MK-2206 2HCl

货号：M5705

储存条件：粉末-20°C 可保存 3 年；液体-80°C 可保存 12 月。

产品描述

AKT is the central node of PI3K/AKT/ mTOR pathway. Inactivated AKT adopts a conformation such that the PH domain can interact with the kinase domain with both Thr308 and Ser473 residues shielded from PDK1 phosphorylation. MK-2206 is an oral allosteric of Akt1/2/3 with IC50 value of 8nM, 12nM and 65nM, respectively. MK-2206 can inhibit phosphorylation of both Akt T308 and S473, as well as prevent Akt-mediated phosphorylation of down-stream signaling molecules, such as TSC2, PRAS40 and ribosomal S6 proteins. In vitro, MK-2206 can inhibit the growth of NPC cell lines at 72 and 96 hours with IC50 values less than 1μM, as well as induce cell cycle arrest at the G1 phase, but without apoptosis. Treatment with MK-2206 on the dose of 480mg/kg once a week or 240mg/kg three times a week can inhibit the growth of human CNE-2 xenografts in nude mice. A phase 1 study shows that maximal inhibition of Akt occurred about 6h after an oral dose of MK-2206 and led to Akt inhibition (measured in whole blood) for up to 24h. Clinical studies of MK2206 for the treatment of different kinds of cancer have been done, such as phase 2 study for recurrent platinum-resistant ovarian, fallopian tube, peritoneal cancer and adenoid cyst carcinoma . Synergy of MK-2206 combined with other targeted therapies has been proposed.

作用机制

MK-2206 is an allosteric inhibitor of the AKT which binds to both the PH domain and the kinase domain, then forms a stabilized “closed” complex not capable of having any kinase activity or being activated by PDK1.

产品信息

CAS 号	1032350-13-2	
分子式	C25H23Cl2N5O	
分子量	480.39	
溶解度	DMSO	13.0 mg/mL (27.1 mM)
	Water	Insoluble

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