S3969

Cat. No.: HY-112472 CAS No.: 1027997-01-8 Molecular Formula: $C_{17}H_{24}N_{2}O_{2}S$ Molecular Weight: 320.45

Target: Sodium Channel

Pathway: Membrane Transporter/Ion Channel

Powder -20°C Storage: 3 years

4°C 2 years

-80°C In solvent 6 months

-20°C 1 month

Product Data Sheet

SOLVENT & SOLUBILITY

In Vitro

DMSO: 100 mg/mL (312.06 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	3.1206 mL	15.6031 mL	31.2061 mL
	5 mM	0.6241 mL	3.1206 mL	6.2412 mL
	10 mM	0.3121 mL	1.5603 mL	3.1206 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- 1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (7.80 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (7.80 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (7.80 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

S3969 is a potent and reversible activator of the human epithelial sodium channel (hENaC). The apparent EC₅₀ for S3969 activation of hENaC is 1.2 μ m^[1].

In Vitro

S3969 fully and reversibly activates hENaC in heterologous cells. S3969 activates $\delta\beta\gamma$, $\alpha\beta\gamma$, $\alpha2\beta\gamma$, $\delta2\beta\gamma$, and $\alpha\betaG37S\gamma$ hENaC with EC₅₀s of 1.2 \pm 0.2 μ M, 1.2 \pm 0.1 μ M, 1.2 \pm 0.5, 0.4 \pm 0.1, and 1.2 \pm 0.4 μ M^[1].

S3969 does not activate αβγ mouse ENaC (mENaC) at concentrations yielding maximal activation of αβγ hENaC or δβγ hENaC. Weak $\alpha\beta\gamma$ mENaC activation was observed at high concentrations of S3969 (100-300 μ m)^[1].

S3969 exhibits high efficacy (600-700% hENaC activation at 30 μ m) as well as potency on wild-type hENaC (apparent EC₅₀ -1 μ m for $\alpha\beta\gamma$ hENaC and $\delta\beta\gamma$ hENaC but not $\alpha\beta\gamma$ mENaC) ^[1].

ENaC-activator S3969 (10 μ M) stimulates α F61L mutant ENaC more than wild-type ENaC^[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Min Lu, et al. Small molecule activator of the human epithelial sodium channel. J Biol Chem. 2008 May 2;283(18):11981-94.

[2]. Regina Huber, et al. Functional characterization of a partial loss-of-function mutation of the epithelial sodium channel (ENaC) associated with atypical cystic fibrosis. Cell Physiol Biochem. 2010;25(1):145-58.

Caution: Product has not been fully validated for medical applications. For research use only.

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