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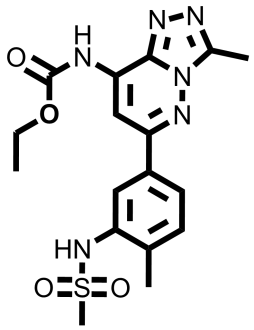
Pan-bromodomain Inhibitor – Bromosporine

Chemical Name: ethyl (3-methyl-6-(4-methyl-3-(methylsulfonamido)phenyl)-[1,2,4]triazolo[4,3-b]pyridazin-8-yl)carbamate



Molecular Weight:	404.44
Formula:	$C_{17}H_{20}N_6O_4S$
Purity:	≥98%
CAS#:	1619994-69-2
Solubility:	DMSO up to 100 mM
Storage	Powder: 4 ºC 1 year DMSO: 4 ºC 3 month -20 ºC 1 year

Biological Activity:

Bromosporine is a broad spectrum inhibitor for bromodomains with IC_{50} of 0.41 μM, 0.29 μM, 0.122 μM and 0.017 μM for BRD2, BRD4, BRD9 and CECR2, respectively. In cell-based assays, Bromosporine (1 μM) accelerates FRAP recovery of BRD4 and CREBBP, while shows no activities against TIF1α, BAZ2A, and SMARCA2 (at 10 μM). Bromosporine shows moderate cytotoxicity in HeLa cells at 18 μM. It is a very useful chemical probe for bromodomain functional assays.

How to Use:

In vitro: Bromosporine (1 μM) accelerates FRAP recovery of BRD4 and CREBBP, while shows no activities against TIF1α, BAZ2A, and SMARCA2 even at 10 μM. Bromosporine (18 μM) has moderate cytotoxicity in HeLa cells.

In vivo: n/a

Reference:

1. 15th HELLENIC SYMPOSIUM OF MEDICINAL CHEMISTRY.
2. Turky A, Bayoumi AH, Ghiaty A, El-Azab AS, A-M Abdel-Aziz A, Abulkhair HS. Design, synthesis, and antitumor activity of novel compounds based on 1, 2, 4-triazolophthalazine scaffold: Apoptosis-inductive and PCAF-inhibitory effects. Bioorg Chem. 2020 Aug;101:104019.