DG172 dihydrochloride

Cat. No.: HY-19737A

CAS No.: 1361504-77-9

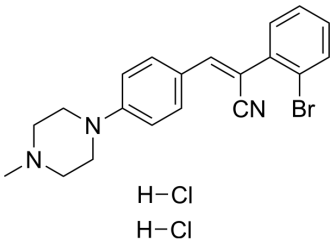
Molecular Formula: $C_{20}H_{22}BrCl_2N_3$

Molecular Weight: 455.22

Target: PPAR

Pathway: Cell Cycle/DNA Damage; Metabolic Enzyme/Protease; Vitamin D Related/Nuclear Receptor

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



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

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

BIOLOGICAL ACTIVITY

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

PROTOCOL

Cell Assay [3]	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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

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