

Product Specification Sheet

Product Name: PKI-587

Catalog Number: C7587

Technical information:

Chemical Formula: $C_{32}H_{41}N_9O_4$

CAS #: 1197160-78-3

Molecular Weight: 615.73

Purity: > 99%

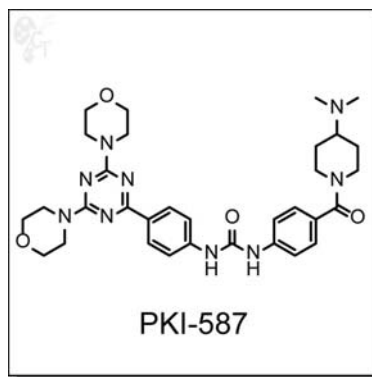
Appearance: White solid

Solubility: Soluble in DMSO up to 10 mM

Chemical Name: 1-(4-(4-(dimethylamino)piperidine-1-carbonyl)phenyl)-3-(4-(4,6-dimorpholino-1,3,5-triazin-2-yl)phenyl)urea

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 0.162 mL of DMSO for each mg of PKI-587.
 - For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity: PKI587 (PF-05212384) is a ATP-competitive, triazine-based, orally-bioavailable dual PI3K/mTOR inhibitor with potencies of 0.4 nM and 1.0 nM, respectively. [1] PKI587 is highly selective over a panel of 236 human protein kinases ($IC_{50} > 10 \mu M$) It inhibits growth over over 50 human tumor cell lines at IC_{50} values of less than 100 nM. PKI587 also suppresses phosphorylation of Akt and induces apoptosis in human tumor cell lines with elevated PI3K/mTOR signaling, such as HER2 positive MDA-MB-361 (30 nM at 4 h).

In vivo, PKI587 inhibits tumor growth in breast (MDA-MB-361, BT474), colon (HCT116), lung (H1975), and glioma (U87MG) xenograft models. In MDAMB361 tumors, an intravenous 25 mg/kg dose suppresses Akt phosphorylation (T308 and S473) for up to 36 h. [1]

PKI587 has also been shown to work synergistically with MEK, Topol, or HER2 inhibitors, causing regression in several tumor cell lines.

Reference: 1. Mallon et al., Antitumor efficacy of PKI-587, a highly potent dual PI3K/mTOR kinase inhibitor. Clin Cancer Res. 2011, 17(3), 3193-3203. Pubmed ID: 21325073

To reorder: <http://www.cellagentech.com/PKI-587/>

For Technical Support: technical@cellagentech.com

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