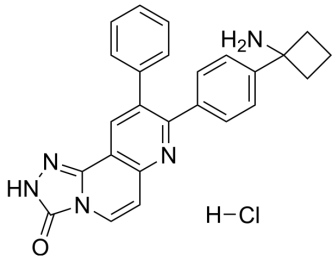
MK-2206

Cat. No.:	HY-108232
CAS No.:	1032349-77-1
Molecular Formula:	$C_{25}H_{22}ClN_5O$
Molecular Weight:	444
Target:	Akt; Apoptosis; Autophagy; Organoid
Pathway:	PI3K/Akt/mTOR; Apoptosis; Autophagy; Stem Cell/Wnt
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

MK-2206 is an orally active, highly potent and selective allosteric Akt inhibitor, with IC_{50}s of 8, 12, and 65 nM for Akt1, Akt2, and Akt3, respectively. Many breast cancer cell lines, and PIK3CA-mutant and cell lines with PTEN loss are sensitive to MK-2206. MK-2206 has anticancer activities[1][2].

IC_{50} & Target

Akt1	Akt2	Akt3
8 nM (IC_{50})	12 nM (IC_{50})	65 nM (IC_{50})

In Vitro

MK-2206 (0-10 μM; 72 and 96 hours) inhibits the nasopharyngeal carcinoma (NPC) cell lines CNE-1, CNE-2, HONE-1, and SUNE-1 proliferation in dose- and time-dependent manner[3].

MK-2206 (0-10 μM; 24 and 48 hours) results in a dose-dependent increase in the percentage of cells in G0/G1 phase and a concomitant reduction of cell numbers in S phase in CNE-2 and HONE-1 cells[3].

MK-2206 (0-10 μM; 24 hours) attenuates phosphorylation levels of PRAS40 and S6 in a dose-dependent manner. MK-2206 does not affect phosphorylation of GSKα/β and AKT[3].

MK-2206 (0-10 μM; 24 hours) increases the appearance of LC3-II in CNE-2 cells dose-dependently. Microtubule-associated protein 1 LC3 is an essential autophagy protein[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[3]

Cell Line:	The NPC cell lines CNE-1, CNE-2, HONE-1, and SUNE-1
Concentration:	0.08, 0.16, 0.31, 0.63, 1.25, 2.5, 5, 10 μM
Incubation Time:	72 and 96 hours
Result:	At 72 and 96 hours, the IC_{50} values in CNE-1, CNE-2, and HONE-1 cell lines were 3-5 μM, and in SUNE-1, they were less than 1 μM.

Cell Cycle Analysis[3]

Cell Line:	CNE-2 and HONE-1 cells
Concentration:	0.625, 1.25, 2.5, 5, 10 μM
Incubation Time:	24 or 48 hours

Result:	Induced cell cycle arrest at G1 in a dose-dependent manner.

Western Blot Analysis[3]

Cell Line:	SUNE-1 and CNE-2 cells
Concentration:	0.625, 1.25, 2.5, 5, 10 μM
Incubation Time:	24 hours
Result:	Inhibited phosphorylation of AKT downstream targets.

Cell Autophagy Assay[3]

Cell Line:	CNE-2 cells
Concentration:	0.625, 1.25, 2.5, 5, 10 μM
Incubation Time:	24 hours
Result:	Induced autophagy.

In Vivo

Both MK-2206 doses (oral gavage; 480 mg/kg once a week and 240 mg/kg three times a week; for 2 weeks) can inhibit the growth of human CNE-2 xenografts in nude mice. No other obvious toxicity is observed in mice[3].
MK-2206 (orally; 120 mg/kg; alternate days; for 3 weeks) significantly inhibits tumor growth in 3-5 week old athymic nude mice with GEO colon carcinoma cells[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Four- to 6-week-old male BALB/c nude mice with CNE-2 xenografts[3]
Dosage:	240 mg/kg and 480 mg/kg
Administration:	Oral gavage; 240 mg/kg for three times a week; 480 mg/kg for once a week; for 2 weeks
Result:	Both doses inhibited the growth of human CNE-2 xenografts in nude mice.

CUSTOMER VALIDATION

- Nature. 2018 Aug;560(7719):499-503.
- Cell. 2014 Feb 13;156(4):771-85.
- Science. 2022 Jul 8;377(6602):eabg9302.
- Cancer Cell. 2018 Jun 11;33(6):1061-1077.e6.
- Signal Transduct Target Ther. 2021 Jun 18;6(1):234.

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REFERENCES

[1]. Xing Y, et al. Phase II trial of AKT inhibitor MK-2206 in patients with advanced breast cancer who have tumors with PIK3CA or AKT mutations, and/or PTEN loss/PTEN mutation. Breast Cancer Res. 2019 Jul 5;21(1):78.

[2]. Zhao YY, et al. Effects of an oral allosteric AKT inhibitor (MK-2206) on human nasopharyngeal cancer in vitro and in vivo. Drug Des Devel Ther. 2014 Oct 10;8:1827-37.

[3]. Agarwal E, et al. Akt inhibitor MK-2206 promotes anti-tumor activity and cell death by modulation of AIF and Ezrin in colorectal cancer. BMC Cancer. 2014 Mar 1;14:145.

[4]. Li Yan, et al. Abstract #DDT01-1: MK-2206: A potent oral allosteric AKT inhibitor. 2009.

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