Product Data Sheet

Chemical Properties

**Product Name:** Brivanib (BMS-540215)  
**Cas No.:** 649735-46-6  
**M.Wt:** 370.38  
**Formula:** C19H19FN4O3

**Chemical Name:** (2R)-1-[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-5-methylpyrrolo[2,1-f][1,2,4]triazin-6-yl]oxypropan-2-ol  
**Canonical SMILES:** CC1=CC2=C(N1)C=CC(=C2F)OC3=NC=NN4C3=C(C(=C4)OCC(C)O)C

**Solubility:** >18.5mg/mL in DMSO  
**Storage:** Store at -20°C

**General tips:** For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

**Shopping Condition:** Evaluation sample solution: ship with blue ice  
All other available size: ship with RT, or blue ice upon request

Biological Activity

**Targets:** Tyrosine Kinase  
**Pathways:** VEGFR

**Description:** Brivanib is an ATP competitive inhibitor of human VEGFR-2 with IC50 value of 25nM [1]. Brivanib is a selective RTK inhibitor that targets signaling via VEGFR2 and 3, and FGFR1, 2 and 3. Targeting both VEGF and FGF signaling pathways inhibits tumor growth in RT2 mice, with VEGF signaling predominating in initiation of tumor angiogenesis. Currently, brivanib therapy is being evaluated in phase III clinical trials in colorectal carcinoma and hepatocellular carcinoma (HCC).
and in phase II trials for numerous indications including brivanib second-line therapy following sorafenib failure [2].

Brivanib has moderate potency against VEGFR-1 and FGFR-1 and good selectivity against PDGFR-β. In the preclinical in vivo mouse models, it is also found to be a good inhibitor of Flk-1, the mouse homologue of VEGFR-2 with IC50 value of 89 nM. Brivanib is reported to inhibit the proliferation of human umbilical vein endothelial cells (HUVEC) with potency against VEGF-stimulated HUVECs and FGF-stimulated HUVECs. The IC50 values are 40 nM and 276nM respectively. When tested against human tumor cell lines, Brivanib shows lower antiproliferative potency. In particular, activity was low against the cell line used in the in vivo tumor xenograft mouse efficacy model (H3396) [1].

Reference:


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 ApexBio 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.