Bempedoic acid: Drug information

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For additional information see "Bempedoic acid: Patient drug information"

For abbreviations, symbols, and age group definitions show table

Brand Names: US

Nexletol

Pharmacologic Category

Antilipemic Agent, Adenosine Triphosphate-Citrate Lyase (ACL) Inhibitor

Dosing: Adult

Atherosclerotic cardiovascular disease, primary or secondary prevention

Atherosclerotic cardiovascular disease, primary or secondary prevention (adjunctive agent):

Note: May use as an additional agent in patients who do not meet cholesterol treatment goals with dietary modification plus maximally tolerated lipid-lowering therapies (eg, a high-intensity statin plus ezetimibe and/or a PCSK9 monoclonal

antibody) or as an alternative agent in patients intolerant of such therapies (Ref).

Oral: 180 mg once daily.

Heterozygous familial hypercholesterolemia

Heterozygous familial hypercholesterolemia (adjunctive agent):

Note: May use as an additional agent in patients who do not meet cholesterol treatment goals with dietary modification plus maximally tolerated lipid-lowering therapies (eg, a high-intensity statin plus ezetimibe and/or a PCSK9 monoclonal antibody) or as an alternative agent in patients intolerant of such therapies (Ref).

Oral: 180 mg once daily.

Dosage adjustment for concomitant therapy: Significant drug interactions exist, requiring dose/frequency adjustment or avoidance. Consult drug interactions database for more information.

Dosing: Kidney Impairment: Adult

No dosage adjustment necessary.

Dosing: Liver Impairment: Adult

Mild to moderate impairment (Child-Pugh class A and B): No dosage adjustment necessary.

Severe impairment (Child-Pugh class C): There are no dosage adjustments provided in the manufacturer's labeling (has not been studied).

Dosing: Obesity: Adult

Refer to adult dosing. Pharmacokinetics were not affected by weight.

Dosing: Older Adult

Refer to adult dosing.

Adverse Reactions (Significant): Considerations

Hyperuricemia

Hyperuricemia and **gout** have occurred.

Mechanism: Dose-related; related to the pharmacologic action; inhibition of tubular OAT2 may increase blood uric acid levels.

Onset: Intermediate; usually within the first 4 weeks of treatment initiation and persisted throughout treatment.

Risk factors:

- Prior history of gout

Tendon rupture

Rupture of tendon or injury has occurred; involved the rotator cuff, biceps tendon, or Achilles tendon in clinical trials.

Onset: Varied; occurrence is typically within weeks to months of treatment initiation.

Risk factors:

- Patients >60 years of age
- Concomitant use of corticosteroids or fluoroquinolones

- Kidney failure
- Prior tendon disorders

Adverse Reactions

The following adverse drug reactions and incidences are derived from product labeling unless otherwise specified. Adverse reactions reported in adults with cardiovascular disease (CVD) or at high risk for CVD unless otherwise indicated.

>10%:

Endocrine & metabolic: Hyperuricemia (16%) (table 1)

Bempedoic Acid: Adverse Reaction: Hyperuricemia

Drug (Bempedoic Acid)	Placebo	Dose	Dosage Form	Indication	Number of Patients (Bempedoic Acid)	Number of Patients (Placebo)
16%	8%	180 mg once daily alone or with low-intensity statin, ezetimibe, or fibrates	Tablet	Cardiovascular outcomes in CVD or at high risk for CVD	6,964	7,001

Hematologic & oncologic: Thrombocytosis (19%)

Renal: Kidney impairment (11%; including decreased estimated GFR [eGFR], hematuria, increased serum creatinine [7%])

1% to 10%:

Gastrointestinal: Cholelithiasis (2%)

Hematologic & oncologic: Anemia (5%), leukopenia (9%)

Hepatic: Increased liver enzymes (4%; including increased serum alanine aminotransferase, increased serum aspartate aminotransferase)

Neuromuscular & skeletal: Gout (3%) (table 2), muscle spasm (4%), rupture of tendon (1%) (table 3)

Bempedoic Acid: Adverse Reaction: Gout

Drug (Bempedoic Acid)	Placebo	Dose	Dosage Form	Indication	Number of Patients (Bempedoic Acid)	Number of Patients (Placebo)
3%	2%	180 mg once daily alone or with low-intensity statin, ezetimibe, or fibrates	Tablet	Cardiovascular outcomes in CVD or at high risk for CVD	6,964	7,001

Bempedoic Acid: Adverse Reaction: Rupture of Tendon

Drug (Bempedoic Acid)	Placebo	Dose	Dosage Form	Indication	Number of Patients (Bempedoic Acid)	Number of Patients (Placebo)
1%	0.9%	180 mg once daily alone or with low-intensity statin, ezetimibe, or fibrates	Tablet	Cardiovascular outcomes in CVD or at high risk for CVD	6,964	7,001

Renal: Increased blood urea nitrogen (10%)

Postmarketing (any indication): Hypersensitivity: Hypersensitivity reaction (including angioedema)

Contraindications

Hypersensitivity reaction (eg, angioedema) to bempedoic acid or any component of the formulation.

Dosage Forms: US

Excipient information presented when available (limited, particularly for generics); consult specific product labeling.

Tablet, Oral:

Nexletol: 180 mg

Generic Equivalent Available: US

No

Pricing: US

Tablets (Nexletol Oral)

180 mg (per each): $16.80

Disclaimer: A representative AWP (Average Wholesale Price) price or price range is provided as reference price only. A range is provided when more than one manufacturer's AWP price is available and uses the low and high price reported by the manufacturers to determine the range. The pricing data should be used for benchmarking purposes only, and as such should not be used alone to set or adjudicate any prices for reimbursement or purchasing functions or considered to be an exact price for a single product and/or manufacturer. Medi-Span

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Administration: Adult

Oral: May be administered without regard to food.

Use: Labeled Indications

Atherosclerotic cardiovascular disease, primary or secondary prevention: Treatment of atherosclerotic cardiovascular disease (ASCVD) or patients at high risk for ASCVD, as an adjunct to diet and statin therapy, in adult patients who require additional lowering of low-density lipoprotein cholesterol (LDL-C).

Heterozygous familial hypercholesterolemia: Treatment of heterozygous familial hypercholesterolemia, as an adjunct to diet and statin therapy, in adult patients who require additional lowering of LDL-C.

Metabolism/Transport Effects

Substrate of OAT1/3; **Inhibits** OATP1B1/1B3

Drug Interactions

(For additional information: Launch drug interactions program)

Note: Interacting drugs may **not be individually listed below** if they are part of a group interaction (eg, individual drugs within "CYP3A4 Inducers [Strong]" are NOT listed). For a complete list of drug interactions by individual drug name and detailed management recommendations, use the drug interactions program by clicking on the "Launch drug interactions program" link above.

Atogepant: OATP1B1/1B3 (SLCO1B1/1B3) Inhibitors may increase serum concentrations of Atogepant. Management: For episodic migraine, the recommended atogepant dose is 10 mg or 30 mg once daily if given with OATP1B1/1B3 inhibitors. For chronic migraine, the recommended atogepant dose is 30 mg once daily with OATP1B1/1B3 inhibitors. *Risk D: Consider Therapy Modification*

Atrasentan: OATP1B1/1B3 (SLCO1B1/1B3) Inhibitors may increase serum concentrations of Atrasentan. *Risk X: Avoid*

Brincidofovir: OATP1B1/1B3 (SLCO1B1/1B3) Inhibitors may increase serum concentrations of Brincidofovir. Management: Consider alternatives to OATP1B/1B3 inhibitors in patients treated with brincidofovir. If coadministration is required, administer OATP1B1/1B3 inhibitors at least 3 hours after brincidofovir and increase monitoring for brincidofovir adverse reactions. *Risk D: Consider Therapy Modification*

Elagolix, Estradiol, and Norethindrone: OATP1B1/1B3 (SLCO1B1/1B3) Inhibitors may increase serum concentrations of Elagolix, Estradiol, and Norethindrone. Specifically, concentrations of elagolix may be increased. *Risk X: Avoid*

Elagolix: OATP1B1/1B3 (SLCO1B1/1B3) Inhibitors may increase serum concentrations of Elagolix. *Risk X: Avoid*

Elbasvir and Grazoprevir: OATP1B1/1B3 (SLCO1B1/1B3) Inhibitors may increase serum concentrations of Elbasvir and Grazoprevir. *Risk X: Avoid*

Eluxadoline: OATP1B1/1B3 (SLCO1B1/1B3) Inhibitors may increase serum concentrations of Eluxadoline. Management: Decrease the eluxadoline dose to 75 mg twice daily if combined with OATP1B1/1B3 inhibitors and monitor patients for increased eluxadoline effects/toxicities. *Risk D: Consider Therapy Modification*

Momelotinib: OATP1B1/1B3 (SLCO1B1/1B3) Inhibitors may increase serum concentrations of Momelotinib. *Risk C: Monitor*

Pravastatin: Bempedoic Acid may increase serum concentrations of Pravastatin. Management: Avoid coadministration of bempedoic acid with pravastatin doses greater than 40 mg due to the potential for increased pravastatin concentrations and pravastatin-related myopathy. *Risk D: Consider Therapy Modification*

Resmetirom: OATP1B1/1B3 (SLCO1B1/1B3) Inhibitors may increase serum concentrations of Resmetirom. *Risk X: Avoid*

Revefenacin: OATP1B1/1B3 (SLCO1B1/1B3) Inhibitors may increase active metabolite exposure of Revefenacin. *Risk X: Avoid*

Simvastatin: Bempedoic Acid may increase serum concentrations of Simvastatin. Management: Avoid coadministration of bempedoic acid with simvastatin doses greater than 20 mg due to the potential for increased simvastatin concentrations and simvastatin-related myopathy. *Risk D: Consider Therapy Modification*

Taurursodiol: OATP1B1/1B3 (SLCO1B1/1B3) Inhibitors may increase serum concentrations of Taurursodiol. *Risk X: Avoid*

Voxilaprevir: OATP1B1/1B3 (SLCO1B1/1B3) Inhibitors may increase serum concentrations of Voxilaprevir. *Risk X: Avoid*

Zavegepant (Nasal): OATP1B1/1B3 (SLCO1B1/1B3) Inhibitors may increase serum concentrations of Zavegepant (Nasal). *Risk X: Avoid*

Pregnancy Considerations

Based on the mechanism of action, in utero exposure to bempedoic acid may cause fetal harm. In general, bempedoic acid should be discontinued if pregnancy occurs.

Other agents may be preferred if treatment is needed during pregnancy (AACE [Jellinger 2017]; NLA [Jacobson 2015]).

Data collection to monitor pregnancy and infant outcomes following exposure to bempedoic acid is ongoing. Health care providers are encouraged to contact Esperion to report patients exposed to bempedoic acid during pregnancy (1-833-377-7633).

Breastfeeding Considerations

Bempedoic acid is present in human milk.

Data related to the presence of bempedoic acid in human milk are available from 8 healthy adult lactating females given 6 consecutive oral once daily doses of bempedoic acid 180 mg.

A mean C_{max} of 118 ng/mL (geometric mean estimate, range: 79.6 to 251 ng/mL) of bempedoic acid in human milk occurred at a median of ~3 hours post maternal dose. The calculated estimated mean infant dose of bempedoic acid via human milk was 0.012 mg/kg/day providing a mean relative infant dose (RID) of ~0.5%. In 7 patients, active metabolite (ESP15228) concentrations were below the limit of quantification (<20 ng/mL). In general, breastfeeding is considered acceptable when the RID is <10% (Anderson 2016; Ito 2000). No information is available on the effects of bempedoic acid on the breastfed infant or milk production.

According to the manufacturer, the decision to continue or discontinue

breastfeeding during therapy should take into account the risk of infant exposure, the benefits of breastfeeding to the infant, and benefits of treatment to the mother. Other agents may be preferred when treatment is needed in a breastfeeding patient (NLA [Jacobson 2015]).

Monitoring Parameters

Monitor lipid levels within 8 to 12 weeks of therapy initiation; signs/symptoms of hyperuricemia, assess uric acid levels as clinically indicated; signs/symptoms of tendinopathy or tendon rupture (eg, joint pain, swelling, inflammation).

Mechanism of Action

Bempedoic acid is an adenosine triphosphate-citrate lyase (ACL) inhibitor that lowers low-density lipoprotein cholesterol (LDL-C) by inhibiting cholesterol synthesis in the liver. ACL is an enzyme upstream of 3-hydroxy-3-methyl-glutaryl-coenzyme A 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 LDL receptors.

Pharmacokinetics (Adult Data Unless Noted)

Distribution: V_d: 18 L.

Protein binding: 99.3% to plasma proteins.

Metabolism: Hepatic; primarily through metabolism of the acyl

glucuronide; reversibly converted by aldo-keto reductase enzyme to an active metabolite (ESP15228), which is also converted to a glucuronide conjugate.

Half-life elimination: 21 ± 11 hours.

Time to peak: 3.5 hours.

Excretion: Feces (30%; <5% as unchanged drug); urine (<5% as unchanged drug; ~70% of total dose as bempedoic acid and metabolites).

Brand Names: International

International Brand Names by Country
For country code abbreviations (show table)

(AR) Argentina: Bempenal | Benlipid; (AT) Austria: Nilemdo; (BD) Bangladesh: Bempid | Winolip; (BE) Belgium: Nilemdo; (CH) Switzerland: Nilemdo; (CZ) Czech Republic: Nilemdo; (DE) Germany: Nilemdo; (ES) Spain: Nilemdo; (GB) United Kingdom: Nilemdo; (HK) Hong Kong: Nilemdo; (IE) Ireland: Nilemdo; (IN) India: Belmore | Bemdac | Bemdiff | Bemneo | Bempalip | Bempesta | Bempify | Bemzire | Bepofly | Brillo | Dapcea | Embia | Nexred | Nudoic; (IT) Italy: Nilemdo; (LT) Lithuania: Nilemdo; (LU) Luxembourg: Nilemdo; (LV) Latvia: Nilemdo; (NL) Netherlands: Nilemdo; (NO) Norway: Nilemdo; (PA) Panama: Bempenal; (PL) Poland: Nilemdo; (PR) Puerto Rico: Nexletol; (SK) Slovakia: Nilemdo; (UY) Uruguay: Bempenal | Lipiben; (VE) Venezuela, Bolivarian Republic of: Bempegras

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