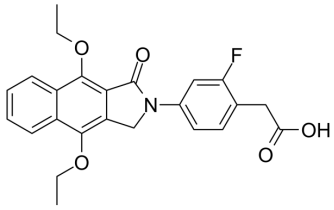
GSK726701A

Cat. No.:	HY-112152
CAS No.:	945721-87-9
Molecular Formula:	$C_{24}H_{22}FNO_5$
Molecular Weight:	423.43
Target:	Prostaglandin Receptor
Pathway:	GPCR/G Protein
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (59.04 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.3617 mL	11.8083 mL	23.6167 mL
5 mM	0.4723 mL	2.3617 mL	4.7233 mL
10 mM	0.2362 mL	1.1808 mL	2.3617 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.90 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GSK726701A is a novel prostaglandin E2 receptor 4 (EP4) partial agonist with a pEC_{50} of 7.4.

IC_{50} & Target

pEC50: 7.4[1]

In Vitro

GSK726701A has high selectivity (>100-fold) against a set of other prostaglandin receptors (EP1-3 , DP1 , FP, IP, TP) and no significant activity against a wider panel of targets. It demonstrates EP4 agonist activity with similar potency and intrinsic activity (pEC_{50}=8.2) in a human whole blood (HWB) assay on the inhibition of LPS-mediated TNFα induction[1].

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

In Vivo

GSK726701A has good pharmacokinetic file in rat, dog and monkey. GSK726701A has robust activity in a range of animal models of inflammatory and neuropathic pain GSK726701A demonstrates a time-dependant, full reversal of CCI-induced mechanical allodynia at 3mg/kg, equivalent to the clinical gold standard gabapentin (30mg/kg). GSK726701A has an ED_{50} of

	0.2mg/kg in the FCA acute rat model of inflammatory pain[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Rats[1] The effect of GSK726701A (0.03-1mg/kg p.o. b.i.d. x5 days) is investigated on the FCA acute rat model of inflammatory pain [1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Healy MP, et al. Discovery of {4-[4,9-bis(ethyloxy)-1-oxo-1,3-dihydro-2H-benzo[f]isoindol-2-yl]-2-fluorophenyl}acetic acid (GSK726701A), a novel EP4 receptor partial agonist for the treatment of pain. Bioorg Med Chem Lett. 2018 Jun 1;28(10):1892-1896.

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