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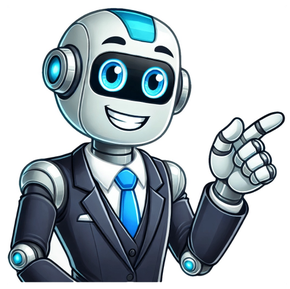

Medication for musculoskeletal pain Pharmaceutical compound MethocarbamolClinical dataTrade namesRobaxin, Marbaxin, othersAHFS/Drugs.comMonographMedlinePlusa682579License data US DailyMed: Methocarbamol Pregnancycategory AU: B2 Routes ofadministrationBy mouth, intravenousATC codeM03BA03 (WHO) M03BA53 (WHO) M03BA73 (WHO)Legal statusLegal status CA: OTC UK: POM (Prescription only)[1] US: ℞-only Pharmacokinetic dataMetabolismLiverElimination half-life1.14–1.24 hours[2]Identifiers IUPAC name (RS)-2-hydroxy-3-(2-methoxyphenoxy)propyl carbamate CAS Number532-03-6 YPubChem CID4107IUPHAR/BPS6829DrugBankDB00423 YChemSpider3964 YUNII125OD7737XKEGGD00402 YChEBICHEBI:6832 NChEMBLChEMBL1201117 NCompTox Dashboard (EPA)DTXSID6023286 ECHA InfoCard100.007.751 Chemical and physical dataFormula$C_{11}H_{15}NO_5$Molar mass241.243 g·mol^{-1}3D model (JSmol)Interactive image SMILES O=C(OCC(O)COc1ccccc1OC)N InChI InChI=1S/C11H15NO5/c1-15-9-4-2-3-5-10(9)16-6-8(13)7-17-11(12)14/h2-5,8,13H,6-7H2,1H3,(H2,12,14) YKey:GNXFOGHNGIVQEH-UHFFFAOYSA-N Y NY (what is this?) (verify) Methocarbamol, sold under the brand name Robaxin among others, is a medication used for short-term musculoskeletal pain.[3][4] It may be used together with rest, physical therapy, and pain medication.[3][5][6] It is less preferred in low back pain.[3] It has limited use for rheumatoid arthritis and cerebral palsy.[3][7] Effects generally begin within half an hour.[3] It is taken by mouth or injection into a vein.[3] Common side effects include headaches, sleepiness, and dizziness.[3][8] Serious side effects may include anaphylaxis, liver problems, confusion, and seizures.[4] Use is not recommended in pregnancy and breastfeeding.[3][4] Because of the risk of injury, skeletal muscle relaxants should generally be avoided in geriatric patients.[3] Methocarbamol is a centrally acting muscle relaxant.[3] How it works is unclear, but it does not appear to affect muscles directly.[3] Methocarbamol was developed in 1956 in the laboratories of A. H. Robins (later acquired by Pfizer). Studies were directed towards the development of propanediol derivatives which possessed muscle relaxant properties superior to those of mephenesin, which had low potency and a short duration of action.[9] It was approved for medical use in the United States in 1957.[3] It is available as a generic medication.[3][4] In 2022, it was the 126th most commonly prescribed medication in the United States, with more than 5 million prescriptions.[10][11] Methocarbamol is available in a fixed-dose combination with ibuprofen as methocarbamol/ibuprofen (sold under the brand name Summit Ultra).[12] Methocarbamol is a muscle relaxant used to treat acute, painful musculoskeletal spasms in a variety of musculoskeletal conditions.[13] However, there is limited and inconsistent published research on the medication's efficacy and safety in treating musculoskeletal conditions, primarily neck and back pain.[13] Methocarbamol injection may have a beneficial effect in the control of the neuromuscular spasms of tetanus.[6] It does not, however, replace the current treatment regimen.[6] It is not useful in chronic neurological disorders, such as cerebral palsy or other dyskinesias.[3] Currently, there is some suggestion that muscle relaxants may improve the symptoms of rheumatoid arthritis; however, there is insufficient data to prove its effectiveness or to answer concerns regarding optimal dosing, choice of muscle relaxant, adverse effects, and functional status.[7] The clinical effectiveness of methocarbamol compared to other muscle relaxants is not well known.[13] One trial of methocarbamol versus cyclobenzaprine, a well-studied muscle relaxant, in those with localized muscle spasm found there were no significant differences in their effects on muscle spasm, limitation of motion, or limitation of daily activities.[13] Contraindications for methocarbamol include: Hypersensitivity to methocarbamol or any of the injection components.[6] For the injectable form, suspected kidney failure or renal pathology, due to large content of polyethylene glycol 300 that can increase pre-existing acidosis and urea retention.[6] Methocarbamol is a centrally acting skeletal muscle relaxant that has significant potential adverse effects, especially on the central nervous system.[3] Potential side effects of methocarbamol include: Most commonly drowsiness, blurred vision, headache, nausea, and skin rash.[8] Possible clumsiness (ataxia), upset stomach, flushing, mood changes, trouble urinating, itchiness, and fever.[14][15] Both tachycardia (fast heart rate) and bradycardia (slow heart rate) have been reported.[15] Hypersensitivity reactions and anaphylatic reactions are also reported.[5][6] May cause respiratory depression when combined with benzodiazepines, barbiturates, codeine, or other muscle relaxants.[16] May cause urine to turn black, blue, or green.[14] While the product label states that methocarbamol can cause jaundice, there is minimal evidence to suggest that methocarbamol causes liver damage.[8] During clinical trials of methocarbamol, there were no laboratory measurements of liver damage indicators, such as serum transaminase (AST/ALT) levels, to confirm hepatotoxicity.[8] Although unlikely, it is impossible to rule out that methocarbamol may cause mild liver injury with use.[8] Skeletal muscle relaxants are associated with an increased risk of injury among older adults.[17] Methocarbamol appeared to be less sedating than other muscle relaxants, most notably cyclobenzaprine but had a similarly increased risk of injury.[16][17] Methocarbamol is cited along with "most muscle relaxants" in the 2012 Beers Criteria as being "poorly tolerated by older adults, because of anticholinergic adverse effects, sedation, increased risk of fractures," noting that "effectiveness dosages tolerated by older adults is questionable."[18] Methocarbamol is labeled by the FDA as a pregnancy category C medication.[6] The teratogenic effects of the medication are not known and should be given to pregnant women only when indicated.[6] There is limited information available on the acute toxicity of methocarbamol.[5][6] Overdose is observed frequently in conjunction with CNS depressants such as alcohol or benzodiazepines and will have symptoms of nausea, drowsiness, blurred vision, hypotension, seizures, and coma.[6] There are reported deaths with an overdose of methocarbamol alone or in the presence of other CNS depressants.[5][6] Unlike other carbamates such as meprobamate and its prodrug carisoprodol, methocarbamol has greatly reduced abuse potential.[19] Studies comparing it to the benzodiazepine lorazepam and the antihistamine diphenhydramine, along with placebo, find that methocarbamol produces increased "liking" responses and some sedative-like effects; however, at higher doses dysphoria is reported.[19] It is considered to have an abuse profile similar to, but weaker than, lorazepam.[19] Methocarbamol may inhibit the effects of pyridostigmine bromide.[5][6] Therefore, methocarbamol should be used with caution in those with myasthenia gravis taking anticholinesterase medications.[6] Methocarbamol may disrupt certain screening tests as it can cause color interference in laboratory tests for 5-hydroxy-indoleacetic acid (5-HIAA) and in urinary testing for vanillylmandelic acid (VMA) using the Gitlow method.[6] The mechanism of action of methocarbamol has not currently been established.[3] Its effect is thought to be localized to the central nervous system rather than a direct effect on skeletal muscles.[3] It does not affect the motor end plate or the peripheral nerve fiber.[6] The efficacy of the medication is likely related to its sedative effect.[3] Alternatively, methocarbamol may act via inhibition of acetylcholinesterase, similarly to carbamate.[20] In healthy individuals, the plasma clearance of methocarbamol ranges between 0.20 and 0.80 L/h/kg.[6] The mean plasma elimination half-life ranges between 1 and 2 hours, and the plasma protein binding ranges between 46% and 50%.[6] The elimination half-life was longer in the elderly, those with kidney problems, and those with liver problems.[6] Methocarbamol is the carbamate derivative of guaifenesin, but does not produce guaifenesin as a metabolite, because the carbamate bond is not hydrolyzed metabolically;[8][6] its metabolism is by Phase I ring hydroxylation and O-demethylation, followed by Phase II conjugation.[6] All the major metabolites are unhydrolyzed carbamates.[21][22] Small amounts of unchanged methocarbamol are also excreted in the urine.[5][6] Methocarbamol was approved as a muscle relaxant for acute, painful musculoskeletal conditions in the United States in 1957.[8] Muscle relaxants are widely used to treat low back pain, one of the most frequent health problems in industrialized countries. Currently, there are more than 3 million prescriptions filled yearly.[8] Methocarbamol and orphenadrine are each used in more than 250,000 U.S. emergency department visits for lower back pain each year.[23] In the United States, low back pain is the fifth most common reason for all physician visits and the second most common symptomatic reason.[24] In 80% of primary care visits for low back pain, at least one medication was prescribed at the initial office visit and more than one third were prescribed two or more medications.[25] The most commonly prescribed drugs for low back pain included skeletal muscle relaxants.[26] Cyclobenzaprine and methocarbamol are on the U.S. Medicare formulary, which may account for the higher use of these products.[17] It is relatively inexpensive as of 2016.[27] The generic formulation of the medication is relatively inexpensive, costing less than the alternative metaxalone in 2016.[28][27] Generic methocarbamol 750mg tablet. Methocarbamol without other ingredients is sold under the brand name Robaxin in the U.K., U.S., Canada[29] and South Africa; it is marketed as Lumirelax in France, Ortoton in Germany and many other names worldwide.[30] In combination with other active ingredients it is sold under other names: with acetaminophen (paracetamol), under trade names Robaxacet and Tylenol Body Pain Night; with ibuprofen as Robax Platinum; with acetylsalicylic acid as Robaxisal in the U.S. and Canada.[31][32] However, in Spain the tradename Robaxisal is used for the paracetamol combination instead of Robaxacet.[citation needed] These combinations are also available from independent manufacturers under generic names.[citation needed] Although opioids are typically first-line treatments in severe pain, several trials suggest that methocarbamol may improve recovery and decrease hospital length of stay in those with muscle spasms associated with rib fractures.[33][34][35] However, methocarbamol was less useful in the treatment of acute traumatic pain in general.[36] Long-term studies evaluating the risk of development of cancer in using methocarbamol have not been performed.[5][6] There are currently no studies evaluating the effect of methocarbamol on mutagenesis or fertility.[5][6] The safety and efficacy of methocarbamol have not been established in pediatric individuals below the age of 16 except in tetanus.[5][6]

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Robaxin is a prescription-only medication that treats involuntary muscle spasms. Robaxin is not recommended for use in pregnant or breastfeeding women. Certain conditions, such as alcohol use and kidney disease, can affect how long Robaxin stays in your system. Robaxin (methocarbamol) works quickly. Those who take the medication may feel the effects in about 30 minutes. The drug typically reaches peak levels in the body two hours after an oral dose. Repeat doses of methocarbamol may be taken every six hours as directed by a healthcare provider. Robaxin is a brand name for the generic medication methocarbamol. Methocarbamol is a central nervous system depressant used to treat involuntary muscle spasms. Robaxin has a relatively short half-life. In healthy individuals, nearly all methocarbamol metabolites are excreted in urine within five hours of ingesting the drug. Pregnant mothers should avoid taking Robaxin due to an increased likelihood of the infant being born with congenital disabilities. Methocarbamol is present in the milk of lactating mothers receiving treatment with the drug. It is unknown whether this may damage the development of nursing infants. Methocarbamol should be avoided when driving an automobile or operating heavy machinery due to the potential for impaired motor skills. Methocarbamol is unsafe for many elderly patients due to the increased risk of serious side effects. Common side effects of Robaxin may include upset stomach, skin flushing, poor coordination (ataxia), dizziness, blurred vision and drowsiness. Cases of rapid heart rate (tachycardia) and slow heart rate (bradycardia) have been reported on rare occasions. These are serious conditions and should be reported to the prescribing doctor immediately. Other side effects indicative of a poor reaction to the drug include itching, jaundice (yellow skin and eyes), fainting, nausea, vomiting, difficulty urinating, mood swings and abdominal pain. Incidences of increased suicidal thoughts are uncommon but are more likely to occur in young patients taking high doses of methocarbamol. Robaxin is considered low risk for developing a dependence on the drug. Abruptly stopping treatment can lead to an increased likelihood of side effects. Methocarbamol is potentially addictive like the benzodiazepine lorazepam, although the addictive qualities of methocarbamol are considerably weaker. Occurrences of addiction to methocarbamol alone are rare. Typical habits evolve in individuals with a history of addiction to other substances. Robaxin has a high therapeutic index, meaning it tends to be effective and safe at a wide range of doses. Taking 500–750 mg daily of methocarbamol is common for treating persistent involuntary muscle spasms. Significantly higher doses may be necessary for treating lockjaw associated with tetanus. Severe side effects are more likely to occur at higher doses. The exact mechanism of action of methocarbamol is unknown. Robaxin does not act directly on striatal muscle fibers but inhibits the functioning of neurons that trigger muscle twitching and pain. Symptoms can be reduced by depressing the activity of these neurons. The plasma elimination half-life of methocarbamol ranges between one and two hours before excreted in the urine. Nearly all of methocarbamol's metabolites are excreted in the urine. However, only a minuscule amount of methocarbamol itself is eliminated in the urine. The plasma protein binding of methocarbamol ranges from 46–50% in healthy individuals. Standard five-panel drug tests are the most common pre-employment drug screening. Five-panel drug tests check for amphetamines, cocaine, oxycodone and tetrahydrocannabinol (THC). Methocarbamol, a skeletal muscle relaxant, doesn't fall into these categories and shouldn't affect a standard drug test. The patient's age and kidney and liver health influence the body's metabolism of Robaxin. Elderly patients take slightly longer to eliminate methocarbamol in the urine. This means methocarbamol levels will be higher in older patients than in younger patients taking the same dose. Patients on hemodialysis due to poorly functioning kidneys and individuals with liver cirrhosis due to alcohol misuse may also have higher levels of Robaxin in their system because of the increased time to eliminate the drug and the lower amount of drug bound to protein in the blood. Standard drug tests do not routinely check for methocarbamol or its metabolites. Testable levels of methocarbamol metabolites may be present in the urine beginning one to two hours following ingestion. Methocarbamol can remain in urine for about four to five hours after consumption. These ranges can differ depending on the patient's age and health. For most individuals, only 2% of absorbed methocarbamol will remain in the blood for 24 hours following the final drug dose. Most substances may be tested via a hair sample within 90 days of the last dose. 0 sources cited Methocarbamol stays in your system for approximately 5-10 hours. The drug has a half-life of 1-2 hours and typically takes 4-5 half-lives to eliminate completely. Effects last 4-6 hours with peak plasma concentrations reached within 2 hours after ingestion. Blood, urine, and saliva tests detect methocarbamol for 5-10 hours, while hair tests show presence up to 90 days. Methocarbamol (Robaxin) is a popular, centrally acting skeletal muscle relaxant (SMR) approved by the FDA to manage acute musculoskeletal pain. Unlike dantrolene and baclofen, which target spasticity from upper motor neuron disorders, methocarbamol focuses on easing involuntary muscle spasms. Methocarbamol is used as an adjunctive treatment, methocarbamol is generally recommended for short-term use because it doesn't appear to be effective beyond that duration. How long does Robaxin last in your body after your last dose? Understanding methocarbamol duration avoids potential health complications and dangerous interactions. Keep reading to learn about methocarbamol metabolism. About Methocarbamol Previously known under the brand name Robaxin®, methocarbamol is a prescription-only centrally acting skeletal muscle relaxant for relieving discomfort associated with acute, painful musculoskeletal conditions. It is often prescribed as an adjunct to rest, physical therapy, and other measures to relieve muscle spasms and pain. Conditions commonly treated with methocarbamol include: Muscle strains and sprains Back pain Neck pain Injuries involving muscles and joints As an antispasmodic agent, methocarbamol specifically targets involuntary skeletal muscle spasms. These agents are crucial in managing conditions where muscle spasm is a predominant symptom, helping to alleviate pain and improve function. Unlike antispastic agents, which are used to treat spasticity resulting from neurological conditions, antispasmodic agents like methocarbamol are used for acute, painful musculoskeletal conditions. Methocarbamol Mechanism of Action Although its exact mechanism of action (MOA) is not completely understood, it is believed to work primarily at the central nervous system (CNS) level. Methocarbamol MOA does not directly relax tense skeletal muscles. Instead, it inhibits the transmission of nerve impulses (or pain sensations) to the brain, leading to a generalized sedative effect. This CNS depression helps reduce muscle spasms, relieves discomfort and improves mobility. Methocarbamol is available in 500-mg and 750-mg tablets. For treating acute musculoskeletal pain, the initial recommended dose is 1,500 mg, taken four times a day for the first two to three days. The maintenance methocarbamol dosage is typically reduced to 4 g to 4.5 g daily, divided into three to six doses. How Long Does Robaxin Stay In Your System? Methocarbamol begins to take effect within 30 minutes of oral administration. It is well absorbed in the gastrointestinal tract and reaches peak plasma concentrations in about 2 hours. Once in the body, methocarbamol binds moderately to plasma proteins, with binding rates typically ranging from 46% to 50%. This means that about half of the medication is readily available to produce its effect, and the other half acts as a reservoir for sustained effect. However, this scenario may change under specific medical circumstances: Factors Affecting How Long Is Methocarbamol In Your System The metabolism of methocarbamol can be influenced by various factors, affecting its efficacy and safety. Here are some key factors: Liver and Kidney Function Studies show that methocarbamol's elimination time remains unchanged in patients undergoing hemodialysis compared to those not on dialysis, although renal clearance is reduced. Similarly, clearance is lower in patients with liver damage, like cirrhosis, but no specific dose adjustment is recommended. A study found that a dose of 500 mg taken twice daily was well tolerated by patients with cirrhosis. Age As people age, their metabolic rate generally decreases. Studies have shown that in elderly volunteers, the mean elimination half-life is about 1.5 ± 0.4 hours, compared to 1.1 ± 0.27 hours in younger adults. This indicates that the drug remains in the system longer in older adults. Additionally, the fraction of methocarbamol bound to plasma proteins is slightly lower in the elderly (41 to 43%) versus younger individuals (46 to 50%). These factors suggest that older adults may experience prolonged drug effects, warranting careful dosing and monitoring. Concurrent Medication Other medications can interact with methocarbamol, either enhancing or inhibiting its metabolism. Methocarbamol can interact with other CNS depressant drugs, such as opioids, benzodiazepines, and alcohol. These methocarbamol drug interactions can enhance the sedative effects of methocarbamol, increasing the risk of severe drowsiness, and respiratory depression. Also, drugs that induce liver enzymes (such as certain anticonvulsants) can speed up the metabolism of methocarbamol. In contrast, drugs that inhibit these enzymes (such as some antifungals) can slow it down. Half Life Methocarbamol Another important factor is the medication's half-life. It's helpful to consider the half life of Robaxin to understand better how long it takes for Methocarbamol to get out of your system. The Robaxin half life is the time it takes for the drug's concentration in the bloodstream to be reduced by half. This measure determines how long a drug's effects will last, how often it needs to be administered and how long it might be detectable in the body. In healthy individuals, methocarbamol is cleared from the plasma at 0.20 to 0.80 L/h/kg, with an average elimination half-life of 1 to 2 hours. Most of the drug is excreted as inactive metabolites in the urine. How Long Does Methocarbamol 750 mg Stay In Your System? However, does this apply to all available Robaxin dosages? In comparison to methocarbamol 500 mg, 750 mg doses of methocarbamol are metabolized similarly. However, the higher 750 mg dose may lead to slightly higher peak plasma levels than the 500 mg dose. The primary difference lies in the duration and intensity of both doses rather than their metabolism. The 750 mg dose might offer more pronounced relief or longer-lasting effects, but it could also increase the risk of methocarbamol side effects. Both doses are cleared from the body at similar rates. Adjustments in dosing frequency are generally based on therapeutic needs rather than metabolic differences. How Long Does Methocarbamol Take To Kick In? After oral administration of either 500 mg or 750 mg methocarbamol pills, the medication is fully absorbed through the gastrointestinal tract and extensively distributed throughout body tissues, with higher concentrations found in the kidneys, liver, and central nervous system. Peak plasma concentrations are reached within 2 hours, and the antispasmodic and pain relieving effects typically begin within 30 minutes. These effects generally last for 4 to 6 hours. Typically, methocarbamol is dosed every 6 hours. How Long Does Methocarbamol Last? If you are concerned about remaining traces of methocarbamol in your body, you can estimate its complete elimination using its half-life. Typically, it takes about 4 to 5 half-lives for a drug to be eliminated from the system. The formula to calculate the time for complete elimination is: Complete Elimination Time = Half-Life × 5 Since we already know there is no major difference between both formulation half-lives, let's apply this formula to methocarbamol: For the lower end (1 hour) Complete elimination time = 1 hour × 5 =5 hours For the upper end (2 hours): Complete elimination time = 2 hours × 5 = 10 hours It would take approximately 10 hours for methocarbamol to be completely eliminated from your system, based on its elimination half-life of 2 hours. Methocarbamol Drug Test When undergoing drug testing, it is essential to consider the potential impact of medications on test results. Certain medications, including some analgesics like ibuprofen or naproxen, can lead to false positives, where a test may incorrectly indicate the presence of illicit substances. For example, methocarbamol has been shown to increase vanilmandelic acid excretion, causing a positive result for detecting pheochromocytoma, a rare adrenal gland tumor. It may also lead to a positive color reaction in the 5-hydroxyindoleacetic acid test, used for screening carcinoid tumors. These interactions could potentially lead to misdiagnosis of conditions that are not present. To avoid complications, inform the testing facility of all medications you take and discuss any concerns with healthcare providers to ensure accurate interpretation of drug test results. How Long Does Methocarbamol Show Up On A Drug Test? Methocarbamol remains in bodily tissues and fluids for different timeframes. By examining them, we can better monitor therapeutic effectiveness, manage potential side effects, and avoid drug interactions. Consult below the different methocarbamol timeframes: Urine: 5-10 hours Blood: 5-10 hours Saliva: 5-10 hours Hair: Up to 90 days Methocarbamol is an effective muscle relaxant with a short duration of action, typically providing relief for 4 to 6 hours. While its efficacy may be limited compared to other muscle relaxants, it can be beneficial for those sensitive to stronger sedatives. A methocarbamol overdose can lead to exaggerated therapeutic effects like muscular flaccidity, CNS and respiratory depression, and anticholinergic syndrome. As there is no specific antidote for such drug overdoses, aggressive supportive care and close monitoring of the patient's ventilation and oxygenation are crucial. Always be aware of your medication and discuss any concerns or interactions with your healthcare provider to ensure safe and effective treatment. People Also Ask What is the half life of methocarbamol? Methocarbamol has a plasma elimination half-life of about 1 to 2 hours, though half life Robaxin can vary based on age, liver, and kidney function. Does methocarbamol show up on a drug screen? Methocarbamol typically does not show up on standard drug screens but may cause false positives in tests for conditions like pheochromocytoma or carcinoid tumors. How long does methocarbamol 500 mg stay in your system? Methocarbamol 500 mg generally remains in the system for about 4 to 6 hours, with complete clearance typically occurring within 10 hours if you don't take another dose. Find the best treatment options. Call our free and confidential helpline Most private insurances accepted Page Sources Sibrack, J., Patel, P., & Hammer, R. (2024, May 2). Methocarbamol. StatPearls - NCBI Bookshelf. Long-term use of muscle relaxants has skyrocketed since 2005 - Penn Medicine. (n.d.). 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Medication for musculoskeletal pain Pharmaceutical compound MethocarbamolClinical dataTrade namesRobaxin, Marbaxin, othersAHFS/Drugs.comMonographMedlinePlusa682579License data US DailyMed: Methocarbamol Pregnancycategory AU: B2 Routes ofadministrationBy mouth, intravenousATC codeM03BA03 (WHO) M03BA53 (WHO) M03BA73 (WHO)Legal statusLegal status CA: OTC UK: POM (Prescription only)[1] US: ℞-only Pharmacokinetic dataMetabolismLiverElimination half-life1.14–1.24 hours[2]Identifiers IUPAC name (RS)-2-hydroxy-3-(2-methoxyphenoxy)propyl carbamate CAS Number532-03-6 YPubChem CID4107IUPHAR/BPS6829DrugBankDB00423 YChemSpider3964 YUNII125OD7737XKEGGD00402 YChEBICHEBI:6832 NChEMBLChEMBL1201117 NCompTox Dashboard (EPA)DTXSID6023286 ECHA InfoCard100.007.751 Chemical and physical dataFormula$C_{11}H_{15}NO_5$Molar mass241.243 g·mol^{-1}3D model (JSmol)Interactive image SMILES O=C(OCC(O)COc1ccccc1OC)N InChI InChI=1S/C11H15NO5/c1-15-9-4-2-3-5-10(9)16-6-8(13)7-17-11(12)14/h2-5,8,13H,6-7H2,1H3,(H2,12,14) YKey:GNXFOGHNGIVQEH-UHFFFAOYSA-N Y NY (what is this?) (verify) Methocarbamol, sold under the brand name Robaxin among others, is a medication used for short-term musculoskeletal pain.[3][4] It may be used together with rest, physical therapy, and pain medication.[3][5][6] It is less preferred in low back pain.[3] It has limited use for rheumatoid arthritis and cerebral palsy.[3][7] Effects generally begin within half an hour.[3] It is taken by mouth or injection into a vein.[3] Common side effects include headaches, sleepiness, and dizziness.[3][8] Serious side effects may include anaphylaxis, liver problems, confusion, and seizures.[4] Use is not recommended in pregnancy and breastfeeding.[3][4] Because of the risk of injury, skeletal muscle relaxants should generally be avoided in geriatric patients.[3] Methocarbamol is a centrally acting muscle relaxant.[3] How it works is unclear, but it does not appear to affect muscles directly.[3] Methocarbamol was developed in 1956 in the laboratories of A. H. Robins (later acquired by Pfizer). Studies were directed towards the development of propanediol derivatives which possessed muscle relaxant properties superior to those of mephenesin, which had low potency and a short duration of action.[9] It was approved for medical use in the United States in 1957.[3] It is available as a generic medication.[3][4] In 2022, it was the 126th most commonly prescribed medication in the United States, with more than 5 million prescriptions.[10][11] Methocarbamol is available in a fixed-dose combination with ibuprofen as methocarbamol/ibuprofen (sold under the brand name Summit Ultra).[12] Methocarbamol is a muscle relaxant used to treat acute, painful musculoskeletal spasms in a variety of musculoskeletal conditions.[13] However, there is limited and inconsistent published research on the medication's efficacy and safety in treating musculoskeletal conditions, primarily neck and back pain.[13] Methocarbamol injection may have a beneficial effect in the control of the neuromuscular spasms of tetanus.[6] It does not, however, replace the current treatment regimen.[6] It is not useful in chronic neurological disorders, such as cerebral palsy or other dyskinesias.[3] Currently, there is some suggestion that muscle relaxants may improve the symptoms of rheumatoid arthritis; however, there is insufficient data to prove its effectiveness or to answer concerns regarding optimal dosing, choice of muscle relaxant, adverse effects, and functional status.[7] The clinical effectiveness of methocarbamol compared to other muscle relaxants is not well known.[13] One trial of methocarbamol versus cyclobenzaprine, a well-studied muscle relaxant, in those with localized muscle spasm found there were no significant differences in their effects on muscle spasm, limitation of motion, or limitation of daily activities.[13] Contraindications for methocarbamol include: Hypersensitivity to methocarbamol or any of the injection components.[6] For the injectable form, suspected kidney failure or renal pathology, due to large content of polyethylene glycol 300 that can increase pre-existing acidosis and urea retention.[6] Methocarbamol is a centrally acting skeletal muscle relaxant that has significant potential adverse effects, especially on the central nervous system.[3] Potential side effects of methocarbamol include: Most commonly drowsiness, blurred vision, headache, nausea, and skin rash.[8] Possible clumsiness (ataxia), upset stomach, flushing, mood changes, trouble urinating, itchiness, and fever.[14][15] Both tachycardia (fast heart rate) and bradycardia (slow heart rate) have been reported.[15] Hypersensitivity reactions and anaphylatic reactions are also reported.[5][6] May cause respiratory depression when combined with benzodiazepines, barbiturates, codeine, or other muscle relaxants.[16] May cause urine to turn black, blue, or green.[14] While the product label states that methocarbamol can cause jaundice, there is minimal evidence to suggest that methocarbamol causes liver damage.[8] During clinical trials of methocarbamol, there were no laboratory measurements of liver damage indicators, such as serum transaminase (AST/ALT) levels, to confirm hepatotoxicity.[8] Although unlikely, it is impossible to rule out that methocarbamol may cause mild liver injury with use.[8] Skeletal muscle relaxants are associated with an increased risk of injury among older adults.[17] Methocarbamol appeared to be less sedating than other muscle relaxants, most notably cyclobenzaprine but had a similarly increased risk of injury.[16][17] Methocarbamol is cited along with "most muscle relaxants" in the 2012 Beers Criteria as being "poorly tolerated by older adults, because of anticholinergic adverse effects, sedation, increased risk of fractures," noting that "effectiveness dosages tolerated by older adults is questionable."[18] Methocarbamol is labeled by the FDA as a pregnancy category C medication.[6] The teratogenic effects of the medication are not known and should be given to pregnant women only when indicated.[6] There is limited information available on the acute toxicity of methocarbamol.[5][6] Overdose is observed frequently in conjunction with CNS depressants such as alcohol or benzodiazepines and will have symptoms of nausea, drowsiness, blurred vision, hypotension, seizures, and coma.[6] There are reported deaths with an overdose of methocarbamol alone or in the presence of other CNS depressants.[5][6] Unlike other carbamates such as meprobamate and its prodrug carisoprodol, methocarbamol has greatly reduced abuse potential.[19] Studies comparing it to the benzodiazepine lorazepam and the antihistamine diphenhydramine, along with placebo, find that methocarbamol produces increased "liking" responses and some sedative-like effects; however, at higher doses dysphoria is reported.[19] It is considered to have an abuse profile similar to, but weaker than, lorazepam.[19] Methocarbamol may inhibit the effects of pyridostigmine bromide.[5][6] Therefore, methocarbamol should be used with caution in those with myasthenia gravis taking anticholinesterase medications.[6] Methocarbamol may disrupt certain screening tests as it can cause color interference in laboratory tests for 5-hydroxy-indoleacetic acid (5-HIAA) and in urinary testing for vanillylmandelic acid (VMA) using the Gitlow method.[6] The mechanism of action of methocarbamol has not currently been established.[3] Its effect is thought to be localized to the central nervous system rather than a direct effect on skeletal muscles.[3] It does not affect the motor end plate or the peripheral nerve fiber.[6] The efficacy of the medication is likely related to its sedative effect.[3] Alternatively, methocarbamol may act via inhibition of acetylcholinesterase, similarly to carbamate.[20] In healthy individuals, the plasma clearance of methocarbamol ranges between 0.20 and 0.80 L/h/kg.[6] The mean plasma elimination half-life ranges between 1 and 2 hours, and the plasma protein binding ranges between 46% and 50%.[6] The elimination half-life was longer in the elderly, those with kidney problems, and those with liver problems.[6] Methocarbamol is the carbamate derivative of guaifenesin, but does not produce guaifenesin as a metabolite, because the carbamate bond is not hydrolyzed metabolically;[8][6] its metabolism is by Phase I ring hydroxylation and O-demethylation, followed by Phase II conjugation.[6] All the major metabolites are unhydrolyzed carbamates.[21][22] Small amounts of unchanged methocarbamol are also excreted in the urine.[5][6] Methocarbamol was approved as a muscle relaxant for acute, painful musculoskeletal conditions in the United States in 1957.[8] Muscle relaxants are widely used to treat low back pain, one of the most frequent health problems in industrialized countries. Currently, there are more than 3 million prescriptions filled yearly.[8] Methocarbamol and orphenadrine are each used in more than 250,000 U.S. emergency department visits for lower back pain each year.[23] In the United States, low back pain is the fifth most common reason for all physician visits and the second most common symptomatic reason.[24] In 80% of primary care visits for low back pain, at least one medication was prescribed at the initial office visit and more than one third were prescribed two or more medications.[25] The most commonly prescribed drugs for low back pain included skeletal muscle relaxants.[26] Cyclobenzaprine and methocarbamol are on the U.S. Medicare formulary, which may account for the higher use of these products.[17] It is relatively inexpensive as of 2016.[27] The generic formulation of the medication is relatively inexpensive, costing less than the alternative metaxalone in 2016.[28][27] Generic methocarbamol 750mg tablet. Methocarbamol without other ingredients is sold under the brand name Robaxin in the U.K., U.S., Canada[29] and South Africa; it is marketed as Lumirelax in France, Ortoton in Germany and many other names worldwide.[30] In combination with other active ingredients it is sold under other names: with acetaminophen (paracetamol), under trade names Robaxacet and Tylenol Body Pain Night; with ibuprofen as Robax Platinum; with acetylsalicylic acid as Robaxisal in the U.S. and Canada.[31][32] However, in Spain the tradename Robaxisal is used for the paracetamol combination instead of Robaxacet.[citation needed] These combinations are also available from independent manufacturers under generic names.[citation needed] Although opioids are typically first-line treatments in severe pain, several trials suggest that methocarbamol may improve recovery and decrease hospital length of stay in those with muscle spasms associated with rib fractures.[33][34][35] However, methocarbamol was less useful in the treatment of acute traumatic pain in general.[36] Long-term studies evaluating the risk of development of cancer in using methocarbamol have not been performed.[5][6] There are currently no studies evaluating the effect of methocarbamol on mutagenesis or fertility.[5][6] The safety and efficacy of methocarbamol have not been established in pediatric individuals below the age of 16 except in tetanus.[5][6] ^ "Robaxin-750 - Summary of Product Characteristics (SmPC)". 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