Phentolamine Mesylate Injection

Phentolamine is an alpha-adrenergic blocker with direct action on vascular smooth muscle. It produces vasodilation, increases cardiac output, and has a positive inotropic effect. The alpha-receptor blocking action is reversible ('competitive') and non-selective, and the duration of effect is relatively short (10 to 30 minutes after IV administration).\(^1,2\)

There is currently only one brand of phentolamine mesylate being marketed in Canada\(^3\) (see description below). The Sandoz product, phentolamine 5 mg/ml, has been discontinued.

<table>
<thead>
<tr>
<th>DIN</th>
<th>Manufacturer</th>
<th>Brand Name</th>
<th>Active Ingredient</th>
<th>Strength</th>
</tr>
</thead>
<tbody>
<tr>
<td>02242979</td>
<td>PALADIN LABS INC</td>
<td>ROGITINE</td>
<td>PHENTOLAMINE MESYLATE</td>
<td>10 MG / ML</td>
</tr>
</tbody>
</table>

**Indications for phentolamine\(^4\):**
1. Diagnosis of pheochromocytoma
2. Prevention / treatment of hypertensive episodes prior to or during surgery for pheochromocytoma
3. Antagonist to catecholamines in hypertensive crises
4. Extravasation of alpha-adrenergic drugs (e.g. norepinephrine, dopamine, dobutamine, phenylephrine)
5. Erectile dysfunction

**Compounded formulations:**
- Bulk powder available at Medisca (1-800-665-6334). Medisca has a formula for a 5 mg/ml solution but not the 10 mg/ml.\(^5\)

**Therapeutic alternatives:**

<table>
<thead>
<tr>
<th>Indication</th>
<th>Dose</th>
<th>Comments</th>
</tr>
</thead>
<tbody>
<tr>
<td>Diagnosis of pheochromocytoma</td>
<td>Measurement of catecholamines and metanephrines in urine is now the preferred method.</td>
<td>Phentolamine seldom used. Rarely used options: glucagon, histamine, metoclopramide and tyramine tests(^6)</td>
</tr>
<tr>
<td>Hypertensive crises</td>
<td>Nitroprusside 0.5 mcg/kg/min IV to start, titrate every few minutes to effect. Average rate 3 mcg/kg/min; maximum 10 mcg/kg/min(^7)</td>
<td>Ideal for intraoperative management of hypertensive episodes because of its rapid onset of action and short duration of effect(^8)</td>
</tr>
<tr>
<td></td>
<td>Labetolol IV: 20 mg initially, may repeat 20 – 80 mg at 10 min intervals to desired blood pressure or maximum 300 mg(^7)</td>
<td>Reduce dose by up to 50 % if liver function impairment</td>
</tr>
<tr>
<td></td>
<td>Labetolol infusion: 0.5 to 2 mg/min, initially, adjust according to blood pressure. Usual effective dose 50 to 200 mg. Maximum dose 300 mg (^7)</td>
<td></td>
</tr>
<tr>
<td>Extravasation</td>
<td>Topical nitroglycerin - local application of different formulations of nitroglycerin including 2% ointment</td>
<td>Vasodilator. Reduces ischemia. Case reports (^8,^9,^10)</td>
</tr>
<tr>
<td>---------------</td>
<td>--------------------------------------------------------------------------------------------------</td>
<td>------------------------------------------------</td>
</tr>
<tr>
<td></td>
<td>Hyaluronidase injection 150 Units (1 mL) - five 0.2-mL subcutaneous injections into the extravasation site(^11)</td>
<td>Increases distribution and absorption of extravasated drug. In theory, could be used to treat extravasation due to any agent(^11)</td>
</tr>
</tbody>
</table>

| Erectile dysfunction | Alprostadil cavernosal injection  
Neurogenic ED: start with 1.25 µg. If needed, may increase to 2.5 µg then by 5 µg increments to a maximum of 60 µg.  
Vascular, psychogenic or mixed ED: start with 2.5 µg and titrate to response  
Severe vascular ED may require up to 40–60 µg\(^12\) | Higher doses of alprostadil are generally required when used in monotherapy; therefore often associated with more pain than the compounded mixtures containing phentolamine, papaverine, and alprostadil\(^13\) |
<table>
<thead>
<tr>
<th></th>
<th></th>
<th></th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>Alprostadil intraurethral pellets 250–1000 µg 10–30 min before sexual activity. Dose depends on venous anatomy rather than ED etiology(^11)</td>
<td></td>
</tr>
</tbody>
</table>

Prepared by Karen Jensen BSP, MSc. Reviewed by Carmen Bell BSP and Suzanne Gulka BSP  
medSask, 2014

References: