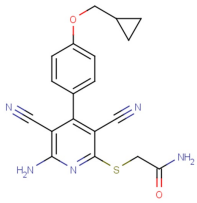
Data Sheet (Cat.No.T14506)

TargetMol
A DRUG SCREENING EXPERT

BAY 60-6583

Chemical Properties



CAS No.:	910487-58-0
Formula:	C19H17N5O2S
Molecular Weight:	379.44
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	BAY 60-6583 is a potent and high-affinity agonist of adenosine A2B receptor (EC50 = 3 nM) over A1, A2A, and A3 receptors and it has a cardioprotective effect in a myocardial ischemia model[1][5]. BAY 60-6583 binds to mouse, rabbit, and dog A2BAR with Ki values of 750 nM, 340 nM and 330 nM, respectively. BAY 60-6583 has a cardioprotective effect in a myocardial ischemia model[1][5].
Targets(IC_{50})	Others: None
In vitro	BAY 60-6583 exhibits EC50 values for receptor activation >10,000 nM for both A1 and A2A AR and 3 nM for A2B AR subtype in CHO cells expressing recombinant human A1, A2A or A2B ARs[1]. BAY 60-6583(0-10 μM) exhibits the maximum agonist effect of BAY in the absence of siRNA is 68 %, which is significantly different from that in the presence of 5, 50 and 500 nM siRNA (54%, 48% and 36%, respectively). It exhibits EC50 values of BAY in the absence and presence siRNA with 98±22, 102±17, 127±31 and 93±19 nM, respectively, in T24 cells[3]. BAY 60-6583 (5 μM; 24 hours) increases the accumulation of cells at the G1 phase with a decrease in G2/M phase in RAW264.7 preosteoclasts[4] and it specifically inhibits the activation of Akt by M-CSF. However, M-CSF-induced ERK1/2 activation is not affected by BAY 60-6583 treatment in RAW264.7 preosteoclasts[4].
In vivo	BAY 60-6583 (intravenous injection; 100 mcg/kg) reduces the infarction area just prior to reperfusion in ischaemic rabbit hearts[1]. BAY 60-6583 (intratumoral administration) causes a significant increase in tumor-infiltrating MDSCs. It does not affect neither their ability to suppress T-cell proliferation nor their degree of maturation. It also stimulates the production of IL-10 and CCL2 in the tumor tissue[5]. BAY 60-6583 (intraperitoneal injection; 2 mg/kg) attenuates LPS-induced lung injury, pre-treatment with this compound can significantly decrease LPS-increased IL-6 levels in WT-mice. In contrast, BAY 60-6583 treatment is ineffective in abrogating these inflammatory parameters in A2BAR−/− mice[2].

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.635 mL	13.177 mL	26.355 mL
5 mM	0.527 mL	2.635 mL	5.271 mL
10 mM	0.264 mL	1.318 mL	2.635 mL
50 mM	0.053 mL	0.264 mL	0.527 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Aherne CM, et al. Epithelial-specific A2B adenosine receptor signaling protects the colonic epithelial barrier during acute colitis.Mucosal Immunol. 2015 Nov;8(6):1324-38.
2. Schingnitz U, et al. Signaling through the A2B adenosine receptor dampens endotoxin-induced acute lung injury.J Immunol. 2010 May 1;184(9):5271-9.
3. Gao ZG, et al. Probing biased/partial agonism at the G protein-coupled A(2B) adenosine receptor.Biochem Pharmacol. 2014 Aug 1;90(3):297-306.
4. Yoon Taek Oh, et al. A2B Adenosine Receptor Stimulation Down-regulates M-CSF-mediated Osteoclast Proliferation. Biomed Sci Letters 2017;23:194-200
5. John A. Auchampach, et al. Characterization of the A2B Adenosine Receptor from Mouse, Rabbit, and Dog. J Pharmacol Exp Ther. 2009 Apr;329(1):2-13.
6. Morello S1, et al. Targeting the adenosine A2b receptor in the tumor microenvironment overcomes local immunosuppression by myeloid-derived suppressor cells.Oncoimmunology. 2014 Feb 14;3:e27989. eCollection 2014.

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