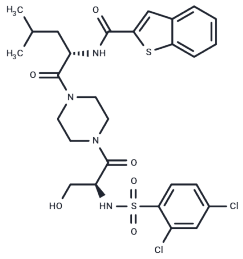
Data Sheet (Cat.No.T6848)

TargetMol

GSK1016790A

Chemical Properties



CAS No. : 942206-85-1

Formula: C28H32Cl2N4O6S2

Molecular Weight: 655.61

Storage: Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	GSK1016790A (GSK101) (GSK101) is a novel, potent activator of TRPV4 (transient receptor potential vanilloid 4) with EC50 of 34 nM in choroid plexus epithelial cells.
Targets(IC50)	Calcium Channel,TRP/TRPV Channel
In vitro	GSK1016790A elicits Ca2+ influx in mouse and human TRPV4 expressing HEK cells (EC50 values of 18 and 2.1 nM, respectively), and evokes a dose-dependent activation of TRPV4 whole-cell currents at concentrations above 1 nM[1]. It stimulates TRPV4 in multiple cell types including endothelial cells, urinary smooth muscle cells, urothelial cells and HEK-293 cells over-expressing TRPV4. GSK1016790A specifically activates TRPV4 channels, leading to a rapid partial desensitization and downregulation of the channel expression on the plasma membrane[2].
In vivo	GSK1016790A can produce marked decreases in systemic vascular resistance and pulmonary vascular resistance under high pulmonary vascular tone conditions[3]. The activation of TRPV4 by GSK1016780A leads to vasodilation, vascular leakage, and tissue hemorrhage[4].
Cell Research	CPECs are treated for 20 minutes with vehicle(DMSO), 10 nM GSK, or 10 nM GSK following the pretreatment with 1 mM HC. Then the cells are fixed and stained with Coomassie Brilliant Blue.(Only for Reference)

Solubility Information

Solubility	Ethanol: 58 mg/mL (88.47 mM),Sonication is recommended. DMSO: 17.68 mg/mL (26.97 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (5.03 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.5253 mL	7.6265 mL	15.253 mL
5 mM	0.3051 mL	1.5253 mL	3.0506 mL
10 mM	0.1525 mL	0.7626 mL	1.5253 mL
50 mM	0.0305 mL	0.1525 mL	0.3051 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Thorneloe KS, et al. J Pharmacol Exp Ther. 2008, 326(2):432-42.
Zheng Q, Zou Y, Teng P, et al. Mechanosensitive Channel PIEZO1 Senses Shear Force to Induce KLF2/4 Expression via CaMKII/MEKK3/ERK5 Axis in Endothelial Cells. Cells. 2022, 11(14): 2191
Kong S, Chen T, Jia X, et al.Cell-specific NFIA upregulation promotes epileptogenesis by TRPV4-mediated astrocyte reactivity.Journal of Neuroinflammation.2023, 20(1): 1-22.
Jin M, et al. PLoS One. 2011, 6(2):e16713.
Pankey EA, et al. Am J Physiol Heart Circ Physiol. 2014, 306(1):H33-40.
Narita K, et al. FASEB J. 2015, 29(6):2247-59.