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产品名称：DG172 (dihydrochloride)
产品别名：DG172 dihydrochloride

生物活性：

Description	DG172 dihydrochloride is a selective PPARβ/δ antagonist, with an IC_{50} of 27 nM.
IC_{50} & Target	PPARβ/δ
	27 nM (IC_{50})
In Vitro	DG172 dihydrochloride is a selective PPARβ/δ antagonist, with an IC_{50} of 27 nM. DG172 enhances transcriptional corepressor recruitment, and down-regulates transcription of the PPARβ/δ target gene Angptl4 in mouse myoblasts (IC_{50}, 9.5 nM)[1]. DG172 (1 μM) promotes the differentiation of dendritic cells (DCs) from GM-CSF-induced mouse bone marrow cells (BMCs) and reduces $Ly6b^+/Gr1^+$ granulocytic cells. DG172 has effects on the transcriptome of GM-CSF differentiated BMCs from WT and Ppard null mice, and acts at a specific stage of GM-CSF-induced differentiation[2]. DG172 (0.1, 1.0 μM) dose-dependently promotes proliferation of TM4 cells. DG172 reduces expression of claudin-11 in TM4 cells[3].
Solvent&Solubility	***In Vitro:***
	H_2O : 14.29 mg/mL (31.39 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1967 mL	10.9837 mL	21.9674 mL
	5 mM	0.4393 mL	2.1967 mL	4.3935 mL
	10 mM	0.2197 mL	1.0984 mL	2.1967 mL

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

References

[1]. Lieber S, et al. (Z)-2-(2-bromophenyl)-3-{[4-(1-methyl-piperazine)amino]phenyl}acrylonitrile (DG172): an orally bioavailable PPARβ/δ-selective ligand with inverse agonistic properties. J Med Chem. 2012 Mar 22;55(6):2858-68.

[2]. Lieber S, et al. The inverse agonist DG172 triggers a PPARβ/δ-independent myeloid lineage shift and promotes GM-CSF/IL-4-induced dendritic cell differentiation. Mol Pharmacol. 2015 Feb;87(2):162-73.

[3]. Yao PL, et al. Peroxisome Proliferator-activated Receptor-D (PPARD) Coordinates Mouse Spermatogenesis by Modulating Extracellular Signal-regulated Kinase (ERK)-dependent Signaling. J Biol Chem. 2015 Sep 18;290(38):23416-31.

实验参考：

Cell Assay	The xCELLigence system is used for determining the changes in real time cell proliferation in response to activation of PPARD with an agonist (GW0742) or an inverse agonist (DG172) or the effect of inhibiting ERK signaling in TM4 cells[3].
	[1]. Lieber S, et al. (Z)-2-(2-bromophenyl)-3-{[4-(1-methyl-piperazine)amino]phenyl}acrylonitrile (DG172):

References	an orally bioavailable PPARβ/δ-selective ligand with inverse agonistic properties. J Med Chem. 2012 Mar 22;55(6):2858-68. [2]. Lieber S, et al. The inverse agonist DG172 triggers a PPARβ/δ-independent myeloid lineage shift and promotes GM-CSF/IL-4-induced dendritic cell differentiation. Mol Pharmacol. 2015 Feb;87(2):162-73. [3]. Yao PL, et al. Peroxisome Proliferator-activated Receptor-D (PPARD) Coordinates Mouse Spermatogenesis by Modulating Extracellular Signal-regulated Kinase (ERK)-dependent Signaling. J Biol Chem. 2015 Sep 18;290(38):23416-31.