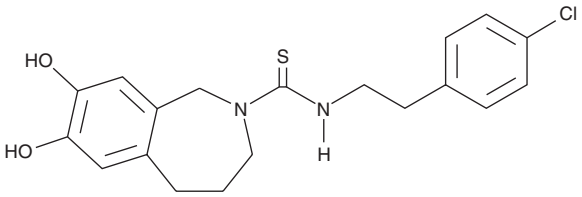
PRODUCT INFORMATION

Capsazepine

Item No. 10007518

CAS Registry No.: 138977-28-3
Formal Name: N-[2-(4-chlorophenyl)ethyl]-1,3,4,5-tetrahydro-7,8-dihydroxy-2H-2-benzazepine-2-carbothioamide
MF: $C_{19}H_{21}ClN_2O_2S$
FW: 376.9
Purity: ≥98%
UV/Vis.: λ_{max}: 223, 249, 286 nm
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

Capsazepine is supplied as a crystalline solid. A stock solution may be made by dissolving the capsazepine in the solvent of choice, which should be purged with an inert gas. Capsazepine is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of capsazepine in ethanol is approximately 20 mg/ml and approximately 30 mg/ml in DMSO and DMF.

Capsazepine is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, capsazepine should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Capsazepine has a solubility of approximately 0.45 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Transient receptor potential vanilloid type 1 (TRPV1) is a member of the transient receptor potential (TRP) family that is activated or sensitized by a variety of endogenous stimuli as a result of tissue injury and inflammation. TRPV1 is upregulated during inflammation and plays a role in the perception of pain.[1,2] Capsazepine is a competitive antagonist of transient receptor potential vanilloid type 1 (TRPV1) which blocks the capsaicin-induced uptake of Ca^{2+} in neonatal rat dorsal root ganglia with an IC_{50} of 0.42 µM and Chinese hamster ovary cells with an IC_{50} of 17 nM.[1,3] It does not block acid- or heat-induced activation of TRPV1 and may block receptors other than TRPV1.[4,5]

References

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2. Walker, K.M., Urban, L., Medhurst, S.J., *et al.* The VR1 antagonist capsazepine reverses mechanical hyperalgesia in models of inflammatory and neuropathic pain. *J. Pharmacol. Exp. Ther.* **304(1)**, 56-60 (2003).
3. Walpole, S.J., Bevan, S., Bovermann, G., *et al.* The discovery of capsazepine, the first competitive antagonist of the sensory neuron excitants capsaicin and resiniferatoxin. *J. Med. Chem.* **37(13)**, 1942-1954 (1994).
4. Liu, L. and Simon, S.A. Capsazepine, a vanilloid receptor antagonist, inhibits nicotinic acetylcholine receptors in rat trigeminal ganglia. *Neurosci. Lett.* **228(1)**, 29-32 (1997).
5. Docherty, R.J., Yeats, J.C., and Piper, A.S. Capsazepine block of voltage-activated calcium channels in adult rat dorsal root ganglion neurones in culture. *Br. J. Pharmacol.* **121(7)**, 1461-1467 (1997).

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