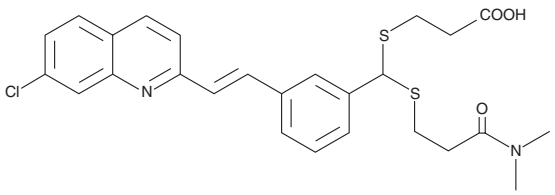
PRODUCT INFORMATION

MK-571

Item No. 10029

CAS Registry No.: 115104-28-4
Formal Name: (E)-3-[[[3-[2-(7-chloro-2-quinolinyl)ethenyl]phenyl][[3-(dimethylamino)-3-oxopropyl]thio]methyl]thio]-propanoic acid
Synonym: L-660,711
MF: $C_{26}H_{27}ClN_2O_3S_2$
FW: 515.1
Purity: ≥95%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 226, 283, 327, 344, 357 nm



Laboratory Procedures

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

MK-571 is supplied as a crystalline solid. A stock solution may be made by dissolving the MK-571 in an organic solvent purged with an inert gas. MK-571 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of MK-571 in ethanol is approximately 1 mg/ml and 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 can be prepared by directly dissolving the crystalline compound in aqueous buffers. The solubility of MK-571 in PBS (pH 7.2) is approximately 3 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

The cysteinyl leukotrienes (CysLTs), leukotriene C4 (LTC_4), LTD_4, and LTE_4, mediate their actions through two distinct G protein-coupled receptors. LTD_4 is the preferred ligand for the $CysLT_1$ receptor, whereas LTC_4 and LTD_4 bind with approximately equal affinity to the $CysLT_2$ receptor.[1,2] MK-571 is a selective, orally active $CysLT_1$ receptor antagonist.[3] It blocks the binding of LTD_4, but not LTC_4, to human and guinea pig lung membranes with K_i values of 0.22 and 2.1 nM, respectively, which is indicative of $CysLT_1$ receptor-mediated activity in these preparations.[3] MK-571 effectively blocks LTD_4 activation of recombinant human and murine $CysLT_1$ receptors,[1,4] but is ineffective at blocking LTC_4 or LTD_4 activation of the recombinant human and murine $CysLT_2$ 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).

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