

# Development of the first-in-class anti-cancer leading compounds blocking growth factor receptors based on the mechanism of target-protein degradation



| ONCOLOGY                 | Lead   |
|--------------------------|--|
| Product Type             | TPD  |
| Indication               | TKI-resistant or anti-EGFR antibody-resistant solid cancers expressing mutant growth factor receptors (tyrosine kinase receptors)  |
| Target                   | Primary target: molecular motor MYO1D; Final target: wild-type & mutant growth factor receptors, such as EGFR, Her2, c-Met, VEGFR1, and VEGFR2; Molecule binding protein: Ecm29  |
| MoA(Mechanism of Action) | The molecular motor MYO1D holds wild-type and mutant GFRs in the plasma membrane. MYO1D inhibitor (MYO1Di) binds with Ecm29 to recruit MYO1D to the Ecm29/E3-ligase/26S proteasome complex for degrading MYO1D like a molecular glue, and thereby leads to disintegration of several GFRs, such as EGFR, HER2, c-Met, and VEGFR1&2 from plasma membrane and subsequent their degradation, which finally block the generation of the GFR-induced oncogenic signals. |
| Competitiveness          | This first-in-class compound could be used as a novel combinatorial therapeutic agent with existing anti-cancer agents to suppress the progression of solid tumors, which showed therapeutic resistance to existing TKI or corresponding monoclonal antibody agents due to expression of mutant growth factor receptors.   |
| Development Stage        | Lead   |
| Route of Administration  | IV/PO  |

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