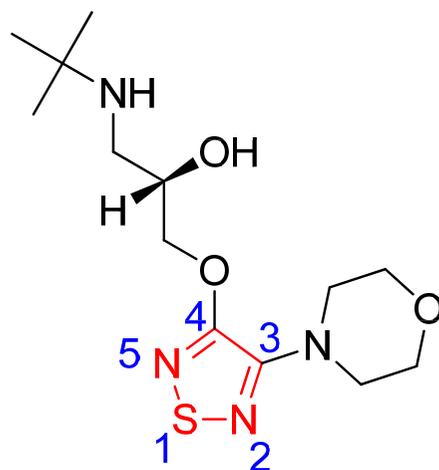


Timolol

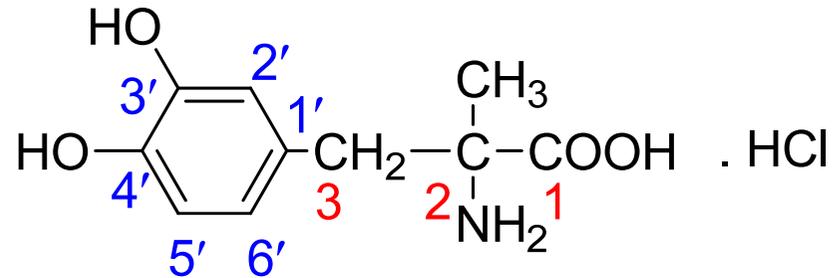


MOA: Timolol competes with adrenergic neurotransmitters for binding to beta(1)-adrenergic receptors in the heart and the beta(2)-receptors in the vascular and bronchial smooth muscle. Beta(1)-receptor blockade by timolol leads to a decrease in both heart rate and cardiac output during rest and exercise, and a decrease in both systolic and diastolic blood pressure. In addition to this, a reduction in reflex orthostatic hypotension may also occur. The blockade of beta(2) receptors by timolol in the blood vessels leads to a decrease in peripheral vascular resistance, reducing blood pressure.

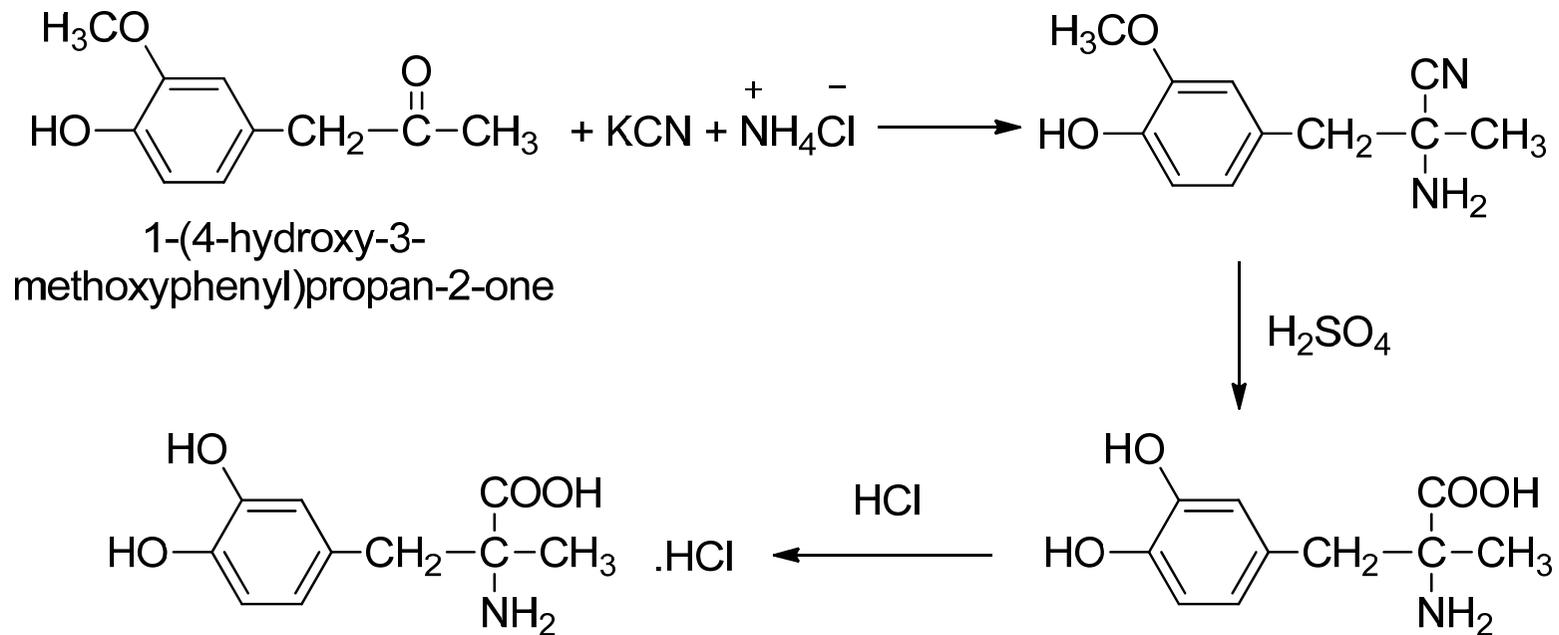
Uses: Timolol is indicated for the treatment of increased intraocular pressure in patients with ocular hypertension or open-angle glaucoma. The oral form of this drug is used to treat high blood pressure.

Central Sympatholytics

Methyldopate hydrochloride



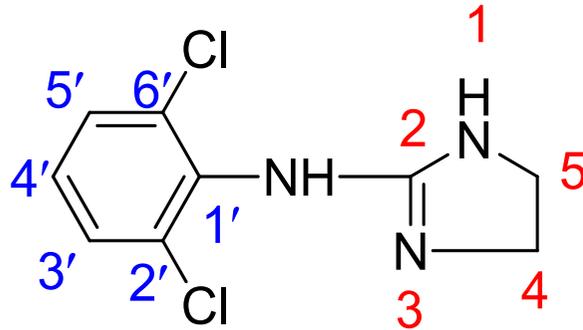
IUPAC: (2*S*)-2-amino-3-(3',4'-dihydroxyphenyl)-2-methylpropanoic acid



MOA: Methyldopa is converted into the metabolite, alpha-methylnorepinephrine, in the CNS, where it stimulates the central inhibitory alpha-adrenergic receptors, leading to a reduction in sympathetic tone, total peripheral resistance, and blood pressure. It also inhibits the production of central and peripheral norepinephrine and serotonin, although this is not a major mechanism of action. This occurs through the inhibition of the decarboxylation of dihydroxyphenylalanine (dopa)—the precursor of norepinephrine—and of 5-hydroxytryptophan (5-HTP)—the precursor of serotonin—in the CNS and in most peripheral tissues.

Uses: For use in the treatment of hypertension.

Clonidine



IUPAC: 2-((2',6'-Dichlorophenyl)imino)imidazolidine

MOA: Clonidine is primarily an alpha-2 adrenoceptor agonist. Activation of alpha-2 receptors results in inhibition of adenylyl cyclase and opening of a potassium channel causes hyperpolarization, thereby reducing the amount of norepinephrine (NE) release. This **action** results in reduced sympathetic outflow from the central nervous system and decreases in peripheral resistance, renal vascular resistance, heart rate, and blood pressure.

Uses: Clonidine tablets and transdermal systems are indicated for the treatment of hypertension. Clonidine is also used for the diagnosis of pheochromocytoma, treatment of nicotine dependence and opiate withdrawal.