Tonapofylline

Cat. No.: HY-14873

CAS No.: 340021-17-2

Molecular Formula: $C_{22}H_{32}N_4O_4$

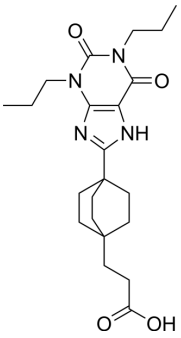
Molecular Weight: 416.51

Target: Adenosine Receptor

Pathway: GPCR/G Protein

Storage:

Powder	-20°C	3 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (240.09 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4009 mL	12.0045 mL	24.0090 mL
	5 mM	0.4802 mL	2.4009 mL	4.8018 mL
	10 mM	0.2401 mL	1.2005 mL	2.4009 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: ≥ 2.5 mg/mL (6.00 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.00 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.99 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tonapofylline (BG 9928) is an orally active and selective adenosine A_1 receptor antagonist with a K_i of 7.4 nM for human adenosine A_1 receptor (hA_1), which displays 915-fold selectivity versus human adenosine A_{2A} receptor and 12-fold selectivity versus human adenosine A_{2B} receptor and is used in development for the treatment of heart failure[1][2].

IC_{50} & Target

Ki: 7.4 nM (Human adenosine A1 receptor)[1]

In Vivo

Tonapofylline (BG 9928) (1 mg/kg; p.o., b.i.d, days 0-6) produces sustained reductions in post-NSC 119875 serum creatinine and blood urea nitrogen levels, improves body weight recovery and significant attenuation of NSC 119875-induced (5.5

mg/kg) kidney pathology scores[3].

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

Animal Model:	Female viral antigen-free Sprague-Dawley rats[3]
Dosage:	1 mg/kg
Administration:	Oral administration; twice a day, days 0-6
Result:	Produced sustained reductions in post-NSC 119875 serum creatinine and blood urea nitrogen levels, improved body weight recovery and significant attenuation of NSC 119875-induced (5.5 mg/kg) kidney pathology scores.

REFERENCES

[1]. Kiesman WF, et al. Potent and orally bioavailable 8-bicyclo[2.2.2]octylxanthines as adenosine A1 receptor antagonists. J Med Chem. 2006 Nov 30;49(24):7119-31.

[2]. Ensor CR, et al. Tonapofylline: a selective adenosine-1 receptor antagonist for the treatment of heart failure. Expert Opin Pharmacother. 2010 Oct;11(14):2405-15.

[3]. Gill A, et al. Protective effect of tonapofylline (BG9928), an adenosine A1 receptor antagonist, against NSC 119875-induced acute kidney injury in rats. Am J Nephrol. 2009;30(6):521-6.

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