

BRD2-1 (His)

(Bromodomain containing protein 2 (RING3), bromodomain 1)

CATALOG NO.: RD-11-155

LOT NO.:

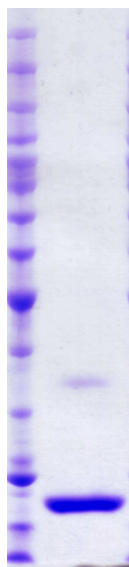
DESCRIPTION: Human recombinant BRD2, bromodomain-1 (residues 71-194; Genbank Accession # NM_005104; MW = 17.4 kDa) expressed in *E. coli* with an N-terminal His-tag. BRD2, like other human members of the BET family of chromatin-binding proteins (BRD3, BRD4, BRDT), comprises two bromodomains (see reviews^{1,2}), protein modules that bind ϵ -N-acetyllysine residues^{3,4}. When overexpressed in 293 cells, BRD2, along with BRD3, binds the hyperacetylated chromatin of transcribed genes, regions enriched in acetylated histone H4 lysine-5 (H4K5Ac), H4K12Ac, H3K14Ac, but deficient in H4K16Ac and H3K9me⁵. A single H4K5AcK12Ac peptide can bind two copies of BRD2-2 (BRD2, bromodomain 2), each interacting with one of the two acetylated lysines⁶. In an *in vitro* RNA polymerase II transcription system, binding of either BRD2 or BRD3 to a chromatin template assembled with hyperacetylated histones enabled transcription through the nucleosomes⁵. Further, BRD2 displayed histone chaperone activity, catalyzing the transfer of histone octamers from hyperacetylated oligonucleosomes to a labeled 190 bp 5s rDNA fragment⁵. Like BRD4, BRD2 is a ubiquitously expressed⁷ transcriptional regulator⁸ and atypical protein kinase⁹, with functions in cell cycle progression⁸ and embryogenesis^{10,11}. BRD2 binds preferentially to hyperacetylated histone H4 in H2A.Z-containing nucleosomes and this interaction is required for activation of androgen receptor (AR)-regulated genes in prostate cancer cells¹². In addition to prostate cancer, leukemia is a potential indication for specific BRD2 inhibition^{9,13}. BRD2 suppresses HIV transcription in latently infected cells and may therefore represent a target in therapeutic strategies involving viral reactivation¹⁴.

PURITY: >90% by SDS-PAGE

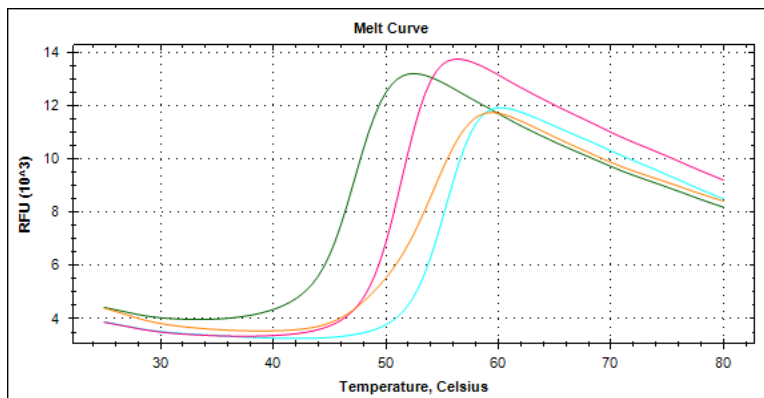
SUPPLIED AS: $_ \mu\text{g}/\mu\text{L}$ in 50 mM Tris/HCl, pH 7.5, 500 mM NaCl, 1.0 mM TCEP, 10% glycerol (v/v) as determined by OD₂₈₀

STORAGE: -70°C. Thaw quickly and store on ice before use. The remaining, unused, undiluted protein should be snap frozen, for example in a dry/ice ethanol bath or liquid nitrogen. Minimize freeze/thaws if possible, but very low volume aliquots (<5 μL) or storage of diluted enzyme is not recommended.

REFERENCES: 1) B. Florence & D.V. Faller *Front. Biosci.* 2001 **6** D1008; 2) S.-Y. Wu & C.-M. Chiang *J. Biol. Chem.* 2007 **282** 13141; 3) D.J. Owen *et al. EMBO J.* 2000 **19** 6141; 4) L. Zeng & M.-M. Zhou *FEBS Lett.* 2002 **513** 124; 5) G. LeRoy *et al. Mol. Cell* 2008 **30** 51; 6) T. Umehara *et al. FEBS Lett.* 2010 **584** 3901; 7) K. Rhee *et al. J. Cell Sci.* 1998 **111** 3541; 8) G.V. Denis *et al. Cell Growth Differ.* 2000 **11** 417; 9) G.V. Denis & M.R. Green *Genes Dev.* 1996 **10** 261; 10) A. Gyuris *et al. Biochim. Biophys. Acta* 2009 **1789** 413; 11) E. Shang *et al. Dev. Dyn.* 2009 **238** 908; 12) R. Draker *et al. PLOS Genetics* 2012 **8** e1003047; 13) R.J. Greenwald *et al. Blood* 2004 **103** 1475; 14) D. Boehm *et al. Cell Cycle* 2013 **12** 1



Coomassie blue stained SDS-PAGE (4-12% acrylamide) of 4 μg of RBC BRD2-1 (His). MW markers (left lane) are, from top, 220, 160, 120, 100, 90, 80, 70, 60, 50, 40, 30, 25, 20, 15 & 10 kDa.



Differential Scanning Fluorimetry of RBC BRD2 - 1 (His) in the presence or absence of bromodomain ligands. Thermal denaturation of BRD2-1 (His) is detected (CFX384 TMTouch thermal cycler, 'FRET' channel; BioRad) by increased binding and fluorescence of the dye SYPRO®Orange (Life Technologies). Addition of 25 μM JQ1 (orange), PF11 (pink), or BET151 (light blue) stabilizes the protein folding and shifts the T_m (inflection point) from 47°C to 54°C, 51.5°C, or 55.5°C, respectively.

This product is not intended for therapeutic or diagnostic use in animals or in humans.

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