Aberrations in the epigenetic landscape are a hallmark of cancer. Alterations in enzymes that are “writers,” “erasers,” or “readers” of histone modification marks are common. Bromodomains are “readers” that bind acetylated lysines in histone tails. Their most important function is the regulation of gene transcription by the recruitment of different molecular partners. Moreover, proteins containing bromodomains are also epigenetic regulators, although little is known about the specific function of these domains. In recent years, there has been increasing interest in developing small molecules that can target specific bromodomains. First, this has helped clarify biological functions of bromodomain-containing proteins. Secondly, it opens a new front for combatting cancer. In this review we will describe the structures and mechanisms associated with Bromodomain and Extra-Terminal motif (BET) inhibitors and non-BET inhibitors, their current status of development, and their promising role as anti-cancer agents [1)].

1)
Pérez-Salvia M, Esteller M. Bromodomain inhibitors and cancer therapy: From structures to applications. Epigenetics. 2017 May 4;12(5):323-339. doi: 10.1080/15592294.2016.1265710. Epub 2016 Dec 2. Review. PubMed PMID: 27911230; PubMed Central PMCID: PMC5453193.