

Product Specification Sheet

Product Name: MK-2206

Catalog Number: C6220

Technical information:

Chemical Formula: $C_{25}H_{21}N_5O_2 \cdot HCl$

CAS #: 1032350-13-2

Molecular Weight: 480.39

Purity: > 98%

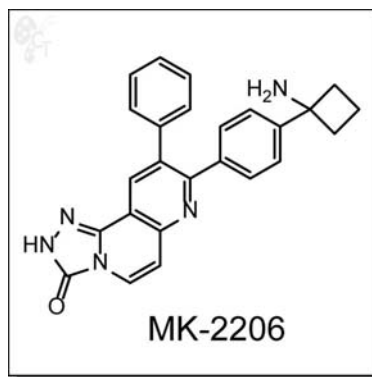
Appearance: Bright Yellow solid

Solubility: Soluble in DMSO up to 20 mM

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

Storage: Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.

Shelf Life: In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.



Handling:

- To make 10 mM stock solution, add 0.208mL of DMSO for each mg of MK-2206
- For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity: MK-2206 is an orally bioavailable allosteric quinolinotriazolone inhibitor of the serine/threonine protein kinase Akt. It is selectively potent for Akt1, Akt2, and Akt3 at 5 nM, 12 nM, and 65 nM, respectively. MK-2206 inhibits auto-phosphorylation of Akt1/2 at position T308 and S473.

Combination studies with EGFR inhibitors (e.g. erlotinib and lapatinib) in cancer cell lines have shown synergistic effects in a dose-dependent manner [1], inhibiting both PI3K and Ras/Erk pathways. Recent studies indicate that breast cancer cell lines with PTEN loss and/or PIK3CA mutations were also more sensitive to MK-2206 [3], and that targeting eEF-2 kinase in conjunction with Akt can also enhance its antitumor effect [2].

Reference:

1. Hirai 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, 2010, 9(7), 1956. Pubmed ID: 20571069
2. Liu et al., Roles of eEF-2 kinase in cancer. Chin Med J 2012 125(16), 2908-2913 Pubmed ID: 22932089
3. Gonzalez-Angulo et al., Defining biomarkers to predict sensitivity to PI3K/Akt/mTOR pathway inhibitors in breast cancer. Cancer Treatment Rev 2012, in press.

To reorder: <http://www.cellagentech.com/MK-2206/>

For Technical Support: technical@cellagentech.com

Chemicals are sold for research use only, not for clinical or diagnostic use.