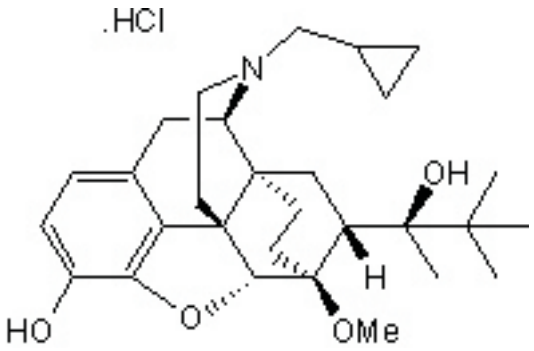
Taj Pharmaceuticals Ltd.

Buprenorphine HCl

CAS No. : 53152-21-9

Chemical Name
[5a,7a(S)]-17-(Cyclopropylmethyl)-a-(1,1-dimethylethyl) -4,5-epoxy-18,19-dihydro-3-hydroxy-6-methoxy-a-methyl-6 , 14-ethenomorphinan-7-methanol hydrochloride



Clinical Data

M.Wt: 504.1
Formula: C29H41NO4.HCl
Solubility: Soluble to 25 mM in Water and to 50 mM in Ethanol
Purity: >99 %
Storage: Store at RT
CAS No: [53152-21-9]

DOSAGE
Tablets
Adults

SL (Use limited to health care providers who meet certain qualifications and have notified the Health and Human Services of their intent to prescribe.) 12 to 16 mg/day.
Injection
Adults and children (13 yr of age and older)

IM/IV 0.3 mg deep IM or slow IV (over at least 2 min) at up to 6 h intervals, as needed. May repeat once (up to 0.3 mg) 30 to 60 min after initial dosage, if required.
General Advice

Tablets
For SL use only. Do not chew, crush, or swallow tablets. Place tablets under the tongue until they are dissolved. Swallowing tablets reduces effectiveness.

Buprenorphine and buprenorphine tablets are interchangeable.

Do not initiate therapy until objective signs of opioid withdrawal are evident.

For dose requiring more than 2 tablets, place all tablets under the tongue and allow to dissolve. If patient cannot fit more than 2 tablets under the tongue at one time, then place 2 tablets under the tongue at a time.

Injection
For deep IM or slow IV (over at least 2 min) administration only. Not for intradermal, SC, or intra-arterial administration.

SIDE EFFECTS

Drowsiness, dizziness, weakness, constipation, headache, nausea, or vomiting may occur. If any of these effects persist or worsen, notify your doctor or pharmacist immediately.

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Remember that your doctor has prescribed this medication because he or she has judged that the benefit to you is greater than the risk of side effects. Many people using this medication do not have serious side effects.

Tell your doctor immediately if any of these unlikely but serious side effects occur: slow, shallow breathing, mental/mood changes (e.g., depression), stomach/abdominal pain.

Tell your doctor immediately if any of these highly unlikely but very serious side effects occur: dark urine, yellowing eyes and skin, vision changes.

An allergic reaction to this drug is unlikely, but seek immediate medical attention if it occurs. Symptoms of an allergic reaction include: rash, itching, swelling, severe dizziness, trouble breathing.

Narcotic withdrawal symptoms include diarrhea, severe mental/mood changes (such as anxiety, irritability, trouble sleeping), muscle stiffness or shakiness. If such symptoms occur, notify your doctor or pharmacist immediately.

PRECAUTIONS

Ensure that liver enzymes and hepatic function are evaluated prior to starting therapy and periodically during treatment. Document type of opioid dependence (eg, long-, short-acting), time since last opioid use, and degree of opioid dependence prior to starting SL tablets. Monitor patient for respiratory depression. If noted, re-establish adequate ventilation with mechanical assistance and notify health care provider immediately. Buprenorphine may not be effective in reversing respiratory depression caused by this drug. Monitor patient for narcotic withdrawal symptoms, CNS, GI, and general body side effects.
Children

Safety and efficacy not established in children under 13 yr of age.
Special Risk Patients

Use with caution in elderly or debilitated patients; use with caution in patients with impaired hepatic, renal or pulmonary function, myxedema or hypothyroidism, adrenal cortical insufficiency (eg, Addison disease), CNS depression or coma, toxic psychoses, prostatic hypertrophy or urethral stricture, acute alcoholism, delirium tremens or kyphoscoliosis, biliary tract dysfunction.
Head injury or increased intracranial pressure

Use with caution; drug can increase CSF pressure.
Narcotic dependent patients

Use in physically dependent individuals may result in withdrawal effects.

INTERACTION
Other CNS depressants (e.g., anesthetic agents, antihistamines, phenothiazines, barbiturates, tranquilizers, alcohol, etc.) may cause increased CNS or respiratory depression when used with buprenorphine.

Buprenorphine may decrease the analgesic effects of the opiate agonists (morphine, etc.). Pancuronium if used with buprenorphine may cause increased conjunctival changes.

Buprenorphine is contraindicated in human patients receiving monamine oxidase (MOA) inhibitors (rarely used in veterinary medicine) for at least 14 days after receiving MOA inhibitors in humans. One study done in rabbits did not demonstrate any appreciable interaction, however. Local anesthetics (mepivicaine, bupivicaine) may be potentiated by concomitant use of buprenorphine.

DRUG DESCRIPTION

Buprenorphine hydrochloride is a white powder, weakly acidic with limited solubility in water (17 mg/mL). Chemically, buprenorphine is 17-(cyclopropylmethyl)-a-(1,1-dimethylethyl)-4,5-epoxy-18,19-dihydro-3-hydroxy-6-methoxy-a-methyl-6,14-ethenomorphinan-7-methanol, hydrochloride [5a,7a(S|]-. Buprenorphine hydrochloride has the molecular formula C29H41NO4 HCI and the molecular weight is 504.10.

A thebaine derivative, buprenorphine is a synthetic partial opiate agonist. It occurs as a white, crystalline powder with a solubility of 17 mg/ml in water and 42 mg/ml in alcohol. The commercially available injectable product has a pH of 3.5-5 and is a sterile solution of the drug dissolved in D5W. Terms of potency are expressed in terms of buprenorphine. The commercial product contains 0.324 mg/ml of buprenorphine HCl, which is equivalent to 0.3 mg/ml of buprenorphine.

Buprenorphine has partial agonist activity at the mu receptor. This is in contrast to pentazocine which acts as an antagonist at the mu receptor. Buprenorphine is considered to be 30 times as potent as morphine and exhibits many of the same actions as the opiate agonists; it produces a dose-related analgesia. It appears to have a high affinity for mu receptors in the CNS, which may explain its relatively long duration of action.

The cardiovascular effects of buprenorphine may cause a decrease in both blood pressure and cardiac rate. Rarely, human cardiac rate. Respiratory depression is a possibility, and decreased respiratory rates have been noted in horses treated with buprenorphine. Gastrointestinal effects appear to be minimal with buprenorphine

Note /Government Notification: These chemicals are designated as those that are used in the manufacture of the controlled substances and are important to themanufacture of the substances. For any (Control Substance) products Import and Export *** subjected to your country government laws /control substance ACT.

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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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91 022 30601000.
This leaflet was prepared by
Taj Pharmaceuticals Limited,
Mumbai (India).
MPSTJ278
Last revised: 29 August 2009