Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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

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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: 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].

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 dysKinesia[3].