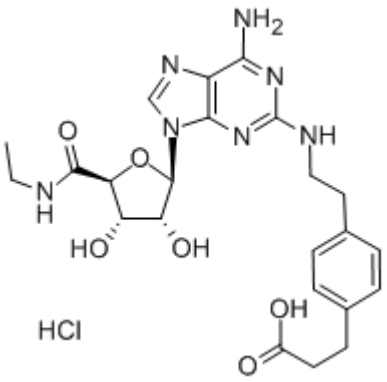
Product Introduction

CGS-21680.HCl

CGS 21680 HCl is an **adenosine A2 receptor** agonist with **IC50** of 22 nM, exhibits 140-fold over A1 receptor.

Technical Data:

Molecular Weight (MW):	535.98	
Formula:	$C_{23}H_{29}N_7O_6.HCl$	
Solubility (25 ℃) * <1 mg/ml means slightly soluble or insoluble:	DMSO 107 mg/mL	
	Water <1mg/mL	
	Ethanol <1 g/mL	
Purity:	>98%	
Storage:	3 years -20℃ Powder	
	6 months-80℃ in DMSO	
CAS No.:	124431-80-7	

Biological Activity

CGS 21680 HCl is an adenosine A2 receptor agonist with IC50 of 22 nM, exhibits 140-fold over A1 receptor. In an isolated perfused working rat heart model, CGS 21680C effectively increases coronary flow with an ED25 value of 1.8 nM. [1] CGS 21680 binds adenosine A2 receptor with high affinity (Kd = 15.5 nM) and limited capacity (apparent Bmax = 375 fmol/mg of protein) to a single dass of recognition sites.[2] In hippocampal slices, CGS 21680 apis weak agonist on pre- and postsynaptic measures of electrophysiologicaJ activity (putative Al receptor mediated events) and is ineffective at stimulating the formation of cAMP (a putative A2 mediated response). In striatal slices, CGS 21680 potently stimulates

the formation of cAMP with an EC50 of 110 nM but is ineffective at inhibiting electrically stimulated dopamine release. [3]CGS 21680A is the hydrochloride salt, while CGS 21680C is the sodium salt of CGS 21680.

CGS 21680A is active p.o. in the spontaneously hypertensive rat at a dose of 10 mg/kg with efficacy for up to 24 hr. CGS 21680A caused a transient (60 min) increase in heart rate. [1]CGS 21680 is a potent depressant of the spontaneous, acetylcholine and glutamate evoked firing of rat cerebral cortical neurons. [4]

References

[1] Hutchison AJ, et al. J Pharmacol Exp Ther, 1989, 251(1), 47-55.

[2] Jarvis MF, et al. J Pharmacol Exp Ther, 1989, 251(3), 888-893.

[3] Lupica CR, et al. J Pharmacol Exp Ther, 1990, 252(3), 1134-1141

.[4] Phillis JW, et al. Brain Res, 1990, 509(2), 328-30.