Product Data Sheet

Chemical Properties

**Product Name:** Istradefylline (KW-6002)  
**Cas No.:** 155270-99-8  
**M.Wt:** 384.43  
**Formula:** C20H24N4O4

**Chemical Name:** 8-[(E)-2-(3,4-dimethoxyphenyl)ethenyl]-1,3-diethyl-7-methylpurine-2,6-dione

**Canonical SMILES:** CCN1C2=C(C(=O)N(C1=O)CC)N(C(=N2)C=CC3=CC(=C(C=C3)OC)OC)C

**Solubility:** \( \geq 8.8\,\text{mg/mL} \) in DMSO with gentle warming

**Storage:** Store at \(-20^\circ\,\text{C}\)

**General tips:** For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below \(-20^\circ\,\text{C}\) for several months.

**Shopping Condition:** Evaluation sample solution: ship with blue ice  
All other available size: ship with RT, or blue ice upon request

Biological Activity

**Targets:** GPCR/G protein

**Pathways:** Adenosine Receptor

**Description:**

KW-6002 is a selective adenosine A2A receptor antagonist, offering a novel mechanistic approach for the treatment of Parkinson’s disease (PD). A2A blockade will increase in GABAergic inhibition on the medium-sized neurons, leading to a net decrease in excessive activation of striatopallidal output.

In vitro: The affinity of KW-6002 for the A2AR is 70-fold greater than that for the A1 receptor. The binding affinities (Ki) of KW-6002 for human A1 receptor and A2A receptor are >287 nM and 9.12 nM, respectively [1].
In vivo: In MPTP neurotoxin model of PD in mice, KW-6002 significantly attenuated striatal dopamine depletion under various conditions. In addition, pretreatment with KW-6002 (3.3 mg/kg, i.p.) before a single dose of MPTP attenuated the partial dopamine and DOPAC depletions 1 week later [2].

Clinical trial: In a clinical study, the authors evaluated the safety and efficacy of KW-6002 40 mg as monotherapy for Parkinson’s disease (PD) in 176 patients. The primary efficacy outcome was changed from baseline to endpoint, while safe and well-tolerated, failed to show a significant improvement from placebo for this endpoint [1].

Reference:

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.