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Product Data Sheet

CGP71683 hydrochloride

Cat. No.: HY-107723 CAS No.: 192322-50-2 Molecular Formula: $C_{26}H_{30}CIN_5O_2S$

Molecular Weight: 512.07

Target: Neuropeptide Y 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)

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 μ L of either vehicle (30% DMSO) or CGP71683 (7.5 nmol/ μ L; 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.

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

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