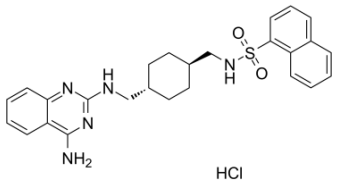
CGP71683 hydrochloride

Cat. No.:	HY-107723
CAS No.:	192322-50-2
Molecular Formula:	$C_{26}H_{30}ClN_5O_2S$
Molecular Weight:	512.07
Target:	Neuropeptide Y Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 160 mg/mL (312.46 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9529 mL	9.7643 mL	19.5286 mL
	5 mM	0.3906 mL	1.9529 mL	3.9057 mL
	10 mM	0.1953 mL	0.9764 mL	1.9529 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.67 mg/mL (5.21 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.67 mg/mL (5.21 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.67 mg/mL (5.21 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CGP71683 hydrochloride is a competitive neuropeptide Y5 receptor antagonist with a K_i of 1.3 nM, and shows no obvious activity at Y1 receptor (K_i, >4000 nM) and Y2 receptor (K_i, 200 nM) in cell membranes.

IC_{50} & Target

Ki: 1.3 nM (Y5 receptor), 200 nM (Y2 receptor), >4000 nM (Y1 receptor)[1]

In Vitro

CGP71683 hydrochloride is a competitive neuropeptide Y5 receptor antagonist with a K_i of 1.3 nM, and shows no obvious activity at Y1 receptor (K_i, >4000 nM) and Y2 receptor (K_i, 200 nM) in cell membranes[1].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	CGP71683 (15 nmol/rat, icv, twice daily) shows anorexigenic effect, reducing food intake and bady weight of fed rats. CGP71683 causes 3-times higher serum total T4 and 37% increase in free T4 in the fasted rats than in the fasted controls rats [2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [2]	Rats[2] CGP71683 is dissolved in 30% DMSO and kept frozen at -20°C until the experiment. Each microinjection consists of 2 µL of either vehicle (30% DMSO) or CGP71683 (7.5 nmol/µL; 15 nmol/rat) injected during 30-60 s through the guide cannula, as the following protocols: I - rats with free access to chow receive 6 microinjections (15 nmol/rat, 10-14 h interval between each one) and are killed 1 h after the last injection, between 9 and 10 a.m. Food intake is estimated by the reduction in chow mass (g), evaluated daily, immediately before each icv injection. II - 72 h-fasted rats receive a single microinjection of vehicle or CGP71683 (15 nmol/rat) and sacrificed 1 h latter. III - during a period of 72 h of fasting, rats are treated with multiple injections of vehicle or CGP71683 with the same protocol used for fed animals, and the fasting period started 10 h before the first microinjection. At the end of experimental protocols, rats are decapitated and serum is obtained from trunk blood for the measurement of the concentrations of hormones[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Lecklin A, et al. Receptor subtypes Y1 and Y5 mediate neuropeptide Y induced feeding in the guinea-pig. Br J Pharmacol. 2002 Apr;135(8):2029-37.

[2]. Costa-e-Sousa RH, et al. Central NPY-Y5 receptors activation plays a major role in fasting-induced pituitary-thyroid axis suppression in adult rat. Regul Pept. 2011 Nov 10;171(1-3):43-7.

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