

# **BMS-754807**

Catalog No: tcsc0608

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

**Specifications** 

CAS No:

1001350-96-4

Formula:

C<sub>23</sub>H<sub>24</sub>FN<sub>9</sub>O

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**)



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)<sup>[1]</sup>

*In Vitro:* BMS-754807 effectively inhibits the growth of a broad range of human tumor cell lines with IC<sub>50</sub> 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<sub>50</sub>s of 7 and 5 nM, respectively. BMS-754807 shows inhibitory activity in the proliferation of Rh41 cells with IC<sub>50</sub> of 5 nM<sup>[1]</sup>. BMS-754807 inhibits the phosphorylation of IGF-1R (IC<sub>50</sub>=13 nM) and the downstream targets Akt (IC<sub>50</sub>=22 nM) and MAPK (IC<sub>50</sub>=13 nM) in the IGF-Sal cell line with IC<sub>50</sub> consistent with the antiproliferative IC<sub>50</sub> (7 nM) in this cell line<sup>[2]</sup>. BMS-754807 shows a median EC<sub>50</sub> value of 0.62  $\mu$ M against the PPTP cell lines. The median EC<sub>50</sub> for the four Ewing sarcoma cell lines is less than that for the remaining PPTP cell lines (0.19  $\mu$ M vs. 0.78  $\mu$ M, P=0.0470)<sup>[3]</sup>. BMS-754807 (0.25 and 0.5  $\mu$ M) 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  $\mu$ M) 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<sub>50</sub> of 1.08  $\mu$ M and 76  $\mu$ M, respectively<sup>[4]</sup>.

*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<sup>[1]</sup>. Furthmore, BMS-754807 is active at doses from 3 mg/kg upward in the IGF-Sal tumor model<sup>[2]</sup>. 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<sup>[3]</sup>.





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