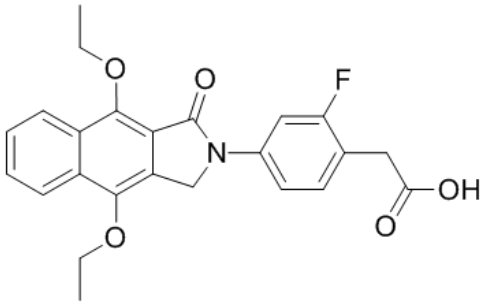
Product Data Sheet

Product Name: GSK726701A
Cat. No.: GC30299

Chemical Properties

Cas. No.	945721-87-9		
SMILES	O=C1N(C2=CC=C(CC(O)=O)C(F)=C2)CC3=C(OCC)C4=CC=CC=C4C(OCC)=C31		
Formula	$C_{24}H_{22}FNO_5$	M.Wt	423.43
Solubility	DMSO : 25 mg/mL (59.04 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.		

Structure



Protocol

Animal experiment: 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].

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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.

Background

GSK726701A is a novel prostaglandin E2 receptor 4 (EP4) partial agonist with a pEC50 of 7.4.

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 (pEC50=8.2) in a human whole blood (HWB) assay on the inhibition of LPS-mediated TNFα induction[1].

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 ED50 of 0.2mg/kg in the FCA acute rat model of inflammatory pain[1].

[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.

Caution: Product has not been fully validated for medical applications. For research use only.
Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA