A2A receptor antagonist 2

Cat. No.: HY-144672

CAS No.: 2767206-20-0

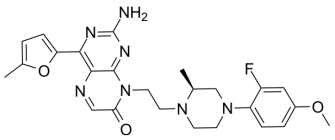
Molecular Formula: $C_{25}H_{28}FN_7O_3$

Molecular Weight: 493.53

Target: Adenosine Receptor

Pathway: GPCR/G Protein

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

A2A receptor antagonist 2 (Compound 57) is a potent, highly selective adenosine A_{2A} receptor ($A_{2A}R$) antagonist with an IC_{50} of 8.3 nM[1].

IC_{50} & Target

A2AR
8.3 nM (IC_{50})

In Vitro

A2A receptor antagonist 2 (Compound 57) shows potent antagonistic activity in the presence of a high level of NECA (5′-N-ethylcarboxamidoadenosine, an $A_{2A}R$ agonist) [1].
A2A receptor antagonist 2 enhances the activation and effector function of T cells, with no obvious cytotoxicity toward the HCT116 cells and MC38 cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	Jurkat T cells
Concentration:	10 μM
Incubation Time:	Overnight
Result:	Increased IL-2 production in the presence of NECA

Cell Cytotoxicity Assay[1]

Cell Line:	HCT116 and Jurkat T cells
Concentration:	10 μM
Incubation Time:	48 h
Result:	Completely reversed NECA's suppression of the cytotoxic function of Jurkat T cells.

In Vivo

A2A receptor antagonist 2 (Compound 57) shows reasonable intravenous (IV) exposure and low bioavailabilities of intraperitoneal (IP) and per os (PO)[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	C57BL/6 mice[1]
Dosage:	2 or 10 mg/kg
Administration:	Intraperitoneal, intravenous or oral administration (Pharmacokinetic Analysis)
Result:	PK profiles of A2A receptor antagonist 2 (n = 3)[1]

Parameters			
Dosing Route	IV (2 mg/kg)	PO (10 mg/kg)	IP (10mg/kg)
C_{max} (ng/mL)	1091 ± 129[a]	106 ± 33.0	41.8 ± 2.75
$AUC_{0\text{-last}}$ (ng/mL*h)	767 ± 107	145 ± 25.9	812 ± 12.0
$AUC_{0\text{-t}}$ (ng/mL*h)	764 ± 107	139 ± 25.9	444 ± 13.3
$T_{1/2}$ (h)	2.05 ± 0.94	2.55 ± 2.39	17.6 ± 0.68
F (%)	/	3.78%	11.6%

[a] This value means C_0 = 1091 ± 129 ng/mL

REFERENCES

[1]. Fazhi Yu, et al. Design, Synthesis, and Bioevaluation of 2-Aminopteridin-7(8H)-one Derivatives as Novel Potent Adenosine A2A Receptor Antagonists for Cancer Immunotherapy. J Med Chem. 2022 Mar 10;65(5):4367-4386.

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