Web: www.taiclone.com
Tel: +886-2-2735-9682
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BMS-754807

Catalog No: tcsc0608

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1001350-96-4

Formula:
$C_{23}H_{24}FN_9O$

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

Target:
IGF-1R;Insulin Receptor

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 100 mg/mL (216.69 mM); H2O :

Observed Molecular Weight:
461.49

Product Description

BMS-754807 is a potent and reversible inhibitor of the insulin-like growth factor 1 receptor **(IGF-1R)**/insulin receptor family kinases **(IR)**

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with **IC_{50}** of 1.8 and 1.7 nM, respectively and **K_i** of IC_{50} values of 6, 44, 7, 4, 9, and 25 nM, respectively.

IC50 & Target: IC50: 1.7 nM (insulin receptor), 1.8 nM (IGF-1R), 4 nM (TrkB), 6 nM (Met), 7 nM (TrkA), 9 nM (AurA), 25 nM (AurB), 44 nM (RON)[1]

In Vitro: BMS-754807 effectively inhibits the growth of a broad range of human tumor cell lines with IC_{50} values of ranging from 5 to 365 nM. BMS-754807 also inhibits the proliferation of human rhabdomyosarcoma tumor cells Rh41 and human colon carcinoma Geo with IC_{50}s of 7 and 5 nM, respectively. BMS-754807 shows inhibitory activity in the proliferation of Rh41 cells with IC_{50} of 5 nM[1]. BMS-754807 inhibits the phosphorylation of IGF-1R (IC_{50}=13 nM) and the downstream targets Akt (IC_{50}=22 nM) and MAPK (IC_{50} =13 nM) in the IGF-Sal cell line with IC_{50} consistent with the antiproliferative IC_{50} (7 nM) in this cell line[2]. BMS-754807 shows a median EC_{50} value of 0.62 μM against the PPTP cell lines. The median EC_{50} for the four Ewing sarcoma cell lines is less than that for the remaining PPTP cell lines (0.19 μM vs. 0.78 μM, P=0.0470)[3]. BMS-754807 (0.25 and 0.5 μM) reduces the activated IGF-IR/IR (pIGF-IR/IR), causes a concurrent decrease in phosphorylated AKT in both lung cancer cell lines. BMS-754807 (0.5 μM) also reduces wound closure of lung cancer cells and reduces the ERK phosphorylation. BMS-754807 reduces cell viability in both A549 and NCI-H358 cells, with IC_{50} of 1.08 μM and 76 μM, respectively[4].

In Vivo: BMS-754807 (3.125 and 12.5 mg/kg, p.o.) inhibits tumor growth in IGF-1R-Sal tumor-bearing nude mice. BMS-754807 inhibits tumor growth in a selected group of epithelial (IGF-1R-Sal, GEO, and Colo205), hematopoietic (JJN3), and mesenchymal (RD1 and Rh41) xenograft tumor models with TGI ranging from 53% to 115%. BMS-754807 is effective at a dose level of 3.125 mg/kg twice daily and as low as 6.25 mg/kg once daily, in the highly sensitive Rh41 rhabdomyosarcoma. BMS-754807 (25 mg/kg) also shows synergy when combined with targeted agents in human tumor cell lines and human xenograft models[1]. Furthmore, BMS-754807 is active at doses from 3 mg/kg upward in the IGF-Sal tumor model[2]. BMS-754807 (25 mg/kg, p.o.) induces significant differences in EFS distribution compared to controls in 18 of 32 evaluable solid tumor xenografts (56%) tested, but in none of the ALL xenografts studied[3].