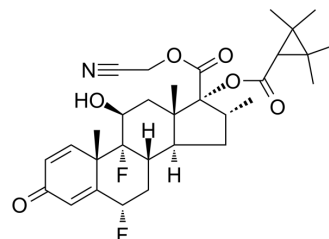


## GW-870086

<b>Cat. No.:</b>	HY-103662
<b>CAS No.:</b>	827319-43-7
<b>Molecular Formula:</b>	C <sub>31</sub> H <sub>39</sub> F <sub>2</sub> NO <sub>6</sub>
<b>Molecular Weight:</b>	559.64
<b>Target:</b>	Glucocorticoid Receptor
<b>Pathway:</b>	Immunology/Inflammation; Vitamin D Related/Nuclear Receptor
<b>Storage:</b>	Powder    -20°C    3 years 4°C        2 years In solvent   -80°C    6 months -20°C    1 month



### SOLVENT & SOLUBILITY

<b>In Vitro</b>	DMSO : 100 mg/mL (178.69 mM; Need ultrasonic)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	<b>Preparing Stock Solutions</b>	1 mM	1.7869 mL	8.9343 mL	17.8686 mL
		5 mM	0.3574 mL	1.7869 mL	3.5737 mL
10 mM		0.1787 mL	0.8934 mL	1.7869 mL	
Please refer to the solubility information to select the appropriate solvent.					
<b>In Vivo</b>	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (4.47 mM); Clear solution  2. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (4.47 mM); Clear solution				

### BIOLOGICAL ACTIVITY

<b>Description</b>	GW-870086 is a potent anti-inflammatory agent, acting as a glucocorticoid receptor agonist, with a pIC <sub>50</sub> of 10.1 in A549 cells expressing NF-κB.
<b>IC<sub>50</sub> &amp; Target</b>	pIC <sub>50</sub> : 10.1 (glucocorticoid receptor, A549 NF-κB cells) <sup>[1]</sup>
<b>In Vitro</b>	GW-870086 a glucocorticoid receptor agonist, inhibits NFκB reporter gene with a pIC <sub>50</sub> 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 (pIC <sub>50</sub> s, 9.6, 10.2) <sup>[1]</sup> . GW-870086 (GW870086X; 10-100 nM) significantly increases fibronectin secretion. However, GW-870086 has no effect on

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MMP2 secretion, and does not increase cellular myocilin<sup>[2]</sup>.

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

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## PROTOCOL

### Cell Assay <sup>[1]</sup>

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<sup>[1]</sup>.

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

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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.

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

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