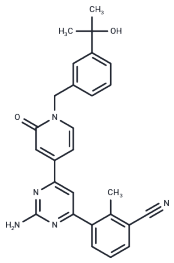
Data Sheet (Cat.No.T72626)

TargetMol

A2AR-antagonist-1

Chemical Properties



CAS No. : 2922920-71-4

Formula: C27H25N5O2

Molecular Weight: 451.52

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	A2AR-antagonist-1 (compound 38), an orally active adenosine A2A receptor antagonist with an IC50 value of 29 nM, demonstrates anti-tumor properties and stability in mouse liver microsomes (t1/2 = 86.1 min). Additionally, it activates T cells by inhibiting immunosuppressive molecules (LAG-3 and TIM-3) and promoting expression of effector molecules (GZMB, IFNG, and IL-2) [1].
Targets(IC50)	Adenosine Receptor
In vitro	A2AR-antagonist-1 (0.001-10 μM; 30 minutes) reduces NECA-induced phosphorylation of ERK levels in HEK293-A2AR cells [1]. At concentrations of 0.1-10 μM over 5 hours, this compound inhibits NECA-induced expression of immune molecules and increases the expression of effector molecules in Jurkat T cells (human immortalized T lymphocyte line) [1]. Furthermore, A2AR-antagonist-1 (0.1-10 μM; 48 hours) restores the impaired cytotoxic function of OT-I mouse splenocytes (OT-I CTL) against MC38-OVA cells and enhances in vitro T cell activation and effector functions [1].
In vivo	A2AR-antagonist-1 (100 mg/kg; oral administration; once daily for 23 days) demonstrated remarkable antitumor activity in C57BL/6 mice carrying MC38 colon carcinoma cells [1]. Pharmacokinetic analysis in mice [1] revealed the following: Route Dose (mg/kg) C max (ng/mL) AUC 0-last (ng·h/mL) AUC 0-t (ng·h/mL) t 1/2 (h) F (%) for intravenous (i.v.) administration at 2 mg/kg resulted in a C max of 2584 ng/mL, AUC 0-last of 5577 ng·h/mL, AUC 0-t of 5565 ng·h/mL, and a half-life (t 1/2) of 0.93 h, while oral (p.o.) administration at 10 mg/kg achieved a C max of 8823 ng/mL, AUC 0-last of 24008 ng·h/mL, AUC 0-t of 24003 ng·h/mL, t 1/2 of 2.35 h, and bioavailability (F) of 86.1%.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2147 mL	11.0737 mL	22.1474 mL
5 mM	0.4429 mL	2.2147 mL	4.4295 mL
10 mM	0.2215 mL	1.1074 mL	2.2147 mL
50 mM	0.0443 mL	0.2215 mL	0.4429 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481