



Product Specification Sheet

Product Name: Foretinib (GSK1363089)

Catalog Number: C4136

Technical information:

Chemical Formula: $C_{34}H_{34}F_2N_4O_6$

CAS #: 849217-64-7

Molecular Weight: 632.65

Purity: > 98%

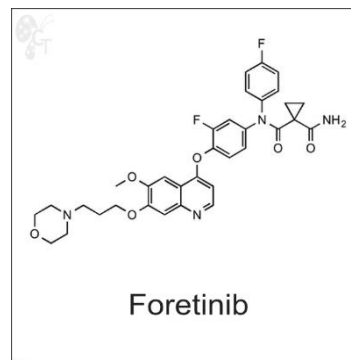
Appearance: White solid

Solubility: Soluble in DMSO up to 100 mM

Chemical Name: N-(3-fluoro-4-(6-methoxy-7-(3-morpholinopropoxy)quinolin-4-yloxy)phenyl)-N-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide

Storage: For longer shelf life, store solid powder or DMSO solution at -20°C desiccated.

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 0.158mL of DMSO for each mg of Foretinib (GSK1363089).
 - For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity: Foretinib (GSK1363089) is a potent multi-kinase inhibitor of HGFR, VEGFR, KIT, Flt, PDGFR and Tie-2. In a cell-free assay, Foretinib (GSK1363089) is most potent for Met, KDR, and Tie-2, with IC50 values of 0.4 nM, 0.86 nM, and 1.1 nM, respectively. Foretinib (GSK1363089) also inhibits Ron, Flt1/3/4, KIT, and PDGFR α/β , with higher IC50 values ranging from 3 nM to 9.6 nM. [1] It binds tightly to Met and KDR with a very slow off-rate and inhibits tumor formation in an in vivo murine model of lung metastasis. [1]

A recent report showed that Foretinib (GSK1363089), by targeting cMet, significantly reduced primary medulloblastoma growth and invasion, and increased survival in disseminated mouse models of sonic hedgehog (SHH)-driven medulloblastoma. [2]

Foretinib (GSK1363089) has been examined in multiple clinical trials in wild-range of cancer patients including metastatic breast cancer, metastatic gastric cancer, papillary renal cell carcinoma (PRC), liver cancer, non-small-cell lung cancer, and squamous cell cancer of the head and neck. [3]"

- Reference:**
1. Qian F, et al. Inhibition of tumor cell growth, invasion, and metastasis by EXEL-2880 (XL880, GSK1363089), a novel inhibitor of HGF and VEGF receptor tyrosine kinases. *Cancer Res.* 2009; 69(20):8009-16 Pubmed ID: 19808973
 2. Faria CC, et al. Foretinib is effective therapy for metastatic sonic hedgehog medulloblastoma. *Cancer Res.* 2015; 75(1):134-46 Pubmed ID: 25391241
 3. <https://clinicaltrials.gov/show/NCT01138384> Pubmed ID: NCT01138384

To reorder: <http://www.cellagentech.com/Foretinib-GSK1363089/>

For Technical Support: technical@cellagentech.com

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