METHYLDOPA MECHANISM OF ACTION pdf

1: CV Pharmacology | Centrally Acting Sympatholytics

Mechanism of action Methyldopa has a dual mechanism of action: It is a competitive inhibitor of the enzyme DOPA decarboxylase, also known as aromatic L -amino acid decarboxylase, which converts L -DOPA into dopamine.

Centrally Acting Sympatholytics General Pharmacology The sympathetic adrenergic nervous system plays a major role in the regulation of arterial pressure. Activation of these nerves to the heart increases the heart rate positive chronotropy , contractility positive inotropy and velocity of electrical impulse conduction positive dromotropy. The norepinephrine-releasing, sympathetic adrenergic nerves that innervate the heart and blood vessels are postganglionic efferent nerves whose cell bodies originate in prevertebral and paraveterbral sympathetic ganglia. Preganglionic sympathetic fibers, which travel from the spinal cord to the ganglia, originate in the medulla of the brainstem. Within the medulla are located sympathetic excitatory neurons that have significant basal activity, which generates a level of sympathetic tone to the heart and vasculature even under basal conditions. The sympathetic neurons within the medulla receive input from other neurons within the medulla e. Together, these neuronal systems regulate sympathetic and parasympathetic outflow to the heart and vasculature. Sympatholytic drugs can block this sympathetic adrenergic system are three different levels. First, peripheral sympatholytic drugs such as alpha-adrenoceptor and beta-adrenoceptor antagonists block the influence of norepinephrine at the effector organ heart or blood vessel. Second, there are ganglionic blockers that block impulse transmission at the sympathetic ganglia. Third, there are drugs that block sympathetic activity within the brain. These are called centrally acting sympatholytic drugs. This reduces sympathetic outflow to the heart thereby decreasing cardiac output by decreasing heart rate and contractility. Reduced sympathetic output to the vasculature decreases sympathetic vascular tone, which causes vasodilation and reduced systemic vascular resistance, which decreases arterial pressure. However, they are not considered first-line therapy in large part because of side effects that are associated with their actions within the brain. They are usually administered in combination with a diuretic to prevent fluid accumulation, which increases blood volume and compromises the blood pressure lowering effect of the drugs. Fluid accumulation can also lead to edema. Constipation, nausea and gastric upset are also associated with the sympatholytic effects of these drugs. Fluid retention and edema is also a problem with chronic therapy; therefore, concurrent therapy with a diuretic is necessary. Sudden discontinuation of clonidine can lead to rebound hypertension, which results from excessive sympathetic activity. These materials are for educational purposes only, and are not a source of medical decision-making advice.

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2: Methyldopa Dosage & Rx Info | Uses, Side Effects - MPR

Methyldopa is an antihypertensive and is the L-isomer of alpha-Methyldopa. It is levo(3,4-dihydroxyphenyl)methylalanine sesquihydrate. Methyldopa is supplied as tablets for oral administration, containing mg and mg of Methyldopa.

Brand names of combination products Why is this medication prescribed? Methyldopa is used to treat high blood pressure. Methyldopa is in a class of medications called antihypertensives. It works by relaxing the blood vessels so that blood can flow more easily through the body. High blood pressure is a common condition and when not treated, can cause damage to the brain, heart, blood vessels, kidneys and other parts of the body. Damage to these organs may cause heart disease, a heart attack, heart failure, stroke, kidney failure, loss of vision, and other problems. In addition to taking medication, making lifestyle changes will also help to control your blood pressure. These changes include eating a diet that is low in fat and salt, maintaining a healthy weight, exercising at least 30 minutes most days, not smoking, and using alcohol in moderation. How should this medicine be used? Methyldopa comes as a tablet and a liquid to take by mouth. It usually is taken two to four times a day. To help you remember to take methyldopa, take it around the same times every day. Follow the directions on your prescription label carefully, and ask your doctor or pharmacist to explain any part you do not understand. Take methyldopa exactly as directed. Do not take more or less of it or take it more often than prescribed by your doctor. Shake the liquid well before each dose to mix the medication evenly. Use a dose-measuring spoon or cup to measure the correct amount of liquid for each dose, not a regular household spoon. Methyldopa controls high blood pressure but does not cure it. Continue to take methyldopa even if you feel well. Do not stop taking methyldopa without talking to your doctor. If you suddenly stop taking methyldopa, your blood pressure may increase and you may experience side effects. Your doctor will decrease your dose gradually. Other uses for this medicine This medication may be prescribed for other uses. Ask your doctor or pharmacist for more information. What special precautions should I follow? Before taking methyldopa, tell your doctor and pharmacist if you are allergic to methyldopa, any other medications, sulfites, or any of the ingredients in methyldopa tablets or liquid. Ask your doctor or pharmacist for a list of the ingredients. Your doctor will probably tell you not to take methyldopa. Be sure to mention any of the following: Your doctor may need to change the doses of your medications or monitor you carefully for side effects. If you become pregnant while taking methyldopa, call your doctor. Older adults should not usually take methyldopa because it is not as safe as other medications that can be used to treat the same condition. Do not drive a car or operate machinery for hours after you begin to take methyldopa or after your dose is increased. What special dietary instructions should I follow? Your doctor may prescribe a low-salt or low-sodium diet. Follow these directions carefully. What should I do if I forget a dose? Take the missed dose as soon as you remember it. However, if it is almost time for the next dose, skip the missed dose and continue your regular dosing schedule. Do not take a double dose to make up for a missed one. What side effects can this medication cause? Methyldopa may cause side effects. Tell your doctor if any of these symptoms are severe or do not go away:

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3: Methyldopa, D- | C10H13NO4 - PubChem

1. The antihypertensive action of methyldopa was investigated in the light of the prevailing false sympathetic neurotransmitter hypothesis of Day & Rand (). Immunosympathectomized and normal animals were used for the investigation. 2.

It is levo 3,4-dihydroxyphenyl methylalanine sesquihydrate. Methyldopa is supplied as tablets for oral administration, containing mg and mg of Methyldopa. The amount of Methyldopa is calculated on the anhydrous basis. Methyldopa is a white to yellowish white, odorless fine powder and is sparingly soluble in water. The tablets contain the following inactive ingredients: Methyldopa - Clinical Pharmacology Methyldopa is an aromatic-amino acid decarboxylase inhibitor in animals and in man. Methyldopa has been shown to cause a net reduction in the tissue concentration of serotonin, dopamine, norepinephrine, and epinephrine. Only Methyldopa, the L-isomer of alpha-Methyldopa, has the ability to inhibit dopa decarboxylase and to deplete animal tissues of norepinephrine. In man, the antihypertensive activity appears to be due solely to the L-isomer. About twice the dose of the racemate DL-alpha-Methyldopa is required for equal antihypertensive effect. Methyldopa has no direct effect on cardiac function and usually does not reduce glomerular filtration rate, renal blood flow, or filtration fraction. Cardiac output usually is maintained without cardiac acceleration. In some patients the heart rate is slowed. Normal or elevated plasma renin activity may decrease in the course of Methyldopa therapy. Methyldopa reduces both supine and standing blood pressure. It usually produces highly effective lowering of the supine pressure with infrequent symptomatic postural hypotension. Exercise hypotension and diurnal blood pressure variations rarely occur. Pharmacokinetics and Metabolism The maximum decrease in blood pressure occurs four to six hours after oral dosage. Once an effective dosage level is attained, a smooth blood pressure response occurs in most patients in 12 to 24 hours. After withdrawal, blood pressure usually returns to pretreatment levels within 24 to 48 hours. Methyldopa is extensively metabolized. The known urinary metabolites are: The plasma half-life of Methyldopa is minutes. After oral doses, excretion is essentially complete in 36 hours. Methyldopa crosses the placental barrier, appears in cord blood, and appears in breast milk. Indications and Usage for Methyldopa Hypertension. Methyldopa is contraindicated in patients: Warnings It is important to recognize that a positive Coombs test, hemolytic anemia, and liver disorders may occur with Methyldopa therapy. The rare occurrences of hemolytic anemia or liver disorders could lead to potentially fatal complications unless properly recognized and managed. Read this section carefully to understand these reactions. Lowest incidence is at daily dosage of 1 g or less. This on rare occasions may be associated with hemolytic anemia, which could lead to potentially fatal complications. One cannot predict which patients with a positive direct Coombs test may develop hemolytic anemia. Prior existence or development of a positive direct Coombs test is not in itself a contraindication to use of Methyldopa. If a positive Coombs test develops during Methyldopa therapy, the physician should determine whether hemolytic anemia exists and whether the positive Coombs test may be a problem. For example, in addition to a positive direct Coombs test there is less often a positive indirect Coombs test which may interfere with cross matching of blood. Before treatment is started, it is desirable to do a blood count hematocrit, hemoglobin, or red cell count for a baseline or to establish whether there is anemia. Periodic blood counts should be done during therapy to detect hemolytic anemia. It may be useful to do a direct Coombs test before therapy and at 6 and 12 months after the start of therapy. If Coombs-positive hemolytic anemia occurs, the cause may be Methyldopa and the drug should be discontinued. Usually the anemia remits promptly. If not, corticosteroids may be given and other causes of anemia should be considered. If the hemolytic anemia is related to Methyldopa, the drug should not be reinstituted. When Methyldopa causes Coombs positivity alone or with hemolytic anemia, the red cell is usually coated with gamma globulin of the lgG gamma G class only. The positive Coombs test may not revert to normal until weeks to months after Methyldopa is stopped. Should the need for transfusion arise in a patient receiving Methyldopa, both a direct and an indirect Coombs test should be performed. In the absence of hemolytic anemia, usually only the direct Coombs test will be positive. A positive direct Coombs test alone will not interfere with typing or cross matching. If the indirect Coombs

test is also positive, problems may arise in the major cross match and the assistance of a hematologist or transfusion expert will be needed. Occasionally, fever has occurred within the first 3 weeks of Methyldopa therapy, associated in some cases with eosinophilia or abnormalities in one or more liver function tests, such as serum alkaline phosphatase, serum transaminases SGOT, SGPT , bilirubin, and prothrombin time. Jaundice, with or without fever, may occur with onset usually within the first 2 to 3 months of therapy. In some patients the findings are consistent with those of cholestasis. In others the findings are consistent with hepatitis and hepatocellular injury. Rarely, fatal hepatic necrosis has been reported after use of Methyldopa. These hepatic changes may represent hypersensitivity reactions. Periodic determinations of hepatic function should be done particularly during the first 6 to 12 weeks of therapy or whenever an unexplained fever occurs. If fever, abnormalities in liver function tests, or jaundice appear, stop therapy with Methyldopa. If caused by Methyldopa, the temperature and abnormalities in liver function characteristically have reverted to normal when the drug was discontinued. Methyldopa should not be reinstituted in such patients. Rarely, a reversible reduction of the white blood cell count with a primary effect on the granulocytes has been seen. The granulocyte count returned promptly to normal on discontinuance of the drug. Rare cases of granulocytopenia have been reported. In each instance, upon stopping the drug, the white cell count returned to normal. Reversible thrombocytopenia has occurred rarely. Some patients taking Methyldopa experience clinical edema or weight gain which may be controlled by use of a diuretic. Methyldopa should not be continued if edema progresses or signs of heart failure appear. Hypertension has recurred occasionally after dialysis in patients given Methyldopa because the drug is removed by this procedure. Rarely, involuntary choreoathetotic movements have been observed during therapy with Methyldopa in patients with severe bilateral cerebrovascular disease. Should these movements occur, stop therapy. Drug Interactions When Methyldopa is used with other antihypertensive drugs, potentiation of antihypertensive effect may occur. Patients should be followed carefully to detect side reactions or unusual manifestations of drug idiosyncrasy. Patients may require reduced doses of anesthetics when on Methyldopa. If hypotension does occur during anesthesia, it usually can be controlled by vasopressors. The adrenergic receptors remain sensitive during treatment with Methyldopa. When Methyldopa and lithium are given concomitantly, the patient should be carefully monitored for symptoms of lithium toxicity. Read the circular for lithium preparations. Several studies demonstrate a decrease in the bioavailability of Methyldopa when it is ingested with ferrous sulfate or ferrous gluconate. This may adversely affect blood pressure control in patients treated with Methyldopa. Coadministration of Methyldopa with ferrous sulfate or ferrous gluconate is not recommended. Monoamine oxidase MAO inhibitors: Interference with spectrophotometric methods for SGOT analysis has not been reported. Since Methyldopa causes fluorescence in urine samples at the same wave lengths as catecholamines, falsely high levels of urinary catecholamines may be reported. This will interfere with the diagnosis of pheochromocytoma. It is important to recognize this phenomenon before a patient with a possible pheochromocytoma is subjected to surgery. Methyldopa does not interfere with measurement of VMA vanillylmandelic acid , a test for pheochromocytoma, by those methods which convert VMA to vanillin. Methyldopa is not recommended for the treatment of patients with pheochromocytoma. Rarely, when urine is exposed to air after voiding, it may darken because of breakdown of Methyldopa or its metabolites. Methyldopa was not mutagenic in the Ames Test and did not increase chromosomal aberration or sister chromatid exchanges in Chinese hamster ovary cells. These in vitro studies were carried out both with and without exogenous metabolic activation. These doses are There are, however, no adequate and well-controlled studies in pregnant women in the first trimester of pregnancy. Because animal reproduction studies are not always predictive of human response, Methyldopa should be used during pregnancy only if clearly needed. Published reports of the use of Methyldopa during all trimesters indicate that if this drug is used during pregnancy the possibility of fetal harm appears remote. In five studies, three of which were controlled, involving pregnant hypertensive women, treatment with Methyldopa was associated with an improved fetal outcome. The majority of these women were in the third trimester when Methyldopa therapy was begun. In one study, women who had begun Methyldopa treatment between weeks 16 and 20 of pregnancy gave birth to infants whose average head circumference was reduced by a small amount Long-term follow-up of At 4

years of age, the developmental delay commonly seen in children born to hypertensive mothers was less evident in those whose mothers were treated with Methyldopa during pregnancy than those whose mothers were untreated. The children of the treated group scored consistently higher than the children of the untreated group on five major indices of intellectual and motor development.

4: Methyldopa (methyldopa) dose, indications, adverse effects, interactions from www.enganchecubano.co

ALDOMET (methyldopa) is supplied as tablets, for oral use, in three strengths: mg, mg, or mg of methyldopa per tablet. Inactive ingredients in the tablets are: calcium disodium edetate, cellulose, citric acid, colloidal silicon dioxide, D&C Yellow 10, ethylcellulose, guar gum, hydroxypropyl methylcellulose, iron oxide, magnesium stearate, propylene glycol, talc, and titanium dioxide.

5: methyldopa [TUSOM | Pharmwiki]

Methyldopa Mechanism Of Action best choice! % Secure and Anonymous. Low Prices, 24/7 online support, available with World Wide Delivery. Effective treatment for erectile dysfunction regardless of the cause or duration of the problem or the age of the patient Methyldopa Mechanism Of Action.

6: Methyldopa | C10H13NO4 - PubChem

Although the exact mechanism of action is not yet understood, methyldopa is thought to lower blood pressure by activating receptors (alpha-2 receptors) in the central nervous system and by reducing the concentration of epinephrine, norepinephrine, dopamine, and serotonin. Epinephrine, norepinephrine, dopamine, and serotonin are neurotransmitters (chemicals) that nerves use to communicate.

7: Aldomet Mechanism of Action | www.enganchecubano.com Philippines

The mechanism of action in the CNS is not known. It is activated by decarboxylation to Î±-methyl-noradrenaline, a false transmitter with a much weaker action than noradrenaline. Methyldopa is well suited for long-term use because it does not normally alter cardiac function.

8: Aldomet - action of methyldopa?

The mechanism of action of methyldopa anhydrous is as an Adrenergic alpha2-Agonist. FDA Pharmacology Summary from FDA Pharm Classes Methyldopa is a phenylalanine derivative and an aromatic amino acid decarboxylase inhibitor with antihypertensive activity.

9: Methyldopa | LGM Pharma

Mechanism of Action Methyldopa may lower blood pressure by stimulating central inhibitory alpha-adrenergic receptors, false neurotransmission, and/or reduction of plasma renin activity. Pharmacokinetics.

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