

Bupropion HCl Cas No. 31677-93-7

Bupropion is used for the management of major depression and seasonal affective disorder (depression that occurs primarily during the fall and winter). It is also prescribed for smoking cessation.

Active Pharmaceuticals Ingredients Manufacturers



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Taj Pharmaceuticals Ltd.

Bupropion HCl

CAS No. : 31677-93-7

**Bupropion HCl**

Structure:

Bupropion HCl CAS Number: 31677-93-7, Formula C₁₃H₁₈ClNO

Application: Antidepressant

CAS Number: 31677-93-7

Molecular Formula: C₁₃H₁₉Cl₂NO

Molecular weight: 276.20

Chemical data

Formula C₁₃H₁₈ClNO

Mol. mass 239.74 g/mol

SMILES eMolecules & PubChem

Pharmacokinetic data

Bioavailability 5 to 20% in animals; no studies in humans

Metabolism Hepatic—important CYP2B6 and 2D6 involvement

Half life 20 hours

Excretion Renal (87%), fecal (10%)

Pharmacology of bupropion and its metabolites.[105][106][107][95][108]

Exposure (concentration over time; bupropion exposure = 100%) and half-life

Bupropion R,R-Hydroxy

bupropion S,S-Hydroxy

bupropion Threo-hydro

bupropion Erythro-hydro

bupropion Exposure 100% 800% 160% 310% 90%

Half-life 10 h (IR)

17 h (SR) 21 h 25 h 26 h 26 h

Inhibition potency (potency of DA uptake inhibition by bupropion = 100%)

DA uptake 100% 0% (rat) 70% (rat) 4% (rat) No data

NE uptake 27% 0% (rat) 106% (rat) 16% (rat) No data

Ser uptake 2% 0% (rat) 4%(rat) 3% (rat) No data

α₃β₄ nicotinic 53% 15% 10% 7% (rat) No data

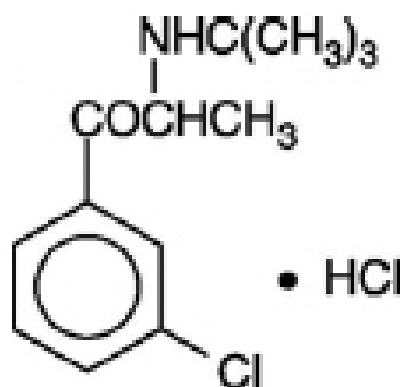
α₄β₂ nicotinic 8% 3% 29% No data No data

α₁* nicotinic 12% 13% 13% No data No data

DA = dopamine; NE = norepinephrine; Ser = serotonin.

GENERIC NAME: bupropion

DRUG CLASS AND MECHANISM: Bupropion is an antidepressant medication that affects chemicals within the brain that nerves use to send messages to each other. These chemical messengers are called neurotransmitters. Many experts believe that depression is caused by an imbalance among the amounts of neurotransmitters that are released. Nerves, in a process referred to as reuptake, may recycle released neurotransmitters.





Taj Pharmaceuticals Ltd.
Budesonide

CAS NO- 51333-22-3

Bupropion works by inhibiting the reuptake of dopamine, serotonin, and norepinephrine; an action that results in more dopamine, serotonin, and norepinephrine to transmit messages to other nerves. Bupropion is unique and unlike other antidepressants in that its major effect is on dopamine, an effect that is not shared by the selective serotonin reuptake inhibitors or SSRIs [for example, paroxetine (Paxil), fluoxetine (Prozac), sertraline (Zoloft)] or the tricyclic antidepressants or TCAs [for example, amitriptyline (Elavil), imipramine (Tofranil), desipramine (Norpramin)]. The FDA approved bupropion in December 1985.

PRESCRIPTION: Yes

GENERIC AVAILABLE: Yes

PREPARATIONS

Tablets: 75, 100, and 150 mg. Sustained Release tablets: 100, 150, and 200 mg. Extended Release tablets: 150 and 300 mg.

STORAGE

Tablets should be kept at room temperature, 15-25°C (59-77°F).

PRESCRIBED FOR

Bupropion is used for the management of major depression and seasonal affective disorder (depression that occurs primarily during the fall and winter). It is also prescribed for smoking cessation.

DOSING

Bupropion usually is given in one, two or three daily doses. For immediate-release tablets, no single dose should exceed 150 mg and each dose should be separated by 6 hours. For depression the recommended dose of immediate-release tablets is 100 mg 3 times daily (300 mg/day); maximum dose is 450 mg daily. The initial dose is 100 mg twice daily. The dose may be increased to 100 mg 3 times daily after three days. The initial dose of sustained-release tablets is 150 mg daily; target dose is 150 mg twice daily; maximum dose is 200 mg twice daily. The initial dose of extended-release tablets is 150 mg daily; target dose is 300 mg daily; maximum dose is 450 mg daily. Extended release tablets are administered once daily.

When used for smoking cessation, bupropion usually is started as 150 mg once daily for three days, and then the dose is increased if the patient tolerates the starting dose. Smoking is discontinued two weeks after starting bupropion therapy.

DRUG INTERACTIONS

Bupropion should be used cautiously in patients receiving drugs that reduce the threshold for seizures. Such drugs include prochlorperazine (Compazine), chlorpromazine (Thorazine), and other antipsychotic medications of the phenothiazine class. Additionally, persons who are withdrawing from benzodiazepines [for example, diazepam (Valium), alprazolam (Xanax)] are at increased risk for seizures.

PREGNANCY

There are no adequate studies of bupropion in pregnant women. In one study, there was no difference between bupropion and other antidepressants in the occurrence of birth defects. Bupropion should only be used in pregnancy if the benefit outweighs the potential risk.



NURSING MOTHERS

Bupropion is secreted in breast milk.

SIDE EFFECTS

The most common side effects associated with bupropion are agitation, dry mouth, insomnia, headache, nausea, constipation, and tremor. In some people, the agitation or insomnia is most marked shortly after starting therapy. Some patients may experience weight loss. Uncommonly, patients may experience manic episodes or hallucinations. Four of every 1000 persons who receive bupropion in doses less than 450 mg/day experience seizures. When doses exceed 450 mg/day, the risk increases ten-fold. Other risk factors for seizures include past injury to the head and medications which can lower the threshold for seizures. (See drug interactions.)

Antidepressants increased the risk of suicidal thinking and behavior (suicidality) in short-term studies in children and adolescents with depression and other psychiatric disorders. Anyone considering the use of bupropion or any other antidepressant in a child or adolescent must balance this risk with the clinical need. Patients who are started on therapy should be closely observed for clinical worsening, suicidality, or unusual changes in behavior.



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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

This document plus the full buyer/ prescribing information, prepared for health professionals can be found at:

<http://www.tajapi.com>

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91 022 30601000.

This leaflet was prepared by
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