



Berberine

Common Indications

- Congestive heart failure (CHF)
- Coronary heart disease (CHD)
- Diabetes
- Stroke
- Hyperlipidemia
- Hypertension
- Irritable bowel syndrome (IBS)
- Polycystic ovary syndrome (PCOS)
- Cholera
- Diarrhea
- Helicobacter pylori
- Hepatitis B & C
- Nonalcoholic fatty liver disease (NAFLD)
- Menopausal symptoms
- Metabolic syndrome
- Obesity
- Thrombocytopenia
- Burns
- Canker sores
- Glaucoma
- Trachoma

General Comments

Berberine is a plant alkaloid that is present in the roots, rhizomes, and stem bark of various plants including goldenseal, goldthread, Oregon grape, European barberry, phellodendron, and tree turmeric. It has shown significant antimicrobial activity against organisms like bacteria, viruses, fungi, protozoans, helminths, and chlamydia¹. There has also shown to be cardiac, diabetic and hepatic benefits as well.

Benefits & Mechanism of Action

- Cardiovascular
 - Clinical and animal trials have showed that it can prevent ischemia-induced ventricular tachyarrhythmia, stimulate cardiac contractility, and lower peripheral vascular resistance and blood pressure^{2,7}
 - May be due to suppression of delayed after-depolarization in the ventricular muscle⁹
 - Induces peripheral vasodilation and inotropic stimulation⁷
 - Reduced myocardial injury possibly by inhibiting the cellular pathway that causes apoptosis⁸
 - Antihypertensive effect which may due to it blocking alpha-adrenergic activity¹¹

- Some studies show a partial alpha-2 adrenoreceptor agonist activity similar to clonidine⁵
- Animal research shows an antiarrhythmic effect and can restore ventricular arrhythmias and atrial fibrillation to normal sinus rhythm^{3,4,6}
- May increase the elasticity of the small arteries by increasing mobilization of circulating endothelial progenitor cells¹⁰
- Reduces oxidative stress and vascular inflammation by activating AMP-activated protein kinase activity⁹
- Anti-inflammatory effect
 - Reduce chemical-induced swelling by inhibiting the expression of cytokines^{16,18,14}
 - Blocks production of pro-inflammatory cytokines IL-1-beta and TNF-alpha by blocking nuclear factor-kappaB, which regulates cytokine production¹⁵
 - Decreases production of IL-8, which is involved in the inflammatory response¹³
 - Selectively inhibits COX-2 expression^{12,17}
- Antilipemic effects
 - Animal research shows the ability to inhibit cholesterol absorption and increase bile acid synthesis²⁰
 - May also improve lipid dysregulation by activating AMPK activity in the liver and muscles¹⁹
- Hepatoprotective
 - Might protect the liver from hepatotoxins
 - In an animal model, berberine reduced N-nitrosodiethylamine-induced liver injury shown by an increased liver weight, elevated gamma-glutamyl transpeptidase activity, and reduced glutathione S-transferase levels²¹
 - Prevents elevation of ALP, AST, ALT when given before exposure to acetaminophen or carbon tetrachloride²²
 - Potential increase in the excretion of bilirubin from the liver, which may be beneficial in treating liver fibrosis²³
- Endocrine
 - In PCOS, can increase sex hormone binding globulin levels and decrease the free androgen index²⁴
- Antidiabetic
 - Increase insulin receptor expression in peripheral blood lymphocytes²⁸
 - Increases AMP-activated protein kinase (AMPK) activity, which can stimulate glucose uptake in skeletal muscles, increase oxidation of fatty acids in adipose tissue, and reduce the production of glucose in the liver²⁵
 - Animal research suggests that it increases secretion of glucagon-like-peptide-1

(GLP-1), which is an incretin that is important in the maintenance of glycemic control²⁶

- Might also inhibit aldose reductase, which might prevent nerve and eye damage²⁷
- Antidiarrheal
 - Delays small intestinal transit time³⁰
 - Can inhibit the intestinal secretion of water, sodium, chloride, and bicarbonate in response to enterotoxin from *Vibrio cholera* and *E. coli*²⁹
- Antimicrobial effects
 - Antibacterial, antifungal, antimycobacterial, and antiprotozoal activity³¹
 - *Staphylococcus aureus*
 - *Streptococcus pyogenes*
 - *Escherichia coli*
 - *Shigella boydii*
 - *Vibrio cholerae*
 - *Mycobacterium tuberculosis*
 - *Candida albicans, tropicalis*
 - *Trichophyton mentagrophytes*
 - *Trichomonas vaginalis*
 - *Helicobacter pylori*
 - *Clostridium perfringens, paraputrificum*
 - Might inhibit bacterial sortase, which is a protein responsible for anchoring gram-positive bacteria to cell membranes³²

Dose:

- Congestive heart failure (CHF): 1.2-2 g daily for 8 weeks
- Coronary heart disease (CHD): in combo with red yeast rice, policosanol, folic acid, coenzyme q10, and astaxanthin daily for 3 months
- Diabetes: 0.9-1.5 g in 2-3 divided doses daily for 2-4 months
- Cholera: sulfate – single dose of 400 mg
- Diarrhea: sulfate – single dose of 400 mg
- *H. pylori*: 300 mg 3 times daily for 6 weeks OR 100 mg twice daily for 14 days with esomeprazole, clarithromycin, and amoxicillin
- Hepatitis B & C: 1 g daily for 2 months
- Hyperlipidemia: 500 mg twice daily or 200-500 mg three times daily for up to 24 months
- Hypertension: 0.9 g daily for 2 months in combination with amlodipine
- Irritable bowel syndrome (IBS): 200 mg twice daily for 8 weeks

- Metabolic syndrome: hydrochloride – 500 mg 3 times daily before meals for 3 months
- Nonalcoholic fatty liver disease (NAFLD): 600 mg twice daily for 12 weeks OR 500 mg 3 times daily for 16 weeks
- Obesity: 500 mg 3 times daily for 12 weeks
- Osteoporosis: 100 mg in combo with a specific hops constituent 200 mg, vitamin D3 500 IU, and vitamin K1 500 mcg twice daily for 14 weeks
- Polycystic ovary syndrome (PCOS): hydrochloride – 1.5 g in up to 3 divided doses daily for 3-6 months
- Thrombocytopenia: bisulfate – 5 mg 3 times daily 20 minutes before meals for 15 days
- Burns: moist exposed burn ointment (MEBO) that contains berberine with other ingredients applied every 4 hours for 20 days
- Canker sores: 5mg/g gel applied 4 times daily for 5 days
- Trachoma: 0.2% eye drops applied 3 times daily for 3-8 weeks OR 0.5% eye drops applied 3 times daily for 1-3 weeks

Cautions & Side Effects:

- Adverse Effects³⁵
 - Orally
 - Diarrhea
 - Constipation
 - Flatulence
 - Vomiting
 - Abdominal pain
 - Abdominal distention
 - Rash
 - Headache
 - Increased transaminases
 - Intravenously
 - Swelling at injection site
 - Facial flushing
 - Ventricular tachycardia (torsades de pointes)
 - Subcutaneous
 - Permanent hyperpigmentation at injection site
- Drug Interactions
 - Amlodipine
 - Anticoagulant/antiplatelet drugs
 - Antidiabetic drugs
 - Antihypertensive drugs
 - CNS depressants
 - Cyclosporine
 - Cytochrome P450

- 2C9,2D6,3A4 substrates
- Dextromethorphan
- Losartan
 - Disease State Interactions
 - Diabetes³⁶
 - May lower blood glucose levels
 - Increased risk of hypoglycemia in patients on insulin or oral hypoglycemic medications
 - Monitor blood glucose levels
 - Hyperbilirubinemia³³
 - Can cause kernicterus in newborns, especially neonates with hyperbilirubinemia
 - Hypotension³⁴
 - May lower systolic and diastolic blood pressure
 - Might increase risk of hypotension in patients with low blood pressure
- Midazolam
- Pentobarbital
- Tacrolimus

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Mechanism

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Cardiovascular

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Cautions

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