

Product Specification Sheet

Product Name: GSK1838705A

Catalog Number: C4183

Technical information:

Chemical Formula: $C_{27}H_{29}FN_8O_3$

CAS #: 1116235-97-2

Molecular Weight: 532.57

Purity: > 98%

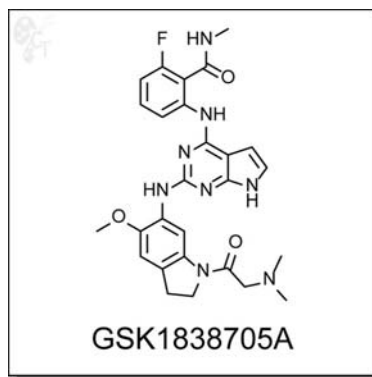
Appearance: Off White solid

Solubility: Soluble in DMSO up to 100mM

Chemical Name: 2-(2-(1-(2-(dimethylamino)acetyl)-5-methoxyindolin-6-ylamino)-7H-pyrrolo[2,3-d]pyrimidin-4-ylamino)-6-fluoro-N-methylbenzamide

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 0.188mL of DMSO for each mg of GSK1838705A
 - For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity: GSK1838705A is a pyrrolopyrimidine-based inhibitor of IGF-1R, IR, and ALK with IC50s of 2.0, 1.6, and 0.5 nM, respectively. Despite its potency against the insulin receptor, no major effect on glucose homeostasis was observed at efficacious doses. [1] In NIH-3T3/USN and NIH-3T3-hIR cell lines GSK1838705A inhibits ligand-induced phosphorylation of IGF1R and IR in a concentration-dependent manner, with concomitant decrease in phosphorylated AKT, IRS-1, and ERK. Antiproliferative EC50s ranged from 20 nM to 8 uM, with most values <1 uM in multiple myeloma and Ewing's sarcoma cell lines.

In IGF-1-induced mice xenografts, a single oral dose of GSK1838705A at 0.1 and 0.3 mg/kg resulted in 35% and 65% inhibition of IGF-1R phosphorylation; doses >1 mg/kg completely inhibited phosphorylation. [1]

In ALCL tumor cells bearing ALK fusion genes, proliferation was inhibited in a concentration-dependent manner with EC50s ranging from 24 to 88 nM. [1]

Reference: 1. Sabbatini et al., GSK1838705A inhibits the insulin-like growth factor-1 receptor and anaplastic lymphoma kinase and shows antitumor activity in experimental models of human cancers. Mol. Cancer. Ther. 2009, 8, 2811-2820. Pubmed ID: 19825801

To reorder: <http://www.cellagentech.com/GSK1838705A/>
For Technical Support: technical@cellagentech.com

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