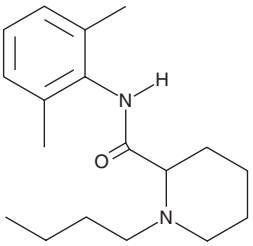
PRODUCT INFORMATION

Bupivacaine

Item No. 16618

CAS Registry No.:	38396-39-3
Formal Name:	1-butyl-N-(2,6-dimethylphenyl)-2-piperidinecarboxamide
MF:	$C_{18}H_{28}N_2O$
FW:	288.4
Purity:	≥98%
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Bupivacaine is supplied as a crystalline solid. A stock solution may be made by dissolving the bupivacaine in the solvent of choice, which should be purged with an inert gas. Bupivacaine is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of bupivacaine in ethanol and DMF is approximately 30 mg/ml and approximately 25 mg/ml in DMSO.

Bupivacaine is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, bupivacaine should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Bupivacaine has a solubility of approximately 0.5 mg/ml in a 1:1 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Bupivacaine is a sodium channel blocker and local anesthetic.[1,2] It inhibits sodium currents in rat dorsal horn neurons in a concentration-dependent manner and inhibits synaptic transmission in rat sympathetic ganglia, increasing the firing threshold when used at a concentration of 200 nM.[3,4] Bupivacaine (10 µM) blocks cardiac sodium channels in a use-dependent manner and inhibits respiration in cardiac cell mitochondria when palmitoyl-carnitine or acetyl-carnitine are used as substrates (IC_{50}s = 0.78 and 0.37 mM, respectively).[1,5] It also reduces thermal hyperplasia in a rat model of sciatic ligation injury when 0.6 ml of a 0.5% solution is administered into the perinerve space, and the duration of this effect is extended by co-administration of the NMDA receptor antagonist MK-801 (Item No. 10009019).[2] Formulations containing bupivacaine have been used as local anesthetics for surgery, oral surgery, and dental procedures and for anesthetic purposes in research studies using animals.

References

1. Arlock, P. Actions of three local anaesthetics: lidocaine, bupivacaine and ropivacaine on guinea pig papillary muscle sodium channels (V_{max}). *Pharmacol. Toxicol.* **63(2)**, 96-104 (1988).
2. Mao, J., Price, D.D., Mayer, D.J., *et al.* Intrathecal MK-801 and local nerve anesthesia synergistically reduce nociceptive behaviors in rats with experimental peripheral mononeuropathy. *Brain Res.* **576(2)**, 254-262 (1992).
3. Olschewski, A., Hempelmann, G., Vogel, W., *et al.* Blockade of Na^+ and K^+ currents by local anesthetics in the dorsal horn neurons of the spinal cord. *Anesthesiology* **88(1)**, 172-179 (1998).
4. Tabatabai, M. and Booth, A.M. Mechanism of action of local anesthetics on synaptic transmission in the rat. *Anesth. Analg.* **71(2)**, 149-157 (1990).
5. Weinberg, G.L., Palmer, J.W., VadeBoncouer, T.R., *et al.* Bupivacaine inhibits acylcarnitine exchange in cardiac mitochondria. *Anesthesiology* **92(2)**, 523-528 (2000).

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