Piribedil dihydrochloride

Cat. No.: HY-12707A

CAS No.: 1451048-94-4

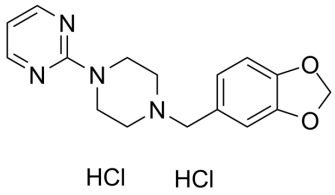
Molecular Formula: $C_{16}H_{20}Cl_2N_4O_2$

Molecular Weight: 371.26

Target: Adrenergic Receptor; Dopamine Receptor; Histone Methyltransferase

Pathway: GPCR/G Protein; Neuronal Signaling; Epigenetics

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Piribedil dihydrochloride is a potent and orally active dopamine D2 and dopamine D3 agonist. Piribedil dihydrochloride is also a α2-adrenoceptors antagonist. Piribedil dihydrochloride can inhibit MLL1 methyltransferase activity (EC_{50}: 0.18 μM). Piribedil dihydrochloride 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 dihydrochloride (0-160 μM, 7 days) specifically inhibits MLL1 methyltransferase activity and selectively suppresses MLL-r cell proliferation[4].

Piribedil dihydrochloride (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 dihydrochloride (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 Viability 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 dihydrochloride (intraperitoneal injection, 5, 15, 40 mg/kg) alleviates the L-DOPA-induced dyskinesias in a rat

model of Parkinson's disease[2].
Piribedil dihydrochloride (oral gavage, 4-5 mg/kg, daily for 2 weeks) increases locomotor activity and reversal of motor deficits in adult common marmosets[3].
Piribedil dihydrochloride (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.

Caution: Product has not been fully validated for medical applications. For research use only.

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