

AZN-009 for the Treatment of Breast Cancer

Overview

| Drug Name | AZN-009 | | |
|---------------------|--|--|--|
| Description | AZN-009, an orally available, pan-selective BET inhibitor, is in phase II clinical | | |
| | development for the treatment of patients with recurrent or metastatic triple- | | |
| | negative breast cancer in combination with talazoparib. | | |
| Target | BET Proteins | | |
| Drug Modality | Small Molecule | | |
| Indication | Breast Cancer | | |
| Product Category | New Molecular Entity | | |
| Mechanism of Action | Epigenetic Modifier Modulators | | |
| Status | Phase II | | |
| Patent | Granted | | |
| | | | |

Seeking Global Cooperation

Protheragen Inc. is actively seeking partnership for AZN-009. Potential collaboration can be strategic alliance, licensing, or marketing agreement.

We look forward to hearing from you.

Target

Bromodomain and Extraterminal Domain (BET) Proteins

Bromodomain and extraterminal domain (BET) proteins consists of four members (BRD2, BRD3, BRD4, and BRDT), each harboring two tandem amino-terminal bromodomains (BD1 and BD2) that bind selectively to acetylated lysine residues.

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BET proteins are located in the nucleus and regulate many cellular activities including gene transcription, DNA replication, cell-cycle progression, and, therefore, participate in tumor development, infections, autoimmunity, and inflammation. BET proteins regulate the expression of an array of genes by binding to highly acetylated histone tails at the promoters and enhancers of target genes and by recruiting transcriptional complexes including the super-elongation complex and the protein transcriptional elongation complex B.

Indication

Breast Cancer

Breast cancer is a type of cancer that specifically affects cells in the breast tissue. About 80% of breast cancers originate in the mammary ducts, while the remaining 20% originate in the lobules.

According to the World Health Organization, breast cancer is the most commonly diagnosed cancer in females worldwide, and the third most common cancer overall. In 2017, more than 1.96 million new cases of breast cancer were diagnosed worldwide. Based on incidence data from the Globocan 2008 database extrapolated to the projected world population in 2030, the World Economic Forum estimates that nearly 2.2 million new cases of breast cancer will be diagnosed worldwide in 2030.

According to the Global Burden of Disease (GBD) 2017 study, breast cancer claimed the lives of 601,000 women as well as 11,000 men worldwide in 2017, and incurred 17.7 million disability-adjusted life years (DALYs) in both sexes worldwide. Moreover, the breast cancer death toll continues to increase. However, in developed countries, breast cancer mortality rates have declined significantly in recent decades, largely due to the introduction of a multidisciplinary approach to management that involves diagnostic imaging, surgical resection, pathological analysis of resected lymph nodes and/or breast tissue and a treatment strategy based on the identification of the individual molecular subtype that may include surgery, radiation therapy, and/or systemic hormonal, biologic or chemotherapy.

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Although the rate of successful treatments for localized disease is currently quite high, with five-year survival rates more than 98% in countries such as the U.S., the natural course of the disease is still fatal. In spite of a high treatment success rate, breast cancer remains the number one cause of cancer death in women, and the fifth most common cause of cancer death in both sexes combined.

Mechanism of Action

Epigenetic Modifier Modulators

| Molecular Mechanism | Targeting Bromodomain and Extra-Terminal (BET) Proteins |
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Status

The Status of AZN-009

The international patent applications under the PCT have been granted.

| | Discovery/Optimization | Preclinical | Clinical |
|---------|------------------------|-------------|----------|
| AZN-009 | | | |

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