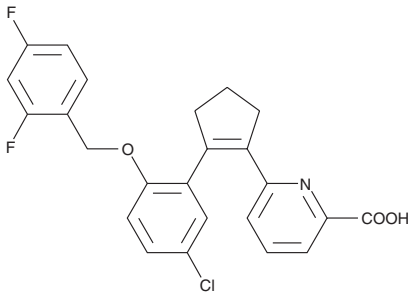
PRODUCT INFORMATION

GW 848687X

Item No. 10010410

CAS Registry No.:	612831-24-0
Formal Name:	6-[2-[5-chloro-2-[(2,4--digluorophenyl)methoxy] phenyl]-1-cyclopenten-1-yl]-2-pyridinecarboxylic acid
MF:	$C_{24}H_{18}ClF_2NO_3$
FW:	441.9
Purity:	≥98%
UV/Vis.:	λ_{max}: 282 nm
Supplied as:	A solution in methyl acetate
Storage:	-20°C
Stability:	≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

GW 848687X is supplied as a solution in methyl acetate. To change the solvent, simply evaporate the methyl acetate under a gentle stream of nitrogen and immediately add the solvent of choice. Solvents such as ethanol, DMSO, and dimethyl formamide purged with an inert gas can be used. The solubility of GW 848687X in these solvents is approximately 10, 3, and 5 mg/ml, respectively.

GW 848687X is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GW 848687X should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. GW 848687X has a solubility of approximately 0.25 mg/ml in a 1:3 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Four G protein-coupled receptors, $EP_{1\text{-}4}$, initiate cellular signaling in response to prostaglandin E_2. The receptor EP_1 acts *via* $G\alpha_q$ to evoke diverse effects, including renal vasoconstriction, bronchoconstriction, hyperalgesia, allodynia, gastric protection, hyperthermia, and sleep inhibition. GW 848687X is a potent and selective EP_1 receptor antagonist (IC_{50} = 2.5 nM).[1] It has >400-fold selectivity for EP_1 relative to the other EP receptor subtypes, the PGD_2 receptor (DP_1) and the prostacyclin receptor (IP). GW 848687X has 30-fold selectivity over the thromboxane A_2 receptor (TP) acting as a functional antagonist at this receptor at higher levels.[1] Its actions against the FP and CRTH2/DP_2 receptors have not been characterized. *In vivo*, GW 848687X has an excellent oral pharmacokinetic profile, with oral bioavailability at 54% in rats and 53% in dogs with a half-life of two hours in both species.[1] In a rat model of chronic inflammatory joint pain, GW 848687X shows complete anti-hyperalgesic activity with an ED_{50} value of 1.3 mg/kg.[1]

Reference

1. Giblin, G.M.P., Bit, R.A., Brown, S.H., *et al.* The discovery of 6-[2-(5-chloro-2{[(2,4-difluorophenyl)-methyl]oxy}phenyl)-1-cyclopenten-1-yl]-2-pyridinecarboxylic acid, GW848687X, a potent and selective prostaglandin EP_1 receptor antagonist for the treatment of inflammatory pain. *Bioorg. Medicinal Chem. Letters* **17**, 385-389 (2007).

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