Adenosine receptor inhibitor 1

Cat. No.: HY-147907

CAS No.: 2550400-52-5

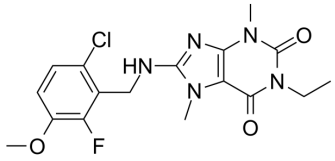
Molecular Formula: $C_{17}H_{19}ClFN_5O_3$

Molecular Weight: 395.82

Target: Adenosine Receptor

Pathway: GPCR/G Protein

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



BIOLOGICAL ACTIVITY

Description

Adenosine receptor inhibitor 1 is a potent and selective adenosine receptor (AR) inhibitor with K_i values of >1000, 68.5, >1000, >1000 nM for A_1AR, A_{2A}AR, A_{2B}AR, A_3AR, respectively. Adenosine receptor inhibitor 1 shows antinociceptive activity, anti-inflammatory effect and peripheral analgesic effect. Adenosine receptor inhibitor 1 has the potential for the research of cancer or neurodegenerative diseases[1].

IC_{50} & Target

A_1AR	A2AR	hA_{2B}	Adenosine A_3 receptor
>1000 nM (Ki)	68.5 nM (Ki)	>1000 nM (Ki)	>1000 nM (Ki)

In Vitro

Adenosine receptor inhibitor 1 (compound 12d) (120 min) shows metabolic stability incubated with with 96.56 and 97.97% of the parent compound remained in the reaction mixtures after incubation with mouse (MLMs) and rat liver microsomes (RLMs), respectively[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Adenosine receptor inhibitor 1 (20, 30, 40 mg/kg; i.p.) shows antinociceptive activity at a concentration-dependent mannner [1].

Adenosine receptor inhibitor 1 (20 mg/kg; i.p.) shows anti-inflammatory effect in carrageenan-induced edema model[1].

Adenosine receptor inhibitor 1 (5, 10, 20 mg/kg; i.p.) shows analgesic effect in mouse[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	18-26 g male albino Swiss mice (chronic pain induced by the administration of 5% formalin) [1]
Dosage:	20, 30, 40 mg/kg
Administration:	I.p.
Result:	Showed antinociceptive activity with decreasesed the licking/biting time of the right hind paw of mice in response to the irritating chemical stimulus.

Animal Model:	150-180 g male rats Wistar(carrageenan-induced edema model)[1]
Dosage:	20 mg/kg

Administration:	I.p.
Result:	Showed anti-inflammatory effect with the inhibition of 23.3%, 54.2%, 66.0% at 1h, 2h, 3h, respectively.

Animal Model:	Mouse (induce pain of peripheral origin by injection of an irritant like phenylbenzoquinone or acetic acid in mice)[1]
Dosage:	5, 10, 20 mg/kg
Administration:	I.p.
Result:	Showed peripheral analgesic effect with the significant decrease in the number of writhings by 32.9%, 54.9%, 82.0% at doses of 5, 10, 20 mg/kg, respectively.

REFERENCES

[1]. Załuski M, et al. 8-Benzylaminoxanthine scaffold variations for selective ligands acting on adenosine A2A receptors. Design, synthesis and biological evaluation. Bioorg Chem. 2020 Aug;101:104033.

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