

## SET7/9 (SETD7)

**CATALOG NO.:** HMT-11-133

**LOT NO.:**

**DESCRIPTION:** Human recombinant, full-length SET7/9 (residues 2-366; Genbank Accession # NM\_030648) expressed as an N-terminal GST-fusion protein in *E. coli* with a C-terminal His-tag. MW = 68.5 kDa. Catalyzes the transfer of methyl groups from S-adenosyl-L-methionine (SAM) to the  $\epsilon$ -amino function of protein L-lysine residues (monomethylation). SET7/9 (SETD7) is a SET domain-containing histone (lysine) methyltransferase, specific for monomethylation<sup>1,2</sup>. SET7/9 was originally described as a histone H3 lysine-4 (H3K4) methyltransferase<sup>3,4</sup>. There is evidence that SET7/9 can produce this mark (H3K4me) and thereby promote transcriptional activation *in vivo*<sup>4,5</sup>. However, its *in vitro* activity with nucleosomes is poor relative to that with peptide substrates or recombinant histone H3<sup>3,4</sup> (see also figure below). Recent work has shown SET7/9 to function in the regulation and methylation of multiple non-histone regulatory factors including p53<sup>6</sup>, p65/RelA<sup>7,8</sup>, pRB<sup>9</sup>, TAF10<sup>10</sup>, SIRT1<sup>11</sup>, DNMT1<sup>12</sup>, the androgen receptor (AR)<sup>13</sup>, the farnesoid X receptor (FXR)<sup>14</sup> and estrogen receptor  $\alpha$  (ER)<sup>15</sup>. SET7/9 action as a coactivator for AR and its promotion of androgen-dependent cell proliferation suggests it as a potential target for prostate cancer therapy<sup>13</sup>.

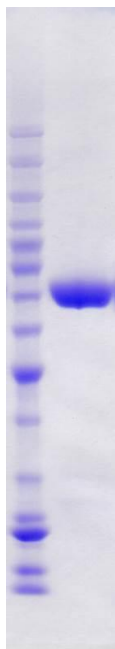
**PURITY:** >90% by SDS-PAGE.

**ASSAY CONDITIONS:** RBC's SET7/9 displays histone methyltransferase activity, at enzyme concentrations of 0.4 nM and above, 30°C, with chicken core histones and recombinant human histone H3.3. Reaction conditions are: 50 mM Tris-HCl, pH 8.5, 50 mM NaCl, 5 mM MgCl<sub>2</sub>, 1 mM DTT, 1 mM PMSF, 0.05 mg/mL chicken core histones or 1  $\mu$ M histone H3.3, 1  $\mu$ M [<sup>3</sup>H]-SAM.

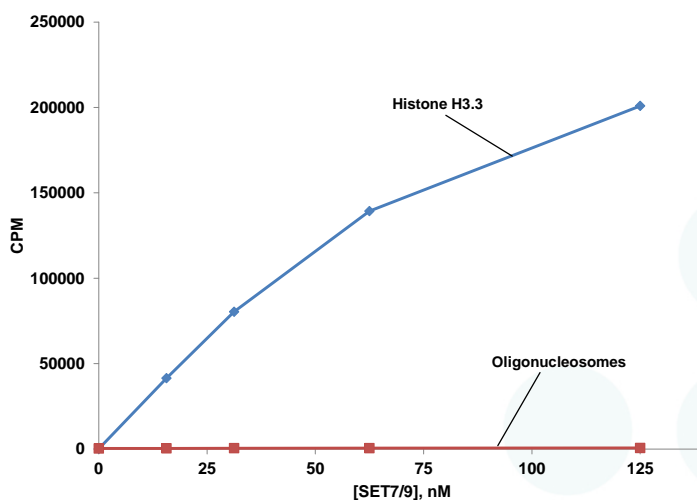
**SUPPLIED AS:** \_\_\_ $\mu$ g/ $\mu$ l in 50 mM Tris/HCl, pH 8.0, 500 mM NaCl, 2 mM TCEP, 10% (v/v) glycerol as determined by OD<sub>280</sub>

**STORAGE:** -70°C. Thaw quickly and store on ice before use. The remaining, unused, undiluted enzyme should be refrozen quickly by, for example, snap freezing in a dry/ice ethanol bath or liquid nitrogen. Freezing and storage of diluted enzyme is not recommended.

**REFERENCES:** 1) J.R. Wilson *et al. Cell* 2002 **111** 105; 2) B. Xiao *et al. Nature* 2003 **421** 652; 3) H. Wang *et al. Mol. Cell* 2001 **8** 1207; 4) K. Nishioka *et al. Genes Dev.* 2002 **16** 479; 5) L. Chen *et al. PLOS Genetics* 2012 **8** e1002571; 6) S. Chuikov *et al. Nature* 2004 **432** 353; 7) C.K. Ea & D. Baltimore *Proc. Natl. Acad. Sci. USA* 2009 **106** 18972; 8) X.D. Wang *et al. EMBO J.* 2009 **28** 1055; 9) S. Munro *et al. Oncogene* 2010 **29** 2357; 10) A. Kouskouti *et al. Mol. Cell* 2004 **14** 175; 11) X. Liu *et al. Proc. Natl. Acad. Sci. USA* 2011 **108** 1925; 12) P.O. Esteve *et al. Proc. Natl. Acad. Sci. USA* 2009 **106** 5076; 13) L. Gaughan *et al. Nucleic Acids Res.* 2011 **39** 1266; 14) N. Balasubramanian *et al. Am. J. Physiol. Gastrointest. Liver Physiol.* 2012 **302** G937; 15) K. Subramanian *et al. Mol. Cell* 2008 **30** 336



**Coomassie blue stained SDS-PAGE (4-12% acrylamide) of 4  $\mu$ g of purified RBC SET7/9.** MW markers (left) are, from top, 220, 160, 120, 100, 90, 80, 70, 60, **50**, 40, 30, 25, **20**, 15 & 10 kDa.



**Methyltransferase Activity of SET7/9.** Methylation determined as TCA-precipitable counts in a scintillation/filter plate assay. Reactions were 60 min., 30°C, with 1  $\mu$ M [<sup>3</sup>H]-SAM and 1  $\mu$ M recombinant Histone H3.3 (RBC Cat.# HMT-11-134) or HeLa oligonucleosomes (RBC Cat.# HMT-35-130) as substrates.

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

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