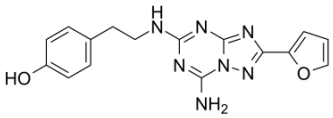
ZM241385

Cat. No.:	HY-19532
CAS No.:	139180-30-6
Molecular Formula:	$C_{16}H_{15}N_7O_2$
Molecular Weight:	337.34
Target:	Adenosine Receptor
Pathway:	GPCR/G Protein

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 : ≥ 30 mg/mL (88.93 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Concentration \ Solvent, Mass	1 mg	5 mg	10 mg
1 mM	2.9644 mL	14.8218 mL	29.6437 mL
5 mM	0.5929 mL	2.9644 mL	5.9287 mL
10 mM	0.2964 mL	1.4822 mL	2.9644 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 (7.41 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.41 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.41 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ZM241385 is a potent, high affinity and selective adenosine A_{2a} receptor ($A_{2A}R$) antagonist with a K_i value of 1.4 nM[1][2][3].

IC_{50} & Target

Ki: 1.4 nM ($A_{2A}R$)[2]

In Vitro

ZM241385 (1 μM; 24-48 hours; PC12 cells) treatment reverses the phenomenon that $A_{2A}R$ agonist CGS21680 significantly upregulates $A_{2A}R$ mRNA and protein levels[1].

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

RT-PCR[1]

Cell Line:	PC12 cells
Concentration:	1 μM
Incubation Time:	24 hours
Result:	Suppressed the increased $A_{2A}R$ mRNA levels engendered by CGS21680.

Western Blot Analysis[1]

Cell Line:	PC12 cells
Concentration:	1 μM
Incubation Time:	48 hours
Result:	Decreased $A_{2A}R$ protein levels

In Vivo

ZM241385 (0.2 μg/mouse, 0.4 μg/mouse; intraperitoneal injection; every day; for 11 weeks; female C57BL/6 WT mice) treatment decreases tumor volume, activates $CD8^+$ T cells and reduces the frequency of splenic MDSC[4].

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

Animal Model:	Female C57BL/6 WT mice received 4-nitroquinoline-N-oxide[4]
Dosage:	0.2 μg/mouse, 0.4 μg/mouse
Administration:	Intraperitoneal injection; every day; for 11 weeks
Result:	Decreased tumor volume, activates $CD8^+$ T cells and reduces the frequency of splenic MDSC.

REFERENCES

[1]. Wang Z, et al. Static magnetic field exposure reproduces cellular effects of the Parkinson's disease drugcandidate ZM241385. PLoS One. 2010 Nov 8;5(11):e13883. doi: 10.1371/journal.pone.0013883.

[2]. Linden J, et al. Characterization of human A(2B) adenosine receptors: radioligandbinding, western blotting, and coupling to G(q) in human embryonickidney 293 cells and HMC-1 mast cells. Mol Pharmacol. 1999 Oct;56(4):705-13.

[3]. Poucher SM, et al. The in vitro pharmacology of ZM 241385, a potent, non-xanthine A2a selective adenosinereceptor antagonist. Br J Pharmacol. 1995 Jul;115(6):1096-102.

[4]. Ludwig S, et al. Impact of combination immunochemotherapies on progression of 4NQO-induced murine oral squamous cell carcinoma. Cancer Immunol Immunother. 2019 Jul;68(7):1133-1141.

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

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