For Research Use Only

MK-571 sodium

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Catalog Number: CM05005

产品信息

Catalog Number:
CM05005

CAS号:
115103-85-0

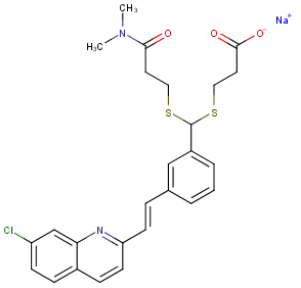
分子式:
$C_{26}H_{27}ClN_2O_3S_2 \cdot Na$

主要靶点:
LTR|Leukotriene Receptor

主要通路:
G蛋白偶联受体|免疫与炎症

分子量:
537.07

溶解度:
H2O:<1 mg/mL,Ethanol:16 mg/mL (29.8 mM),DMSO:93 mg/mL (173.2 mM)



靶点活性

LTD 4:0.22 nM (Ki, In guinea pig lung)|LTD 4:2.1 nM (Ki, In human lung)

体外活性

MK-571在健康年轻人体内耐受性良好.口服可被迅速吸收,血药浓度在给药后1.1-1.5 h后达峰.

体内活性

在类肺部,MK-571可抑制[3H]LTD4的结合（Ki：2.1±1.8 nM,n=29）,而在豚鼠肺中的Ki值为0.22±0.15 nM (n=35)。但其对[3H]LTC4的结合活性较低或没有活性（IC50：32 μM,n=1,人；23±11 μM,n=16,豚鼠）。

细胞实验

Cells were seeded onto 96 well plates at a concentration of 1×103 cells per well and incubated for 72 h at 37?C and 5% CO2 to allow MRP1 messenger RNA suppression to occur. Cells were then treated with either control media or one of three chemotherapy drugs temozolomide (150 µM), vincristine (100 nM), or etoposide (2 µM). Cells were then returned to the incubator for a further 72 h; after which time, Metylthiazol Tetrazolium (MTT) powder in PBS (50µl of 5 mg/ml) was added to each well. Cells were then incubated for a further 4 h after which all solution was removed and dimethyl sulfoxide (DMSO) was added. After 10 min incubation time at 37?C, absorbance was recorded at 570 nm wavelength and data was recorded and analyzed. Small molecule inhibitors MK571 (25 µM) and Reversan (15µM) were added 7 h prior to carrying out further drug treatment (temozolomide, vincristine or etoposide) or assay assessment (media change for proliferation and 2D-migration assays) (Only for Reference)

描述

MK-571 is a selective, orally active antagonist of the CysLT1 receptor. MK571 is a multidrug resistance protein-2 (ABCC2, Mrp2) inhibitor used to demonstrate the role of Mrp2 in the cellular efflux of drugs, xenobiotics, and their conjugates. MK571 can inhibit the synthesis of K-4′-O-GlcA (19.7 μM). MK571 dose-dependently inhibits the intracellular biosynthesis of all flavonol sulphates and glucuronides by Caco-2 cells. MK571 significantly inhibits phase-2 conjugation of kaempferol by cell-free extracts of Caco-2, and production of kaempferol-4′-O-glucuronide was competitively inhibited. In addition to inhibiting MRP2, MK571 is a potent inhibitor of enterocyte phase-2 conjugation.

储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years