PRODUCT INFORMATION



LFM-A13

Item No. 10010265

CAS Registry No.: 244240-24-2

Formal Name: 2Z-cyano-N-(2,5-dibromophenyl)3-

hydroxy-2-butenamide

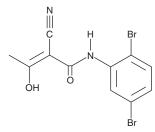
MF: $C_{11}H_8Br_2N_2O_2$

FW: 360.0 ≥98% **Purity:**

 λ_{max} : 219, 292 nm A crystalline solid UV/Vis.: Supplied as:

Storage: -20°C Stability: ≥4 vears

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



Laboratory Procedures

LFM-A13 is supplied as a crystalline solid. A stock solution may be made by dissolving the LFM-A13 in the solvent of choice, which should be purged with an inert gas. LFM-A13 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of LFM-A13 in these solvents is approximately 10 and 20 mg/ml, respectively.

LFM-A13 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, LFM-A13 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. LFM-A13 has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

LFM-A13 is an inhibitor of Bruton's tyrosine kinase (BTK; $IC_{50} = 2.5 \mu M$).¹ It is selective for BTK over JAK1, JAK3, IRK, EGFR, and HCK (IC $_{50}$ s = >278 μ M for all), as well as a panel of seven serine/threonine and 10 tyrosine kinases as well as one lipid kinase (IC $_{50}$ s = >200-500 μ M), but does inhibit polo-like kinase 3 (PLK3; K $_{i}$ = 7.2 μ M) and *Xenopus* PLK1 (Plx1; IC $_{50}$ = 10 μ M). ^{2,3} LFM-A13 increases anti-FAS antibody-induced apoptosis in NALM-6-UM-1 acute lymphoblastic leukemia (ALL) cells.¹ It reduces tumor growth in an MMTV/neu transgenic mouse model of breast cancer when administered at a dose of 50 mg/kg.3

References

- 1. Vassilev, A., Ozer, Z., Navara, C., et al. Bruton's tyrosine kinase as an inhibitor of the Fas/CD95 death-inducing signaling complex. J. Biol. Chem. 274(3), 1646-1656 (1999).
- 2. Mahajan, S., Ghosh, S., Sudbeck, E.A., et al. Rational design and synthesis of a novel anti-leukemic agent targeting Bruton's tyrosine kinase (BTK), LFM-A13 [α-cyano-β-hydroxy-β-methyl-N-(2,5-dibromophenyl) propenamide]. J. Biol. Chem. 274(14), 9587-9599 (1999).
- 3. Uckun, F.M., Dibirdik, I., Qazi, S., et al. Anti-breast cancer activity of LFM-A13, a potent inhibitor of polo-like kinase (PLK). Bioorg. Med. Chem. 15(2), 800-814 (2007).

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

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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