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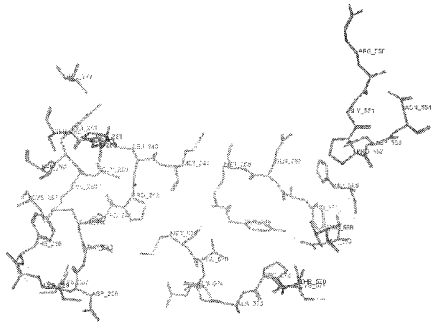
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(54) **Title**: METHODS AND COMPOSITIONS OF TARGETED DRUG DEVELOPMENT



Binding site at the interface of Domain II and Domain IV of the Inactive Form of EGFr (1NQL.pdb)

FIG. 1

(57) **Abstract**: Provided herein are compounds having anti-proliferative effect. Also provided are compounds that can modulate the activity of multi-domain proteins comprising a dimerization arm and interdomain tether, such as EGFR, where an untethered, extended conformation is the active state and a tethered conformation is the inactive state, resulting in an autoinhibited configuration. Also provided are methods and pharmacophores for identifying such compounds. Other aspects provide methods or therapeutic treatment for proliferative diseases, disorders, or conditions, such as those associated with EGFR.

WO 2011/085126 A2