

Catalog Number: CM03894

产品信息

Catalog Number:
CM03894

CAS号:
1626387-80-1

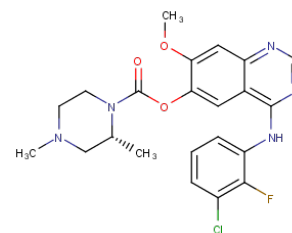
分子式:
 $C_{22}H_{23}ClFN_5O_3$

主要靶点:
EGFR

主要通路:
蛋白酪氨酸激酶|JAK/STAT 信号通路|血管生成

分子量:
459.9

溶解度:
DMSO:50 mg/mL (108.72 mM); H₂O:< 1 mg/mL (insoluble or slightly soluble); Ethanol:18 mg/mL (39.1 mM)



靶点活性

EGFR (exon 19 deletion):0.2 nM|EGFR (L858R):0.2nM|TK (WT):0.3nM

体外活性

In H3255 (L858R) cells, AZD3759 inhibits EGFR phosphorylation with IC₅₀ of 7.2 nM. AZD3759 demonstrates inhibitory effects on both the pEGFR pathway and cell proliferation of EGFR mutation-derived cells PC-9 and H3255 with IC₅₀ of 7.7 nM and 7 nM, respectively, showing no activity on cell proliferation of H838 cells. [1]

体内活性

AZD3759 shows good oral bioavailability in dogs, and penetrates extensively into monkey brain. In a brain metastasis PC-9 (Exon19Del) model, AZD3759 (15 mg/kg) causes significant dose-dependent antitumor efficacy. [1]

细胞实验

Cell proliferation assay is determined by MTS methods. Briefly, cells are seeded in 96-well plates (at a density to allow for logarithmic growth during the 72-hour assay) and incubated overnight at 37 °C and 5% CO₂. Cells are then exposed to concentrations of compounds ranging from 30 to 0.0003 mM for 72 hours. For the MTS endpoint, cell proliferation is measured by the CellTiter Aqueous Non-Radioactive Cell Proliferation Assay reagent in accordance with the manufacturer's protocol. Absorbance is measured with a Tecan Ultra instrument. Predose measurements are made, and concentration needed to reduce the growth of treated cells to half that of untreated cells (GI₅₀) values are determined using absorbance readings.(Only for Reference)

储存

Powder: -20°C for 3 years | In solvent: -80°C for 1 year | Shipping with blue ice.