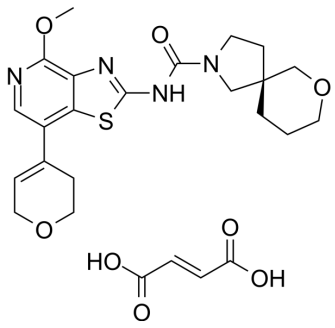
M1069

Cat. No.:	HY-148088
CAS No.:	3027658-65-4
Molecular Formula:	$C_{25}H_{30}N_4O_8S$
Molecular Weight:	546.59
Target:	Adenosine Receptor
Pathway:	GPCR/G Protein
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 : 100 mg/mL (182.95 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8295 mL	9.1476 mL	18.2952 mL
	5 mM	0.3659 mL	1.8295 mL	3.6590 mL
	10 mM	0.1830 mL	0.9148 mL	1.8295 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 (4.57 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (4.57 mM); Clear solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (4.57 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

M1069 is a selective and orall active, dual A_{2A}/A_{2B} adenosine receptor antagonist with a selectivity of >100 fold against the A_1 and A_3 receptors. M1069 counteracts immune-suppressive mechanisms of adenosine, and exhibits anti-tumor activity[1][2][3].

IC_{50} & Target	A2AR	A2BR

In Vitro

Metabolically stressful conditions, including inflammation and cancer, induces extracellular concentrations of adenosine increase[1].

M1069 dose-dependently suppresses 5'-N-ethylcarboxamide adenosine (stable analog of adenosine)-stimulated cyclic

	adenosine monophosphate (cAMP) and phosphorylated cAMP- response element binding protein (pCREB) induction, inhibits interleukin (IL)-2 production[1]. M1069 suppresses vascular endothelial growth factor (VEGF) production from human macrophages in adenosine-rich settings[1]. M1069 inhibits protumorigenic cytokine secretion, such as CXCL1, CXCL5 and granulocyte-colony stimulating factor, and reduces IL-12 secretion from adenosine-differentiated dendritic cells[1]. M1069 enhances T-cells activation in adenosine-differentiated dendritic cells[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	M1069 inhibits breast tumor (CD73hi/adenosine-rich 4T1 syngeneic) growth in vivo in mice and enhances chemotherapeutic agents efficacy[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Rinat Zaynagetdinov, et al. Abstract 3499: M1069 as dual A2A/A2B adenosine receptor antagonist counteracts immune-suppressive mechanisms of adenosine and reduces tumor growth in vivo. Cancer Res (2022) 82 (12_Supplement):3499.

[2]. Lillian L Siu, et al. Abstract CT240: A first-in-human study of the dual A2A/A2B adenosine receptor antagonist M1069 in patients with advanced solid tumors. Cancer Res (2022) 82 (12_Supplement):CT240.

[3]. Tanzer Eva-Maria, et al. Preparation of thiazolopyridine derivatives as adenosine receptor antagonists: World Intellectual Property Organization, WO2020152132[P]. 2020-07-30.

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