bio-techne
TOCRIS

Print Date: Jul 27th 2023

Certificate of Analysis

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Product Name: **GSK 1016790A** **Catalog No.: 6433** **Batch No.: 2**

CAS Number: 942206-85-1

IUPAC Name: *N*-[(1*S*)-1-[[4-[(2*S*)-2-[[(2,4-Dichlorophenyl)sulfonyl]amino]-3-hydroxy-1-oxopropyl]-1-piperazinyl]carbonyl]-3-methylbutyl]benzo[*b*]thiophene-2-carboxamide

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{28}H_{32}Cl_2N_4O_6S_2$.

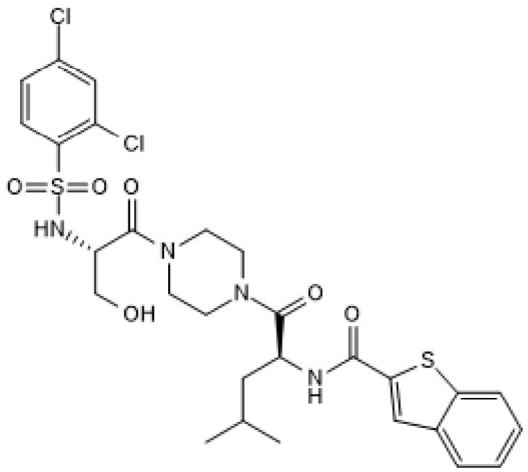
Batch Molecular Weight: 655.61

Physical Appearance: White solid

Solubility: DMSO to 100 mM
ethanol to 100 mM

Storage: Store at -20°C

Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 98.1% purity

^{1}H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	51.3	4.92	8.55
Found	50.53	4.97	8.39

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Description:

GSK 1016790A is a potent TRPV4 agonist; elicits Ca^{2+} influx in human and mouse TRPV4-expressing HEK cells (EC_{50} values of 2.1 and 18 nM, respectively). Enhances insulin mRNA expression. Increases ERK1/2 phosphorylation and NO production. Exhibits no activity at TRPM8 and TRPA1 (20 µM) channels. Induces bladder overactivity in $TRPV4^{+/+}$ mice.

Physical and Chemical Properties:

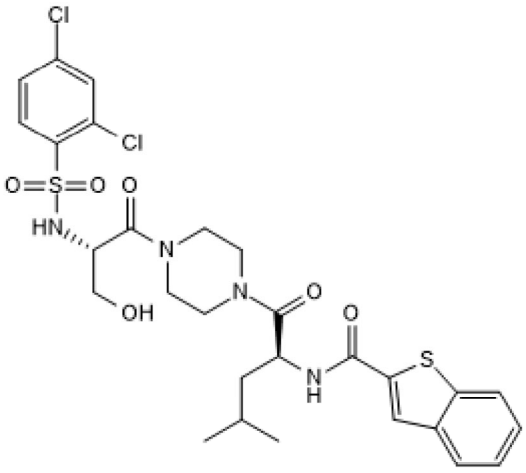
Batch Molecular Formula: $C_{28}H_{32}Cl_2N_4O_6S_2$.

Batch Molecular Weight: 655.61

Physical Appearance: White solid

Minimum Purity: ≥97%

Batch Molecular Structure:



Storage: Store at -20°C

Solubility & Usage Info:

DMSO to 100 mM
ethanol 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. *Unless contradicted by product-specific protocols or instructions, our standard recommendations apply:

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.

References:

Billert *et al* (2017) TRPV4 regulates insulin mRNA expression and INS-1E cell death via ERK1/2 and NO-dependent mechanisms. Cell Signal. ***35*** 242. PMID: 28359774.

Thorneloe *et al* (2008) N-((1S)-1-{[4-((2S)-2-{[(2,4-dichlorophenyl)sulfonyl]amino}-3-hydroxypropanoyl)-1-piperazinyl]carbonyl}-3-methylbutyl)-1-benzothiophene-2-carboxamide (GSK1016790A), a novel and potent transient receptor potential vanilloid 4 channel agonist induces urinary bladder contraction and hyperactivity: Part I. J.Pharmacol.Exp.Ther. ***326*** 432. PMID: 18499743 .