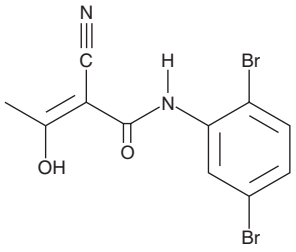
PRODUCT INFORMATION

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
Purity:	≥98%
UV/Vis.:	λ_{max}: 219, 292 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

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 µM).[1] It is selective for BTK over JAK1, JAK3, IRK, EGFR, and HCK (IC_{50}s = >278 µM 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 µM), but does inhibit polo-like kinase 3 (PLK3; K_i = 7.2 µM) and *Xenopus* PLK1 (Plx1; IC_{50} = 10 µM).[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).

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