

## Product Specification Sheet

**Product Name:** AC220 (Quizartinib)

**Catalog Number:** C2222

### Technical information:

Chemical Formula: C<sub>29</sub>H<sub>32</sub>N<sub>6</sub>O<sub>4</sub>S

CAS #: 950769-58-1

Molecular Weight: 560.67

Purity: > 98%

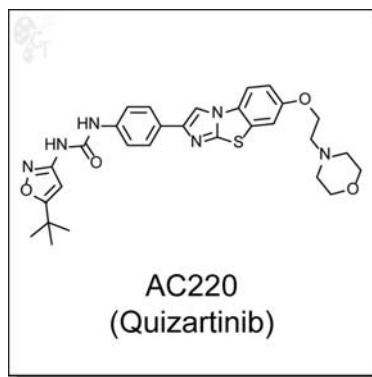
Appearance: White solid

Solubility: Soluble in DMSO up to 100mM

Chemical Name: Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[4-[7-[2-(4-morpholinyl)ethoxy]imidazo[2,1-b]benzothiazol-2-yl]phenyl]-

Storage: Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.

Shelf Life: In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.



- Handling:**
- To make 10 mM stock solution, add 0.178mL of DMSO for each mg of AC220 (Quizartinib)
  - For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

**Biological Activity:** AC220 (Quizartinib) is an orally-available, imidazobenzothiazole-based inhibitor of Flt3, with an in vitro K<sub>d</sub> of 2 nM. In vitro cell studies show that AC220 has a IC<sub>50</sub> of 0.5 nM for MV-411 cells. [1] In a variety of leukemic cell lines, AC220 inhibited Flt3 phosphorylation of MV4-11, MOLM-14, SEM-K2, and RS4-11 at IC<sub>50</sub>s of 1, 2, 4, and 4 nM, respectively. Furthermore, inhibition of cell proliferation on these same lines were measured as 0.3, 0.1, 0.4, and >10000 nM, respectively. [2]

In a KinomeScan panel of 402 kinases, AC220 has a high degree of specificity toward Flt3 with only mild inhibition of closely related RTKs such as KIT, PDGFR, RET, VEGFR2. [3]

In a Flt3-ITD acute myeloid leukemia mouse model, AC220 was shown to extend significantly the survival at 1 mg/kg QD dosing, and eradicates tumors in a Flt3-dependent mouse xenograft model at 10 mg/kg. [3]

- Reference:**
1. Belli et al., AC220, a uniquely potent and selective FLT3 inhibitor, enhances the cytotoxic effects of chemotherapeutic agents in cell culture and in mouse tumor xenografts. *Ambit Biosciences ASH Poster*, 2009, Abstract 2052.
  2. Gunawardane et al., INHIBITION OF FLT3 SIGNALING BOTH IN VITRO AND IN VIVO BY AC220, A SECOND GENERATION POTENT AND SELECTIVE FLT3 INHIBITOR. *Ambit Biosciences AACR Poster*, 2010, Abstract 3619.
  3. Zarrinkar et al., AC220 is a uniquely potent and selective inhibitor of FLT3 for the treatment of acute myeloid leukemia (AML). *Blood* 2009, 114(14), 2984-2992. Pubmed ID: 19654408

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