Etrumadenant

Cat. No.: HY-129393

CAS No.: 2239273-34-6

Molecular Formula: $C_{23}H_{22}N_8O$

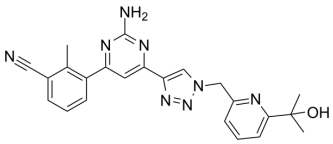
Molecular Weight: 426.47

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 : 250 mg/mL (586.21 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.3448 mL	11.7242 mL	23.4483 mL
5 mM	0.4690 mL	2.3448 mL	4.6897 mL
10 mM	0.2345 mL	1.1724 mL	2.3448 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.88 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.88 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.88 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Etrumadenant (AB928) is an orally bioavailable, selective dual adenosine receptor (A2aR/A2bR) antagonist. Etrumadenant relieves adenosine-mediated immune suppression. Etrumadenant has immunomodulatory and antitumor activities[1][2].

IC_{50} & Target

A2aR/A2bR[1]

In Vitro

In human in vitro cell cultures, moDC differentiated in the presence of adenosine showed a decreased ability to stimulate IFN-γ secretion from allogenic CD4+ T-cells in a MLR. This suppression is significantly reversed by addition of Etrumadenant.

	Multiplexed gene expression profiling using NanoString identified a cassette of 39 genes that are regulated by adenosine during moDC differentiation. Etrumadenant shows rescue of these gene expression changes[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Concurrent treatment with Etrumadenant and chemotherapy results in significantly reduced tumor volume in vivo using AT3-OVA tumors; Similar results are observed for the combination of Etrumadenant and NSC 266046. AB928 is also capable of suppressing growth of B16-F10 tumors both as a single agent or in combination with α-PD-1 therapy. Etrumadenant increases the antitumor immune response leading to suppressed tumor growth and increased immune cell infiltration in mouse syngeneic tumors[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Exp Clin Cancer Res. 2022 Oct 14;41(1):302.
- Commun Chem. 2023 Jun 1;6(1):106.
- Patent. US20230159541A1.
- Research Square Print. 2023 Feb 27.

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REFERENCES

[1]. Seitz L, et al. Safety, tolerability, and pharmacology of AB928, a novel dual adenosine receptor antagonist, in a randomized, phase 1 study in healthy volunteers. Invest New Drugs. 2019 Aug;37(4):711-721.

[2]. Daniel DiRenzo, et al. AB928, a dual antagonist of the A2aR and A2bR adenosine receptors, relieves adenosine-mediated immune suppression [abstract].

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