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15LOX1 Inhibitor Formulation Determination For IV Administration

Tech ID: 33276 / UC Case 2022-800-0

BACKGROUND

Lipoxygenases catalyze the peroxidation of fatty acids which contain bisallylic hydrogens between two cis double bonds, such as in linoleic acid (LA) and arachidonic acid (AA). Lipoxygenases are named according to their product specificity with AA as the substrate because AA is the precursor of many active lipid metabolites that are involved in a number of significant disease states. The human genome contains six functional human lipoxygenases (LOX) genes (ALOX5, ALOX12, ALOX12B, ALOX15, ALOX15B, eLOX3) encoding for six different human LOX isoforms (h5-LOX, h12S-LOX, h12R-LOX, h15-LOX-1, h15-LOX-2, eLOX3, respectively).

The biological role in health and disease for each LOX isozyme varies dramatically, ranging from asthma to diabetes or stroke. The nomenclature of the LOX isozymes is loosely based on the carbon position (e.g., 5, 12, or 15) at which they oxidize arachidonic acid to form the corresponding hydroperoxyeicosatetraenoic acid (HpETE), which is reduced to the hydroxyeicosatetraenoic acid (HETE) by intracellular glutathione peroxidases. Lipoxygenase inhibitors are difficult to formulate due to challenges with solubility and other factors, therefore new formulations are needed.

TECHNOLOGY DESCRIPTION

Compositions for inhibiting lipoxygenase enzymes (e.g., human reticulocyte 12/15-lipoxygenase (12/15-LOX)) are described. In particular, these compositions inlcude solvents and a lipoxygenase inhibitor that is suspended in the solvents at 30 mg/ml or higher concentration. Examples include the I2/15-lipoxygenase inhibitor compound 27332 in a 10:90 Solutol/PEG400 composition, a 20:80 DMA/PEG400 composition, a 15/85 DMA/PEG400 composition, a 10/90 DMA/PEG400 composition, a 10/10/80 DMA EtOH PEG400 composition, a 20/80 DMSO/PEG400 composition, a diethylacetamide/PEG400 composition, a 10/90 Kolliphor EL/PEG400 composition, a 10/90 Soluplus/PEG400 composition, a 10/90 Capryol/PEG400 composition, a 10/90 Labrafil/PEG400 composition.

Other examples include ML351 in a 20/80 DMA/PEG400 composition, in a 20/80 diethylacetamide/PEG400 composition, and an Intralipid composition.

CONTACT

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INVENTORS

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OTHER INFORMATION

KEYWORDS 12/15-Lipoxygenase inhibitors, Solubility, 12/15-LOX, Formulation, Intravenous

CATEGORIZED AS

Medical

- Disease: Cancer
- Disease: Cardiovascular
- and Circulatory System
- Disease: Central Nervous
 System
- New Chemical Entities,
- Drug Leads
- ► Therapeutics

RELATED CASES 2022-800-0

APPLICATIONS

> Treatment for atherogenesis, diabetes, Alzheimer's, newborn periventricular leukomalacia, breast

cancer, and stroke

ADVANTAGES

Improved solubility of 12/15-LOX inhibitors.

INTELLECTUAL PROPERTY INFORMATION

Country	Туре	Number	Dated	Case
European Patent Office	Published Application	4558508	05/28/2025	2022-800

Additional Patent Pending

RELATED MATERIALS

RELATED TECHNOLOGIES

ML351 As Treatment For Stroke And Ischemic Brain Injury

ADDITIONAL TECHNOLOGIES BY THESE INVENTORS

- ▶ COMPOUNDS FOR MODULATING EPITHELIAL 15-(S)-LIPOXYGENASE-2 AND METHODS OF USE FOR SAME
- ML351 As Treatment For Stroke And Ischemic Brain Injury
- 15Lox1 Inhibitors For Stroke
- Novel Human 12-Lipoxygenase (Lox) Inhibitors

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