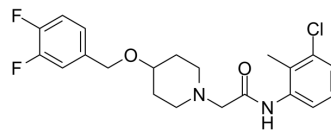


D4R antagonis-2

Cat. No.:	HY-145906
CAS No.:	2846077-70-9
Molecular Formula:	C ₂₁ H ₂₃ ClF ₂ N ₂ O ₂
Molecular Weight:	408.87
Target:	Dopamine Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	D4R antagonist-2 is a potent and selective D4R antagonist with an IC ₅₀ of 6.52 μM. D4R antagonist-2 displays very favorable in vitro PK parameters and has good brain penetration. D4R antagonist-2 has the potential for the research of Parkinson's disease ^[1] .																
IC₅₀ & Target	D ₄ Receptor 6.87 μM (IC ₅₀)																
In Vitro	D4R antagonist-2 (compound 11a) shows good activity with an K _i of 299.4 nM ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.																
In Vivo	D4R antagonist-2 displays very favorable in vitro PK parameters and is brain pentrent (K _p =2.9) ^[1] .b>Pharmacokinetic Parameters of D4R antagonist-2 in rats ^[1] . <table border="1" data-bbox="345 1268 800 1732"> <thead> <tr> <th>rat cassette (0.25 mpk)</th> <th>11a</th> </tr> </thead> <tbody> <tr> <td>CL (ml/min/kg)</td> <td>22.0</td> </tr> <tr> <td>T_{1/2} (h)</td> <td>4.4</td> </tr> <tr> <td>C₀ (ng/ml)</td> <td>91</td> </tr> <tr> <td>V_{ss} (L/kg)</td> <td>5.5</td> </tr> <tr> <td>AUC (h*ng/mL)</td> <td>747</td> </tr> </tbody> </table> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1" data-bbox="345 1801 1515 1921"> <tr> <td>Animal Model:</td> <td>rats^[1]</td> </tr> <tr> <td>Dosage:</td> <td></td> </tr> </table>	rat cassette (0.25 mpk)	11a	CL (ml/min/kg)	22.0	T _{1/2} (h)	4.4	C ₀ (ng/ml)	91	V _{ss} (L/kg)	5.5	AUC (h*ng/mL)	747	Animal Model:	rats ^[1]	Dosage:	
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Animal Model:	rats ^[1]																
Dosage:																	

Administration:	i.v.
Result:	Displayed very favorable in vitro PK parameters and was brain pentrent ($K_p=2.9$).

REFERENCES

[1]. Tolentino KT, et al. Discovery and characterization of benzyloxy piperidine based dopamine 4 receptor antagonists. *Bioorg Med Chem Lett.* 2022; 61:128615.

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA