

**Entacapone Cas No. : 130929-57-6**

This medication is used with other medications (levodopa/carbidopa) to treat Parkinson's disease. Entacapone belongs to a class of drugs known as COMT inhibitors. Many people taking levodopa for Parkinson's have problems with the effects of the levodopa wearing off between scheduled doses, causing symptoms to return or worsen.

Active Pharmaceuticals Ingredients Manufacturers



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# Taj Pharmaceuticals Ltd.

## Entacapone

### CAS No. : 130929-57-6

**Synonyms**

2-Cyano-3-(5-dihydroxyamino-3,4-dioxo-1-cyclohexa-1,5-dienyl)-N,N-diethyl-prop-2-enamide

CAS Number 130929-57-6

Molecular Formula C<sub>14</sub>H<sub>15</sub>N<sub>3</sub>O<sub>5</sub>

Molecular Weight 305.29

**Systematic (IUPAC) name**

(2E)-2-cyano-3-(3,4-dihydroxy-5-nitrophenyl)-N,N-diethylprop-2-enamide

**Chemical data**Formula C<sub>14</sub>H<sub>15</sub>N<sub>3</sub>O<sub>5</sub>

Mol. mass 305.286 g/mol

**Synonyms**

\* (E)-α-cyano-N,N-diethyl-3,4-dihydroxy-5-nitrocinnamamide

\* (E)-2-cyano-N,N-diethyl-3-(3,4-dihydroxy-5-(nitrophenyl)acrylamide

**Pharmacokinetic data**

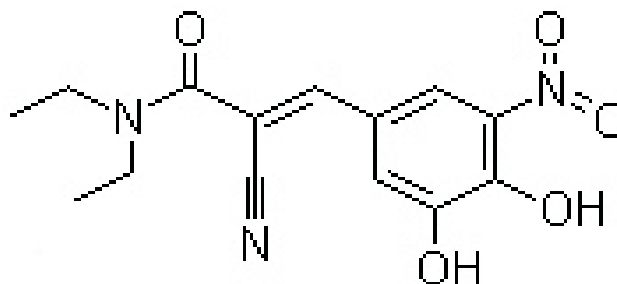
Bioavailability 35%

Protein binding 98% (binds to serum albumin)

Metabolism Hepatic

Half life 0.4-0.7 hour

Excretion 90% feces, 10% urine

**DOSAGE**

This medicine is taken by mouth, with or without food Entacapone generally is taken at the same time as your levodopa/carbidopa medicine, or as directed. Follow all instructions carefully; you may need to decrease the dosage of your levodopa/carbidopa, depending on the amount of levodopa you currently take. Your levodopa/carbidopa dosing schedule may change as well. Do not suddenly stop using this drug; the dosage should be reduced gradually to minimize possible side effects. Consult your doctor or pharmacist for more information. The manufacturer advises a maximum of 8 doses per day.

Entacapone is always used in combination with carbidopa and levodopa; it has no activity against Parkinson's disease when used alone. The drug works by increasing blood levels of levodopa and helping levodopa to last longer in the body. Entacapone works by inhibiting an enzyme known as catechol-O-methyltransferase (COMT) that breaks down levodopa before it has a chance to reach the brain.

**SIDE EFFECTS**

Diarrhea, drowsiness, upset stomach or dizziness may occur. If these effects persist or worsen, notify your doctor promptly. Tell your doctor immediately if you have any of these unlikely but serious side effects: mental/mood changes, fainting, muscle coordination problems. Tell your doctor immediately if you have any of these highly unlikely but very serious side effects: muscle pain, change in the amount of urine. This drug may cause a harmless discoloration (brownish-orange color) of your urine. If you notice other effects not listed above, contact your doctor or pharmacist.



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**Entacapone**

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In clinical studies, entacapone plus carbidopa-levodopa has been shown to be more effective than just carbidopa-levodopa for Parkinson's treatment. In these studies, people who were experiencing wearing-off fluctuations of their carbidopa-levodopa therapy were given either entacapone or a placebo (a "sugar pill" with no active ingredient) to take with each dose of their carbidopa-levodopa. These studies showed that entacapone helped carbidopa-levodopa work longer, with shorter "off" periods

**PRECAUTIONS**

Tell your doctor your medical history, including: liver disease, any allergies. To minimize dizziness and lightheadedness, get up slowly when rising from a seated or lying position. This drug may make you dizzy or drowsy; use caution engaging in activities requiring alertness such as driving or using machinery. Limit alcoholic beverages. Entacapone is not indicated for use in children.

This medication should be used only when clearly needed during pregnancy. Discuss the risks and benefits with your doctor. It is not known whether this drug passes into breast milk. Consult your doctor before breast-feeding.

Dopaminergic therapy in Parkinson's Disease patients has been associated with orthostatic hypotension. Entacapone enhances levodopa bioavailability and, therefore, might be expected to increase the occurrence of orthostatic hypotension. In Comtan (entacapone) clinical trials, however, no differences from placebo were seen for measured orthostasis or symptoms of orthostasis. Orthostatic hypotension was documented at least once in 2.7% and 3.0% of the patients treated with 200 mg Comtan and placebo, respectively. A total of 4.3% and 4.0% of the patients treated with 200 mg Comtan and placebo, respectively, reported orthostatic symptoms at some time during their treatment and also had at least one episode of orthostatic hypotension documented (however, the episode of orthostatic symptoms itself was not accompanied by vital sign measurements). Neither baseline treatment with dopamine agonists or selegiline, nor the presence of orthostasis at baseline, increased the risk of orthostatic hypotension in patients treated with Comtan compared to patients on placebo.

In the large controlled trials, approximately 1.2% and 0.8% of 200 mg entacapone and placebo patients, respectively, reported at least one episode of syncope. Reports of syncope were generally more frequent in patients in both treatment groups who had an episode of documented hypotension (although the episodes of syncope, obtained by history, were themselves not documented with vital sign measurement).

**Diarrhea**

In clinical trials, diarrhea developed in 60 of 603 (10.0%) and 16 of 400 (4.0%) of patients treated with 200 mg Comtan and placebo, respectively. In patients treated with Comtan, diarrhea was generally mild to moderate in severity (8.6%) but was regarded as severe in 1.3%. Diarrhea resulted in withdrawal in 10 of 603 (1.7%) patients, 7 (1.2%) with mild and moderate diarrhea and 3 (0.5%) with severe diarrhea. Diarrhea generally resolved after discontinuation of Comtan. Two patients with diarrhea were hospitalized. Typically, diarrhea presents within 4 - 12 weeks after entacapone is started, but it may appear as early as the first week and as late as many months after the initiation of treatment.

**Hallucinations**





Dopaminergic therapy in Parkinson's Disease patients has been associated with hallucinations. In clinical trials, hallucinations developed in approximately 4.0% of patients treated with 200 mg Comtan or placebo. Hallucinations led to drug discontinuation and premature withdrawal from clinical trials in 0.8% and 0% of patients treated with 200 mg Comtan and placebo, respectively. Hallucinations led to hospitalization in 1.0% and 0.3% of patients in the 200 mg Comtan and placebo groups, respectively.

### **Dyskinesia**

Comtan may potentiate the dopaminergic side effects of levodopa and may cause and/or exacerbate preexisting dyskinesia. Although decreasing the dose of levodopa may ameliorate this side effect, many patients in controlled trials continued to experience frequent dyskinesias despite a reduction in their dose of levodopa. The rates of withdrawal for dyskinesia were 1.5% and 0.8% for 200 mg Comtan and placebo, respectively.

### **DRUG DESCRIPTION**

Entacapone is a prescription medication used to treat Parkinson's disease. It is always used in combination with carbidopa-levodopa products as it does not have any activity for treating Parkinson's disease when used without levodopa. Entacapone is useful for people who experience "wearing off" of their carbidopa-levodopa before each dose.

Entacapone is a member of the class of drugs known as nitrocatechols.

Entacapone is an inhibitor of catechol-O-methyltransferase (COMT), used in the treatment of Parkinson's Disease as an adjunct to levodopa/carbidopa therapy. It is a nitrocatechol-structured compound with a relative molecular mass of 305.29. The chemical name of entacapone is (E)-2-cyano-3-(3,4-dihydroxy-5-nitrophenyl)-N,N-diethyl-2-propanamide.

Note /Government Notification: These chemicals are designated as those that are used in the manufacture of the controlled substances and are important to the manufacture 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

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

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91 022 30601000.

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