REACTION BIOLOGY

PRODUCT DATASHEET

BRD2-2 (His) (Bromodomain containing protein 2 (RING3), bromodomain 2)

CATALOG NO.: RD-11-145 **LOT NO.:**

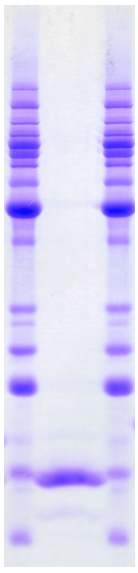
DESCRIPTION: Human recombinant BRD2, bromodomain-2 (residues 344-454; Genbank Accession # NM_005104; MW = 15.7 kDa) expressed in *E. coli* with an N-terminal His-tag. BRD2, like other human members of the BET family of chromatin-binding proteins (BRD3, BRD4, BRDT), comprises two bromodomains (see reviews[1,2]), 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 H3K9me[5]. A single H4K5AcK12Ac peptide can bind two copies of BRD2-2 (BRD2, bromodomain 2), each interacting with one of the two acetylated lysines[6]. 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 nucleosomes[5]. Further, BRD2 displayed histone chaperone activity, catalyzing the transfer of histone octamers from hyperacetylated oligonucleosomes to a labeled 190 bp 5s rDNA fragment[5]. Like BRD4, BRD2 is a ubiquitously expressed[7] transcriptional regulator[8] and atypical protein kinase[9], with functions in cell cycle progression[8] 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 cells[12]. 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 reactivation[14].

PURITY: >80% by SDS-PAGE

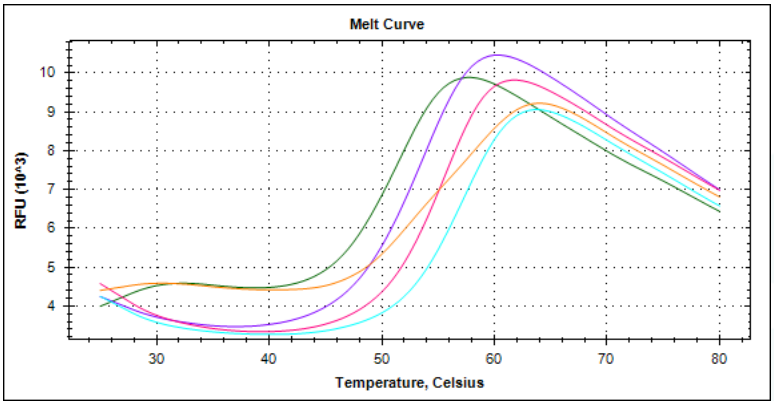
SUPPLIED AS: _ µg/µL in 20 mM Tris pH 7.5, 150 mM NaCl, 1.0 mM TCEP, 10% glycerol (v/v) as determined by OD_{280}

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 (16% acrylamide) of 2 µg of RBC BRD2-2 (His). MW markers (flanking lanes) are, from top, 220, 160, 120, 100, 90, 80, 70, 60, 50, 40, 30, 25, 20, 15 & 10 kDa.



Differential Scanning Fluorimetry of RBC BRD2-2 (His) in the presence or absence of bromodomain ligands. Thermal denaturation of BRD2-2 (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), PFI1 (pink), BET151 (light blue), or RVX-208 (purple) stabilizes the protein folding and shifts the Tm (inflection point) from 51.5°C to 58°C, 55.5°C, 57.5°C, or 54°C respectively.

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