Chemical Properties

Product Name: MK-2206 dihydrochloride

Cas No.: 1032350-13-2

M.Wt: 480.39

Formula: C25H21N5O.2HCl

Synonyms: MK-2206,MK2206,MK 2206

Chemical Name: 8-[4-(1-aminocyclobutyl)phenyl]-9-phenyl-2H-[1,2,4]triazolo[3,4-f][1,6]naphthyridin-3-one;dihydrochloride

Canonical SMILES: C1CC(C1)(C2=CC=C(C=C2)C3=C(C=C4C(=N3)C=CN5C4=NNC5=O)C6=C(C=C6)N.Cl.Cl

Solubility: >12mg/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.

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

Biological Activity

Targets: Akt

Pathways: PI3K/Akt/mTOR Signaling >> Akt

Description:

MK-2206 dihydrochloride is a selective inhibitor of Akt1/2/3. MK-2206 inhibites the phosphorylation of Thr308 and Ser 473 of Akt. MK-2206 suppresses Akt signalling pathway and promoting cancer cell death as a single agent as well as in combination with other chemotherapeutic agents. MK-2206 enhance the sensitivity to through apoptosis and enhance the sensitivity to rapamycin via reactive oxygen species. Combination of MK-2206 with etoposide or rapamycin significantly increase antitumor growth effect.
Reference:

Protocol

Cell experiment:

Cell lines: Endometriotic stromal cells

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.

Reacting conditions: 100 nM, 2h

Applications: Inhibiting AKT with MK-2206 or MEK1/2 with U0126 for 24 hours in the absence of R5020 increased total and nuclear PRA and PRB protein levels in OSIS but not in eutopic endometrial stromal cells from disease-free patients from disease-free patients. MK-2206 and R5020 decreased OSIS viability and increased apoptosis. Trends toward decreased volumes of sc grafted endometriosis tissues were demonstrated with MK-2206 and progesterone.

Animal experiment [3]:

Animal models: 5-week-old CD-1 nude mice

Dosage form: 360 mg/kg/d, 15 days, oral Gavage

Applications: No significant interaction between MK-2206 and progesterone (P=0.628). Trends toward decreased tumor volume were noted with MK-2206 (P=0.077) and progesterone (P=0.087). Treatment with MK-2206 decreased levels of Ki67. Levels of cleaved caspase-3 (CC3) were very low in E and E +P-treated grafts, whereas MK-2206 increased CC3 levels, especially in the presence of P.

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.
Reference:

Product Citations


Product Validation

MK2206 suppressed MDM2 phosphorylation and increased the AR protein expression in 3 HCC cell lines. Western blot assays showed that MK2206 (1 μM in Skhep1 and HA22T cells, 2.5 μM in HepG2 cells) suppressed MDM2 phosphorylation and increased the AR protein expression at various time points.EBioMedicine. 2016 Jul 14.
Effects of the Akt inhibitor MKK-2206 on CRC cell viability and apoptosis. MKK-2206 caused a concentration (1–50mM)-dependent decrease of Caco-2 cell viability within 24h of incubation. Mol Carcinog. 2016 Jan 15