SB 243213 dihydrochloride

Cat. No.: HY-103112A

CAS No.: 1780372-25-9

Molecular Formula: $C_{22}H_{21}Cl_2F_3N_4O_2$

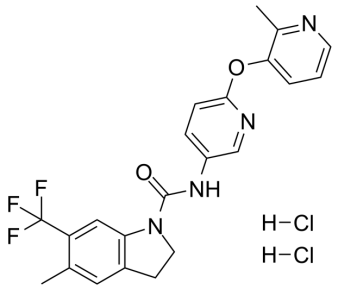
Molecular Weight: 501.33

Target: 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



BIOLOGICAL ACTIVITY

Description

SB 243213 dihydrochloride is an orally active, selective and high-affinity 5-HT_{2C} receptor antagonist with a pK_i of 9.37 and a pK_b of 9.8 for human 5-HT_{2C} receptor. SB 243213 dihydrochloride shows greater than a 100-fold selectivity over a wide range of neurotransmitter receptors, enzymes and ion channels. SB 243213 dihydrochloride has improved anxiolytic profile and has the potential for schizophrenia and motor disorders[1].

IC_{50} & Target

Human 5-HT_{2C} Receptor 9.37 (pKi)	human 5-HT_{1A} Receptor <5.3 (pKi)	human 5-HT_{1B} Receptor 5.5 (pKi)	human 5-HT_{1D} Receptor 6.32 (pKi)
human 5-HT_{1E} Receptor <5.4 (pKi)	human 5-HT_{1F} Receptor 5.35 (pKi)	Human 5-HT_{2A} Receptor 7.01 (pKi)	human 5-HT_{2B} Receptor 7.2 (pKi)
Human 5-HT_6 Receptor 6.5 (pKi)	Human 5-HT_7 Receptor 5.64 (pKi)		

In Vitro

SB 243213 dihydrochloride shows little affinity (pK_i<6) for cloned human 5-HT_{1A}, 5-HT_{1B}, 5-HT_{1E}, 5- HT_{1F} and 5-HT_7 receptors. It shows weak affinity (pK_i<6.5) for the cloned human 5-HT_{1D} and D3 receptors and moderate affinity (pK_i=6.7) for the cloned human D2 receptor[1].

SB 243213 dihydrochloride shows 100-fold selectivity over a wide range of neurotransmitter receptors, enzymes and ion channels[1].

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

In Vivo

SB 243213 dihydrochloride (0.1-10 mg/kg; p.o.; 1 h pre-test) dose-dependently and significantly increases the amount of time rats spent in social interaction over 15 min under brightly lit conditions and in an unfamiliar test box[1].

SB 243213 dihydrochloride (0.3 mg/kg; p.o.; 1 h pre-test) significantly increases time spent in social interaction[1].

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

Animal Model:	Male Sprague-Dawley experimentally naive rats (220-300 g)[1]
Dosage:	0.1, 0.3, 1, 3, 10 mg/kg
Administration:	p.o.; 1 hour pre-test
Result:	Dose-dependently and significantly increased the amount of time rats spent in social

	interaction over 15 min under brightly lit conditions and in an unfamiliar test box.

REFERENCES

[1]. Wood MD, et al. SB-243213; a selective 5-HT2C receptor inverse agonist with improved anxiolytic profile: lack of tolerance and withdrawal anxiety. Neuropharmacology. 2001 Aug;41(2):186-99.

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