

# Product data sheet



MedKoo Cat#: 401531 Name: LFM-A13 CAS: 244240-24-2 Chemical Formula: C <sub>11</sub> H <sub>8</sub> Br <sub>2</sub> N <sub>2</sub> O <sub>2</sub> Exact Mass: 357.8953 Molecular Weight: 360.005	
Product supplied as: Powder	
Purity (by HPLC): ≥ 98%	
Shipping conditions: Ambient temperature	
Storage conditions: Powder: -20°C 3 years; 4°C 2 years. In solvent: -80°C 3 months; -20°C 2 weeks.	

## 1. Product description:

LFM-A13 is a potent and selective inhibitor of Bruton's tyrosine kinase (BTK). LFM-A13 may be useful as a new class of chemosensitizing and apoptosis-promoting antileukemic agents for treatment of patients with chemotherapy-resistant B-lineage leukemias or lymphomas.

## 2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

## 3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMF	20.0	55.55
DMF:PBS (pH 7.2) (1:2)	0.3	0.83
DMSO	26.0	72.22

## 4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.78 mL	13.89 mL	27.78 mL
5 mM	0.56 mL	2.78 mL	5.56 mL
10 mM	0.28 mL	1.39 mL	2.78 mL
50 mM	0.06 mL	0.28 mL	0.56 mL

## 5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

## 6. Recommended literature which reported protocols for in vitro and in vivo study

### In vitro study

- Bam R, Ling W, Khan S, Pennisi A, Venkateshaiah SU, Li X, van Rhee F, Usmani S, Barlogie B, Shaughnessy J, Epstein J, Yaccoby S. Role of Bruton's tyrosine kinase in myeloma cell migration and induction of bone disease. *Am J Hematol*. 2013 Jun;88(6):463-71. doi: 10.1002/ajh.23433. Epub 2013 Mar 28. PMID: 23456977; PMCID: PMC3971999.
- van den Akker E, van Dijk TB, Schmidt U, Felida L, Beug H, Löwenberg B, von Lindern M. The Btk inhibitor LFM-A13 is a potent inhibitor of Jak2 kinase activity. *Biol Chem*. 2004 May;385(5):409-13. doi: 10.1515/BC.2004.045. PMID: 15196000.

### In vivo study

- Sahin K, Tuzcu M, Yabas M, Orhan C, Sahin N, Ozercan IH. LFM-A13, a potent inhibitor of polo-like kinase, inhibits breast carcinogenesis by suppressing proliferation activity and inducing apoptosis in breast tumors of mice. *Invest New Drugs*. 2018 Jun;36(3):388-395. doi: 10.1007/s10637-017-0540-2. Epub 2017 Nov 15. PMID: 29139009.
- Uckun FM, Dibirdik I, Qazi S, Vassilev A, Ma H, Mao C, Benyumov A, Emami KH. Anti-breast cancer activity of LFM-A13, a potent inhibitor of Polo-like kinase (PLK). *Bioorg Med Chem*. 2007 Jan 15;15(2):800-14. doi: 10.1016/j.bmc.2006.10.050. Epub 2006 Oct 26. PMID: 17098432.

# Product data sheet



## 7. Bioactivity

### Biological target:

---

LFM-A13 is a potent BTK, JAK2, PLK inhibitor, inhibits recombinant BTK, Plx1 and PLK3 with IC50s of 2.5  $\mu$ M, 10  $\mu$ M and 61  $\mu$ M.

### In vitro activity

---

Human osteoclast precursors also expressed BTK and cell-surface CXCR4 and migrated toward SDF-1. LFM-A13 suppressed migration and differentiation of osteoclast precursors as well as bone-resorbing activity of mature osteoclasts.

Reference: Am J Hematol. 2013 Jun;88(6):463-71. <https://pubmed.ncbi.nlm.nih.gov/23456977/>

### In vivo activity

---

At the molecular level, the administration of LFM-A13 hindered mammary gland carcinoma development by regulating the expression of PLK1, cell cycle-regulating proteins cyclin D1, cyclin dependent kinase-4 (CDK-4), and the CDK inhibitor, p21. Moreover, LFM-A13 treatment upregulated the levels of I $\kappa$ B, the pro-apoptotic proteins Bax, and caspase-3, and down-regulated p53 and the antiapoptotic protein Bcl-2 in mammary tumors.

Reference: Invest New Drugs. 2018 Jun;36(3):388-395. <https://pubmed.ncbi.nlm.nih.gov/29139009/>

*Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.*