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Benzocaine

Cat. No.: HY-Y0258

CAS No.: 94-09-7

Molecular Formula: $C_9H_{11}NO_2$

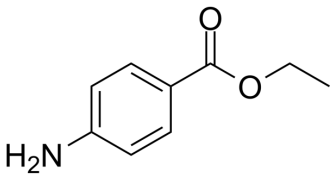
Molecular Weight: 165.19

Target: Sodium Channel; Bacterial

Pathway: Membrane Transporter/Ion Channel; Anti-infection

Storage: 4°C, protect from light

* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (605.36 mM)

H_2O : 2 mg/mL (12.11 mM; ultrasonic and warming and heat to 60°C)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	6.0536 mL	30.2682 mL	60.5364 mL
5 mM	1.2107 mL	6.0536 mL	12.1073 mL
10 mM	0.6054 mL	3.0268 mL	6.0536 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 (15.13 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (15.13 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (15.13 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Benzocaine shares a common receptor with all othe rLAs in the voltage-gated Na^+ channel, with an IC_{50} of 0.8 mM tested with a potential of +30 mV.

IC_{50} & Target

IC50: 0.8 mM (Na^+ channel)[1].

In Vitro

Benzocaine blocks μ1 wild-type Na^+ currents in a dose-dependent Manner. The Benzocaine concentration that inhibits 50% of Na^+ currents (IC_{50}) is estimated to be about 0.8 mM when a test potential of +30 mV is applied. The slope of the h∞ curve

	is also significantly reduced by benzocaine (from 6.6 to 9.9 mV). Mutation of μ1-N1584A also significantly increases the potency of Benzocaine. At 1 mM, Benzocaine blocks about 55% of wild-type Na^+ current but about 95% of μ1-N1584A mutant current. Benzocaine also appears to bind more strongly to its LA receptor in the N1584A mutant than in the wild type [1]. The inhibition of Ca^{2+} uptake occurres at lower Benzocaine concentration (IC_{50}=40.3±1.2mM) than that affecting the enzymatic activity[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Benzocaine is topically applied to the following species: dogs, domestic shorthair cats, Long-Evans rats, Sprague-Dawley rats, ferrets, rhesus monkeys, cynomolgus monkeys, owl monkeys, New Zealand White rabbits, miniature pigs, ICR mice, C3H mice, and C57BL/10SnJ mice. All animals, except mice and rats, receive a 2-second spray to the mucous membranes of the nasopharynx for an estimated dose of 56 mg. A 2-second spray to rodents' oral mucous membranes delivers too great a volume of fluid for these animals. The study is repeated in dogs several months later to confirm low response. Response to Benzocaine spray is observed in most animals tested, with response peaking between 15 and 30 minutes after dosing[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Stem Cell Res Ther. 2021 Feb 4;12(1):107.

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REFERENCES

[1]. Wang GK et al. A common local anesthetic receptor for benzocaine and etidocaine in voltage-gated mu1 Na+ channels. Pflugers Arch. 1998 Jan;435(2):293-302.

[2]. Di Croce D et al. Drug action of benzocaine on the sarcoplasmic reticulum Ca-ATPase from fast-twitch skeletal muscle. Naunyn Schmiedebergs Arch Pharmacol. 2015 Nov;388(11):1163-70.

[3]. Davis JA, et al. Benzocaine-induced methemoglobinemia attributed to topical application of the anesthetic in several laboratory animal species. Am J Vet Res. 1993 Aug;54(8):1322-6.

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