Piribedil

Cat. No.: HY-12707

CAS No.: 3605-01-4

Molecular Formula: $C_{16}H_{18}N_4O_2$

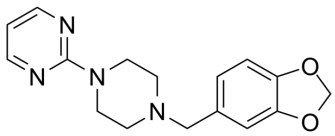
Molecular Weight: 298.34

Target: Adrenergic Receptor; Dopamine Receptor; Histone Methyltransferase

Pathway: GPCR/G Protein; Neuronal Signaling; Epigenetics

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 33.33 mg/mL (111.72 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.3519 mL	16.7594 mL	33.5188 mL
5 mM	0.6704 mL	3.3519 mL	6.7038 mL
10 mM	0.3352 mL	1.6759 mL	3.3519 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: ≥ 2.5 mg/mL (8.38 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.38 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.38 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Piribedil is a potent and orally active dopamine D2 and dopamine D3 agonist. Piribedil is also a α2-adrenoceptors antagonist. Piribedil can inhibit MLL1 methyltransferase activity (EC_{50}: 0.18 μM). Piribedil has the potential for the research of parkinson's disease, circulatory disorders, cancers[1][2][3][4].

IC_{50} & Target	D_2 Receptor	D_3 Receptor

In Vitro

Piribedil (0-160 μM, 7 days) specifically inhibits MLL1 methyltransferase activity and selectively suppresses MLL-r cell

proliferation[4].
Piribedil (0-160 μM, 4 days) selectively decreases the H3K4 methylation in MLL-r cells (THP-1 and MV4;11), by disturbing the MLL1-WDR5 interaction[4].
Piribedil (0-160 μM, 4 days) induces cell-cycle arrest, apoptosis and differentiation in MLL-r cells (THP-1 and MV4;11)[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[4]

Cell Line:	MLL-r AML cells (THP-1 and MV4;11), non-MLL leukemia cell line (K562)
Concentration:	0, 20, 40, 80 and 160 μM
Incubation Time:	0-7 days
Result:	Inhibited the growth rate of the THP-1 and MV4;11 cells in a time-dependent manner.

Western Blot Analysis[4]

Cell Line:	THP-1 and MV4;11 cells
Concentration:	0, 20, 40, 80 and 160 μM
Incubation Time:	4 days
Result:	Decreased the levels of H3K4me2 and H3K4me3 without affecting the methylation of other histones, such as H3K79, H3K36 and H3K27.

In Vivo

Piribedil (intraperitoneal injection, 5, 15, 40 mg/kg) alleviates the L-DOPA-induced dyskinesias in a rat model of Parkinson's disease[2].
Piribedil (oral gavage, 4-5 mg/kg, daily for 2 weeks) increases locomotor activity and reversal of motor deficits in adult common marmosets[3].
Piribedil (oral gavage, 150 mg/kg, daily for 21 days) inhibits MLL-r tumor growth and decreases the expression of MLL1 target genes in MV4;11 tumor xenografts[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Rat model of Parkinson's disease[2]
Dosage:	5, 15, 40 mg/kg
Administration:	intraperitoneal injection, administered 5 min before administration of L-DOPA.
Result:	Reduced turning behaviour and AD (axial dystonia), OD (orolingual dyskinesia) and FD (forelimb dyskinesia) at 5 and 40 mg/kg. Increased LD (locomotive dyskinesias) at the 40 mg/kg.

Animal Model:	Adult common marmosets[3]
Dosage:	4-5 mg/kg
Administration:	Oral gavage, daily for 2 weeks
Result:	Increased vigilance and alertness and reversed the downregulation of preprotachykinin mRNA induced by MPTP in rostral and caudal striatum.

CUSTOMER VALIDATION

- Front Chem. 26 July 2022.

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REFERENCES

[1]. Sweet RD, et al. Piribedil, a dopamine agonist, in Parkinson's disease. Clin Pharmacol Ther. 1974 Dec;16(6):1077-82.

[2]. Gerlach M, et al. The effect of piribedil on L-DOPA-induced dyskinesias in a rat model of Parkinson's disease: differential role of α(2) adrenergic mechanisms. J Neural Transm (Vienna). 2013 Jan;120(1):31-6.

[3]. Smith LA, Tet al. Repeated administration of piribedil induces less dyskinesia than L-dopa in MPTP-treated common marmosets: a behavioural and biochemical investigation. Mov Disord. 2002 Sep;17(5):887-901.

[4]. Xiong Zhang, et al. Piribedil disrupts the MLL1-WDR5 interaction and sensitizes MLL-rearranged acute myeloid leukemia (AML) to doxorubicin-induced apoptosis. Cancer Lett. 2018 Sep 1;431:150-160.

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