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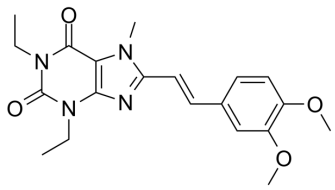
Istradefylline

Cat. No.:	HY-10888
CAS No.:	155270-99-8
Molecular Formula:	$C_{20}H_{24}N_4O_4$
Molecular Weight:	384.43
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 : 25.33 mg/mL (65.89 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6013 mL	13.0063 mL	26.0125 mL
	5 mM	0.5203 mL	2.6013 mL	5.2025 mL
	10 mM	0.2601 mL	1.3006 mL	2.6013 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.41 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Istradefylline is a very potent, selective and orally active adenosine A2A receptor antagonist with K_i of 2.2 nM in experimental models of Parkinson's disease.

IC_{50} & Target

Ki: 2.2 nM (adenosine A2A receptor)

In Vitro

Istradefylline has 70-fold greater affinity for the A2AR than the A1 receptor with K_i of 2.2 nM versus 150 nM[1]. Istradefylline causes concentration-dependent abolition of bFGF induction of astrogliosis in primary rat striatal astrocytes[4].
Istradefylline binds to A1 receptor, A2A receptor, and A3 receptor in human with K_is of >287 nM, 9.12 nM, and >681 nM, respectively, 50.9 nM and 1.57 nM for A1 receptor and A2A receptor in rat, 105.02 nM and 1.87 nM for A1 receptor and A2A receptor in mouse, respectively[5].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo	Istradefylline (3.3 mg/kg, i.p.) treatment before a single dose of MPTP attenuates the partial dopamine and DOPAC depletions measured in striata 1 week later[1]. Istradefylline reverses CGS21680-induced and reserpine-induced catalepsy with an ED_{50} of 0.05 mg/kg and 0.26 mg/kg, respectively. Istradefylline is over 10 times as potent in these models compared to other adenosine antagonists and dopamine agonist drugs. Istradefylline combined with L-dopa cuases potent effects on haloperidol-induced and reserpine-induced catalepsy[2]. Istradefylline (10 mg/kg, p.o.) results an increase in locomotor activity to approximately twice that of control and improves motor disability in MPTP-treated common marmosets. Istradefylline (10 mg/kg, p.o.) in combination with SKF80723, quinpirole, or L-DOPA produces a significant additive effect on locomotor activity and improvement of motor disability but not $dysK_inesia$[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [5]	A CHO cell line permanently expressing the human adenosine A1or A2A receptor is cultured in α-MEM supplemented with 10% (v/v) fetal bovine serum, 50 U/mL penicillin, and 50 μg/mL streptomycin. Cells are grown at 37°C in an environment of 5% CO_2. These cells are seeded on black 96-well assay plates at a density of 15,000 cells/well, and then they are cultured for 24 h. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [3]	The animals are housed either in pairs or alone under standard conditions at a temperature of 24-26°C and 50-60% relative humidity using a 12-h light-dark cycle. Diet consisted of standard food pellets, fresh fruit, and Mazuri marmoset jelly. The animals are treated with MPTP in a dose of 2.0 mg/kg sc daily for 5 days. Following MPTP treatment the animals are allowed to recover from the acute effects over a period of some 6-8 weeks. During MPTP treatment and throughout the following weeks, the animals are hand-fed with Mazuri marmoset jelly and fresh fruit puree until they are able to maintain themselves. Prior to behavioral testing, from 6-8 weeks to 8 months after exposure to MPTP, all animals show a marked reduction of basal locomotor activity, slower and less coordinated movements, abnormal postures of some parts of the body, and reduced checKing movements and eye blinks. Istradefylline (KW-6002) is suspended in 0.3% Tween-80 and 10% sucrose solution and administered in a final volume of 2.0 mL/kg body weight by oral gavage. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cancer Res. 2023 Apr 14;CAN-22-3450.
- J Pharm Anal. 26 May 2022.
- EMBO Rep. 2022 Apr 11;e53932.
- Front Pharmacol. 2020 Aug 7;11:1212.
- Pharmaceutics. 2023 Jul 8, 15(7), 1909.

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REFERENCES

[1]. Chen JF, et al. Neuroprotection by caffeine and A(2A) adenosine receptor inactivation in a model of Parkinson's disease. J Neurosci. 2001 May 15;21(10):RC143.

[2]. Shiozaki S, et al. Actions of adenosine A2A receptor antagonist KW-6002 on drug-induced catalepsy and hypokinesia caused by reserpine or MPTP. Psychopharmacology (Berl). 1999 Nov;147(1):90-5.

[3]. Kanda T, et al. Combined use of the adenosine A(2A) antagonist KW-6002 with L-DOPA or with selective D1 or D2 dopamine agonists increases antiparkinsonian activity but not dyskinesia in MPTP-treated monkeys. Exp Neurol. 2000 Apr;162(2):321-7.

[4]. Brambilla R, et al. Blockade of A2A adenosine receptors prevents basic fibroblast growth factor-induced reactive astrogliosis in rat striatal primary astrocytes. Glia. 2003 Aug;43(2):190-4.

[5]. Mihara T, et al. Pharmacological characterization of a novel, potent adenosine A1 and A2A receptor dual antagonist, 5-[5-amino-3-(4-fluorophenyl)pyrazin-2-yl]-1-isopropylpyridine-2(1H)-one (ASP5854), in models of Parkinson's disease and cognition. J Pharm

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