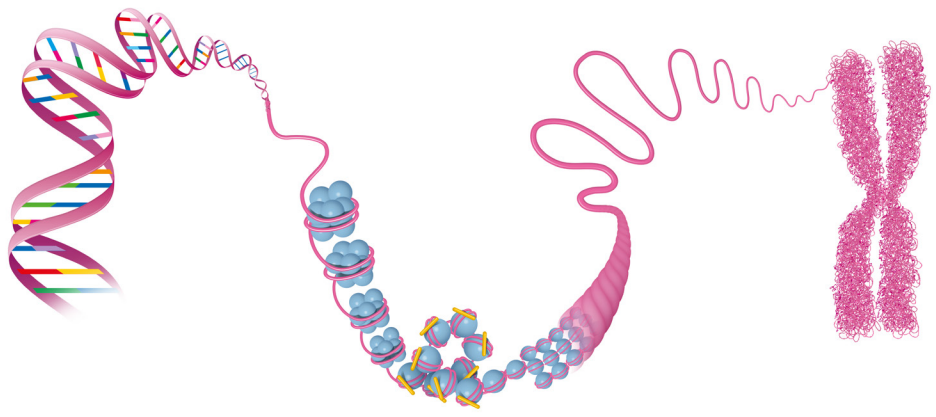
Bristol Myers Squibb™

Lysine-Specific Demethylase-1 (LSD1) Fact Sheet

Gene Expression and Epigenetics

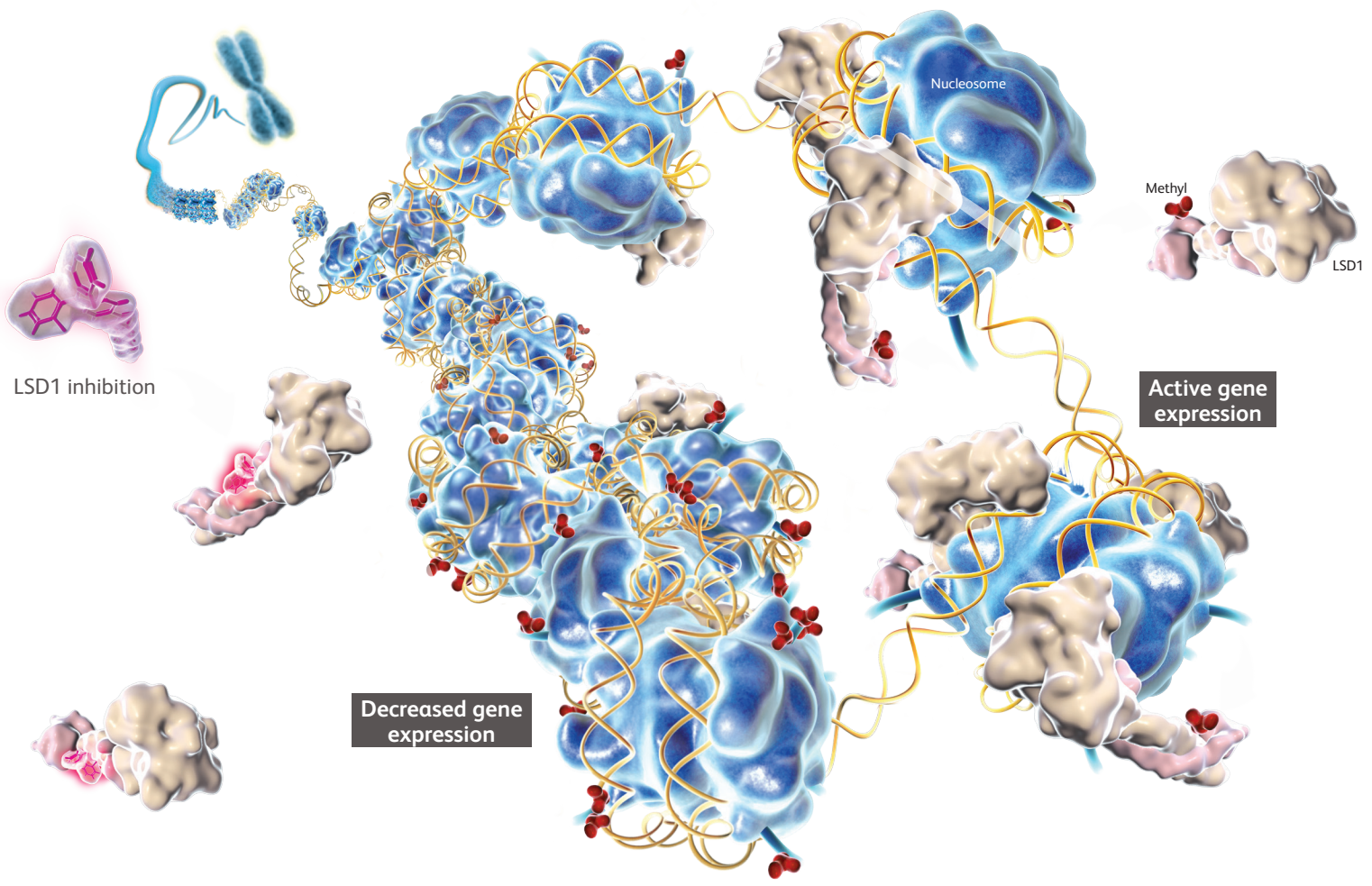
Control of gene expression is critical for normal development and health. Epigenetics can determine which genes are expressed, as related to cell type and physiological conditions, including in disease states.[1]

Control of gene expression can be affected by epigenetic enzymes which add, bind to or remove ("erase") modifications on histones.[2]



LSD1 and Gene Regulation

LSD1 is an epigenetic eraser capable of removing certain chemical compounds called methyl groups from histones. LSD1 can decrease gene expression by demethylating Histone H3 on lysine 4, or activate gene expression through demethylation of Histone H3 on lysine 9. Lysines are amino acids found within histone proteins.[3,4]



LSD1 and Cancer

Preclinical studies suggest that LSD1 inhibition may alter gene expression and cell proliferation, resulting in a reduction in tumor growth.[5-7] LSD1 may also regulate stem cell biology and thus tumor cell resistance to therapy.[8-11]

Clinical Implications

Researchers are exploring use of epigenetic modifiers like LSD1 inhibitors to affect tumor cell growth and potentially cancer stem cell biology in ongoing clinical studies. Using appropriate combinations with LSD1 inhibitors, cancer growth and disease progression may be decreased.

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