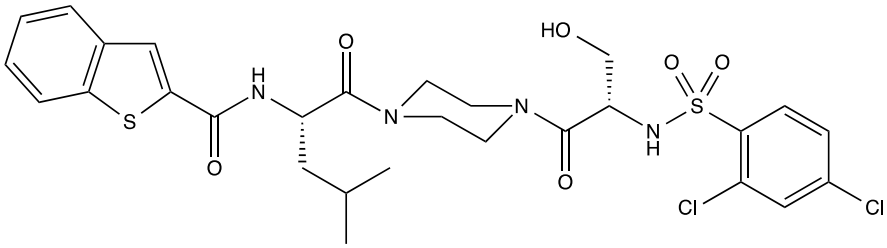
Catalog # 10-1198

GSK-1016790A

942206-85-1

(N-((1S)-1-{[4-((2S)-2-{[(2,4-Dichlorophenyl)sulfonyl]amino}-3-hydroxypropanoyl)-1-piperazinyl]carbonyl}-3-methylbutyl)-1-benzothiophene-2-carboxamide

Lot # FBA4111



A novel and potent TRPV4 channel agonist. GSK1016790A induced Ca^{2+} influx in mouse and human TRPV4 expressing HEK cells (EC_{50} values of 18 and 2.1 nM, respectively), and evoked a dose-dependent activation of TRPV4 whole-cell currents at concentrations above 1 nM. It is 300-fold more potent than 4α-PDD and is a valuable tool for investigating the role of TRPV4 in physiological processes.

1) 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

2) Jin *et al.* (2011), *Determinants of TRPV4 Activity following Selective Activation by Small Molecule Agonist GSK1016790A;* PLoS ONE **6** e16713

PHYSICAL DATA

Molecular Weight:	655.62
Molecular Formula:	$C_{28}H_{32}Cl_2N_4O_6S_2$
Purity:	98% by TLC
	NMR: (Conforms)
Solubility:	DMSO (up to 10 mg/ml)
Physical Description:	solid
Storage and Stability:	Store as supplied desiccated at -20°C for up to 2 years from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 2 months.

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