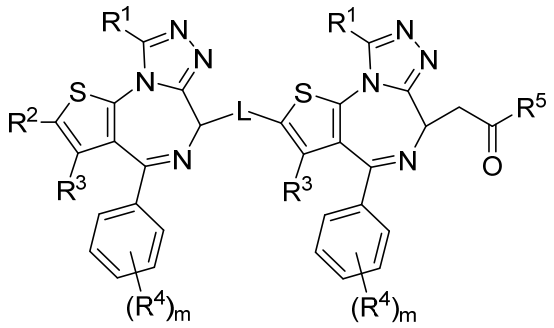
ABSTRACT

BIVALENT BROMODOMAIN INHIBITORS AND USES THEREOF

The present invention provides bivalent inhibitors of BET bromodomains, such as compounds of Formulae (**I**), (**II**), (**III**), (**IV**), (**V**), and (**VI**). Some bromdomain-containing proteins (*e.g.*, BRD4) have a tandem bromodomain primary structure comprising more than one bromodomain binding site (*e.g.*, BRD4 comprises BD1 and BD2). Bivalent inhibitors of BET bromodomains provided herein can target bromodomains through advantageous multivalent interactions, and can therefore can be used to treat diseases or conditions associated with bromodomain-containing proteins. The present also provides pharmaceutical compositions and kits comprising the inventive compounds, as well as methods of using the inventive compounds.



(**I**)

(Figure 1d)