

Product Name: GSK 1016790A

Catalog No.: 6433

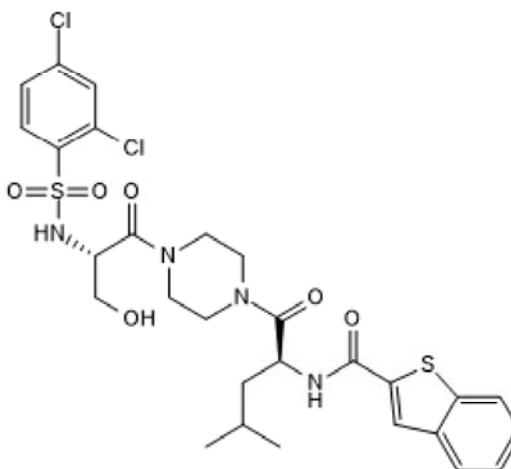
Batch No.: 1

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: C₂₈H₃₂Cl₂N₄O₆S₂
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 99% purity
¹H NMR: Consistent with structure
Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	51.3	4.92	8.55
Found	51.13	4.96	8.44

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use

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Description:

Potent TRPV4 agonist; elicits Ca²⁺ influx in human and mouse TRPV4-expressing HEK cells (EC₅₀ 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:

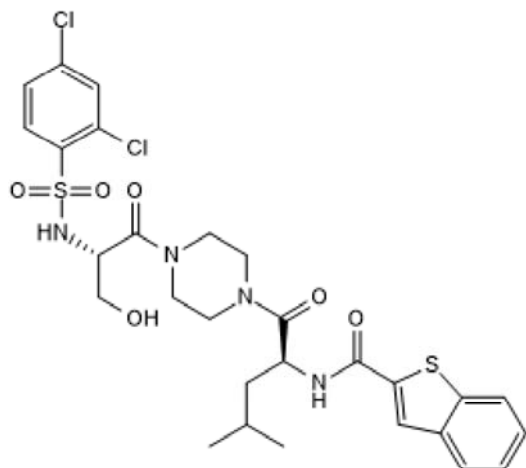
Batch Molecular Formula: C₂₈H₃₂Cl₂N₄O₆S₂

Batch Molecular Weight: 655.61

Physical Appearance: White solid

Minimum Purity: >98%

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. 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.

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 .

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