ value of 27 mg/kg. The ED ₅₀ value for OPC-14523 on day 7 was 18 mg/kg.	Animal Model:	ICR strain of mice (25-45 g) ^[1]	Dosage:	0.3-100 mg/kg	Administration:	P.o.; daily for 0, 2, 4, 7 days	Result:	A single oral dose of OPC-14523 produced a marked reduction in immobility time during the FST at oral doses of 1 mg/kg and higher, with an ED ₅₀ value of 20 mg/kg. The potency of OPC-14523 in decreasing immobility time was greater after treatment for seven consecutive days, producing an ED ₅₀ value of 2 mg/kg.
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REFERENCES

[1]. Tottori K, et al. Antidepressant-like responses to the combined sigma and 5-HT1A receptor agonist OPC-14523. *Neuropharmacology*. 2001;41(8):976-988.

[2]. Bermack JE, et al. Effects of the potential antidepressant OPC-14523 [1-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-5-methoxy-3,4-dihydro-2-quinolinone monomethanesulfonate] a combined sigma and 5-HT1A ligand: modulation of neuronal activity in the dorsal raphe nucleus. *J Pharmacol Exp Ther*. 2004;310(2):578-583.

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

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