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SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

mail@szabo-scandic.com

www.szabo-scandic.com

[linkedin.com/company/szaboscandic](https://www.linkedin.com/company/szaboscandic) 

PRODUCT INFORMATION

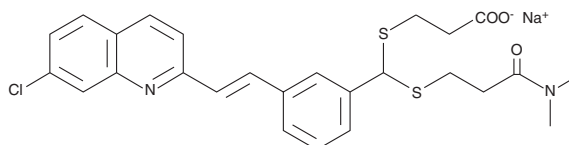


MK-571 (sodium salt)

Item No. 70720

CAS Registry No.: 115103-85-0
Formal Name: (E)-3-[[[3-[2-(7-chloro-2-quinolinyl)ethenyl]phenyl][[3-(dimethylamino)-3-oxopropyl]thio]methyl]thio]-propanoic acid, sodium salt

Synonym: L-660,711
MF: C₂₆H₂₆ClN₂O₃S₂ • Na
FW: 537.1
Purity: ≥95%
Stability: ≥1 year at -20°C
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 226, 283, 328, 345, 358 nm



Laboratory Procedures

For long term storage, we suggest that MK-571 (sodium salt) be stored as supplied at -20°C. It should be stable for at least one year.

MK-571 (sodium salt) is supplied as a crystalline solid. A stock solution may be made by dissolving the MK-571 (sodium salt) in an organic solvent purged with an inert gas. MK-571 (sodium salt) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of MK-571 (sodium salt) in ethanol is approximately 1 mg/ml, and it is approximately 10 mg/ml in DMSO and DMF.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of MK-571 (sodium salt) can be prepared by directly dissolving the crystalline compound in aqueous buffers. The solubility of MK-571 (sodium salt) in PBS (pH 7.2) is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

The cysteinyl leukotrienes (CysLTs), LTC₄, LTD₄, and LTE₄, mediate their actions through two distinct G protein-coupled receptors. LTD₄ is the preferred ligand for the cysLT₁ receptor,¹ whereas LTC₄ and LTD₄ bind with approximately equal affinity to the cysLT₂ receptor.² MK-571 is a selective, orally active CysLT₁ receptor antagonist.³ It blocks the binding of LTD₄, but not LTC₄, to human and guinea pig lung membranes with K_i values of 0.22 and 2.1 nM, respectively, which is indicative of CysLT₁ receptor-mediated activity in these preparations.³ MK-571 effectively blocks LTD₄ activation of recombinant human and mouse CysLT₁ receptors^{1,4} but is ineffective at blocking LTC₄ or LTD₄ activation of the recombinant human or mouse CysLT₂ receptors.^{2,4} MK-571 is also a potent inhibitor of the multidrug resistant protein 1 (MRP1).^{5,6}

References

1. Lynch, K.R., O'Neill, G.P., Liu, Q., *et al. Nature* **399**, 789-793 (1999).
2. Heise, C.E., O'Dowd, B.F., Figueroa, D.J., *et al. J. Biol. Chem.* **275**, 30531-30536 (2000).
3. Jones, T.R., Zamboni, R., Belley, M., *et al. Can. J. Physiol. Pharmacol.* **67**, 17-28 (1989).
4. Ogasawara, H., Ishii, S., Yokomizo, T., *et al. J. Biol. Chem.* **277(21)**, 18763-18768 (2002).
5. Dallas, S., Zhu, X., Baruchel, S., *et al. J. Pharmacol. Exp. Ther.* **307(1)**, 282-290 (2003).
6. Karwatsky, J., Daoud, R., Cai, J., *et al. Biochemistry* **42**, 3286-3294 (2003).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897
[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM