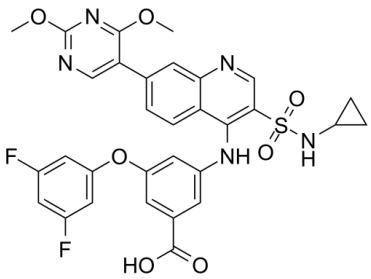
Data Sheet

Product Name:	GSK2837808A
Cat. No.:	CS-6944
CAS No.:	1445879-21-9
Molecular Formula:	C31H25F2N5O7S
Molecular Weight:	649.62
Target:	Lactate Dehydrogenase
Pathway:	Metabolic Enzyme/Protease
Solubility:	DMSO : ≥ 100 mg/mL (153.94 mM); H2O : < 0.1 mg/mL (insoluble)



BIOLOGICAL ACTIVITY:

GSK2837808A is a potent and selective **lactate dehydrogenase A (LDHA)** inhibitor with **IC_{50}**s of 1.9 and 14 nM for LDHA and LDHB, respectively. IC50 & Target: IC50: 1.9 nM (LDHA), 1.9 nM (LDHB)[1] **In Vitro:** GSK2837808A rapidly and profoundly inhibits lactate production rates in multiple cancer cell lines including hepatocellular and breast carcinomas. The potency of GSK2837808A across 30 cancer cell lines with different LDHA and LDHB expression levels ranges from 400 nM to no effect (EC_{50} reported as 30 μM). GSK2837808A potency does not correlate with LDHA, LDHB, or the total LDH expression levels. GSK2837808A inhibits lactate production in hypoxia but at higher concentrations than in normoxia (EC_{50}=10 μM). It also reduces ECAR with EC_{50}=10 μM. LDH inhibition by GSK2837808A alters multiple metabolic pathways in Snu398 cells[1]. **In Vivo:** Clearance following IV infusion of GSK2837808A at 0.25 mg/kg is shown to be 69 mL/minute/kg in rats, which exceeds the animal liver blood flow. Oral dosing of GSK2837808A at 50 mg/kg in rats or 100 mg/kg in mice results in blood compound levels at or below the detection limit of 2.5 ng/mL [1].

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: GSK2837808A is dissolved in DMSO. [1]Sixty thousand Snu398 cells per well are plated in 6-well tissue culture plates in RPMI-1640 medium supplemented with 2.5% charcoal-stripped FBS. Cells are allowed to attach overnight and then DMSO control or the indicated doses of LDHA inhibitor dissolved in DMSO are added directly to the wells. After 4 to 8 days of incubation in the indicated oxygen conditions, adherent cells are trypsinized, counted, and had their viability assessed by the trypan-blue exclusion method using the Vi-Cell XR Cell Viability Analyzer[1]. **Animal Administration:** [1]Mouse: GSK2837808A is administered to male CD mice or male Sprague–Dawley rats orally or by intravenous (IV) infusion over 120 minutes into a femoral vein. Arterial blood samples are collected over time and GSK2837808A concentration is determined by liquid chromatography (LC)/MS/MS analysis[1].

References:

[1]. Billiard J, et al. Quinoline 3-sulfonamides inhibit lactate dehydrogenase A and reverse aerobic glycolysis in cancer cells. Cancer Metab. 2013 Sep 6;1(1):19.

CAIndexNames:

Benzoic acid, 3-[[3-[(cyclopropylamino)sulfonyl]-7-(2,4-dimethoxy-5-pyrimidinyl)-4-quinolinyl]amino]-5-(3,5-difluorophenoxy)-

SMILES:

O=C(O)C1=CC(OC2=CC(F)=CC(F)=C2)=CC(NC3=C(S(=O)(NC4CC4)=O)C=NC5=CC(C6=CN=C(OC)N=C6OC)=CC=C35)=C1

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

Tel: 732-484-9848 Fax: 888-484-5008 E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA