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**Product Data Sheet**

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Product Name: GSK-269984A

Cat. No.: GC30307

**Chemical Properties**

Cas. No. 892664-04-9

SMILES C1C=CC(F)=C(COC2=CC=C(Cl)C=C2CC3=CC=CC(C(O)=O)=N3)C=C1.[Na]Formula  $C_{20}H_{14}Cl_2FNNaO_3$  M.Wt 429.22

Solubility DMSO : 7 mg/mL (16.31 mM; ultrasonic and warming and heat to 60°C) 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 **Protocol****Animal experiment:**

Rats are used in this study. GSK-269984A is progressed to the rat CFA model of inflammatory pain. GSK-269984A is dosed orally at doses of 1, 3 and 10 mg/kg, 23 h after intraplantar administration of the adjuvant. Analgesia is assessed 1 h post-dose of GSK-269984A[1].

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

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### References:

[1]. Hall A, et al. Discovery of sodium 6-[(5-chloro-2-[(4-chloro-2-fluorophenyl)methyl]oxy}phenyl)methyl]-2-pyridinecarboxylate (GSK269984A) an EP(1) receptor antagonist for the treatment of inflammatory pain. *Bioorg Med Chem Lett.* 2009 May 1;19(9):2599-603.

### Background

GSK-269984A is a Prostaglandin E2 Receptor 1 (EP1) antagonist with a pIC50 of 7.9.

Results from [<sup>3</sup>H]-PGE2 binding assay in CHO cells overexpressing the human EP1 receptor demonstrate that GSK-269984A is an antagonist of EP1 receptor with a pIC50 of 7.9. GSK-269984A is found to cause a concentration-dependent rightward shift of the PGE2 dose-response curve and Schild analysis shows that GSK-269984A is a competitive antagonist with pA2 8.1±0.3 and slope 1.0[1].

GSK-269984A demonstrates an ED50 of 2.6 mg/kg (po), with the 10 mg/kg dose showing equivalent reversal of hypersensitivity to the standard. GSK-269984A displays moderate blood clearance in the rat and dog and high clearance in the monkey which is reflected in the half-life for each species[1].

[1]. Hall A, et al. Discovery of sodium 6-[(5-chloro-2-[(4-chloro-2-fluorophenyl)methyl]oxy}phenyl)methyl]-2-pyridinecarboxylate (GSK269984A) an EP(1) receptor antagonist for the treatment of inflammatory pain. *Bioorg Med Chem Lett.* 2009 May 1;19(9):2599-603.

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