MIRABEGRON

Indications/Uses

Urinary incontinence, urgency and frequency.

Dosage/Direction for Use

Adult : PO Initial: 25 once daily, may increase to 50 mg once daily according to response.

Dosage Details

Oral

Urinary incontinence, urgency and frequency

Adult: Initially, 25 once daily; may increase to 50 mg once daily based on individual response and tolerance.

Renal Impairment

Haemodialysis patients: Contraindicated.

CrCl (mL/min) Dosage

<15 Contraindicated.

15-29 Max: 25 mg once daily.

Hepatic Impairment

Moderate (Child-Pugh Class B): Max: 25 mg once daily. Severe (Child-Pugh Class C): Contraindicated.

Administration

Xr Tab: May be taken with or without food. Swallow whole, do not chew/crush/divide.

Contraindications

Severe uncontrolled HTN (systolic BP ≥180 mmHg or diastolic BP ≥110 mmHg). Severe hepatic impairment, ESRD, or haemodialysis patients. Lactation. Patients taking concomitant strong CYP3A inhibitors who have moderate to severe hepatic or severe renal impairment.

Special Precautions

Patient w/ clinically significant bladder outlet obstruction, history of QT-interval prolongation, stage 2 HTN. Hepatic and renal impairment. Pregnancy.

Adverse Reactions

Significant: HTN.

Nervous: Headache, dizziness.

CV: Tachycardia, palpitations, AF.

GI: Constipation, diarrhea, nausea, dry mouth, abdominal pain or distension, dyspepsia, gastritis.

Resp: Nasopharyngitis, upper resp tract infection, sinusitis, rhinitis.

Genitourinary: UTI, bladder pain, nephrolithiasis, vag infection, vulvovaginal pruritus.

Musculoskeletal: Arthralgia.

Ophthalmologic: Glaucoma.

Dermatologic: Pruritus, rash, urticaria, purpura, leukocytoclastic vasculitis.

Others: Fatigue.

Potentially Fatal: Angioedema of the face, lips, tongue, and/or larynx.

Pregnancy Category (US FDA)

PO: C

MonitoringParameters

Monitor BP at baseline and regularly during therapy.

Overdosage

Symptoms: Palpitations, increased pulse rate and systolic BP. Management: Symptomatic and supportive treatment.

Drug Interactions

Increased exposure w/ strong CYP3A inhibitors (e.g. ketoconazole). May increase exposure to CYP2D6 substrates (e.g. desipramine, metoprolol), digoxin, and warfarin. Increased risk of urinary retention w/ antimuscarinic agents (e.g. solifenacin, darifenacin) due to additive pharmacologic effect.

Action

Description: Mirabegron relaxes detrusor smooth muscle in the bladder during the storage phase of micturition by selectively activating β3-adrenergic receptors, thereby increasing bladder capacity.

Onset: W/in 8 wk.

Pharmacokinetics:

Absorption: Bioavailability: 29-35%. Time to peak plasma concentration: Approx 3.5 hr.

Distribution: Widely distributed in the body, including erythrocytes. Plasma protein binding: Approx 71%, mainly to albumin and α1-acid glycoprotein.

Metabolism: Extensively metabolised via multiple pathways including dealkylation, oxidation, glucuronidation, and amide hydrolysis by multiple enzymes (e.g. butylcholinesterase, uridine diphospho-glucuronosyltransferase [UGT], CYP3A4, CYP2D6, and possibly by alcohol dehydrogenase) to form 2 major inactive metabolites.

Excretion: Via urine (55% as radiolabeled drug and approx 25% as unchanged drug) and faeces (34% as radiolabeled drug). Terminal elimination half-life: Approx 50 hr.

Chemical Structure

Click on icon to see table/diagram/image

Storage

Store at 25°C.

Any unused portions should be disposed of in accordance w/ local requirements.

MIMS Class

Drugs for Bladder & Prostate Disorders

ATC Classification

G04BD12 - mirabegron ; Belongs to the class of urinary antispasmodics.