

Novel Compounds that Target Her3

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INVENTION NOVELTY

UCSF researchers have developed a new class of small molecule ligands that specifically target HER3, a receptor tyrosine kinase implicated in numerous cancers.

VALUE PROPOSITION

ErbB family of receptor tyrosine kinases, have been implicated in a wide variety of solid tumors with mounting evidence for an important role of ErbB3/HER3. The HER2/HER3 dimer is implicated in aggressive forms of breast, ovarian, stomach, lung and uterine cancers, leading to increased disease recurrence, poor prognosis and drug resistance. Importantly, HER3 activation is associated with resistance against current therapeutic interventions against HER2 such as tyrosine kinase inhibitors and antibody therapies. Since HER3 has almost no enzymatic activity, it is difficult to target via traditional small molecule ATP inhibitors. This invention describes a new class of ligands that bind specifically to HER3 and form the basis causing degradation of the protein, which would be predicted to lead to a potent anti-cancer effect on HER3 dependent cell survival.

TECHNOLOGY DESCRIPTION

Researchers at University of California, San Francisco have identified a novel class of HER3 inhibitors that are ligands that selectively and efficiently bind to HER3 protein and can be used to trigger degradation of the protein. This treatment has the potential to overcome the limitations of previous generations of EGFR and HER2 inhibitors.

APPLICATION

This new class of small molecule drugs provides the following advantages: They have the ability to target HER3 and bind with high efficiency and selectivity. These compounds can be used in conjunction with current HER2 or other EGFR inhibitors to increase efficiency of chemotherapy and can be used to treat EGFR drug resistant tumors. They also have potential applications to counter resistance to other cancer therapies like anti-estrogen therapy for ER+ breast cancers, hormone therapy for prostate cancers, IGF1R inhibitor therapy for hepatomas and BRAF inhibitors for melanoma. There is also a high resolution X-ray co-crystal of the molecule bound to HER3 allowing further optimization and design of HER3 degraders

LOOKING FOR PARTNERS

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OTHER INFORMATION

KEYWORDS

cancer, small molecule drug,
EGFR, HER3, ErB tyrosine
kinase inhibitor

CATEGORIZED AS

- **Medical**
- **Disease: Cancer**

RELATED CASES

2016-009-0

To develop and commercialize this technology, as a clinical therapy for ErbB-dependent cancers.

STAGE OF DEVELOPMENT

Pre-Clinical

PATENT STATUS

Country	Type	Number	Dated	Case
United States Of America	Issued Patent	11,124,483	09/21/2021	2016-009
Belgium	Published Application			2016-009
Switzerland	Published Application			2016-009
Germany	Published Application			2016-009
European Patent Office	Published Application			2016-009
France	Published Application			2016-009
United Kingdom	Published Application			2016-009
Ireland	Published Application			2016-009

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