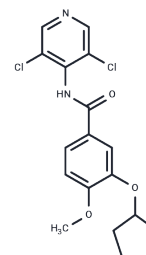


Piclamilast

Chemical Properties

CAS No. :	144035-83-6
Formula:	C ₁₈ H ₁₈ Cl ₂ N ₂ O ₃
Molecular Weight:	381.25
Storage:	Keep away from moisture Powder: -20°C for 3 years In solvent: -80°C for 1 year <i>Actual storage temperature shall be subject to the COA.</i>



Biological Description

Description	Piclamilast (RP 73401) is a PDE4 inhibitor.
Targets(IC50)	PDE
In vitro	Piclamilast pre-treatment significantly inhibited the changes in 23 genes via mechanisms involving AP-1 activation and c-Jun phosphorylation at Ser63[1]. The IC50s for Piclamilast was 16 nM and 2 nM in pig aorta and eosinophil soluble, respectively. Piclamilast exhibited IC50 values >100 μM, 40 μM, >100 μM, 14 μM for PDE1, PDE2, PDE3 and PDE5. Respectively[4].
In vivo	Initial in vivo studies conducted in severe combined immunodeficiency mice transplanted with NB4 leukemia cells indicate that the enhancing effect of piclamilast on ATRA-induced myeloid maturation translates into a therapeutic benefit[2].

Solubility Information

Solubility	DMSO: 30 mg/mL (78.69 mM), Sonication is recommended. H ₂ O: < 1 mg/mL (insoluble or slightly soluble) (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 2 mg/mL (5.25 mM), Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.623 mL	13.1148 mL	26.2295 mL
5 mM	0.5246 mL	2.623 mL	5.2459 mL
10 mM	0.2623 mL	1.3115 mL	2.623 mL
50 mM	0.0525 mL	0.2623 mL	0.5246 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Manuel Mata, et al. Piclamilast inhibits the pro-apoptotic and anti-proliferative responses of A549 cells exposed to H₂O₂ via mechanisms involving AP-1 activation. *Free Radic Res.* 2012 May;46(5):690-9.

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(40):42026-40.

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.

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

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