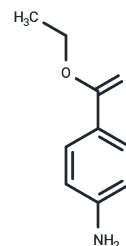


## Benzocaine

## Chemical Properties

CAS No. :	94-09-7
Formula:	C <sub>9</sub> H <sub>11</sub> NO <sub>2</sub>
Molecular Weight:	165.19
Storage:	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	Benzocaine is a surface anesthetic that acts by preventing transmission of impulses along nerve fibers and at nerve endings.
Targets(IC50)	Antibacterial,MRP,Sodium Channel
In vitro	Benzocaine blocks $\mu 1$ wild-type Na <sup>+</sup> currents in a dose-dependent manner, with an IC <sub>50</sub> of 0.8 mM in HEK293T cells. At 1 mM, Benzocaine inhibits approximately 55% of wild-type Na <sup>+</sup> current, about 95% of $\mu 1$ -N1584A mutant current, and about 80% of $\mu 1$ -I1575A mutant current. [1] Benzocaine produces a biphasic (protective/inductive) concentration-dependent hemolytic effect on rat erythrocytes, with effective Benzocaine:lipid molar ratios in the membrane for protection (RePROT), onset of hemolysis (ReSAT), and 100% membrane solubilization (ReSOL) at 1.0:1, 1.1:1, and 1.3:1, respectively. [2] During repetitive pulses, Benzocaine and 4-hydroxybenzoate interact with the open and inactivated channels, but the complex dissociates too rapidly during interpulse to achieve a significant use-dependent Na <sup>+</sup> current block. [3] Benzocaine (500 $\mu$ M) reduces peak and steady-state currents and increases the amplitude of the inactivating component from 21.7% to 30.2% (n=7, P<0.05), resulting in an average block of 30.9% at the end of pulses to +60 mV (n=7). It also significantly accelerates the initial phase of deactivation ( $\tau_f=27.2\pm 2.6$ ms, n=7, P<0.01) without affecting the slow phase of tail current decline. Benzocaine binds with high affinity to an intracellular site producing 'agonist' effects and a low-affinity subsite in the inner mouth producing blocking effects. Benzocaine and extracellular K(+) interact to alter the voltage-dependence of channel opening. [4]
In vivo	Benzocaine is absorbed rapidly and similarly through both viable and nonviable skin of the hairless guinea pig, the absorption of the two acidic compounds, benzoic acid and PABA, is greater through nonviable skin. [5]

## Solubility Information

Solubility	DMSO: 250 mg/mL (1513.41 mM),Sonication is recommended. Ethanol: 250 mg/mL (1513.41 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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## A DRUG SCREENING EXPERT

In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 10 mg/mL (60.54 mM),Solution. 10% DMSO+90% Saline: < 10 mg/mL (60.54 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. <i>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.</i>
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### Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.1211 mL	0.6054 mL	1.2107 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

- Wang GK, et al. Pflugers Arch, 1998, 435(2), 293-302.
- Pinto LM, et al. Biophys Chem, 2000, 87(2-3), 213-223.
- Quan C, et al. Biophys J, 1996, 70(1), 194-201.
- Caballero R, et al. Cardiovasc Res, 2002, 56(1), 104-117.
- Nathan D, et al. Pharm Res, 1990, 7(11), 1147-1151.

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