

PRODUCT INFORMATION

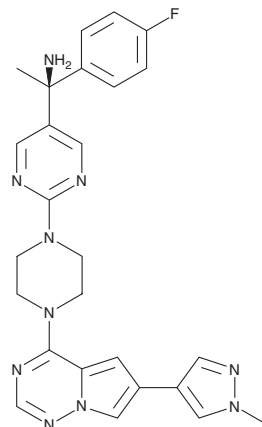


BLU-285

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: C₂₆H₂₇FN₁₀
FW: 498.6
Purity: ≥98%
UV/Vis.: λ_{max}: 254, 309 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 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, which should be purged with an inert gas. BLU-285 is soluble in organic solvents such as ethanol and DMSO. 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 (IC₅₀s = 0.27 and 0.24 nM for KIT^{D816V} and PDGFRα^{D842V}, respectively).¹ It is >150-fold selective for KIT^{D816V} and PDGFRα^{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; IC₅₀s = <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/PDGFRα mutations. *Sci. Transl. Med.* **9**(414), eaao1690 (2017).

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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