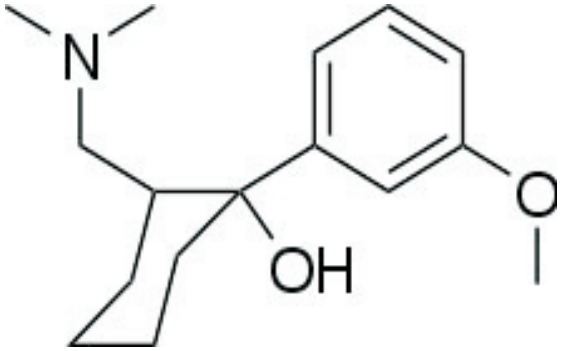
Taj Pharmaceuticals Ltd.

Tramadol

CAS No. 27203-92-5

Systematic (IUPAC) name
(1R,2R)-rel-2-[(dimethylamino)methyl]- 1-(3-methoxyphenyl)cyclohexanol

Identifiers
CAS number 27203-92-5
ATC code N02AX02
PubChem 33741
DrugBank APRD00028
ChemSpider 31105



Chemical data
Formula : C16H25NO2
Mol. mass : 263.4 g/mol
SMILES : eMolecules & PubChem
Pharmacokinetic data
Bioavailability 68–72% Increases with repeated dosing. Protein binding 20%
Metabolism Hepatic demethylation and glucuronidation Half life 5–7 hours
Excretion Renal

Tramadol is a centrally acting analgesic, used for treating moderate to severe pain. It is often categorized as an opioid, although it is chemically not related to the opioid class of drugs. It does, however, appear to have agonist actions at the μ-opioid receptor as well as the noradrenergic and serotonergic systems.

Tramadol is used to treat moderate to moderately severe pain and most types of neuralgia, including trigeminal neuralgiaIt has been suggested that tramadol could be effective for alleviating symptoms of depression, anxiety, and phobias because of its action on the noradrenergic and serotonergic systems.However, health professionals have not yet fully endorsed of its use on a large scale for these disorders, although it may be used when other treatments have failed.

Tramadol is a centrally acting analgesic. The chemical name for tramadol hydrochloride is (±)cis-2-[(dimethylamino) methyl]-1-(3-methoxyphenyr) cyclohexanol hydrochloride.Tramadol hydrochloride is a white, bitter, crystalline and odorless powder. It is readily soluble in water and ethanol and has a pKa of 9.41. The n-octanol/water log partition coefficient (logP) is 1.35 at pH 7.

How should this medicine be used?

Tramadol comes as a tablet and an extended-release (long-acting) tablet to take by mouth. The regular tablet is usually taken with or without food every 4-6 hours as needed. The extended-release tablet should be taken once a day. Take the extended-release tablet at about the same time of day every day, and either always take it with food or always take it without food. Take tramadol exactly as directed. Do not take more medication as a single dose or take more doses per day than prescribed by your doctor. Taking more tramadol than prescribed by your doctor may cause serious side effects or death.

Your doctor may start you on a low dose of tramadol and gradually increase the amount of medication you take, not more often than every 3 days if you are taking the regular tablets or every 5 days if you are taking the extended-release tablets.

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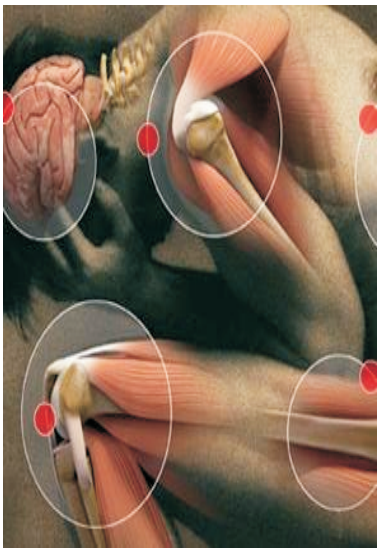
Swallow the extended-release tablets whole; do not split, chew, or crush them. Do not snort (inhale powder from crushed tablet) or inject the dissolved extended-release tablets. Taking this medication in a way that is not recommended may cause serious side effects or death.

Tramadol can be habit-forming. Do not take a larger dose, take it more often, or take it for a longer period of time than prescribed by your doctor. Call your doctor if you find that you want to take extra medication or if you notice any other unusual changes in your behavior or mood.

Tramadol side effects

Get emergency medical help if you have any of these signs of an allergic reaction: hives; difficulty breathing; swelling of your face, lips, tongue, or throat. Stop using tramadol and call your doctor at once if you have any of these serious side effects:



* seizure (convulsions);
* a red, blistering, peeling skin rash; or
* shallow breathing, weak pulse.

Less serious side effects may include:

* dizziness, drowsiness, weakness;
* nausea, vomiting, constipation, loss of appetite;
* blurred vision;
* flushing (redness, warmth, or tingly feeling); or
* sleep problems (insomnia).

Availability

Tramadol is usually marketed as the hydrochloride salt (tramadol hydrochloride); the tartrate is seen on rare occasions, and tramadol is available in both injectable (intravenous and/or intramuscular) and oral preparations. It is also available in conjunction with acetaminophen. The solutions suitable for injection are used in Patient-Controlled Analgesia pumps under some circumstances, either as the sole agent or along with another agent such as morphine.

Tramadol comes in many forms, including:

* capsules
* tablets
* extended-release tablets
* extended-release capsules
* chewable tablets
* low-residue and/or uncoated tablets that can be taken by the sublingual and buccal routes
* suppositories
* effervescent tablets and powders
* ampoules of sterile solution for SC, IM, and IV injection

* preservative-free solutions for injection by the various spinal routes (epidural, intrathecal, caudal, and others)
* powders for compounding
* liquids both with and without alcohol for oral and sublingual administration, available in regular phials and bottles, dropper bottles, bottles with a pump similar to those used with liquid soap and phials with droppers built into the cap
* tablets and capsules containing paracetamol (acetaminophen) and aspirin and other agents

Tramadol has been experimentally used in the form of an ingredient in multi-agent topical gels, creams, and solutions for nerve pain, rectal foam, concentrated retention enaema, and a skin plaster (transdermal patch) quite similar to those used with lidocaine.

Veterinary Use

Tramadol is used to treat post-operative, injury-related, and chronic (e.g., cancer-related) pain in dogs and cats [8] as well as rabbits, coatis, many small mammals including rats and flying squirrels, guinea pigs, ferrets, and raccoons. Tramadol comes in ampoules in addition to the tablets, capsules, powder for reconstitution, and oral syrups and liquids; the fact that its characteristic taste is not very bitter and can be masked in food and diluted in water makes for a number of means of administration. No data that would lead to a definitive determination of the efficacy and safety of tramadol in reptiles or amphibians is available at this time, and, following the pattern of all other drugs, it appears that tramadol can be used to relieve pain in marsupials such as North American opossums, Short-Tailed Opossums, sugar gliders, wallabies, and kangaroos among others.

Metabolism

Tramadol undergoes hepatic metabolism via the cytochrome P450 isozyme CYP2D6, being O- and N-demethylated to five different metabolites. Of these, M1 (O-Desmethyltramadol) is the most significant since it has 200 times the μ-affinity of (+)-tramadol, and furthermore has an elimination half-life of nine hours, compared with six hours for tramadol itself. In the 6% of the population that have slow CYP2D6 activity, there is therefore a slightly reduced analgesic effect. Phase II hepatic metabolism renders the metabolites water-soluble, which are excreted by the kidneys. Thus, reduced doses may be used in renal and hepatic impairment.

Note:
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The Controlled Substances Act (CSA) was enacted into law by the Congress of the United States as Title II of the Comprehensive Drug Abuse Prevention and Control Act of 1970.[1] The CSA is the federal U.S. drug policy under which the manufacture, importation, possession, use and distribution of certain substances is regulated. The Act also served as the national implementing legislation for the Single Convention on Narcotic Drugs.

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This leaflet was prepared by
Taj Pharmaceuticals Limited,
Mumbai (India).
MPSTJ278
Last revised: 29 August 2009