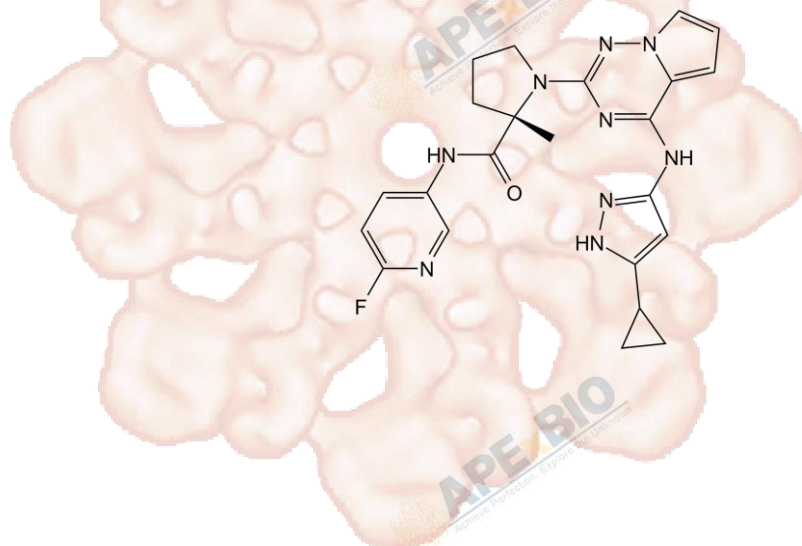


Product Data Sheet

BMS-754807

Cat. No.:	A1185
CAS No.:	1001350-96-4
Formula:	C23H24FN9O
M.Wt:	461.49
Synonyms:	
Target:	Tyrosine Kinase
Pathway:	IGF1R
Storage:	Store at -20°C



Solvent & Solubility

≥23.05 mg/mL in DMSO; insoluble in H₂O; ≥27.75 mg/mL in EtOH

In Vitro

Preparing Stock Solutions	Mass			
	Solvent Concentration	1mg	5mg	10mg
	1 mM	2.1669 mL	10.8345 mL	21.6689 mL
	5 mM	0.4334 mL	2.1669 mL	4.3338 mL
	10 mM	0.2167 mL	1.0834 mL	2.1669 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary

IGF-1R/InsR inhibitor,potent and selective

IC₅₀ & Target

1.8 nM (IGF-1R), 1.7 nM (InsR)

In Vitro

Cell Viability Assay

Cell Line:	IGF-1R-Sal, Rh41 and Geo cells
Preparation method:	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.
Reacting conditions:	1 μM, (72 hours for cell proliferation inhibition; 1 hour for phosphorylation

		inhibition
	Applications:	Cell proliferation was evaluated by incorporation of 3H-thymidine into DNA after exposure of cells to BMS-754807 with concentrations from 0.1 to 1000 nM. BMS-754807 inhibited cell proliferation with IC50 values of 7, 5 and 365 nM for IGF-1R-Sal, Rh41 and Geo cells, respectively. The IC50 values for inhibition of the pIGF-1R by BMS-754807 and downstream components (e.g., pAkt) were very similar. In contrast, there was greater inhibition against pMAPK in IGF-1R-Sal cells compared with Rh41 and Geo, indicating that additional compensatory pathways such as EGFR might be important in driving signals in both Rh41 and Geo cell types.
In Vivo	Animal experiment	
	Animal models:	Nude mice bearing various tumor xenografts (Sal-IGF, GEO, Colo205, JLN3, Rh41 or RD1)
	Dosage form:	Oral administration, 0.01 mL/g of body weight
	Applications:	BMS-754807 inhibited tumor growth in a selected group of epithelial (IGF-1R-Sal, GEO and Colo205), hematopoietic (JLN3) and mesenchymal (RD1 and Rh41) xenograft tumor models with TGI ranging from 53% to 115%. In the highly sensitive Rh41 rhabdomyosarcoma, BMS-754807 was effective at a dose level of 3.125 mg/kg twice daily and as low as 6.25 mg/kg once daily.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

See more customer validations on www.apexbt.com.

References

[1] Carboni J M, Wittman M, Yang Z, et al. BMS-754807, a small molecule inhibitor of insulin-like growth factor-1R/IR. Molecular Cancer Therapeutics, 2009, 8(12): 3341-3349.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APEX BIO products are stable

under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.



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