

## Produktinformation



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Zellkultur & Verbrauchsmaterial
Diagnostik & molekulare Diagnostik
Laborgeräte & Service

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# Product Information



### BMS 754807

Item No. 17505

CAS Registry No.: Formal Name:	1001350-96-4 1-[4-[(5-cyclopropyl-1H-pyrazol-3-yl) amino]pyrrolo[2,1-f][1,2,4]triazin-2-yl]-	H-N
	N-(6-fluoro-3-pyridinyl)-2-methyl-(2S)-2- pyrrolidinecarboxamide	H
MF:	C <sub>23</sub> H <sub>24</sub> FN <sub>9</sub> O	
FW:	461.5	
Purity:	≥98%	
Stability:	≥2 years at -20°C	
Supplied as:	A crystalline solid	$F \sim \left( \begin{array}{c} N \\ N \end{array} \right) \sim \left( \begin{array}{c} N \\ N \end{array} \right)$
UV/Vis.:	λ <sub>max</sub> : 244, 312 nm	

#### Laboratory Procedures

For long term storage, we suggest that BMS 754807 be stored as supplied at -20°C. It should be stable for at least two years.

BMS 754807 is supplied as a crystalline solid. A stock solution may be made by dissolving the BMS 754807 in the solvent of choice. BMS 754807 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of BMS 754807 in these solvents is approximately 30 mg/ml.

BMS 754807 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BMS 754807 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. BMS 754807 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

BMS 754807 is a reversible, orally bioavailable dual inhibitor of insulin-like growth factor 1 receptor (IGF-1R) and insulin receptor (InsR) tyrosine kinases (IC<sub>50</sub>s = 1.8 and 1.7 nM, respectively).<sup>1,2</sup> It has minimal effect against an array of other tyrosine and serine/threonine kinases.<sup>1</sup> BMS 754807 inhibits cell proliferation or induces apoptosis in a variety of cancer cells in vitro.<sup>2</sup> It inhibits the growth of tumor xenografts in mice and this effect is often enhanced by combination therapy with other chemotherapeutics.<sup>2-4</sup> Predictive biomarkers, including elevated IGF-1R expression, for effectiveness of BMS 754807 have been delineated.5

#### References

- 1. Wittman, M.D., Carboni, J.M., Yang, Z., et al. Discovery of a 2,4-disubstituted pyrrolo-[1,2-f][1,2,4]triazine inhibitor (BMS-754807) of insulin-like growth factor receptor (IGF-1R) kinase in clinical development. J. Med. Chem. 52, 7630-7363 (2009).
- Carboni, J.M., Wittman, M., Yang, Z., et al. BMS-754807, a small molecule inhibitor of insulin-like growth factor-2. 1R/IR. Mol. Cancer Ther. 8(12), 3341-3349 (2009).
- 3. Awasthi, N., Zhang, C., Ruan, W., et al. BMS-754807, a small-molecule inhibitor of insulin-like growth factor-1 receptor/insulin receptor, enhances gemcitabine response in pancreatic cancer. Mol. Cancer Ther. 11(12), 2644-2653 (2012).
- 4. Dayyani, F., Parikh, N.U., Varkaris, A.S., et al. Combined inhibition of IGF-1R/IR and Src family kinases enhances antitumor effects in prostate cancer by decreasing activated survival pathways. PLoS One 7(12), 1-10 (2012).
- 5. Huang, F., Chang, H., Greer, A., et al. IRS2 copy number gain, KRAS and BRAF mutation status as predictive biomarkers for response to the IGF-1R/IR inhibitor BMS-754807 in colorectal cancer cell lines. Mol. Cancer Ther. 14(2), 620-630 (2014).

#### **Related Products**

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WARNING: This product is for laboratory research only: not for administration to humans. Not for human or veterinary DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFETY DATA

This material should be considered hazardous until information to the contrary becomes available. Do not ingest, swallow, or inhale. Do not get in eyes, on skin, or on clothing. Wash thoroughly after handling. This information contains some, but not all, of the information required for the safe and proper use of this material. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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