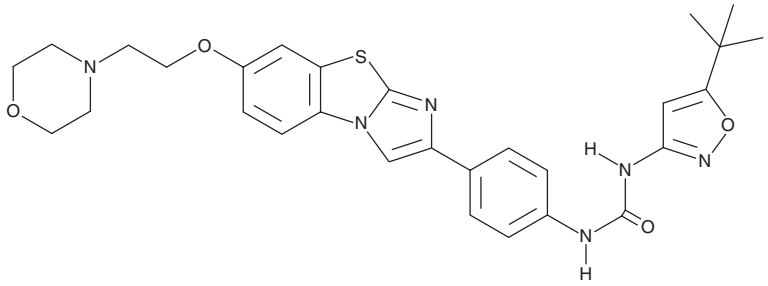
PRODUCT INFORMATION

Quizartinib

Item No. 17986

CAS Registry No.: 950769-58-1
Formal Name: N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[4-[7-[2-(4-morpholinyl)ethoxy]imidazo[2,1-b]benzothiazol-2-yl]phenyl]-urea
Synonym: AC220
MF: $C_{29}H_{32}N_6O_4S$
FW: 560.7
Purity: ≥98%
UV/Vis.: λ_{max}: 295 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

Quizartinib is supplied as a crystalline solid. A stock solution may be made by dissolving the quizartinib in the solvent of choice, which should be purged with an inert gas. Quizartinib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of quizartinib in these solvents is approximately 0.25, 30, and 50 mg/ml, respectively.

Quizartinib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, quizartinib should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Quizartinib has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Quizartinib is an inhibitor of FMS-related tyrosine kinase 3 (FLT3; K_d = 1.6 nM) and demonstrates high selectivity for FLT3 when tested against a panel of 227 additional kinases.[1,2] It inhibits the proliferation of the human leukemia cell line MV4-11, which harbors a homozygous FLT3-ITD mutation, with an IC_{50} value of 0.56 nM.[1] At 1 mg/kg/day, quizartinib has been shown to extend survival in a mouse model of FLT3-ITD acute myeloid leukemia and to eradicate tumors in an FLT3-dependent mouse xenograft model at 10 mg/kg.[2]

References

1. Chao, Q., Sprankle, K.G., Grotzfeld, R.M., *et al.* Identification of N-(5-tert-butyl-isoxazol-3-yl)-N'-{4-[7-(2-morpholin-4-yl-ethoxy)imidazo[2,1-b][1,3]benzothiazol-2-yl]phenyl}urea dihydrochloride (AC220), a uniquely potent, selective, and efficacious FMS-like tyrosine kinase-3 (FLT3) inhibitor. *J. Med. Chem.* **52(23)**, 7808-7816 (2009).
2. Zarrinkar, P.P., Gunawardane, R.N., Cramer, M.D., *et al.* AC220 is a uniquely potent and selective inhibitor of FLT3 for the treatment of acute myeloid leukemia (AML). *Blood* **114(14)**, 2984-2992 (2009).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM