

WWW.PROBECHEM.COM

Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Cat. No. CAS No. Molecular Formula Molecular Weight Target	 MS645 PC-35423 2250091-96-2 C₄₈H₅₄Cl₂N₁₀O₂S₂ 938.048 Bromodomain 10 mM in DMSO 	
--	--	--

Biological Activity

MS645 (MS-645) is a novel, bivalent bromodomain (BRD) inhibitor with Ki of 18.4 nM for tandem BD1-BD2 (**BRD4 BD1/BD2**), shows12- to 28-fold gain in affinity for binding to the tandem BD1-BD2 over the single BD1 or BD2. MS645 shows comparable potency to the tandem BD1-BD2 of BRD2 and BRD3 as to that of BRD4. MS645 inhibits the transcription of BRD4 target gene IL-6 in MDA-MB-231 cells with 70% inhibition at 20 nM, much higher

than 20-30% inhibition by JQ1 and MS417. MS645 potently inhibits cell growth of a panel TNBC with IC50 of 4-20 nM, blocks BRD4 binding to transcription enhancer/mediator proteins MED1 and YY1 with potency superior to monovalent BET inhibitors JQ1.

References

Ren C, et al. Proc Natl Acad Sci U S A. 2018 Jul 16. pii: 201720000.

Caution: Product has not been fully validated for medical applications. Lab Use Only! E-mail: tech@probechem.com