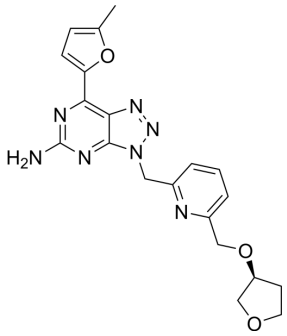
Ciforadenant

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 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 66.67 mg/mL (163.64 mM; Need ultrasonic)
H_2O : < 0.1 mg/mL (insoluble)

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

Ciforadenant (CPI-444) 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

Ciforadenant is a potent, oral, selective A2AR antagonist. $CD8^+$ T cell depletion abrogates the efficacy of Ciforadenant

	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 Ciforadenant±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 Ciforadenant, 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 Ciforadenant (1, 10, 100 mg/kg) leads to dose-dependent inhibition of tumor growth, leading to tumor elimination in ~30% of treated mice. Combining Ciforadenant (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 Ciforadenant induces systemic anti-tumor immune memory[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Sci Adv. 2023 May 5;9(18):eade5111.
- J Exp Clin Cancer Res. 2022 Oct 14;41(1):302.
- J Med Chem. 2023 Mar 23.
- J Med Chem. 2022 Feb 25.
- Patent. US20230159541A1.

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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.

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