

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 Canada³ (see description below). The Sandoz product, phentolamine 5 mg/ml, has been discontinued.

DIN	Manufacturer	Brand Name	Active Ingredient	Strength
02242979	PALADIN LABS INC	ROGITINE	PHENTOLAMINE MESYLATE	10 MG / ML

Indications for phentolamine⁴:

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:

Indication	Dose	Comments
Diagnosis of pheochromocytoma	Measurement of catecholamines and metanephrines in urine is now the preferred method. Phentolamine seldom used. Rarely used options: glucagon, histamine, metoclopramide and tyramine tests ⁶	
Hypertensive crises	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	Ideal for intraoperative management of hypertensive episodes because of its rapid onset of action and short duration of effect ⁸
	Labetolol IV: 20 mg initially, may repeat 20 – 80 mg at 10 min intervals to desired blood pressure or maximum 300 mg ⁷ 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	Reduce dose by up to 50 % if liver function impairment

Extravasation	Topical nitroglycerin - local application of different formulations of nitroglycerin including 2 % ointment	Vasodilator. Reduces ischemia. Case reports ^{8,9,10}
	Hyaluronidase injection 150 Units (1 mL) - five 0.2-mL subcutaneous injections into the extravasation site ¹¹	Increases distribution and absorption of extravasated drug. In theory, could be used to treat extravasation due to any agent ¹¹
Erectile dysfunction	Alprostadil cavernosal injection <u>Neurogenic ED</u> : start with 1.25 µg. If needed, may increase to 2.5 µg then by 5 µg increments to a maximum of 60 µg. <u>Vascular, psychogenic or mixed ED</u> : start with 2.5 µg and titrate to response Severe vascular ED may require up to 40–60 µg ¹² Alprostadil intraurethral pellets 250–1000 µg 10–30 min before sexual activity. Dose depends on venous anatomy rather than ED etiology ¹¹	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

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