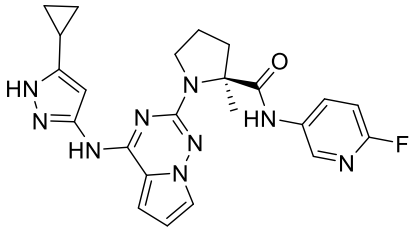
Product data sheet

MedKoo Cat#: 200534 Name: BMS-754807 CAS#: 1001350-96-4 Chemical Formula: $C_{23}H_{24}FN_9O$ Exact Mass: 461.20878 Molecular Weight: 461.49	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

BMS-754807 is an orally bioavailable antagonist of human insulin-like growth factor type I receptor (IGF-1R) with potential antineoplastic activity. IGF-1R antagonist BMS-7548077 binds to IGF-1R, preventing IGF-1 ligand binding and activation of IGF-1R-mediated signaling pathways; inhibition of IGF-1R-mediated signaling pathways may result in the inhibition of tumor cell proliferation and the induction of tumor cell apoptosis. IGF-1R is a receptor tyrosine kinase expressed on most tumor cells and is involved in mitogenesis, angiogenesis, and tumor cell survival.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	74.0	160.35
DMF	30.0	65.01
Ethanol	61.0	132.18
Ethanol:PBS (pH 7.2) (1:1)	0.5	1.08

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	2.17 mL	10.83 mL	21.67 mL
5 mM	0.43 mL	2.17 mL	4.33 mL
10 mM	0.22 mL	1.08 mL	2.17 mL
50 mM	0.04 mL	0.22 mL	0.43 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Fuentes-Baile M, Ventero MP, Encinar JA, García-Morales P, Poveda-Deltell M, Pérez-Valenciano E, Barberá VM, Gallego-Plazas J, Rodríguez-Lescure Á, Martín-Nieto J, Saceda M. Differential Effects of IGF-1R Small Molecule Tyrosine Kinase Inhibitors BMS-754807 and OSI-906 on Human Cancer Cell Lines. Cancers (Basel). 2020 Dec 11;12(12):3717. doi: 10.3390/cancers12123717. PMID: 33322337; PMCID: PMC7763458.

2. Xue L, Chen F, Yue F, Camacho L, Kothapalli S, Wei G, Huang S, Mo Q, Ma F, Li Y, Jiralerspong S. Metformin and an insulin/IGF-1 receptor inhibitor are synergistic in blocking growth of triple-negative breast cancer. Breast Cancer Res Treat. 2021 Jan;185(1):73-84. doi: 10.1007/s10549-020-05927-5. Epub 2020 Sep 17. PMID: 32940848; PMCID: PMC7855212.

In vivo study

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1. Carboni JM, Wittman M, Yang Z, Lee F, Greer A, Hurlburt W, Hillerman S, Cao C, Cantor GH, Dell-John J, Chen C, Discenza L, Menard K, Li A, Trainor G, Vyas D, Kramer R, Attar RM, Gottardis MM. BMS-754807, a small molecule inhibitor of insulin-like growth factor-1R/IR. Mol Cancer Ther. 2009 Dec;8(12):3341-9. doi: 10.1158/1535-7163.MCT-09-0499. PMID: 19996272.

7. Bioactivity

Biological target:

BMS-754807 is a potent and reversible IGF-1R/IR inhibitor (IC50=1.8 and 1.7 nM, respectively; Ki=<2 nM for both).

In vitro activity

The results shown in Figure 2 illustrate that the decrease in the percentage of viable cells after treatment with 10 μM BMS (BMS754807) or OSI in different glioblastoma, colon and pancreatic carcinoma cell lines was quite different for the two inhibitors, with the result that BMS had a stronger inhibitory effect on cell growth in almost all cell lines tested as compared with OSI. Indeed, several cell lines were resistant to OSI but were inhibited by BMS, which was especially evident for the three glioblastoma primary cultures and the IMIM-PC-2 pancreatic carcinoma cell line. In general, 10 μM OSI inhibited cell growth by 10–40%, whereas the same concentration of BMS caused a 40–80% inhibition, depending on the cell line.

Reference: Cancers (Basel). 2020 Dec; 12(12): 3717. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7763458/

In vivo activity

BMS-754807 is active in vivo in multiple (epithelial, mesenchymal, and hematopoietic) xenograft tumor models with tumor growth inhibition ranging from 53% to 115% and at a minimum effective dose of as low as 6.25 mg/kg dosed orally daily.

Reference: Mol Cancer Ther. 2009 Dec;8(12):3341-9. https://pubmed.ncbi.nlm.nih.gov/19996272/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.