Web: www.taiclone.com
Tel: +886-2-2735-9682
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GSK1838705A

Catalog No: tcsc0695

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1116235-97-2

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

Pathway:
Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK

Target:
ALK; IGF-1R; Insulin Receptor

Form:
Light yellow to yellow (Solid)

Purity / Grade:
99.28%

Solubility:
10 mM in DMSO

Storage Instruction:
Powder -20°C for 3 years; 4°C for 2 years In solvent -80°C for 6 months ; -20°C for 1 month

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Alternative Names:
Benzamide, 2-[[2-[[1-[2-(dimethylamino)acetyl]-2,3-dihydro-5-methoxy-1H-indol-6-yl]amino]-7 H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]-6-fluoro-N-methyl

Observed Molecular Weight:
532.57

References

[1]. Sabbatini P, 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 Oct;8(10):2811-20

Product Description

GSK1838705A is a potent and reversible **IGF-IR** and the **insulin receptor** inhibitor with **IC_{50}**s of 2.0 and 1.6 nM, respectively. It also inhibits **ALK** with an **IC_{50}** of 0.5 nM.

IC50 & Target: IC50: 2.0 nM (IGF-IR), 1.6 nM (insulin receptor), 0.5 nM (ALK)[1]

In Vitro: In cellular phosphorylation assays, GSK1838705A potently inhibits IGF-IR and insulin receptor phosphorylation with IC_{50}s of 85 and 79 nM, respectively. $^{app}K_i$ values are 0.7 nM for IGF-IR and 1.1 nM for insulin receptor using the filter binding assay. GSK1838705A inhibits the proliferation in a panel of cell lines derived from solid and hematologic tumors. The EC_{50}s of GSK1838705A range from 20 nM to >8 μM, but are [1].

In Vivo: GSK1838705A shows robust antitumor activity in animal xenograft models. Tumor types likely to respond to GSK1838705A include multiple myeloma and Ewing\'s sarcoma, as well as ALK-driven tumors (e.g., ALCL, NSCLC, and neuroblastoma). A single oral dose of GSK1838705A at 0.1 and 0.3 mg/kg results in 35% and 65% inhibition of IGF-IR phosphorylation, respectively, whereas doses ≥1 mg/kg results in complete inhibition of ligand-induced IGF-IR phosphorylation[1].