

Product Data Sheet

Product Name: MK 571
Cat. No.: GC12197

Chemical Properties

Cas. No. 115104-28-4

Chemical Name (R,E)-3-(((3-(2-(7-chloroquinolin-2-yl)vinyl)phenyl)((3-(dimethylamino)-3-oxopropyl)thio)methyl)thio)propanoic acid

SMILES C1C1=CC=C2C(N=C(C=C2)/C=C/C3=CC([C@@H](SCCC(O)=O)SCCC(N(C)C)=O)=CC=C3)=C1

Formula $C_{26}H_{27}ClN_2O_3S_2$ M.Wt 515.09

Solubility <5.15mg/mL in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

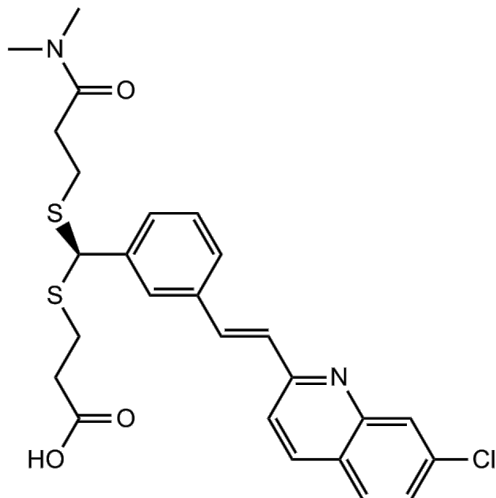
Caution: Product has not been fully validated for medical applications. For research use only.

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Structure



Protocol

Cell experiment [1]:

Cell lines U937 cell line

Preparation method The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

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IL-6 protein determination: Freshly isolated monocytes were stimulated with Reaction Conditions medium, LPS (1 ng/ml), MK-571 (10 μ M), and the combination of both during 24 h.

Applications Costimulating the cells with 10 μ M MK-571 and 1 ng/ml LPS enhanced IL-6 secretion (2.0 ± 0.4 fold increase, $p < 0.01$) than cells only stimulated by LPS. And a 1.6 fold increase in IL-6 mRNA expression was also observed by the combination of LPS and MK-571 compared to the effects of LPS alone.

Animal experiment [2]:

Animal models Sensitized male Balb/c mice weighing 20 ± 25 g

Dosage form Effect of MK-571 on cell infiltration: Animals were treated with an injection of MK-571 in the caudal vein (1, 10, 100 mg/kg) for 30 min

Applications The MK-571 inhibition for eosinophil infiltration in the bronchoalveolar lavage was 46%, 63.9% and 90% at the dose of 1 mg/kg, 10 mg/kg and 100 mg/kg. And MK-571 produced a total inhibition of neutrophil infiltration.

Other notes Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

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References:

[1]. Edo Vellenga, Leonore Tuyt, Bart-Jan Wierenga, Michael Muller & Wim Dokter. Interleukin-6 production by activated human monocytic cells is enhanced by MK-571, a specific inhibitor of the multi-drug resistance protein-1. Br J Pharmacol, 1999, 127(2): 441-448.

[2]. Blain JF, Sirois P. Involvement of LTD(4) in allergic pulmonary inflammation in mice: modulation by cysLT(1) antagonist MK-571. Prostaglandins Leukot Essent Fatty Acids, 2000, 62(6): 361-368.

Background

MK 571 (L-660,711) is a novel potent and selective antagonist of leukotriene D4 receptor with Ki values of 0.22 nM and 2.1 nM in guinea pig and human lung membranes, respectively [1]. MK 571 is also a specific inhibitor of the multidrug resistance protein 1 (MRP1) [2].

Leukotriene D4 (LTD4) is one of the leukotrienes and is released by basophils. LTD4 induces the contraction of smooth muscle and increases vascular permeability. Cysteinyl leukotriene receptor 1 (cysLT1 receptor, LTD4 receptor) is a G protein-coupled receptor activated by LTD4 [3].

MK 571 (L-660,711) is a potent, selective and orally active leukotriene D4 receptor antagonist. MK 571 competitively antagonized contractions of guinea pig trachea and ileum induced by LTD4 (pA2 values, 9.4 and 10.5) and LTE4 (pA2 values, 9.1 and 10.4), and antagonized contractions of human trachea induced by LTD4 with pA2 value of 8.5 [1].

In anesthetized guinea pigs, MK 571 antagonized bronchoconstriction induced by LTC4, LTD4, and LTE4 [1]. In mice, MK 571 (1, 10, 100 mg/kg) inhibited inflammatory cell infiltration in the bronchoalveolar lavage in a dose-dependent way with maximal inhibition of 90%. MK 571 also inhibited bronchial hyperreactivity and reduced lung microvascular leakage by 22% at 10 mg/kg [3].

References:

[1]. Jones TR, Zamboni R, Belley M, et al. Pharmacology of L-660,711 (MK-571): a novel potent and selective leukotriene D4 receptor antagonist. Can J Physiol Pharmacol, 1989, 67(1): 17-28.

[2]. Vellenga E, Tuyt L, Wierenga BJ, et al. Interleukin-6 production by activated human monocytic cells is enhanced by MK-571, a specific inhibitor of the multi-drug resistance protein-1. Br J Pharmacol, 1999, 127(2): 441-448.

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[3]. Blain JF, Sirois P. Involvement of LTD(4) in allergic pulmonary inflammation in mice: modulation by cysLT(1)antagonist MK-571. Prostaglandins Leukot Essent Fatty Acids, 2000, 62(6): 361-368.

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