

PRESCRIPTION MONOGRAPH

Compounded Active Ingredients: Methylene Blue

Form: Troche

Drug Class:

- Antidote
- CNS agent / nootropic
- Redox dye / mitochondrial enhancer
- Urinary antiseptic

Mechanism of Action^{1,2}: Methylene blue (MB) has multiple mechanisms depending on the dose and context:

At Low Doses (<1 mg/kg):

- Acts as a redox cyler, enhancing mitochondrial respiration
- Is intended to improve ATP production by shuttling electrons in the electron transport chain (ETC)
- Is intended to reduce oxidative stress and improve cognitive performance
- Is intended to inhibit nitric oxide synthase and guanylate cyclase, and may help reverse vasoplegia or methemoglobinemia
- Is intended to acts as a monoamine oxidase inhibitor (MAOI) – important in psychiatric and neurological research

At Higher Doses (>1–2 mg/kg):

Indications Commonly Prescribed for:

- Methemoglobinemia (antidote)
- Urinary tract antiseptic
- Diagnostic dye in procedures (e.g., urologic surgery)
- Neuroprotection in Alzheimer's, Parkinson's, ALS (research setting)
- Mitochondrial dysfunction and chronic fatigue
- Cognitive enhancement (nootropic use)
- Mood modulation and depression (MAOI properties)
- Lyme disease and co-infections (antimicrobial properties)
- Post-viral fatigue / Long COVID

Before Using This Medication: Let your health care provider know if you have any medication allergies before you take this compounded preparation. Let your health care provider know if you have any liver or kidney problems. Let your healthcare provider know of all supplements you are currently taking.

Contraindications:

- G6PD deficiency (risk of hemolytic anemia)
- Use with SSRIs, SNRIs, MAOIs, or tramadol → risk of serotonin syndrome
- Severe renal impairment (accumulation risk)
- Pregnancy and breastfeeding

Cautions: Let your Healthcare provider know if you experience any adverse side effects. Alternate cheeks with each dose to reduce local irritation, redness, or ulceration.

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How to Use This Medication: This compounded preparation is in the form of a buccal troche. Wash your hands thoroughly. Place the troche gently between your upper gum and cheek—typically on one side of the mouth—and allow it to dissolve naturally. Do not chew, crush, or swallow the troche. Wait an additional 30 minutes before consuming any food or beverages to maximize the amount of medication absorbed through the buccal tissue. Store in a cool dry place away from heat, sunlight, and moisture. Store in original container between 59-77F. If you miss a dose, take as soon as you remember, but not at the time for the next dose. The desired results may take up to several weeks.

Warnings and Precautions:

- May cause serotonin syndrome when combined with serotonergic drugs
 - Can cause hemolysis at high doses in G6PD-deficient individuals
 - May interfere with pulse oximetry readings
 - Discoloration of urine, stool, saliva, and skin (blue/green) is expected and benign
 - Photosensitivity at high doses (rare)
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Adverse Reactions:

Common

- Blue/green urine, saliva
- GI upset, nausea
- Headache, dizziness
- Confusion (rare)

Serious (dose- or interaction-related)

- Serotonin syndrome (with SSRIs/SNRIs)
 - Hemolytic anemia (G6PD deficiency)
 - Hypotension, arrhythmia (IV, high dose)
 - Allergic reaction, rash
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Drug Interactions:

- SSRIs, SNRIs, MAOIs, TCAs, Tramadol → Serotonin syndrome risk
 - Sympathomimetics / vasopressors → additive hypertensive effects
 - CYP2D6 substrates may be affected (modest inhibition)
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Use in Specific Populations:

- Pregnancy (Category X): Contraindicated – risk of fetal anomalies
 - Lactation: Avoid
 - Pediatrics: Use in methemoglobinemia only, under strict guidance
 - Elderly: Start with low doses, especially if on other medications
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Storage:

- Store in original container at room temperature (up to 30°C or 86°F)
 - Store in a cool dry place away from heat, sunlight, and moisture
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Monitoring Parameters:

- Baseline and follow-up G6PD levels
 - Signs of serotonin toxicity
 - Mental status, cognitive changes
 - Hemolytic markers (if high dose or long term)
 - Liver/renal function (if high dose or prolonged use)
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Citations:

1. Rojas JC, Bruchey AK, Gonzalez-Lima F. Neurometabolic mechanisms for memory enhancement and neuroprotection of methylene blue. *Prog Neurobiol.* 2012;96(1):32–45. doi:10.1016/j.pneurobio.2011.10.007
2. Yang L, Youngblood H, Wu C, Zhang Q. Mitochondria as a target for neuroprotection: role of methylene blue and photobiomodulation. *Transl Neurodegener.* 2020;9:19. doi:10.1186/s40035-020-00197-z