Proteins

Screening Libraries

Proparacaine Hydrochloride

Cat. No.: HY-66012 CAS No.: 5875-06-9 Molecular Formula: $C_{16}H_{27}CIN_{2}O_{3}$ Molecular Weight: 330.85 Target: **Apoptosis**

Pathway: **Apoptosis**

Storage: 4°C, sealed storage, away from moisture

* In solvent: -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)

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Product Data Sheet

SOLVENT & SOLUBILITY

In Vitro

DMSO: 50 mg/mL (151.13 mM; Need ultrasonic) H₂O: 33.33 mg/mL (100.74 mM; Need ultrasonic)

	Solvent Mass Concentration	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	3.0225 mL	15.1126 mL	30.2252 mL
otock ootations	5 mM	0.6045 mL	3.0225 mL	6.0450 mL
	10 mM	0.3023 mL	1.5113 mL	3.0225 mL

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

In Vivo

- 1. Add each solvent one by one: PBS Solubility: 60 mg/mL (181.35 mM); Clear solution; Need ultrasonic
- 2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (7.56 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (7.56 mM); Clear solution
- 4. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (7.56 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	Proparacaine Hydrochloride (Proxymetacaine Hydrochloride) is a derivative of lidocaine (HY-B0185), with immunomodulatory effect and glucocorticomimetic activity $^{[1][2]}$.
In Vitro	Proparacaine Hydrochloride (>588.93 μ M; 4-28 hours) has a dose- and time-dependent cytotoxicity to HCS cells at concentrations in vitro ^[1] . Proparacaine Hydrochloride (294.47-18.85 mM; 4-12 hours) can induce apoptosis of HCS cells ^[1] .

Proparacaine Hydrochloride (4.71 mM; 4-12 hours) induces G1 phase arrest, plasma membrane permeability elevation, phosphatidylserine externalization, DNA fragmentation, chromatin condensation, and apoptotic body formation of HCS cells^[1].

Proparacaine Hydrochloride induces caspase-2, -3 and -9 activation, and mitochondrial transmembrane potential disruption $^{[1]}$.

Proparacaine Hydrochloride (4.71 mM; 4-12 hours) downregulates and upregulates the expression of Bcl-xL and Bax, respectively, and remarkably upregulates cytoplasmic cytochrome C and apoptosis inducing factor^[1].

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

Cell Viability Assay^[1]

Cell Line:	HCS cells
Concentration:	294.47 μM, 588.93 μM, 1.18 mM, 2.35 mM, 4.71 mM, 9.42 mM, 18.85 mM
Incubation Time:	4 hours, 8 hours, and 12 hours, 16 hours, 20 hours, 24 hours, 28 hours
Result:	Decreased the viability of HCS cells with concentration and time at concentrations above 588.93 $\mu\text{M}.$
Apoptosis Analysis ^[1]	

Cell Line:	HCS cells
Concentration:	294.47 $\mu\text{M}, 588.93~\mu\text{M}, 1.18~\text{mM}, 2.35~\text{mM}, 4.71~\text{mM}, 9.42~\text{mM}, 18.85~\text{mM}$
Incubation Time:	4 hours, 8 hours, and 12 hours
Result:	Induced apoptosis of HCS cells.

Cell Cycle Analysis^[1]

Cell Line:	HCS cells
Concentration:	4.71 mM
Incubation Time:	4 hours, 8 hours, and 12 hours
Result:	Arrested HCS cells at the G1 phase of the cell cycle.

Western Blot Analysis^[1]

Cell Line:	HCS cells
Concentration:	4.71 mM
Incubation Time:	4 hours, 8 hours, and 12 hours
Result:	Down-regulated the expression level of anti-apoptotic protein Bcl-xL and up-regulated the pro-apoptotic protein Bax, whereas significantly up-regulated the cytoplasmic amounts of mitochondria-released cytochrome C and apoptosis-inducing factor (AIF).

In Vivo

Proparacaine Hydrochloride (75 μ g/30 μ L; intranasal administration; for 7 days) significantly decreases nasal symptoms, number of eosinophils, goblet cells, and mast cells in the lamina propria of the nasal mucosa^[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model: 7-week-old female BALB/c mice, allergic rhinitis model ^[2]	
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Dosage:	75 μg/30 μL
Administration:	Intranasal administration, for 7 days
Result:	Significantly reduced nasal rubbing and sneezing.

REFERENCES

[1]. Wen Yi Fan, et al. Proparacaine induces cytotoxicity and mitochondria-dependent apoptosis in corneal stromal cells both in vitro and in vivo. Toxicol Res (Camb). 2016 Sep 1; 5(5): 1434-1444.

[2]. Hwan Soo Kim, et al. Effect of Proparacaine in a Mouse Model of Allergic Rhinitis. Clin Exp Otorhinolaryngol. 2017 Dec; 10(4): 325–331.

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

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