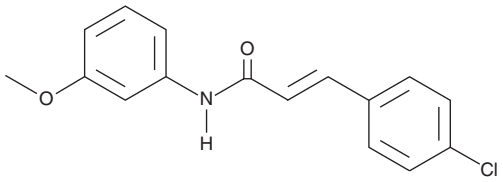
PRODUCT INFORMATION

SB-366791

Item No. 11019

CAS Registry No.: 472981-92-3
Formal Name: 3-(4-chlorophenyl)-N-(3-methoxyphenyl)-2-propenamide
MF: $C_{16}H_{14}ClNO_2$
FW: 287.7
Purity: ≥98%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 297 nm



Laboratory Procedures

For long term storage, we suggest that SB-366791 be stored as supplied at -20°C. It should be stable for at least two years.

SB-366791 is supplied as a crystalline solid. A stock solution may be made by dissolving the SB-366791 in the solvent of choice. SB-366791 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of SB-366791 in these solvents is approximately 10 mg/ml.

SB-366791 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, SB-366791 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. SB-366791 has a solubility of approximately 0.15 mg/ml in a 1:5 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

The transient receptor potential vanilloid type 1 (TRPV1) receptor is a nonselective cation channel gated by noxious heat, protons, and capsaicin. TRPV1 is present in primary sensory neurons and in both central and peripheral sensory nerve terminals and plays a role in thermal and mechanical hyperalgesia. SB-366791 is a selective TRPV1 antagonist that is widely used in pain research.[1] In cultured trigeminal ganglion neurons, SB-366791 inhibits capsaicin-evoked Ca^{2+} influx with an IC_{50} value of 0.7 µM.[1] A 1 nmol injection of SB-366791 in mouse paw reduces capsaicin-induced nociceptive responses in a model of pain.[2] Intrathecal pretreatment of 10 µl SB-366791 in rats suppresses tolerance to the analgesic effects of chronic morphine administration.[3] Co-administration of morphine and SB-366791 at 0.1 mg/kg has potent analgesic effects in a mouse model of bone cancer pain.[4]

References

1. Varga, A., Németh, J., Szabó, Á., *et al*. Effects of the novel TRPV1 receptor antagonist SB366791 *in vitro* and *in vivo* in the rat. *Neurosci. Lett*. **385**, 137-142 (2005).
2. Andrade, E.L., Luiz, A.P., Ferreira, J., *et al*. Pronociceptive response elicited by TRPA1 receptor activation in mice. *Neuroscience* **152(2)**, 511-520 (2008).
3. Chen, Y., Geis, C., and Sommer, C. Activation of TRPV1 contributes to morphine tolerance: Involvement of the mitogen-activated protein kinase signaling pathway. *J. Neurosci*. **28(22)**, 5836-5845 (2008).
4. Niiyama, Y., Kawamata, T., Yamamoto, J., *et al*. SB366791, a TRPV1 antagonist, potentiates analgesic effects of systemic morphine in a murine model of bone cancer pain. *Br. J. Anaesth*. **102(2)**, 251-258 (2009).

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