edited by Lucilla Leung

Active Ingredients

Bempedoic acid

Pharmacological Properties

Bempedoic acid is an adenosine triphosphate-citrate lyase (ACL) inhibitor that lowers low-density lipoprotein cholesterol (LDL-C) by inhibition of cholesterol synthesis in the liver. ACL is an enzyme upstream of 3-hydroxy-3-methyl-glutaryl-coenzyme A (HMG-CoA) reductase in the cholesterol biosynthesis pathway. Bempedoic acid and its active metabolite, ESP15228, require coenzyme A (CoA) activation by very long-chain acyl-CoA synthetase 1 (ACSVL1) to ETC-1002-CoA and ESP15228-CoA, respectively. ACSVL1 is expressed primarily in the liver. Inhibition of ACL by ETC-1002-CoA results in decreased cholesterol synthesis in the liver and lowers LDL-C in blood via upregulation of low-density lipoprotein receptors.

Indications

Nilemdo is indicated as an adjunct to diet and maximally tolerated statin therapy for the treatment of adults with heterozygous familial hypercholesterolemia or established atherosclerotic cardiovascular disease who require additional lowering of LDL-C.

Dosage Forms and Strengths

Each tablet contains 180 mg bempedoic acid.

Administration

The recommended dosage of Nilemdo, in combination with maximally tolerated statin therapy, is 180 mg administered orally once daily with or without food.

Contraindications

None.

Interactions

Simvastatin: Avoid concomitant use of Nilemdo with simvastatin greater than 20 mg.

Pravastatin: Avoid concomitant use of Nilemdo with pravastatin greater than 40 mg.

Adverse Reactions

Most common: upper respiratory tract infection, muscle spasms, hyperuricemia, back pain, abdominal pain or discomfort, bronchitis, pain in extremity, anemia, and elevated liver enzymes. Others include tendon rupture, gout, benign prostatic hyperplasia, atrial fibrillation.

Dosage Available

Nilemdo (bempedoic acid) tablets 180 mg bempedoic acid in the pack of 28's

Forensic classification

P1S1S3

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Active Ingredients

Bempedoic acid and Ezetimibe

Pharmacological Properties

Nustendi contains bempedoic acid and ezetimibe. Nustendi reduces elevated LDL-C through inhibition of cholesterol synthesis in the liver and absorption in the intestine.

Bempedoic acid is an adenosine triphosphate-citrate lyase (ACL) inhibitor that lowers low-density lipoprotein

cholesterol (LDL-C) by inhibition of cholesterol synthesis in the liver. ACL is an enzyme upstream of 3-hydroxy-3-methyl-glutaryl-coenzyme A (HMG-CoA) reductase in the cholesterol biosynthesis pathway. Bempedoic acid and its active metabolite, ESP15228, require coenzyme A (CoA) activation by very long-chain acyl-CoA synthetase 1 (ACSVL1) to ETC-1002-CoA and ESP15228-CoA, respectively. ACSVL1 is expressed primarily in the liver. Inhibition of ACL by ETC-1002-CoA results in decreased cholesterol synthesis in the liver and lowers LDL-C in blood via upregulation of low-density lipoprotein receptors.

Ezetimibe reduces blood cholesterol by inhibiting the absorption of cholesterol by the small intestine. The molecular target of ezetimibe has been shown to be the sterol transporter, Niemann-Pick C1-Like 1 (NPC1L1), which is involved in the intestinal uptake of cholesterol and phytosterols. Ezetimibe localizes at the brush border of the small intestine and inhibits the absorption of cholesterol, leading to a decrease in the delivery of intestinal cholesterol to the liver. This causes a reduction of hepatic cholesterol stores and an increase in LDL receptors, resulting in clearance of cholesterol from the blood.

Indications

Nustendi is indicated as an adjunct to diet and maximally tolerated statin therapy for the treatment of adults with heterozygous familial hypercholesterolemia or established atherosclerotic cardiovascular disease who require additional lowering of LDL-C.

Dosage Forms and Strengths

Each tablet contains 180 mg bempedoic acid / 10 mg ezetimibe.

Administration

The recommended dosage of Nustendi, in combination with maximally tolerated statin therapy, is one tablet (180 mg bempedoic acid and 10 mg ezetimibe) orally once daily with or without food. Swallow the tablet whole.

Coadministration with Bile Acid Sequestrants: Administer Nustendi either at least 2 hours before or at least 4 hours after bile acid sequestrants.

Contraindications

Nustendi is contraindicated in patients with a known hypersensitivity to ezetimibe tablets. Hypersensitivity reactions including anaphylaxis, angioedema, rash and urticaria have been reported with ezetimibe.

Interactions

Simvastatin: Avoid concomitant use of Nustendi with simvastatin greater than 20 mg. Pravastatin: Avoid concomitant use of Nustendi with pravastatin greater than 40 mg. Cyclosporine: Monitor cyclosporine concentrations in patients receiving Nustendi and cyclosporine.

Fibrates: If cholelithiasis is suspected in a patient receiving Nustendi and fenofibrate, gallbladder studies are indicated and consider alternative lipid-lowering therapy.

Cholestyramine: Administer Nustendi either at least 2 hours before or at least 4 hours after bile acid sequestrants.

Adverse Reactions

Most common: upper respiratory tract infection, muscle spasms, hyperuricemia, back pain, abdominal pain or discomfort, bronchitis, pain in extremity, anemia, elevated liver enzymes, diarrhea, arthralgia, sinusitis, fatigue, and influenza. Others include tendon rupture, gout, benign prostatic hyperplasia, atrial fibrillation.

Dosage Available

Nustendi (bempedoic acid and ezetimibe) tablets 180 mg bempedoic acid /10 mg ezetimibe in the pack of 28's

Forensic classification

P1S1S3