PRODUCT INFORMATION



Brivanib

Item No. 23690

CAS Registry No.: Formal Name:	649735-46-6 (2R)-1-[[4-[(4-fluoro-2- methyl-1H-indol-5-yl)oxy]-5- methylpyrrolo[2,1-f][1,2,4]triazin- 6-yl]oxy]-2-propanol	
Synonym:	BMS 540215	
MF:	$C_{19}H_{19}FN_4O_3$	
FW:	370.4	F
Purity:	≥95%	N T T
UV/Vis.:	λ _{may} : 221, 241 nm	, OH
Supplied as:	A crystalline solid	\sim_N \sim \sim
Storage:	-20°C	
Stability:	≥4 years	
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Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Brivanib is supplied as a crystalline solid. A stock solution may be made by dissolving the brivanib in the solvent of choice, which should be purged with an inert gas. Brivanib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of brivanib in ethanol is approximately 3 mg/ml and approximately 33 mg/ml in DMSO and DMF.

Brivanib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, brivanib should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Brivanib has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Brivanib is an ATP-competitive inhibitor of human vascular endothelial growth factor receptors (VEGFRs) 1 and 2 and fibroblast growth factor receptor 1 (FGFR1; IC₅₀s = 380, 25, and 148 nM, respectively, for the human recombinant proteins).¹ It is selective for VEGFR1 and 2 and FGFR1 over PDGFR β , EGFR, LCK, PKC α , and JAK3 (IC₅₀s = >1,900 nM), however, it also inhibits recombinant mouse Flk1 with an IC₅₀ value of 89 nM. In vitro, brivanib inhibits VEGF- and FGF-stimulated proliferation of human umbilical vein endothelial cells (HUVECs) with IC₅₀ values of 40 and 276 nM, respectively. In vivo, brivanib inhibits tumor growth by 85% and 97% when administered at 60 and 90 mg/kg p.o., respectively, for 10 days in an H3396 breast cancer mouse xenograft model. Brivanib (25 mg/kg per day for 7 days, p.o.) inhibits bile duct ligation-induced liver fibrosis in mice and increases expression of PDGF β , PDGFR β , TGF- β 1, TGF- β R2, FGF2, FGFR2, and VEGFR2 mRNAs.²

References

- 1. Bhide, R.S., Cai, Z.W., Zhang, Y.Z., et al. Discovery and preclinical studies of (R)-1-(4-(4-fluoro-2-methyl-1H-indol-5-yloxy)-5- methylpyrrolo[2,1-f][1,2,4]triazin-6-yloxy)propan- 2-ol (BMS-540215), an in vivo active potent VEGFR-2 inhibitor. J. Med Chem. 49(7), 2143-2146 (2006).
- 2. Nakamura, I., Zakharia, K., Banini, B.A., et al. Brivanib attenuates hepatic fibrosis in vivo and stellate cell activation in vitro by inhibition of FGF, VEGF and PDGF signaling. PLoS One 9(4), e92273 (2014).

WARNING THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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