CPI-444

Cat. No.: HY-101978

CAS No.: 1202402-40-1

Molecular Formula: $C_{20}H_{21}N_7O_3$

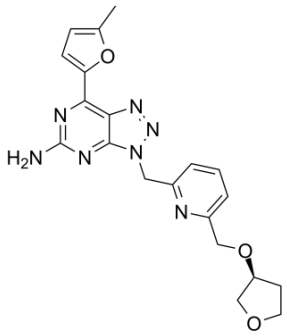
Molecular Weight: 407.43

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 : 67.5 mg/mL (165.67 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4544 mL	12.2720 mL	24.5441 mL
	5 mM	0.4909 mL	2.4544 mL	4.9088 mL
	10 mM	0.2454 mL	1.2272 mL	2.4544 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.25 mg/mL (5.52 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (5.11 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.11 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CPI-444 (V81444) is a potent, orally active and selective adenosine A2A receptor (A2AR) antagonist, which induces antitumor responses[1].

IC_{50} & Target

Adenosine A2A receptor[1]

In Vitro

CPI-444 is a potent, oral, selective A2AR antagonist. $CD8^+$ T cell depletion abrogates the efficacy of CPI-444 treatment as a single agent as well as in combination with anti-PD-L1, demonstrating a role for $CD8^+$ T cells in mediating primary and

	secondary immune responses. Anti-tumor efficacy of CPI-444±anti-PD-L1 is associated with increased CD8+ cell infiltration and activation in MC38 tumor tissues, and a corresponding rise in PD-1 expression on $CD8^+$ T cells in the spleen. Additionally, levels of immune checkpoints are modulated by treatment with CPI-444, including GITR, OX40, and LAG3 on tumor infiltrating lymphocytes and circulating T cells, suggesting a broad role for adenosine mediated immunosuppression[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Daily treatment of the syngeneic mouse model MC38 with CPI-444 (1, 10, 100 mg/kg) leads to dose-dependent inhibition of tumor growth, leading to tumor elimination in ~30% of treated mice. Combining CPI-444 (100 mg/kg, qd, 14 days) with anti-PD-L1 (200 μg, 3qw, 4 doses) treatment in MC38 models synergistically inhibits tumor growth and eliminates tumors in 90% of treated mice. When cured mice are later re-challenged with MC38 cells, tumor growth is rejected in 100% of challenged mice, indicating that CPI-444 induces systemic anti-tumor immune memory[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Stephen Willingham, et al. Abstract PR04: CPI-444: A potent and selective inhibitor of A2AR induces antitumor responses alone and in combination with anti-PD-L1 in preclinical and clinical studies.Cancer Immunoly Research. September 25-28, 2016.

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