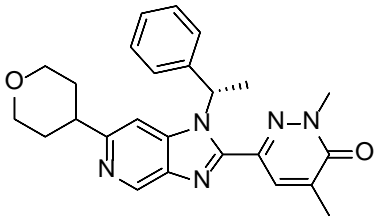


# Product data sheet



MedKoo Cat#: 206845 Name: BI-894999 CAS#: 1660117-38-3 Chemical Formula: C <sub>25</sub> H <sub>27</sub> N <sub>5</sub> O <sub>2</sub> Exact Mass: 429.2165 Molecular Weight: 429.52		
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:

BI-894999 is a potent and selective BET inhibitor potentially useful for the treatment of hematological malignancies by repression of super-enhancer driven oncogenes. BI-894999 is a highly potent drug, particularly in hematological cell lines (n>40) such as MM, AML and lymphoma. BI 894999 treatment leads to G1 arrest and subsequent apoptosis. BI 894999 is found to regulate a very distinct set of genes. As already known.

## 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
DMSO	86.0	200.22
Ethanol	86.0	200.22

## 4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.33 mL	11.64 mL	23.28 mL
5 mM	0.47 mL	2.33 mL	4.66 mL
10 mM	0.23 mL	1.16 mL	2.33 mL
50 mM	0.05 mL	0.23 mL	0.47 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

- Gerlach D, Tontsch-Grunt U, Baum A, Popow J, Scharn D, Hofmann MH, Engelhardt H, Kaya O, Beck J, Schweifer N, Gerstberger T, Zuber J, Savarese F, Kraut N. The novel BET bromodomain inhibitor BI 894999 represses super-enhancer-associated transcription and synergizes with CDK9 inhibition in AML. *Oncogene*. 2018 May;37(20):2687-2701. doi: 10.1038/s41388-018-0150-2. Epub 2018 Mar 1. PMID: 29491412; PMCID: PMC5955861.

### In vivo study

- Gerlach D, Tontsch-Grunt U, Baum A, Popow J, Scharn D, Hofmann MH, Engelhardt H, Kaya O, Beck J, Schweifer N, Gerstberger T, Zuber J, Savarese F, Kraut N. The novel BET bromodomain inhibitor BI 894999 represses super-enhancer-associated transcription and synergizes with CDK9 inhibition in AML. *Oncogene*. 2018 May;37(20):2687-2701. doi: 10.1038/s41388-018-0150-2. Epub 2018 Mar 1. PMID: 29491412; PMCID: PMC5955861.

## 7. Bioactivity

Biological target:

# Product data sheet



BI 894999 is a BET inhibitor with IC50s of 5 nM and 41 nM for the binding of BRD4-BD1 and BRD4-BD2 to acetylated histones, respectively.

## In vitro activity

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Treatment of MV-4-11B cells with BI 894999 for 72 h led to induction of a G1 arrest with an increase in cells in sub-G1, indicative for apoptosis (Suppl. Figure 2). Significant inhibition of proliferation was observed at concentrations of 10 nM BI 894999. Dose-dependent apoptosis peaked at around 40 h after the start of treatment (Suppl. Figure 3A, B).

Reference: Oncogene. 2018 May;37(20):2687-2701. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC5955861/>

## In vivo activity

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For in vivo studies, BI 894999 was administered at daily oral doses of 2 or 4 mg/kg to mice engrafted intravenously with human MV-4-11B AML cells (Suppl. Table 5). This treatment resulted in tumor growth inhibition (TGI) of 96 or 99% and survival prolongation of 29.5 or 52 days, respectively (Fig. 2a).

Reference: Oncogene. 2018 May;37(20):2687-2701. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC5955861/>

*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.*