Selectively Targeting of BD1 and BD2 of the BET Proteins in Cancer and Immunoinflammation
Science 2020, 368, 387–394.

Selectively Binding a Bromodomain

Significance: The BET (bromo- and extraterminal) family of proteins are epigenetic readers, modulate gene expression, and are attractive anticancer targets. The human BET proteins contain two highly homologous bromodomains, BD1 and BD2, equally bound by classical inhibitors. Selective inhibitors enable studies on the individual functions of BD1 and BD2.

Comment: Prinjha, Dawson, and co-workers developed very selective inhibitors for BD1 (iBET-BD1) and BD2 (iBET-BD2), complementing recently developed ABBV-744 (Nature 2020, 578, 306). They show that BD1 inhibition replicates the effect of pan-BET inhibitors in cancer models, whereas BD2 inhibition is more effective in models of immunoinflammation.

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