SZABO SCANDIC

Part of Europa Biosite

Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

Weitere Information auf den folgenden Seiten!
See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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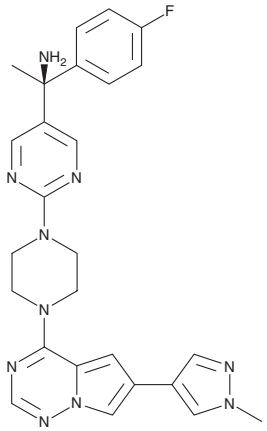
PRODUCT INFORMATION

BLU-285

Item No. 22985



CAS Registry No.:	1703793-34-3
Formal Name:	(αS)-(4-fluorophenyl)-α-methyl-2-[4-[6-(1-methyl-1H-pyrazol-4-yl)pyrrolo[2,1-f][1,2,4]triazin-4-yl]-1-piperazinyl]-5-pyrimidinemethanamine
Synonym:	Avapritinib
MF:	$C_{26}H_{27}FN_{10}$
FW:	498.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 254, 309 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

BLU-285 is supplied as a crystalline solid. A stock solution may be made by dissolving the BLU-285 in the solvent of choice. BLU-285 is soluble in organic solvents such as ethanol and DMSO, which should be purged with an inert gas. The solubility of BLU-285 in these solvents is approximately 3 and 66 mg/ml, respectively.

Description

BLU-285 is a dual inhibitor of KIT receptor and platelet-derived growth factor receptor α (PDGFRα) tyrosine kinases with activating loop mutations (IC_{50}s = 0.27 and 0.24 nM for KIT^{D816V} and $PDGFR\alpha^{D842V}$, respectively).[1] It is >150-fold selective for KIT^{D816V} and $PDGFR\alpha^{D842V}$ over a kinase panel at a concentration of 3 µM. BLU-285 also has activity against a panel of KIT and PDGFRα loop mutants identified in patients with gastrointestinal stromal tumors (GISTs; IC_{50}s = <100 nM). It inhibits growth of cancer cell lines containing wild-type and mutant KIT and PDGFRα, demonstrating increased potency in cell lines expressing KIT and PDGFRα with activating loop mutations. BLU-285 (0.3-30 mg/kg) reduces tumor volume in a P815 KIT^{D814Y} mastocytoma allograft mouse model and a GIST patient-derived mouse xenograft model in a dose-dependent manner. Formulations containing BLU-285 have been used to treat KIT- and PDGFRα-driven malignancies.

Reference

1. Evans, E.K., Gardino, A.K., Kim, J.L., *et al.* A precision therapy against cancers driven by KIT/PDGFRA mutations. *Sci. Transl. Med.* **9(414)**, eaao1690 (2017).

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