Olanzapine

Cat. No.: HY-14541

CAS No.: 132539-06-1

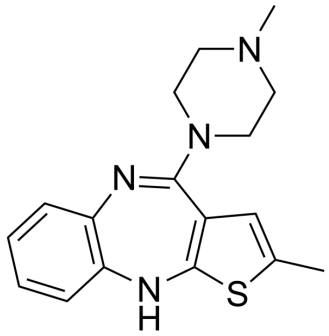
Molecular Formula: $C_{17}H_{20}N_4S$

Molecular Weight: 312.43

Target: 5-HT Receptor; Autophagy; Mitophagy; Dopamine Receptor; mAChR; Adrenergic Receptor; Apoptosis

Pathway: GPCR/G Protein; Neuronal Signaling; Autophagy; Apoptosis

Storage: 4°C, protect from light
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 20 mg/mL (64.01 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.2007 mL	16.0036 mL	32.0072 mL
	5 mM	0.6401 mL	3.2007 mL	6.4014 mL
	10 mM	0.3201 mL	1.6004 mL	3.2007 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2 mg/mL (6.40 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2 mg/mL (6.40 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2 mg/mL (6.40 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Olanzapine (LY170053) is a selective, orally active monoaminergic antagonist with high affinity binding to serotonin H1, 5HT2A/2C, 5HT3, 5HT6 (K_i=7, 4, 11, 57, and 5 nM, respectively), dopamine D1-4 (K_i=11 to 31 nM), muscarinic M1-5 (K_i=1.9-25 nM), and adrenergic α1 receptor (K_i=19 nM). Olanzapine is an atypical antipsychotic[1][2].

IC_{50} & Target

5-HT_{2A} Receptor 4 nM (Ki)	5-HT_1 Receptor 7 nM (Ki)	5-HT_6 Receptor 5 nM (Ki)	5-HT_{2C} Receptor 11 nM (Ki)
5-HT_3 Receptor 57 nM (Ki)	Adrenergic α1 Receptor 19 nM (Ki)	Muscarinic M1-5 Receptor 1.9-25 nM (Ki)	Dopamine Receptor

	Mitophagy	Apoptosis

In Vitro

Olanzapine binds weakly to GABAA, Benzodiazepine (BZD), and β-adrenergic receptors (K_i>10 μM) [1][2].
?Olanzapine induces autophagy in human SH-SY5Y neuronal cell line[3].
?Olanzapine (1-100 μM? for 144 h under serum starvation) results in a marked anti-proliferative effect in glioblastoma cell lines as well as glioma stem-like cells[4].
?Olanzapine also enhances Temozolomide (HY-17364)'s anti-tumor activity in glioblastoma cell lines[4].
?Olanzapine induces apoptosis and necrosis in glioblastoma cell lines[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[4]

Cell Line:	U87MG and A172 glioblastoma cell lines as well as SC38 and SC40 glioma stem-like cells
Concentration:	1, 10, 100 μM
Incubation Time:	144 h; under serum starvation (1.5 % FBS) prior to performing MTT-assays
Result:	Resulted in a marked antiproliferative effect with IC_{50} values ranging from 25 to 79.9 μM. In U87MG cells, anchorage-independent growth was dose-dependently inhibited. In A172 cells, migration was also shown to be inhibited in a dose-dependent manner.

Western Blot Analysis[4]

Cell Line:	U87MG and A172 cells
Concentration:	10, 25, 50, and 100 μM
Incubation Time:	7 h, 24 h, 48 h, 72 h
Result:	Led to a dose responsive decrease of pAMPK expression after 72 h of treatment.

In Vivo

Olanzapine (0.75, 1.5 and 3 mg/kg) evaluates body weight and periuterine fat mass, as well as insulin, non-esterified fatty acids, triglycerides, and glucose levels in mice[5]
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Forty-two, 14, and 28 female CD-1 mice [5]
Dosage:	0.75, 1.5 and 3 mg/kg
Administration:	Orally and chronically administered; 35 days
Result:	Increased body weight relative to vehicle on days 20-22, and from day 32 onwards there was a straightforward increase in body weight at 3 mg/kg.No differences were found between control and mice administered olanzapine at both 1.5 and 0.75 mg/kg.

CUSTOMER VALIDATION

- Nat Commun. 2022 Nov 10;13(1):6796.
- Acta Pharmacol Sin. 2021 May 11.
- Front Pharmacol. 12 August 2022.
- Research Square Print. 2022 Aug.
- medRxiv. 2021 Mar 1.

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REFERENCES

[1]. APPROVED AGREED-UPON LABELING.

[2]. Olanzapine for Injection, powder, for solution for intramuscular use.

[3]. Vucicevic L, et al. Autophagy inhibition uncovers the neurotoxic action of the antipsychotic drug olanzapine. Autophagy. 2014;10(12):2362-78.

[4]. Karpel-Massler G, et al. Olanzapine inhibits proliferation, migration and anchorage-independent growth in human glioblastoma cell lines and enhances temozolomide's antiproliferative effect. J Neurooncol. 2015 Mar;122(1):21-33.

[5]. Coccurello R, et al. Chronic administration of olanzapine induces metabolic and food intake alterations: a mousemodel of the atypical antipsychotic-associated adverse effects. Psychopharmacology (Berl). 2006 Jul;186(4):561-71.

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