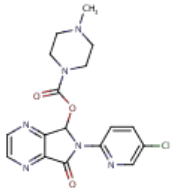
Identification

Name	**Zopiclone**
Accession Number	**DB01198** (APRD00356)
Type	small molecule
Groups	approved
Description	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.

Structure	
	Download: MOL | SDF | SMILES | InChI Display: 2D Structure | 3D Structure
Synonyms	(+-)-zopiclone Zopiclona [INN-Spanish] Zopiclone [Ban:Inn:Jan] Zopiclonum [INN-Latin]
Salts	Not Available

Brand names	Name	Company
	Amoban	
	Amovane	
	Imovance	
	Imovane	
	Novo-zopiclone	
	Nu-Zopiclone	
	Ran-zopiclone	
	Rhovane	
	Sopivan	
	Ximovan	

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Brand mixtures	Not Available
Categories	• Hypnotics and Sedatives
CAS number	43200-80-2
Weight	Average: 388.808 Monoisotopic: 388.105066147
Chemical Formula	$C_{17}H_{17}ClN_6O_3$
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/h2-5,10,16H,6-9H2,1H3 Plain Text
IUPAC Name	6-(5-chloropyridin-2-yl)-7-oxo-5H,6H,7H-pyrrolo[3,4-b]pyrazin-5-yl 4-methylpiperazine-1-carboxylate
SMILES	CN1CCN(CC1)C(=O)OC1N(C(=O)C2=NC=CN=C12)C1=NC=C(Cl)C=C1 Plain Text
Mass Spec	Not Available

Taxonomy

Kingdom	Organic
Classes	• Lactams • Cyclopyrrolones
Substructures	• 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
- Pyrrolines

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 $GABA_BZ$ 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 $GABA_BZ$ 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.

Substrate	Enzymes	Product	
Zopiclone	• Cytochrome P450 2C9	Zopiclone N-oxide	Details
Zopiclone	• Cytochrome P450 2C9	N-Desmethylzopiclone	Details
Zopiclone	• Prostaglandin G/H synthase 1	CO2	Details
Zopiclone	• Cytochrome P450 2C8 • Cytochrome P450 3A4	zopiclone-N-oxide	Details
Zopiclone	• Cytochrome P450 2C8 • Cytochrome P450 3A4	N-desmethylzopiclone	Details

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.

	Form	Route	Strength
Dosage forms	Tablet	Oral	5 mg
	Tablet	Oral	7.5 mg

Unit description	Cost	Unit

Prices

Imovane 7.5 mg Tablet	1.41 USD tablet
Imovane 5 mg Tablet	1.11 USD tablet
Apo-Zopiclone 7.5 mg Tablet	0.49 USD tablet
Co Zopiclone 7.5 mg Tablet	0.49 USD tablet
Mylan-Zopiclone 7.5 mg Tablet	0.49 USD tablet
Novo-Zopiclone 7.5 mg Tablet	0.49 USD tablet
Nu-Zopiclone 7.5 mg Tablet	0.49 USD tablet
Pms-Zopiclone 7.5 mg Tablet	0.49 USD tablet
Ran-Zopiclone 7.5 mg Tablet	0.49 USD tablet
Ratio-Zopiclone 7.5 mg Tablet	0.49 USD tablet

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DrugBank does not sell nor buy drugs. Pricing information is supplied for informational purposes only.

Patents

Not Available

Properties

State

solid

Experimental Properties

Property	Value	Source
melting point	178 °C	PhysProp
water solubility	0.151 mg/mL at 25 °C	MEYLAN,WM et al. (1996)
logP	0.8	Not Available

Predicted Properties

Property	Value	Source
water solubility	8.85e-01 g/l	ALOGPS
logP	0.97	ALOGPS
logP	0.81	ChemAxon
logS	-2.6	ALOGPS
pKa (strongest acidic)	13.04	ChemAxon
pKa (strongest basic)	6.89	ChemAxon
physiological charge	0	ChemAxon
hydrogen acceptor count	6	ChemAxon
hydrogen donor count	0	ChemAxon
polar surface area	91.76	ChemAxon
rotatable bond count	3	ChemAxon
refractivity	95.89	ChemAxon
polarizability	37.67	ChemAxon

References

Synthesis Reference

Not Available

General Reference

1. Liu HJ, Sato K, Shih HC, Shibuya T, Kawamoto H, Kitagawa H: Pharmacologic studies of the central action of zopiclone: effects on locomotor activity and brain monoamines in rats. Int J Clin Pharmacol Ther Toxicol. 1985 Mar;23(3):121-8. Pubmed
2. Sato K, Hong YL, Yang MS, Shibuya T, Kawamoto H, Kitagawa H: Pharmacologic studies of central actions of zopiclone: influence on brain monoamines in rats under stressful condition. Int J Clin Pharmacol Ther Toxicol. 1985 Apr;23(4):204-10. Pubmed
3. Dundar Y, Dodd S, Strobl J, Boland A, Dickson R, Walley T: Comparative efficacy of newer hypnotic drugs for the short-term management of insomnia: a systematic review and meta-analysis. Hum Psychopharmacol. 2004 Jul;19(5):305-22. Pubmed
4. Blanchard JC, Julou L: Suriclone: a new cyclopyrrolone derivative recognizing receptors labeled by benzodiazepines in rat hippocampus and cerebellum. J Neurochem. 1983 Mar;40(3):601-7. Pubmed
5. Julou L, Bardone MC, Blanchard JC, Garret C, Stutzmann JM: Pharmacological studies on zopiclone. Pharmacology. 1983;27 Suppl 2:46-58. Pubmed

External Links

Resource	Link
KEGG Drug	D01372
PubChem Compound	5735
PubChem Substance	46505233
ChemSpider	5533
BindingDB	50054136
ChEBI	32315
ChEMBL	32315

	Therapeutic Targets Database	DAP000427
	PharmGKB	PA10236
	Drug Product Database	2257580
	Drugs.com	http://www.drugs.com/cdi/eszopiclone.html
	Wikipedia	http://en.wikipedia.org/wiki/Zopiclone
ATC Codes	• N05CF01	
AHFS Codes	• 28:24.92	
PDB Entries	Not Available	
FDA label	Not Available	
MSDS	Not Available	

Interactions

	Drug	Interaction
Drug Interactions	Amprenavir	Amprenavir, a strong CYP3A4 inhibitor, may increase the serum concentration of zopiclone by decreasing its metabolism. Consider alternate therapy or monitor for changes in the therapeutic and adverse effects of zopiclone if amprenavir is initiated, discontinued or dose changed.
	Atazanavir	Atazanavir, a strong CYP3A4 inhibitor, may increase the serum concentration of zopiclone by decreasing its metabolism. Consider alternate therapy or monitor for changes in the therapeutic and adverse effects of zopiclone if atazanavir is initiated, discontinued or dose changed.
	Clarithromycin	Clarithromycin, a strong CYP3A4 inhibitor, may increase the serum concentration of zopiclone by decreasing its metabolism. Consider alternate therapy or monitor for changes in the therapeutic and adverse effects of zopiclone if clarithromycin is initiated, discontinued or dose changed.
	Conivaptan	Conivaptan, a strong CYP3A4 inhibitor, may increase the serum concentration of zopiclone by decreasing its metabolism. Consider alternate therapy or monitor for changes in the therapeutic and adverse effects of zopiclone if conivaptan is initiated, discontinued or dose changed.
	Darunavir	Darunavir, a strong CYP3A4 inhibitor, may increase the serum concentration of zopiclone by decreasing its metabolism. Consider alternate therapy or monitor for changes in the therapeutic and adverse effects of zopiclone if darunavir is initiated, discontinued or dose changed.
	Delavirdine	Delavirdine, a strong CYP3A4 inhibitor, may increase the serum concentration of zopiclone by decreasing its metabolism. Consider alternate therapy or monitor for changes in the therapeutic and adverse effects of zopiclone if delavirdine is initiated, discontinued or dose changed.
	Erythromycin	The macrolide antibiotic, erythromycin, may increase the serum concentration of zopiclone. Consider alternate therapy or monitor for changes in the therapeutic and adverse effects of zopiclone if erythromycin is initiated, discontinued or dose changed.
	Fosamprenavir	Fosamprenavir, a strong CYP3A4 inhibitor, may increase the serum concentration of zopiclone by decreasing its metabolism. Consider alternate therapy or monitor for changes in the therapeutic and adverse effects of zopiclone if fosamprenavir is initiated, discontinued or dose changed.
	Imatinib	Imatinib, a strong CYP3A4 inhibitor, may increase the serum concentration of zopiclone by decreasing its metabolism. Consider alternate therapy or monitor for changes in the therapeutic and adverse effects of zopiclone if imatinib is initiated, discontinued or dose changed.
	Indinavir	Indinavir, a strong CYP3A4 inhibitor, may increase the serum concentration of zopiclone by decreasing its metabolism. Consider alternate therapy or monitor for changes in the therapeutic and adverse effects of zopiclone if indinavir is initiated, discontinued or dose changed.
	Isoniazid	Isoniazid, a strong CYP3A4 inhibitor, may increase the serum concentration of zopiclone by decreasing its metabolism. Consider alternate therapy or monitor for changes in the therapeutic and adverse effects of zopiclone if isoniazid is initiated, discontinued or dose changed.
	Itraconazole	Itraconazole, a strong CYP3A4 inhibitor, may increase the serum concentration of zopiclone by decreasing its metabolism. Consider alternate therapy or monitor for changes in the therapeutic and adverse effects of zopiclone if itraconzole is initiated, discontinued or dose changed.
	Ketoconazole	Ketonconazole, a strong CYP3A4 inhibitor, may increase the serum concentration of zopiclone by decreasing its metabolism. Consider alternate therapy or monitor for changes in the therapeutic and adverse effects of zopiclone if ketoconazole is initiated, discontinued or dose changed.
	Lopinavir	Lopinavir, a strong CYP3A4 inhibitor, may increase the serum concentration of zopiclone by decreasing its metabolism. Consider alternate therapy or monitor for changes in the therapeutic and adverse effects of zopiclone if lopinavir is initiated, discontinued or dose changed.
	Methotrimeprazine	Additive CNS depressant effects. Reduce zopiclone dose by half upon initiation of methotrimeprazine. Zopiclone dose may be adjusted once methotrimeprazine dose has been

	established. Monitor for increased CNS depression.
Nefazodone	Nefazodone, a strong CYP3A4 inhibitor, may increase the serum concentration of zopiclone by decreasing its metabolism. Consider alternate therapy or monitor for changes in the therapeutic and adverse effects of zopiclone if nefazodone is initiated, discontinued or dose changed.
Nelfinavir	Nelfinavir, a strong CYP3A4 inhibitor, may increase the serum concentration of zopiclone by decreasing its metabolism. Consider alternate therapy or monitor for changes in the therapeutic and adverse effects of zopiclone if nelfinavir is initiated, discontinued or dose changed.
Nicardipine	Nicardipine, a strong CYP3A4 inhibitor, may increase the serum concentration of zopiclone by decreasing its metabolism. Consider alternate therapy or monitor for changes in the therapeutic and adverse effects of zopiclone if nicardipine is initiated, discontinued or dose changed.
Posaconazole	Posaconazole, a strong CYP3A4 inhibitor, may increase the serum concentration of zopiclone by decreasing its metabolism. Consider alternate therapy or monitor for changes in the therapeutic and adverse effects of zopiclone if posaconazole is initiated, discontinued or dose changed.
Quinidine	Quinidine, a strong CYP3A4 inhibitor, may increase the serum concentration of zopiclone by decreasing its metabolism. Consider alternate therapy or monitor for changes in the therapeutic and adverse effects of zopiclone if quinidine is initiated, discontinued or dose changed.
Ritonavir	Ritonavir, a strong CYP3A4 inhibitor, may increase the serum concentration of zopiclone by decreasing its metabolism. Consider alternate therapy or monitor for changes in the therapeutic and adverse effects of zopiclone if ritonavir is initiated, discontinued or dose changed.
Saquinavir	Saquinavir, a strong CYP3A4 inhibitor, may increase the serum concentration of zopiclone by decreasing its metabolism. Consider alternate therapy or monitor for changes in the therapeutic and adverse effects of zopiclone if saquinavir is initiated, discontinued or dose changed.
Telithromycin	Telithromycin, a strong CYP3A4 inhibitor, may increase the serum concentration of zopiclone by decreasing its metabolism. Consider alternate therapy or monitor for changes in the therapeutic and adverse effects of zopiclone if telithromycin is initiated, discontinued or dose changed.
Tolbutamide	Tolbutamide, a strong CYP2C9 inhibitor, may decrease the metabolism and clearance of Zopiclone. Consider alternate therapy or monitor for changes in Zopiclone therapeutic and adverse effects if Tolbutamide is initiated, discontinued or dose changed.
Triprolidine	The CNS depressants, Triprolidine and Zopiclone, may increase adverse/toxic effects due to additivity. Monitor for increased CNS depressant effects during concomitant therapy.
Voriconazole	Voriconazole, a strong CYP3A4 inhibitor, may increase the serum concentration of zopiclone by decreasing its metabolism. Consider alternate therapy or monitor for changes in the therapeutic and adverse effects of zopiclone if voriconazole is initiated, discontinued or dose changed.

Food Interactions Not Available

Targets

1. Gamma-aminobutyric-acid receptor subunit alpha-1

Pharmacological action: **yes**
Actions: **potentiator**

GABA, the major inhibitory neurotransmitter in the vertebrate brain, mediates neuronal inhibition by binding to the GABA/benzodiazepine receptor and opening an integral chloride channel

Organism class: **human**
UniProt ID: P14867
Gene: GABRA1
Protein Sequence: FASTA
Gene Sequence: FASTA
SNPs: SNPJam Report

References:

1. Nutt DJ, Stahl SM: Searching for perfect sleep: the continuing evolution of GABAA receptor modulators as hypnotics. J Psychopharmacol. 2009 Nov 26. Pubmed
2. Hanson SM, Morlock EV, Satyshur KA, Czajkowski C: Structural requirements for eszopiclone and zolpidem binding to the gamma-aminobutyric acid type-A (GABAA) receptor are different. J Med Chem. 2008 Nov 27;51(22):7243-52. Pubmed
3. Sanger DJ: The pharmacology and mechanisms of action of new generation, non-benzodiazepine hypnotic agents. CNS Drugs. 2004;18 Suppl 1:9-15; discussion 41, 43-5. Pubmed
4. Skerritt JH, Johnston GA: Enhancement of GABA binding by benzodiazepines and related anxiolytics. Eur J Pharmacol. 1983 May 6;89(3-4):193-8. Pubmed
5. Ramerstorfer J, Furtmuller R, Vogel E, Huck S, Sieghart W: The point mutation gamma 2F77I changes the potency and efficacy of benzodiazepine site ligands in different GABAA receptor subtypes. Eur J Pharmacol. 2010 Jun 25;636(1-3):18-27. Epub 2010 Mar 19. Pubmed

2. Gamma-aminobutyric-acid receptor subunit alpha-2

Pharmacological action: **yes**
Actions: **potentiator**

GABA, the major inhibitory neurotransmitter in the vertebrate brain, mediates neuronal inhibition by binding to the GABA/benzodiazepine receptor and opening an integral chloride channel

Organism class: **human**
UniProt ID: P47869
Gene: GABRA2
Protein Sequence: FASTA
Gene Sequence: FASTA
SNPs: SNPJam Report

References:

1. Nutt DJ, Stahl SM: Searching for perfect sleep: the continuing evolution of GABAA receptor modulators as hypnotics. J Psychopharmacol. 2009 Nov 26. Pubmed
2. Hanson SM, Morlock EV, Satyshur KA, Czajkowski C: Structural requirements for eszopiclone and zolpidem binding to the gamma-aminobutyric acid type-A (GABAA) receptor are different. J Med Chem. 2008 Nov 27;51(22):7243-52. Pubmed
3. Ramerstorfer J, Furtmuller R, Vogel E, Huck S, Sieghart W: The point mutation gamma 2F77I changes the potency and efficacy of benzodiazepine site ligands in different GABAA receptor subtypes. Eur J Pharmacol. 2010 Jun 25;636(1-3):18-27. Epub 2010 Mar 19. Pubmed

3. Gamma-aminobutyric-acid receptor subunit alpha-3

Pharmacological action: **yes**
Actions: **potentiator**

GABA, the major inhibitory neurotransmitter in the vertebrate brain, mediates neuronal inhibition by binding to the GABA/benzodiazepine receptor and opening an integral chloride channel

Organism class: **human**
UniProt ID: P34903
Gene: GABRA3
Protein Sequence: FASTA
Gene Sequence: FASTA
SNPs: SNPJam Report

References:

1. Nutt DJ, Stahl SM: Searching for perfect sleep: the continuing evolution of GABAA receptor modulators as hypnotics. J Psychopharmacol. 2009 Nov 26. Pubmed
2. Hanson SM, Morlock EV, Satyshur KA, Czajkowski C: Structural requirements for eszopiclone and zolpidem binding to the gamma-aminobutyric acid type-A (GABAA) receptor are different. J Med Chem. 2008 Nov 27;51(22):7243-52. Pubmed
3. Ramerstorfer J, Furtmuller R, Vogel E, Huck S, Sieghart W: The point mutation gamma 2F77I changes the potency and efficacy of benzodiazepine site ligands in different GABAA receptor subtypes. Eur J Pharmacol. 2010 Jun 25;636(1-3):18-27. Epub 2010 Mar 19. Pubmed

4. Gamma-aminobutyric-acid receptor subunit alpha-5

Pharmacological action: **yes**
Actions: **potentiator**

GABA, the major inhibitory neurotransmitter in the vertebrate brain, mediates neuronal inhibition by binding to the GABA/benzodiazepine receptor and opening an integral chloride channel

Organism class: **human**
UniProt ID: P31644
Gene: GABRA5
Protein Sequence: FASTA
Gene Sequence: FASTA
SNPs: SNPJam Report

References:

1. Nutt DJ, Stahl SM: Searching for perfect sleep: the continuing evolution of GABAA receptor modulators as hypnotics. J Psychopharmacol. 2009 Nov 26. Pubmed
2. Hanson SM, Morlock EV, Satyshur KA, Czajkowski C: Structural requirements for eszopiclone and zolpidem binding to the gamma-aminobutyric acid type-A (GABAA) receptor are different. J Med Chem. 2008 Nov 27;51(22):7243-52. Pubmed
3. Skerritt JH, Johnston GA: Enhancement of GABA binding by benzodiazepines and related anxiolytics. Eur J Pharmacol. 1983 May 6;89(3-4):193-8. Pubmed
4. Ramerstorfer J, Furtmuller R, Vogel E, Huck S, Sieghart W: The point mutation gamma 2F77I changes the potency and efficacy of benzodiazepine site ligands in different GABAA receptor subtypes. Eur J Pharmacol. 2010 Jun 25;636(1-3):18-27. Epub 2010 Mar 19. Pubmed

5. Translocator protein

Pharmacological action: **unknown**
Actions: **agonist**

Responsible for the manifestation of peripheral-type benzodiazepine recognition sites and is most likely to comprise binding domains for benzodiazepines and isoquinoline carboxamides. May play a role in the transport of porphyrins and heme

Organism class: **human**
UniProt ID: P30536
Gene: TSPO
Protein Sequence: FASTA
Gene Sequence: FASTA
SNPs: SNPJam Report

References:

1. Overington JP, Al-Lazikani B, Hopkins AL: How many drug targets are there? Nat Rev Drug Discov. 2006 Dec;5(12):993-6. Pubmed
2. Imming P, Sinning C, Meyer A: Drugs, their targets and the nature and number of drug targets. Nat Rev Drug Discov. 2006 Oct;5(10):821-34. Pubmed

Enzymes

1. Cytochrome P450 3A4

Actions: **substrate**

Cytochromes P450 are a group of heme-thiolate monooxygenases. In liver microsomes, this enzyme is involved in an NADPH-dependent electron transport pathway. It performs a variety of oxidation reactions (e.g. caffeine 8-oxidation, omeprazole sulphoxidation, midazolam 1'-hydroxylation and midazolam 4- hydroxylation) of structurally unrelated compounds, including steroids, fatty acids, and xenobiotics. The enzyme also hydroxylates etoposide

UniProt ID: P08684
Gene: CYP3A4
Protein Sequence: FASTA
Gene Sequence: FASTA
SNPs: SNPJam Report

References:

1. Zhou SF, Zhou ZW, Yang LP, Cai JP: Substrates, inducers, inhibitors and structure-activity relationships of human Cytochrome P450 2C9 and implications in drug development. Curr Med Chem. 2009;16(27):3480-675. Epub 2009 Sep 1. Pubmed
2. Preissner S, Kroll K, Dunkel M, Senger C, Goldsobel G, Kuzman D, Guenther S, Winnenburg R, Schroeder M, Preissner R: SuperCYP: a comprehensive database on Cytochrome P450 enzymes including a tool for analysis of CYP-drug interactions. Nucleic Acids Res. 2010 Jan;38(Database issue):D237-43. Epub 2009 Nov 24. Pubmed
3. Lalovic B, Phillips B, Risler LL, Howald W, Shen DD: Quantitative contribution of CYP2D6 and CYP3A to oxycodone metabolism in human liver and intestinal microsomes. Drug Metab Dispos. 2004 Apr;32(4):447-54. Pubmed

2. Cytochrome P450 2C8

Actions: **substrate**

Cytochromes P450 are a group of heme-thiolate monooxygenases. In liver microsomes, this enzyme is involved in an NADPH-dependent electron transport pathway. It oxidizes a variety of structurally unrelated compounds, including steroids, fatty acids, and xenobiotics. In the epoxidation of arachidonic acid it generates only 14,15- and 11,12-cis-epoxyeicosatrienoic acids. It is the principal enzyme responsible for the metabolism the anti-cancer drug paclitaxel (taxol)

UniProt ID: P10632
Gene: CYP2C8
Protein Sequence: FASTA
Gene Sequence: FASTA
SNPs: SNPJam Report

References:

1. Zhou SF, Zhou ZW, Yang LP, Cai JP: Substrates, inducers, inhibitors and structure-activity relationships of human Cytochrome P450 2C9 and implications in drug development. Curr Med Chem. 2009;16(27):3480-675. Epub 2009 Sep 1. Pubmed
2. Preissner S, Kroll K, Dunkel M, Senger C, Goldsobel G, Kuzman D, Guenther S, Winnenburg R, Schroeder M, Preissner R: SuperCYP: a comprehensive database on Cytochrome P450 enzymes including a tool for analysis of CYP-drug interactions. Nucleic Acids Res. 2010 Jan;38(Database issue):D237-43. Epub 2009 Nov 24. Pubmed
3. Lalovic B, Phillips B, Risler LL, Howald W, Shen DD: Quantitative contribution of CYP2D6 and CYP3A to oxycodone metabolism in human liver and intestinal microsomes. Drug Metab Dispos. 2004 Apr;32(4):447-54. Pubmed

3. Cytochrome P450 2C9

Actions: **substrate**

Cytochromes P450 are a group of heme-thiolate monooxygenases. In liver microsomes, this enzyme is involved in an NADPH-dependent electron transport pathway. It oxidizes a variety of structurally unrelated compounds, including steroids, fatty acids, and xenobiotics. This enzyme contributes to the wide pharmacokinetics variability of the metabolism of drugs such as S- warfarin, diclofenac, phenytoin, tolbutamide and losartan

UniProt ID: P11712
Gene: CYP2C9
Protein Sequence: FASTA
Gene Sequence: FASTA
SNPs: SNPJam Report

References:

1. Zhou SF, Zhou ZW, Yang LP, Cai JP: Substrates, inducers, inhibitors and structure-activity relationships of human Cytochrome P450 2C9 and implications in drug development. Curr Med Chem. 2009;16(27):3480-675. Epub 2009 Sep 1. Pubmed
2. Preissner S, Kroll K, Dunkel M, Senger C, Goldsobel G, Kuzman D, Guenther S, Winnenburg R, Schroeder M, Preissner R: SuperCYP: a comprehensive database on Cytochrome P450 enzymes including a tool for analysis of CYP-drug interactions. Nucleic Acids Res. 2010 Jan;38(Database issue):D237-43. Epub 2009 Nov 24. Pubmed

4. Prostaglandin G/H synthase 1

Actions: **substrate**

May play an important role in regulating or promoting cell proliferation in some normal and neoplastically transformed cells

UniProt ID: P23219
Gene: PTGS1
Protein Sequence: FASTA
Gene Sequence: FASTA
SNPs: SNPJam Report

References:

1. Zhou SF, Zhou ZW, Yang LP, Cai JP: Substrates, inducers, inhibitors and structure-activity relationships of human Cytochrome P450 2C9 and implications in drug development. Curr Med Chem. 2009;16(27):3480-675. Epub 2009 Sep 1. Pubmed

5. Cytochrome P450 2E1

Actions: **substrate**

Metabolizes several precarcinogens, drugs, and solvents to reactive metabolites. Inactivates a number of drugs and xenobiotics and also bioactivates many xenobiotic substrates to their hepatotoxic or carcinogenic forms

UniProt ID: P05181
Gene: CYP2E1
Protein Sequence: FASTA
Gene Sequence: FASTA
SNPs: SNPJam Report

References:

1. Preissner S, Kroll K, Dunkel M, Senger C, Goldsobel G, Kuzman D, Guenther S, Winnenburg R, Schroeder M, Preissner R: SuperCYP: a comprehensive database on Cytochrome P450 enzymes including a tool for analysis of CYP-drug interactions. Nucleic Acids Res. 2010 Jan;38(Database issue):D237-43. Epub 2009 Nov 24. Pubmed

Comments

1 comment

2

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Sreedhar Reddy Teegapuram • 2 years ago

How to improve the dissolution profile of Zopiclone 7.5mg in Phosphate buffer 6.8 ?
we got only 54%of drug release after 45 minutes by using specifications of USP method, medium volume 500ml, speed 5o rpm, time 15,30 and 45 mininutes.
Feel free to answer it. Thank You.

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Drug created on June 13, 2005 07:24 / Updated on February 08, 2013 16:19

This project is supported by Genome Alberta & Genome Canada, a not-for-profit organization that is leading Canada's national genomics strategy with $600 million in funding from the federal government. This project is also supported in part by GenomeQuest, Inc., an enterprise genomic information company serving the life science community.

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