Modulating IRE1a/ß Kinase for Treatment of Unfolded Protein Response (UPR)-related Diseases

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INVENTION NOVELTY

This invention identifies a series of compounds which can selectively regulate the kinase activity of IRE1α and IRE1β, which are paralogous enzymes critical for the activation of the unfolded protein response (UPR) and that may have implications in cell-degenerative diseases such as diabetes, cancer, fibrosis, asthma, and retinitis pigmentosa.

VALUE PROPOSITION

The current technology for regulating IRE1α/IRE1β kinase activities are compounds that have poor oral bioavailability, solubility, and physiochemical characteristics. While these compounds are potent and selective, their chemical properties may not allow for an orally administered IRE1α/IRE1β kinase inhibition.

These novel compounds may have the following advantages:

- Equipotent and selective to existing IRE1α/IRE1β kinase inhibitors
- Increased **oral bioavailability**
- Increased **solubility**, **permeability** and **absorption**
- **Metabolically stable** series of compounds

TECHNOLOGY DESCRIPTION

Since activation of the UPR via IRE1α and/or IRE1β kinase promotes key cellular response to endoplasmic reticulum (ER) stress, inhibition of IRE1α/IRE1β activity has critical therapeutic implications in various UPR related and cell-degenerative diseases. However, current compounds for IRE1α/IRE1β inhibition have excellent chemical profiles but lack oral bioavailability. Researchers at the University of California, San Francisco have identified a novel series of compounds for selectively regulating IRE1α or IRE1β activity. These compounds may represent potent, selective and orally bioavailable IRE1α/IRE1β inhibitors.

LOOKING FOR PARTNERS

To develop & commercialize the technology as an effective treatment for cell-degenerative diseases such as such as diabetes, cancer, fibrosis, asthma/COPD, and retinitis pigmentosa

STAGE OF DEVELOPMENT

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OTHER INFORMATION

KEYWORDS

IRE1, Small molecule, Inhibitors, Unfolded protein response (UPR), Inflammatory disease, Cancer, Autoimmune disease, Fibrosis

CATEGORIZED AS

- **Medical**
  - Disease: Autoimmune and Inflammation
  - Disease: Cancer
  - Therapeutics

RELATED CASES

Preclinical

2018-121-0

DATA AVAILABILITY

Under CDA / NDA

PATENT STATUS

Country	Type	Number	Dated	Case
United States Of America	Issued Patent	12,391,669	08/19/2025	2018-121
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