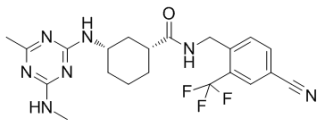
GSK2256294A

Cat. No.:	HY-19644
CAS No.:	1142090-23-0
Molecular Formula:	$C_{21}H_{24}F_3N_7O$
Molecular Weight:	447.46
Target:	Epoxide Hydrolase
Pathway:	Metabolic Enzyme/Protease
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 : ≥ 47 mg/mL (105.04 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2348 mL	11.1742 mL	22.3484 mL
	5 mM	0.4470 mL	2.2348 mL	4.4697 mL
	10 mM	0.2235 mL	1.1174 mL	2.2348 mL

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

In Vivo

1. Add each solvent one by one: **10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline**
   Solubility: ≥ 2.5 mg/mL (5.59 mM); Clear solution
2. Add each solvent one by one: **10% DMSO >> 90% (20% SBE-β-CD in saline)**
   Solubility: ≥ 2.5 mg/mL (5.59 mM); Clear solution
3. Add each solvent one by one: **10% DMSO >> 90% corn oil**
   Solubility: ≥ 2.5 mg/mL (5.59 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

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 pMTarget: sEHin 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.

CUSTOMER VALIDATION

- **Diabetes**. 2018 Jun;67(6):1162-1172.

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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.

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