Certificate of Analysis

Product Name: **GSK 2837808A** **Catalog No.: 5189** **Batch No.: 4**

CAS Number: 1445879-21-9

IUPAC Name: 3-[[3-[(Cyclopropylamino)sulfonyl]-7-(2,4-dimethoxy-5-pyrimidinyl)-4-quinolinyl]amino]-5-(3,5-difluorophenoxy) benzoic acid

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{31}H_{25}F_2N_5O_7S.¼H_2O$

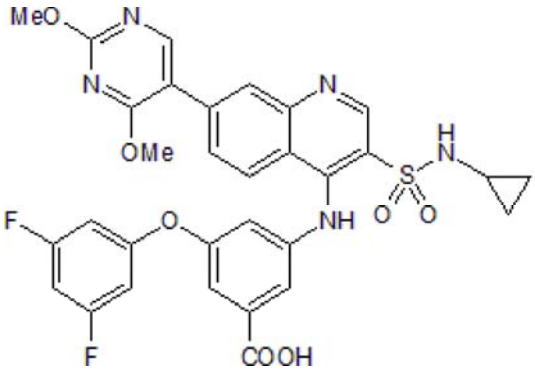
Batch Molecular Weight: 654.12

Physical Appearance: Yellow solid

Solubility: DMSO to 100 mM

Storage: Store at -20°C

Batch Molecular Structure:



2. ANALYTICAL DATA

TLC: R_f = 0.25 (3/2 Acetone/Chloroform)

HPLC: Shows 99.3% purity

^{1}H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	56.92	3.93	10.71
Found	56.75	3.89	10.63

bio-techne.com
info@bio-techne.com
techsupport@bio-techne.com

North America
Tel: (800) 343 7475

China
info.cn@bio-techne.com
Tel: +86 (21) 52380373

Europe Middle East Africa
Tel: +44 (0)1235 529449

Rest of World
www.tocris.com/distributors
Tel:+1 612 379 2956

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Description:

Potent and selective lactate dehydrogenase A and B (LDHA and LDHB) inhibitor (IC_{50} values are 2.6 and 43 nM for LDHA and LDHB respectively). Inhibits lactate production in selected cancer cell lines. Reduces glucose uptake and enhances mitochondrial oxygen consumption in Snu398 hepatocellular carcinoma cells. Inhibits proliferation and induces apoptosis in Snu398 cells. Inhibits transcription of histone 2B (H2B) gene in HCT116 and NCM460 cells. Cell permeable.

Physical and Chemical Properties:

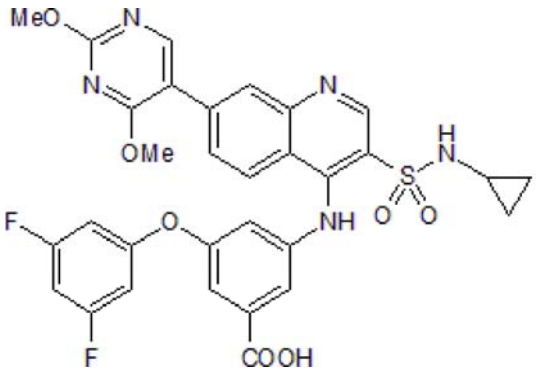
Batch Molecular Formula: $C_{31}H_{25}F_2N_5O_7S.¼H_2O$

Batch Molecular Weight: 654.12

Physical Appearance: Yellow solid

Minimum Purity: ≥98%

Batch Molecular Structure:



Storage: Store at -20°C

Solubility & Usage Info:

DMSO to 100 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

Licensing Information:

Sold for research purposes under agreement from GlaxoSmithKline.

References:

Brighenti *et al* (2017) The inhibition of lactate dehydrogenase A hinders the transcription of histone 2B gene independently from the block of aerobic glycolysis. Biochem.Biophys.Res.Commun. ***485*** 742. PMID: 28257841.

Xie *et al* (2014) Targeting lactate dehydrogenase-A inhibits tumorigenesis and tumor progression in mouse models of lung cancer and impacts tumor-initiating cells. Cell.Metab. ***19*** 795. PMID: 24726384.

Billiard *et al* (2013) Quinoline 3-sulfonamides inhibit lactate dehydrogenase A and reverse aerobic glycolysis in cancer cells. Cancer Metab. ***1*** 19. PMID: 24280423.

bio-techne.com
info@bio-techne.com
techsupport@bio-techne.com

North America
Tel: (800) 343 7475

China
info.cn@bio-techne.com
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