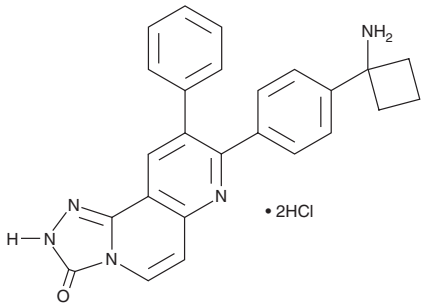
PRODUCT INFORMATION

MK-2206 (hydrochloride)

Item No. 11593

CAS Registry No.: 1032350-13-2
Formal Name: 8-[4-(1-aminocyclobutyl)phenyl]-9-phenyl-1,2,4-triazolo[3,4-f][1,6]naphthyridin-3(2H)-one, dihydrochloride
MF: $C_{25}H_{21}N_5O \bullet 2HCl$
FW: 480.4
Purity: ≥98%
UV/Vis.: λ_{max}: 210, 257, 357 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

MK-2206 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the MK-2206 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. MK-2206 (hydrochloride) is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of MK-2206 (hydrochloride) in these solvents is approximately 2 and 0.2 mg/ml, respectively.

MK-2206 (hydrochloride) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, MK-2206 (hydrochloride) should first be dissolved in DMF and then diluted with the aqueous buffer of choice. MK-2206 (hydrochloride) has a solubility of approximately 0.2 mg/ml in a 1:3 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Three related forms of the kinase Akt (1, 2, 3, also known as protein kinase B isoforms PKBα, β, γ) modulate cell proliferation, metabolism, and survival as well as angiogenesis.[1,2] MK-2206 is an orally active, allosteric Akt inhibitor that is equally potent toward purified human recombinant Akt1 and Akt2 (IC_{50}s = 5 and 12 nM, respectively) and approximately 5-fold less potent against human Akt3 (IC_{50} = 65 nM).[3] In combination with other anticancer agents including topoisomerase inhibitors, antimetabolites, antimicrotubule agents, DNA cross-linkers or growth factor inhibitors, MK-2206 has been shown to synergistically inhibit cell proliferation of human cancer cell lines.[3] At 5 µM, MK-2206 significantly enhances apoptosis in hematopoietic cells treated with chemotherapeutics.[4]

References

1. Manning, B.D. and Cantley, L.C. AKT/PKB signaling: Navigating downstream. *Cell* **129(7)**, 1261-1274 (2007).
2. Yuan, T.L. and Cantley, L.C. PI3K pathway alterations in cancer: Variations on a theme. *Oncogene* **27(41)**, 5497-5510 (2008).
3. Hirai, H., Sootome, H., Nakatsuru, Y., *et al*. MK-2206, an allosteric Akt inhibitor, enhances antitumor efficacy by standard chemotherapeutic agents or molecular targeted drugs *in vitro* and *in vivo*. *Mol. Cancer Ther.* **9(7)**, 1956-1967 (2010).
4. Kurosu, T., Nagao, T., Wu, N., *et al*. Inhibition of the PI3K/Akt/GSK3 pathway downstream of BCR/ABL, Jak2-V617F, or FLT3-ITD downregulates DNA damage-induced Chk1 activation as well as G2/M arrest and prominently enhances induction of apoptosis. *PLoS One* **8(11)**, (2013).

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