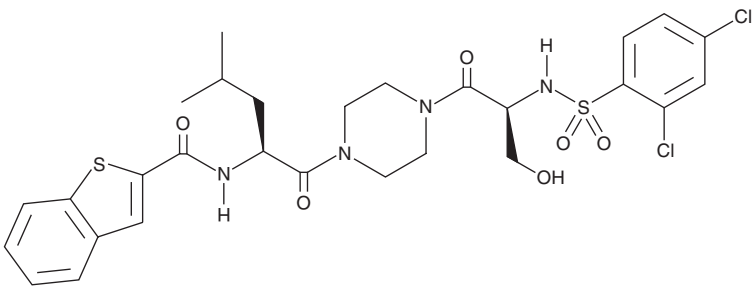
PRODUCT INFORMATION

GSK1016790A

Item No. 17289

CAS Registry No.:	942206-85-1
Formal Name:	N-[(1S)-1-[[4-[(2S)-2-[[(2,4-dichlorophenyl)sulfonyl]amino]-3-hydroxy-1-oxopropyl]-1-piperazinyl]carbonyl]-3-methylbutyl]-benzo[b]thiophene-2-carboxamide
MF:	$C_{28}H_{32}Cl_2N_4O_6S_2$
FW:	655.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 223, 231, 286 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

GSK1016790A is supplied as a crystalline solid. A stock solution may be made by dissolving the GSK1016790A in the solvent of choice, which should be purged with an inert gas. GSK1016790A is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of GSK1016790A in ethanol is approximately 10 mg/ml and approximately 15 mg/ml in DMSO and DMF.

GSK1016790A is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GSK1016790A should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. GSK1016790A has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Transient receptor potential vanilloid 4 (TRPV4) is a nonselective cation channel thought to be involved in osmoregulation, hyperalgesia, and control of epithelial cell volume. GSK1016790A is a TRPV4 agonist that has been reported to elicit calcium influx in HEK cells expressing mouse or human TRPV4 (EC_{50}s = 18 and 2.1 nM, respectively).[1] It has been used to demonstrate a role for TRPV4 in regulating urinary bladder activity and endothelial control of vascular tone.[1-3]

References

1. Thorneloe, K.S., Sulpizio, A.C., Lin, Z., *et al.* 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(2)**, 432-442 (2008).
2. Willette, R.N., Bao, W., Nerurkar, S., *et al.* Systemic activation of the transient receptor potential vanilloid subtype 4 channel causes endothelial failure and circulatory collapse: Part 2. *J. Pharmacol. Exp. Ther.* **326(2)**, 443-452 (2008).
3. Adapala, R.K., Talasila, P.K., Bratz, I.N., *et al.* PKCα mediates acetylcholine-induced activation of TRPV4-dependent calcium influx in endothelial cells. *Am. J. Physiol. Heart Circ. Physiol.* **301(3)**, H757-H765 (2011).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM