**Product Specification Sheet**

**Product Name:** PKI-587  
**Catalog Number:** C7587

### Technical Information:

- **Chemical Formula:** C_{32}H_{41}N_{9}O_{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-(4,6-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 0.162mL 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 an 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 (IC50 > 10 uM). It inhibits growth over 50 human tumor cell lines at IC50 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:


For Technical Support: [technical@cellagentech.com](mailto:technical@cellagentech.com)

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