CGS 15943

Cat. No.: HY-100678

CAS No.: 104615-18-1

Molecular Formula: $C_{13}H_8ClN_5O$

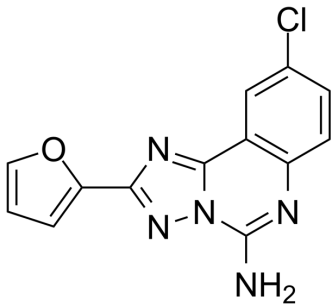
Molecular Weight: 285.69

Target: Adenosine Receptor; PI3K

Pathway: GPCR/G Protein; PI3K/Akt/mTOR

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 12.22 mg/mL (42.77 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.5003 mL	17.5015 mL	35.0030 mL
	5 mM	0.7001 mL	3.5003 mL	7.0006 mL
	10 mM	0.3500 mL	1.7501 mL	3.5003 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: 1.22 mg/mL (4.27 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 1.22 mg/mL (4.27 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.22 mg/mL (4.27 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CGS 15943 is an orally bioavailable non-xanthine Adenosine Receptor antagonist. Its K_i for human A1, A2A, A2B, and A3 Adenosine Receptors are 3.5, 4.2, 16, and 50 nM in transfected CHO cells, respectively. [1][2].

IC_{50} & Target

p110γ 1.1 μM (IC_{50})	p110δ 8.47 μM (IC_{50})	adenosine A1 receptor 3.5 nM (Ki)	adenosine A2A receptor 4.2 nM (Ki)
adenosine A2B receptor 16 nM (Ki)	adenosine A3 receptor 50 nM (Ki)		

In Vitro

CGS 15943 inhibits the kinase activity of the class IB PI3K isoform p110γ with an IC_{50} of 1.1 μM and shows slight inhibition on p110δ with an IC_{50} of 8.47 μM[3].

CGS 15943 (0-20 μM; 72 hours) inhibits growth of HLF and SK-Hep-1 cells, as well as HepG2 and PLC-PRF-5 cells[3].

CGS 15943 (0-20 μM; 24 hours) reduces the phosphorylation of Akt at its residues Ser473 and Thr308 in HLF and Sk-Hep-1 cells[3].

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

Cell Viability Assay[3]

Cell Line:	HLF, SK-Hep-1, HepG2 and PLC-PRF-5 cells
Concentration:	0 μM; 1 μM; 5 μM; 10 μM; 20 μM
Incubation Time:	24 hours
Result:	Inhibited growth of four distinct HCC cell lines.

Western Blot Analysis[3]

Cell Line:	HLF and Sk-Hep-1 cells
Concentration:	0 μM; 1 μM; 5 μM; 10 μM; 20 μM
Incubation Time:	24 hours
Result:	Inhibited the PI3K/Akt pathway in HLF and Sk-Hep-1 cells

REFERENCES

[1]. Gao Y, et al. CGS 15943, an adenosine A2 receptor antagonist, reduces cerebral ischemic injury in the Mongolian gerbil. Life Sci. 1994;55(3):PL61-5.

[2]. Klotz KN, et al. Adenosine receptors and their ligands. Naunyn Schmiedebergs Arch Pharmacol. 2000 Nov;362(4-5):382-91.

[3]. Edling CE, et al. Caffeine and the analog CGS 15943 inhibit cancer cell growth by targeting the phosphoinositide 3-kinase/Akt pathway. Cancer Biol Ther. 2014 May;15(5):524-32.

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