

Product Data Sheet

Product Name: DG-172 (hydrochloride)

Cat. No.: GC10190

Chemical Properties

Cas. No. 1361504-77-9

Chemical Name 2-bromo- α Z-[[4-(4-methyl-1-piperazinyl)phenyl]methylene]-benzeneacetonitrile, dihydrochloride

SMILES CN1CCN(C2=CC=C(/C=C(C#N)/C3=C(Br)C=CC=C3)C=C2)CC1.Cl.Cl

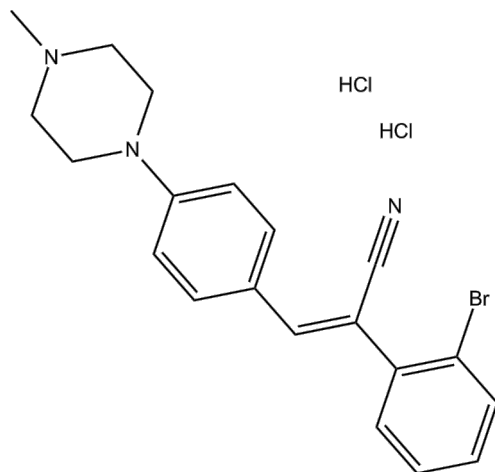
Formula $C_{20}H_{20}BrN_3 \cdot 2HCl$ M.Wt 455.2

Solubility $\leq 20\text{mg/ml}$ in ethanol; 25mg/ml in DMSO; 25mg/ml in dimethyl formamide Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



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

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Protocol

Cell experiment: 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].

References:

- [1]. Lieber S, et al. (Z)-2-(2-bromophenyl)-3-[[4-(1-methyl-piperazine)amino]phenyl]acrylonitrile (DG172): an orally bioavailable PPAR β/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 PPAR β/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.

Background

DG-172 (hydrochloride) is an orally available inverse agonist of PPAR β/δ with IC₅₀ value of 27 nM [1].

Peroxisome proliferator-activated receptors (PPARs) are a member of the class II subset of nuclear receptors. The three PPAR subtypes (PPAR α , PPAR β/δ , and PPAR γ) regulate their target genes through binding to specific DNA elements (PPREs) as obligatory heterodimers with the retinoid X receptor. PPRE-bound PPAR β/δ complexes have functions in both transcriptional repression and transcriptional activation [1].

DG-172 is a novel PPAR β/δ -selective ligand and an orally available PPAR β/δ inverse agonist with IC₅₀ value of 27 nM. In a cell-based assay, DG-172 efficiently antagonized ligand activation of PPAR β/δ . In C2C12 mouse myoblasts, DG-172 inhibited the expression of PPAR β/δ target gene Angptl4 with IC₅₀ value of 9.5 nM. In WPMY-1 human myofibroblasts, DG-172 induced an enhanced recruitment of HDAC3 to the ANGPTL4 gene [1]. DG172 strongly increased GM-CSF-induced

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differentiation of primary BMCs into two specific populations, mature and immature dendritic cells (DCs) [2]. DG172 strongly inhibited the serum- and transforming growth factor b (TGFb)-induced invasion of MDA-MB-231 human breast cancer cells into a three-dimensional matrigel matrix [3].

References:

- [1]. Lieber S, Scheer F, Meissner W, et al. (Z)-2-(2-bromophenyl)-3-{[4-(1-methyl-piperazine)amino]phenyl}acrylonitrile (DG172): an orally bioavailable PPAR β/δ -selective ligand with inverse agonistic properties. J Med Chem. 2012 Mar 22;55(6):2858-68.
- [2]. Lieber S, Scheer F, Finkernagel F, et al. The inverse agonist DG172 triggers a PPAR β/δ -independent myeloid lineage shift and promotes GM-CSF/IL-4-induced dendritic cell differentiation. Mol Pharmacol. 2015 Feb;87(2):162-73.
- [3]. Adhikary T, Brandt DT, Kaddatz K, et al. Inverse PPAR β/δ agonists suppress oncogenic signaling to the ANGPTL4 gene and inhibit cancer cell invasion. Oncogene. 2013 Oct 31;32(44):5241-52.

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