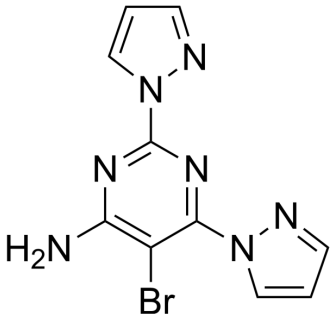
Taminadenant

Cat. No.:	HY-109139
CAS No.:	1337962-47-6
Molecular Formula:	$C_{10}H_8BrN_7$
Molecular Weight:	306.12
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 : 125 mg/mL (408.34 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.2667 mL	16.3335 mL	32.6669 mL
	5 mM	0.6533 mL	3.2667 mL	6.5334 mL
	10 mM	0.3267 mL	1.6333 mL	3.2667 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 (6.79 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (6.79 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (6.79 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Taminadenant (NIR178; PBF509) is a highly potent and orally active adenosine A_{2A} receptor ($A_{2A}R$) antagonist. Taminadenant can antagonize A2AR agonist-mediated cAMP accumulation and impedance responses with K_B values of 72.8 nM and 8.2 nM, respectively. Taminadenant reverses motor impairments in several rat models of movement disorders, including catalepsy, tremor, and hemiparkinsonism. Taminadenant can also inhibit tumor growth when combined with Spartalizumab (HY-P9972). Taminadenant reactivate the antitumor immune response[1][2].

IC_{50} & Target

Adenosine receptor[1]

In Vitro

Taminadenant (PBF509) does not show any agonist efficacy in HEK cells permanently expressing the human $A_{2A}R^{SNAP}$, but completely antagonizes the agonist-mediated cAMP accumulation in $A_{2A}R^{SNAP}$ expressing HEK cells with an IC_{50} of 72.8 ± 17.4 nM[1].

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

In Vivo

Taminadenant (PBF509) (0.3, 3, 7.5, 10, or 30 mg/kg; p.o.; single dosage) attenuates the cataleptic effects of Haloperidol, attenuates pilocarpine-induced tremulous jaw movement, enhances the effects of L-DOPA, shows a robust antiparkinsonian activity and displays antidyskinetic efficacy[1].

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

Animal Model:	Sprague-Dawley rats (240-250 g; induced catalepsy by s.c. with 1 mg/kg Haloperidol (HY-14538))[1]
Dosage:	3, 10, or 30 mg/kg
Administration:	p.o.; single dosage
Result:	Dose-dependently attenuated the cataleptic effects of Haloperidol when administered 1 h after Haloperidol injection.

Animal Model:	Sprague-Dawley rats (240-250 g; induced tremulous jaw movement by s.c. with 1 mg/kg Pilocarpine (HY-B0726A))[1]
Dosage:	0.3, 3, or 7.5 mg/kg
Administration:	p.o.; single dosage
Result:	Dose-dependently attenuated pilocarpine-induced tremulous jaw movement, being effective at the lowest dose tested.

Animal Model:	Sprague-Dawley rats (240-250 g; induced hemiparkinsonian by unilateral injection of 6-OHDA (HY-B1081) in the medial forebrain bundle)[1]
Dosage:	0.3 and 3 mg/kg
Administration:	p.o.; single dosage
Result:	Enhanced the effects of L-DOPA with a minimum efficacious dose (MED) of 3 mg/kg p.o..

Animal Model:	Sprague-Dawley rats (240-250 g; induced dyskinesias by i.p. 4 mg/kg L-DOPA (HY-N0304) for 14 days and i.p. 15 mg/kg Benserazide hydrochloride (HY-B0404A))[1]
Dosage:	0.3 or 3 mg/kg
Administration:	p.o.; single dosage
Result:	Showed a robust antiparkinsonian activity and displayed antidyskinetic efficacy.

REFERENCES

[1]. Núñez F, et al. PBF509, an Adenosine A2A Receptor Antagonist With Efficacy in Rodent Models of Movement Disorders. Front Pharmacol. 2018 Oct 19;9:1200.

[2]. Chiappori AA, et al. Phase I Study of Taminadenant (PBF509/NIR178), an Adenosine 2A Receptor Antagonist, with or without Spartalizumab (PDR001), in Patients with Advanced Non-Small Cell Lung Cancer. Clin Cancer Res. 2022 Jun 1;28(11):2313-2320.

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