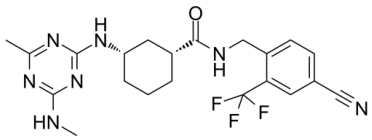
Data Sheet

Product Name:	GSK2256294A
Cat. No.:	CS-5395
CAS No.:	1142090-23-0
Molecular Formula:	C21H24F3N7O
Molecular Weight:	447.46
Target:	Others
Pathway:	Others
Solubility:	DMSO : ≥ 47 mg/mL (105.04 mM)



BIOLOGICAL ACTIVITY:

GSK2256294A is a potent, reversible, tight binding inhibitor of isolated recombinant human sEH (soluble epoxide hydrolase) (IC50 = 27 pM; t1/2 = 121 min) and displays potent inhibition against the rat (IC50 = 61 pM) and murine (IC50 = 189 pM) orthologs of sEH. IC50 value: 27 pM Target: sEH in vitro: GSK2256294A also displays potent cellular inhibition (IC50 = 0.66 nM) of sEH in an assay developed using a cell line transfected with the human sEH enzyme. [1] in vivo: A novel, potent, selective inhibitor of recombinant human, rat and mouse sEH, GSK2256294A, exhibits potent cell-based activity, a concentration-dependent inhibition of the conversion of 14,15-EET to 14,15-DHET in human, rat and mouse whole blood, and a dose-dependent increase in the LTX/LTX diol ratio in rat plasma following oral administration. Mice receiving 10 days of cigarette smoke exposure concomitant with oral administration of GSK2256294A exhibits significant, dose-dependent reductions in pulmonary leukocytes and keratinocyte chemoattractant levels. Mice receiving oral administration of GSK2256294A following 10 days of cigarette smoke exposure exhibited significant reductions in pulmonary leukocytes compared to vehicle-treated mice.

PROTOCOL (Extracted from published papers and Only for reference)

Animal administration [1] Fasted male Sprague-Dawley rats were administered via oral gavage vehicle (1% polyvinlypyrrolidine/0.4% sodium lauryl sulphate) only or GSK2256294A at doses of 0.02, 0.2, 2.0, or 20 mg/kg. Prior to (pre-dose) and 6 h following compound administration, blood was collected via the femoral vein into a tube containing sodium heparin. Plasma was isolated by centrifugation and analyzed for LTX and LTX diol levels by LC/MS/MS with minor modifications. Briefly, samples were precipitated with acetonitrile containing internal standard. Supernatant was applied to a preconditioned (50/50 methanol/water) solid phase extraction plate, washed with 5% methanol, and eluted with acetonitrile/isopropyl alcohol (40/60).

References:

[1]. Podolin PL, et al. In vitro and in vivo characterization of a novel soluble epoxide hydrolase inhibitor. Prostaglandins Other Lipid Mediat. 2013 Jul-Aug;104-105:25-31.

CAIndexNames:

Cyclohexanecarboxamide, N-[[4-cyano-2-(trifluoromethyl)phenyl]methyl]-3-[[4-methyl-6-(methylamino)-1,3,5-triazin-2-yl]amino]-, (1R,3S)-

SMILES:

O=C([C@H]1C[C@@H](NC2=NC(NC)=NC(C)=N2)CCC1)NCC3=CC=C(C#N)C=C3C(F)(F)F

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

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