

Istradefylline

Catalog No: tcsc0737



Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg



Specifications

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

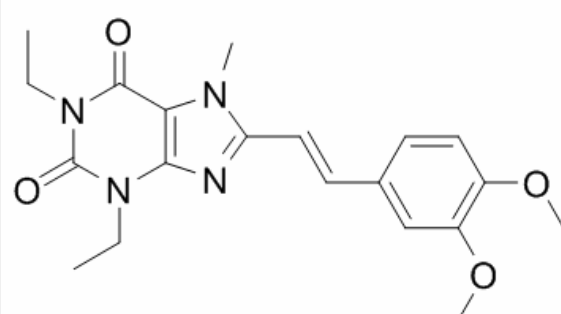
Product Description

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^[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_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^[5].

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 ED₅₀ 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^[2]. Istradefylline (10 mg/kg, p.o.) results in 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^[3].



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