Zopiclone (DB01198)

Zopiclone is a novel hypnotic agent used in the treatment of insomnia. Its mechanism of action is based on modulating benzodiazepine receptors. In addition to zopiclone’s benzodiazepine pharmacological properties it also has some barbiturate like properties.
DrugBank: Zopiclone (DB01198)

**Structure**

Download: [MOL] [SDF] [SMILES] [InChI]
Display: [2D Structure] [3D Structure]

(+)-zopiclone

**Synonyms**

Zopiclona [INN-Spanish]
Zopiclone [Ban:Inn:Jan]
Zopiclonum [INN-Latin]

**Salts** Not Available

**Brand names**

<table>
<thead>
<tr>
<th>Name</th>
<th>Company</th>
</tr>
</thead>
<tbody>
<tr>
<td>Amoban</td>
<td></td>
</tr>
<tr>
<td>Amovane</td>
<td></td>
</tr>
<tr>
<td>Imovance</td>
<td></td>
</tr>
<tr>
<td>Imovane</td>
<td></td>
</tr>
<tr>
<td>Novo-zopiclone</td>
<td></td>
</tr>
<tr>
<td>Nu-Zopiclone</td>
<td></td>
</tr>
<tr>
<td>Ran-zopiclone</td>
<td></td>
</tr>
<tr>
<td>Rhovane</td>
<td></td>
</tr>
<tr>
<td>Sopivan</td>
<td></td>
</tr>
<tr>
<td>Ximovan</td>
<td></td>
</tr>
</tbody>
</table>

**Brand mixtures** Not Available

**Categories**

- Hypnotics and Sedatives

**CAS number** 43200-80-2

**Weight**

- Average: 388.808
- Monoisotopic: 388.105066147

**Chemical Formula**

C₁₇H₁₇ClN₆O₃

**InChI Key**

InChIKey=GBBSUAFBMRNDJC-UHFFFAOYSA-N

**InChI**

InChI=1S/C17H17ClN6O3/c1-22-6-8-23(9-7-22)17(26)27-16-14-13(19-4-5-20-14)15(25)24(16)12-3-2-11(18)10-21-12/h5,10,16H,6-9H2,1H3

**IUPAC Name**

6-(5-chloropyridin-2-yl)-7-oxo-5H,6H,7H-pyrrolo[3,4-b]pyrazin-5-yl 4-methylpiperazine-1-carboxylate

**SMILES**

CN1CC(CC(=O)OC1N(C(=O)C2=NC=CN=C12)C1=NC(=C(C1)C=C1)C1=NC(=C(C(C1)C=C1)C=Cl)

**Mass Spec** Not Available

**Taxonomy**

**Kingdom** Organic

**Classes**

- Lactams
- Cyclopyrrolones
- Carbamates and Derivatives
- Amino Ketones
- Pyridines and Derivatives
- Piperazines
- Ethers
- Aliphatic and Aryl Amines
- Aryl Halides
- Aminopyridines and Derivatives
- Pyrazines
- Heterocyclic compounds
- Aromatic compounds
- Carboxamides and Derivatives
- Lactams
- Imines
- Cyclopyrrolones
Pharmacology

Indication
For the short-term treatment of insomnia.

Pharmacodynamics
Zopiclone is a nonbenzodiazepine hypnotic from the pyrazolopyrimidine class and is indicated for the short-term treatment of insomnia. While Zopiclone is a hypnotic agent with a chemical structure unrelated to benzodiazepines, barbiturates, or other drugs with known hypnotic properties, it interacts with the gamma-aminobutyric acid-benzodiazepine (GABA$_BZ$) receptor complex. Subunit modulation of the GABA$_B$Z receptor chloride channel macromolecular complex is hypothesized to be responsible for some of the pharmacological properties of benzodiazepines, which include sedative, anxiolytic, muscle relaxant, and anticonvulsive effects in animal models. Zopiclone binds selectively to the brain alpha subunit of the GABA A omega-1 receptor.

Mechanism of action
Zopiclone exerts its action by binding on the benzodiazepine receptor complex and modulation of the GABA$_B$Z receptor chloride channel macromolecular complex. Both zopiclone and benzodiazepines act indiscriminately at the benzodiazepine binding site on α1, α2, α3 and α5 GABAA containing receptors as full agonists causing an enhancement of the inhibitory actions of GABA to produce the therapeutic (hypnotic and anxiolytic) and adverse effects of zopiclone.

Absorption
Rapidly absorbed following oral administration.

Volume of distribution
Not Available

Protein binding
Approximately 45%

Metabolism
Extensively metabolized in the liver via decarboxylation (major pathway), demethylation, and side chain oxidation. Metabolites include an N-oxide derivative (weakly active; approximately 12% of a dose) and an N-desmethyl metabolite (inactive; approximately 16%). Approximately 50% of a dose is converted to other inactive metabolites via decarboxylation. Hepatic microsomal enzymes are apparently not involved in zopiclone clearance.

Important: The metabolism module of DrugBank is currently in beta. Questions or suggestions? Please contact us.

<table>
<thead>
<tr>
<th>Substrate</th>
<th>Enzymes</th>
<th>Product</th>
</tr>
</thead>
<tbody>
<tr>
<td>Zopiclone</td>
<td>Cytochrome P450 2C9</td>
<td>Zopiclone N-oxide</td>
</tr>
<tr>
<td>Zopiclone</td>
<td>Prostaglandin G/H synthase 1 CO2</td>
<td>Details</td>
</tr>
<tr>
<td>Zopiclone</td>
<td>Cytochrome P450 2C8, Cytochrome P450 3A4</td>
<td>zopiclone-N-oxide</td>
</tr>
<tr>
<td>Zopiclone</td>
<td>Cytochrome P450 2C8, Cytochrome P450 3A4</td>
<td>N-desmethy-zopiclone</td>
</tr>
</tbody>
</table>

Route of elimination
Not Available

Half life
Elimination half-life is approximately 5 hours (range 3.8 to 6.5 hours) and is prolonged to 11.9 hours in patients with hepatic insufficiency.

Clearance
Not Available

Toxicity
Rare individual instances of fatal outcomes following overdose with racemic zopiclone have been reported in European postmarketing reports, most often associated with overdose with other CNS-depressant agent. Signs and symptoms of overdose effects of CNS depressants can be expected to present as exaggerations of the pharmacological effects noted in preclinical testing.

Affected organisms
- Humans and other mammals

Pathways
Not Available

Pharmacoeconomics

Manufacturers
Not Available

Packagers
- Centaur Pharmaceuticals Pvt Ltd.

Dosage forms
<table>
<thead>
<tr>
<th>Form</th>
<th>Route</th>
<th>Strength</th>
</tr>
</thead>
<tbody>
<tr>
<td>Tablet</td>
<td>Oral</td>
<td>5 mg</td>
</tr>
<tr>
<td>Tablet</td>
<td>Oral</td>
<td>7.5 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th>Unit description</th>
<th>Cost</th>
<th>Unit</th>
</tr>
</thead>
<tbody>
<tr>
<td>Imovane 7.5 mg Tablet</td>
<td>1.41 USD</td>
<td>tablet</td>
</tr>
<tr>
<td>Imovane 5 mg Tablet</td>
<td>1.11 USD</td>
<td>tablet</td>
</tr>
<tr>
<td>Apo-Zopiclone 7.5 mg Tablet</td>
<td>0.49 USD</td>
<td>tablet</td>
</tr>
</tbody>
</table>