

# Istradefylline

**Catalog No: tcsc0737**



## Available Sizes

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**Size:** 10mg

**Size:** 50mg

**Size:** 100mg

**Size:** 200mg

**Size:** 500mg



## Specifications

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**CAS No:**

155270-99-8

**Formula:**

$C_{20}H_{24}N_4O_4$

**Pathway:**

GPCR/G Protein

**Target:**

Adenosine Receptor

**Purity / Grade:**

>98%

**Solubility:**

DMSO : 25.33 mg/mL (65.89 mM; Need ultrasonic and warming)

**Alternative Names:**

KW-6002

**Observed Molecular Weight:**

384.43

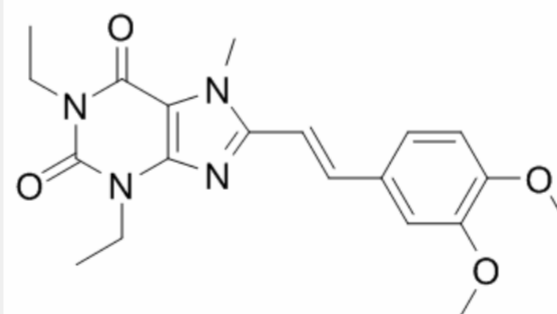
**Product Description**

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.

IC50 & Target:  $K_i$ : 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<sup>[1]</sup>. Istradefylline causes concentration-dependent abolition of bFGF induction of astrogliosis in primary rat striatal astrocytes<sup>[4]</sup>. Istradefylline binds to A1 receptor, A2A receptor, and A3 receptor in human with  $K_i$ s 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<sup>[5]</sup>.

**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<sup>[1]</sup>. Istradefylline reverses CGS21680-induced and reserpine-induced catalepsy with an ED<sub>50</sub> 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 causes potent effects on haloperidol-induced and reserpine-induced catalepsy<sup>[2]</sup>. 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 dyskinesia<sup>[3]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!