Product Data Sheet

Product Name: GW-870086
Cat. No.: GC31936

Chemical Properties

Cas. No.	827319-43-7		
SMILES	O=C(C1C(C)(C)C1(C)C)O[C@]2([C@@]3([C@@]([C@@]4([H])[C@@](F)([C@@]5(C([C@@H](F)C4)=CC(C=C5)=O)C)[C@@H](O)C3)([H])C[C@H]2C)C)C(OCC#N)=O		
Formula	$C_{31}H_{39}F_2NO_6$	M.Wt	559.64
Solubility	DMSO : 100 mg/mL (178.69 mM; Need ultrasonic)	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.		

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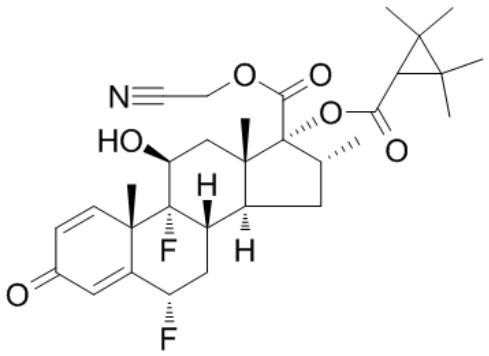
Structure



Protocol

Cell experiment: Cells are exposed to DEX, PRED, or GW-870086 at 0, 1, 3, 10, 30, 100 or 300 nM for 5 days. Compounds (GW-870086, etc.) are reconstituted in DMSO as 300-mM stock solutions that are diluted to give final drug concentrations in DMEM. Media (DMEM supplemented with 1% FBS) is changed after 48 hours and equal volumes of media from each group are collected after the final 72 hours of treatment, concentrated (×10), and added to an equal volume of ×2 sample buffer. Cells are then rinsed with PBS and scraped directly into 100-μL ×2 sample buffer/well. All samples are incubated at 100°C for 8 minutes and stored at –20°C until analysis[1].

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References:

[1]. Uings IJ, et al. Discovery of GW870086: a potent anti-inflammatory steroid with a unique pharmacological profile. Br J Pharmacol. 2013 Jul;169(6):1389-403.
[2]. Stamer WD, et al. Unique response profile of trabecular meshwork cells to the novel selective glucocorticoid receptor agonist, GW870086X. Invest Ophthalmol Vis Sci. 2013 Mar 1;54(3):2100-7.

Background

GW-870086 is a potent anti-inflammatory agent, acting as a glucocorticoid receptor agonist, with a pIC50 of 10.1 in A549 cells expressing NF-κB.

GW-870086 a glucocorticoid receptor agonist, inhibits NFkB reporter gene with a pIC50 of 10.1, but shows no effect on the MMTV reporter genes in A549 cells, and has little or no activity at the oestrogen receptor, progesterone receptor, progesterone receptor, mineralocorticoid receptor or androgen receptor. GW-870086 (1 pM-1 μM) dose-dependently inhibits the L-6 release induced by TNF-α in A549 epithelial carcinoma cells and by IL-1 in MG63 osteosarcoma cells (pIC50s, 9.6, 10.2) [1]. GW-870086 (GW870086X; 10-100 nM) significantly increases fibronectin secretion. However, GW-870086 has no effect on MMP2 secretion, and does not increase cellular myocilin[2].

[1]. Uings IJ, et al. Discovery of GW870086: a potent anti-inflammatory steroid with a unique pharmacological profile. Br J Pharmacol. 2013 Jul;169(6):1389-403. [2]. Stamer WD, et al. Unique response profile of trabecular meshwork cells to the novel selective glucocorticoid receptor agonist, GW870086X. Invest Ophthalmol Vis Sci. 2013 Mar 1;54(3):2100-7.