Piclamilast

Cat. No.: HY-12887

CAS No.: 144035-83-6

Molecular Formula: $C_{18}H_{18}Cl_2N_2O_3$

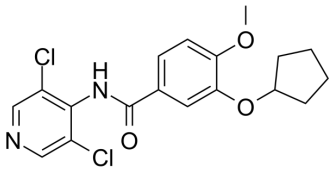
Molecular Weight: 381.25

Target: Phosphodiesterase (PDE)

Pathway: Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (131.15 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6230 mL	13.1148 mL	26.2295 mL
	5 mM	0.5246 mL	2.6230 mL	5.2459 mL
	10 mM	0.2623 mL	1.3115 mL	2.6230 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.56 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Piclamilast (RP 73401) is a phosphodiesterase 4 (PDE4) inhibitor, with IC_{50} values of 16 nM and 2 nM in pig aorta and eosinophil soluble, respectively[1][2][3][4].

IC_{50} & Target

PDE4 16 nM (IC_{50}, in pig aorta)	PDE4 2 nM (IC_{50}, in eosinophil soluble)	PDE1 >100 μM (IC_{50})	PDE2 40 μM (IC_{50})
PDE3 >100 μM (IC_{50})	PDE5 14 μM (IC_{50})		

In Vitro

Piclamilast (RP 73401, 1 μM, 30 min) significantly inhibits the changes in 23 genes via mechanisms involving AP-1 activation and c-Jun phosphorylation at Ser63[2].

Piclamilast (RP 73401) exhibits IC_{50} values >100 μM, 40 μM, >100 μM, 14 μM for PDE1, PDE2, PDE3 and PDE5. Respectively[4].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

RT-PCR[2]

Cell Line:	Human A549 type II lung epithelial cells.
Concentration:	1 μM (H_2O_2 200 μM).
Incubation Time:	30 min.
Result:	Prevented H_2O_2 -induced changes in gene expression levels in A549 cells.

Cell Viability Assay[3]

Cell Line:	NB4 cells.
Concentration:	30 μM.
Incubation Time:	3 days.
Result:	Exerted a significant enhancing effect on the induction of STAT1 observed in ATRA-treated NB4 cells. Caused a significant increase in the number of cells expressing NBT-R activity.

In Vivo

Piclamilast (RP 73401, 10 mg/kg, 30 min) alone does not affect the MST of leukemia-bearing animals. Piclamilast combined with ATRA (HY-14649) significantly more effective than ATRA alone in increasing the MST (40 days; interval 34–45 days) of leukemia-bearing animals[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	SCID mice[3].
Dosage:	10 mg/kg (combined with ATRA (HY-14649)).
Administration:	Injection daily.
Result:	Significantly more effective than ATRA alone in increasing the MST (40 days; interval 34–45 days) of leukemia-bearing animals.

REFERENCES

[1]. M J Ashton, et al. Selective type IV phosphodiesterase inhibitors as antiasthmatic agents. The syntheses and biological activities of 3-(cyclopentyloxy)-4-methoxybenzamides and analogues. J Med Chem. 1994 May 27;37(11):1696-703.

[2]. Manuel Mata, et al. Piclamilast inhibits the pro-apoptotic and anti-proliferative responses of A549 cells exposed to H(2)O(2) via mechanisms involving AP-1 activation. Free Radic Res. 2012 May;46(5):690-9.

[3]. Edoardo Parrella, et al. Phosphodiesterase IV inhibition by piclamilast potentiates the cytodifferentiating action of retinoids in myeloid leukemia cells. Cross-talk between the cAMP and the retinoic acid signaling pathways. J Biol Chem . 2004 Oct 1;279(4

[4]. T Ukita, et al. Novel, potent, and selective phosphodiesterase-4 inhibitors as antiasthmatic agents: synthesis and biological activities of a series of 1-pyridylnaphthalene derivatives. J Med Chem. 1999 Mar 25;42(6):1088-99.

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

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