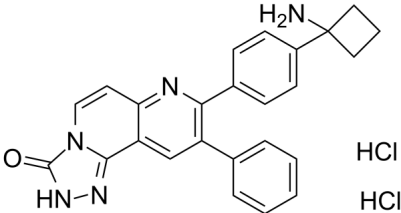


Data Sheet

Product Information

Catalog Number	BP10050
Product Name	MK-2206 dihydrochloride
Description	MK-2206 is a highly specific inhibitor of Akt1/2/3 (IC ₅₀ : 8/12/65 nM in cell-free assays) and no effect on the activities of 250 other protein kinases.
Targets&IC ₅₀	Akt3: 65 nM (cell free), Akt2: 12 nM (cell free), Akt1: 8 nM (cell free)
In vitro	<p>Cells were seeded at a density of 2 to 3 × 10³ per well in 96-well plates. Twenty-four hours after plating, varying concentrations of the drug, either as a single agent or in combination, were added to the wells. Cell proliferation was determined by using the CellTiter-Glo assay at 72 or 96 hours after dosing. The nature of the drug interaction was evaluated by using the combination index (CI) according to the method of Chou and Talalay. A commercial software package was obtained from Calcosyn. In combination with docetaxel, we tested three treatment sequences: (a) MK-2206 followed by docetaxel—cells were exposed to MK-2206 for 24 hours, and then after washout of MK-2206, cells were treated with docetaxel for an additional 72 hours; (b) docetaxel followed by MK-2206—cells were exposed to docetaxel for 24 hours, and then after washout of docetaxel, cells were treated with MK-2206 for an additional 72 hours; and (c) concurrent treatment—cells were exposed to both MK-2206 and docetaxel for 72 hours.</p>

<p>In vivo</p>	<p>When the mean tumor size reached 0.13 cm³ for the SK-OV-3 or 0.2 cm³ for the NCI-H292, HCC70, PC-3, and NCI-H460 models, the mice were randomized into control and treatment groups with approximately equivalent ranges of tumor volume between groups (n = 5 animals per group). The following vehicles were used to dose the compounds: 30% Captisol (Cydex) for MK-2206; 0.5% methylcellulose + 0.1% Tween 80 for erlotinib; distilled water for lapatinib; 0.73% ethanol in saline for docetaxel; and saline for carboplatin and gemcitabine. The control group received vehicle only. Tumor volume was measured with calipers twice a week. Animal body weight and physical signs were monitored during the experiments. Tumor volume was calculated, taking length to be the longest diameter across the tumor and width to be the perpendicular diameter, by using the following formula: (length × width)² × 0.5. Relative tumor volume was assessed by dividing the tumor volume on different observation days with the starting tumor volume. Statistical significance was evaluated by using the two-way repeated ANOVA test followed by Dunnett's test or an unpaired t-test.</p>
<p>Synonyms</p>	<p>MK-2206 2HCl</p>
<p>CAS No.</p>	<p>1032350-13-2</p>
<p>Chemical Formula</p>	<p>C₂₅H₂₃Cl₂N₅O</p>
<p>Molecular Weight</p>	<p>480.39</p>
<p>Solubility</p>	<p>DMSO: 12 mg/mL (25 mM) Ethanol: <1 mg/mL</p>
<p>Storage</p>	<p>Powder: -20°C for 2 years In solvent: -80°C for 1 year</p>
<p>Chemical Structure OR Tested Image</p>	 <p>HCl HCl</p>

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