PTGR2-IN-1

Cat. No.: HY-122716

CAS No.: 349093-44-3

Molecular Formula: $C_{19}H_{22}N_2O_2$

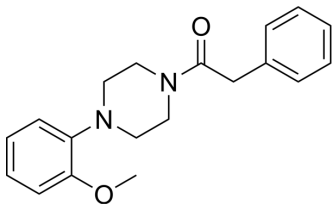
Molecular Weight: 310.39

Target: PPAR

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

Storage:

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (322.18 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.2218 mL	16.1088 mL	32.2175 mL
	5 mM	0.6444 mL	3.2218 mL	6.4435 mL
	10 mM	0.3222 mL	1.6109 mL	3.2218 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (8.05 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.05 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.05 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PTGR2-IN-1 is a potent PTGR2 inhibitor with an IC_{50} of ~0.7 μM. PTGR2-IN-1 increases 15-keto-PGE2-dependent PPARγ transcriptional activity in PTGR2-transfected HEK293T cells[1].

In Vitro

A screen of structural analogs of 20 identified PTGR2-IN-1, which shows substantially increased potency (>20-fold) in assays measuring either competition of 8-labeling or 15-keto-PGE2 reductase activity (IC50 = 0.6 μM) of recombinant PTGR2, as well as an inactive control compound 23. PTGR2-IN-1 (Compound 22) blocks FFF 8 labeling of endogenous PTGR2 in HEK293T cells with good potency (complete inhibition at 5 μM and ~80% inhibition at 500 nM) and excellent selectivity[1].

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

REFERENCES

[1]. Parker CG, et al. Ligand and Target Discovery by Fragment-Based Screening in Human Cells. Cell. 2017;168(3):527-541.e29.

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