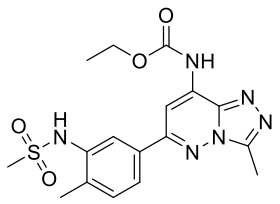
Product data sheet

MedKoo Cat#: 406459 Name: Bromosporine CAS#: 1619994-69-2 Chemical Formula: $C_{17}H_{20}N_6O_4S$ Exact Mass: 404.12667 Molecular Weight: 404.4435	
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:
Bromosporine is a broad spectrum inhibitor for bromodomains and as such will be very useful in elucidating further biological roles of reader domains as well as a tool for the validation of functional assays. Proteins that contain BRDs have been implicated in the development of a large variety of diseases, including various cancers, inflammatory diseases and neurological diseases and the therapeutic potential of bromodomain inhibition has been shown in several of these diseases, such as HIV, cancer and inflammation.

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	41.04	101.47
DMSO:PBS (pH 7.2) (1:1)	0.5	1.24
DMF	30.0	74.18
Ethanol	0.25	0.62

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	2.47 mL	12.36 mL	24.73 mL
5 mM	0.49 mL	2.47 mL	4.95 mL
10 mM	0.25 mL	1.24 mL	2.47 mL
50 mM	0.05 mL	0.25 mL	0.49 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

1. Cheng X, Huang Z, Long D, Jin W. BET inhibitor bromosporine enhances 5-FU effect in colorectal cancer cells. Biochem Biophys Res Commun. 2020 Jan 22;521(4):840-845. doi: 10.1016/j.bbrc.2019.11.009. Epub 2019 Nov 7. PMID: 31708100.
2. Pan H, Lu P, Shen Y, Wang Y, Jiang Z, Yang X, Zhong Y, Yang H, Khan IU, Zhou M, Li B, Zhang Z, Xu J, Lu H, Zhu H. The bromodomain and extraterminal domain inhibitor bromosporine synergistically reactivates latent HIV-1 in latently infected cells. Oncotarget. 2017 Oct 6;8(55):94104-94116. doi: 10.18632/oncotarget.21585. PMID: 29212213; PMCID: PMC5706859.

In vivo study

1. Cheng X, Huang Z, Long D, Jin W. BET inhibitor bromosporine enhances 5-FU effect in colorectal cancer cells. Biochem Biophys Res Commun. 2020 Jan 22;521(4):840-845. doi: 10.1016/j.bbrc.2019.11.009. Epub 2019 Nov 7. PMID: 31708100.

7. Bioactivity

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Biological target:

Bromosporine is a broad spectrum inhibitor for bromodomains with IC50 of 0.41 μM, 0.29 μM, 0.122 μM and 0.017 μM for BRD2, BRD4, BRD9 and CECR2, respectively.

In vitro activity

After treating with 2.5 μM bromosporine for 72h, the percentage of GFP-expressing cells was measured by flow cytometry, which represented the expression of HIV-1 LTR-driven GFP. The percentage of GFP-positive cells increased to 85.6% as compared to mock treatment (Figure 1B). In addition, dose- and time-dependent effects of bromosporine on HIV-1 reactivation were also observed in C11 cells (Figure 1C and 1D) (Supplementary Figure 1). As shown in Figure 1C, the percentage of GFP-positive cells dramatically raised from 6.88% to 87.7% as the concentration of bromosporine increased from 0.1 μM to 2.5 μM. And as shown in Figure Figure1D, after C11 cells were treated with 2.5 μM bromosporine, the percentage of GFP-positive cells increased as a function of time.

Reference: Oncotarget. 2017 Nov 7; 8(55): 94104–94116. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC5706859/

In vivo activity

Following the in vitro effect of 5-FU with bromosporine, the effect of the drugs together as well as individual exposures were studied in the mouse model administered the drugs as described in the materials section. The combinatorial approach was found to inhibit the tumor growth of the HCT116 xenograft against individual drugs (Fig. 4A). This was further confirmed by data from body weight with an absence of higher toxicity (Fig. 4B). Tumor protein analysis revealed that cleaved caspase 3 was significantly increased in combination treatment group (Fig. 4C). These findings show that the synergistic action of the drug combination of bromosporine with 5-FU in vivo.

Reference: Biochem Biophys Res Commun. 2020 Jan 22;521(4):840-845. https://pubmed.ncbi.nlm.nih.gov/31708100/