SITA®

(Sitagliptin) Tablet

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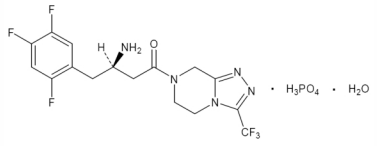
COMPOSITION
SITA Tablet 50 mg:
Each film coated tablet contains:
Sitagliptin phosphate monohydrate equivalent to
Sitagliptin ..... 50 mg.

Product Specs.: USP

SITA Tablet 100 mg:
Each film coated tablet contains:
Sitagliptin phosphate monohydrate equivalent to
Sitagliptin ..... 100 mg.

Product Specs.: USP

DESCRIPTION:
SITA Tablets contain sitagliptin phosphate, an orally-active inhibitor of the dipeptidyl peptidase-4 (DPP-4) enzyme. Sitagliptin phosphate monohydrate is described chemically as 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3 a]pyrazine phosphate (1:1) monohydrate. The empirical formula is $C_{16}H_{15}F_8N_5O \cdot H_3PO_4 \cdot H_2O$ and the molecular weight is 523.32. The structural formula is:



CLINICAL PHARMACOLOGY:
Mechanism of Action:
Sitagliptin is a selective DPP-4 inhibitor for patients with type-2-diabetes. It increases active incretin levels, enhancing insulin release and reducing glucagon in a glucose-dependent manner. It does not inhibit DPP-8 or DPP-9 at therapeutic doses.

Pharmacokinetics:
Absorption: ~87% bioavailability; unaffected by food.
Distribution: Volume of distribution to IV dose is ~198 L; ~38% protein-bound.
Metabolism: Minimally metabolized; ~79% excreted unchanged in urine.
Elimination: Primarily renal; ~87% urine, ~13% feces; half-life after oral administration is ~12.4 hours.

Special Populations:
Renal Impairment: AUC increases 2 - 4 folds in patients with moderate to severe renal insufficiency; dose adjustment needed.
Hepatic Impairment: Mild/moderate impairment increases exposure slightly; no dose adjustment needed. There is no clinical experience in patients with severe hepatic insufficiency (Child-Pugh score >9)
BMI, Gender, Race: No dose adjustment required.
Geriatric: Age alone doesn't significantly affect pharmacokinetics.
Pediatric: No data available

IN VIVO ASSESSMENT OF DRUG INTERACTIONS:
Effects of sitagliptin on other drugs:
Sitagliptin did not meaningfully alter the pharmacokinetics of metformin, glyburide, simvastatin, rosiglitazone, warfarin, or oral contraceptives, providing in vivo evidence of a low propensity for causing drug interactions with substrates of CYP3A4, CYP2C8, CYP2C9, and organic cationic transporter (OCT).
Effects of other drugs on sitagliptin:
Sitagliptin is not susceptible to clinically meaningful interactions by co-administered medications like metformin and cyclsporine.

INDICATIONS AND USAGE:
SITA is indicated as an adjunct to diet and exercise to improve glycemic control in adults with type 2 diabetes mellitus.
Limitations: Not for type 1 diabetes or diabetic ketoacidosis.
Caution: Safety in patients with a history of pancreatitis is unknown

DOSAGE AND ADMINISTRATION:
The recommended dose of SITA is 100 mg once daily. SITA can be taken with or without food.

DOSE MODIFICATION RECOMMENDATIONS:
Patients with renal insufficiency:
- *Mild (CrCl ≥50 mL/min):* No adjustment needed
- *Moderate (CrCl 30–49 mL/min):* 50 mg once daily
- *Severe/ESRD (CrCl <30 mL/min or on dialysis):* 25 mg once daily

Note: Assess renal function before starting and periodically thereafter.
With Sulfonylureas or Insulin: Lower their dose to reduce hypoglycemia risk.

CONTRAINDICATIONS: History of a serious hypersensitivity reaction to sitagliptin, such as anaphylaxis or angioedema.

WARNINGS AND PRECAUTIONS:
Pancreatitis: Monitor for symptoms after starting SITA. Discontinue if pancreatitis is suspected. Risk in patients with prior history is unknown.
Heart Failure: DPP-4 inhibitors have been linked to heart failure in some studies. Use cautiously in patients with prior heart failure or renal impairment. Monitor and manage symptoms as per standard care.
Renal Impairment: Assess renal function before and during treatment. Cases of worsening renal function, including acute renal failure, have been reported. Discontinue if needed; reinitiate cautiously if another cause is identified.
Hypoglycemia Risk: Increased risk when combined with sulfonylurea or insulin. Dose reduction of these agents may be needed.
Hypersensitivity Reactions: Discontinue if hypersensitivity reaction is suspected. Caution in patients with prior angioedema from other DPP-4 inhibitors.
Severe Arthralgia: Disabling joint pain reported; resolves on discontinuation.
Bullous Pemphigoid: Rare cases reported requiring hospitalization. Discontinue if suspected and refer to dermatologist.
Macrovascular Outcomes: No proven reduction in major cardiovascular events with SITA or similar drugs.

DRUG INTERACTIONS: Patients receiving digoxin should be monitored appropriately. No dosage adjustment of digoxin or SITA is recommended.

USE IN SPECIFIC POPULATIONS:
Pregnancy (Category B): Use only if clearly needed.
Nursing Mothers: Unknown if excreted in human milk; use with caution.
Pediatric Use: Not established for patients under 18 years.
Geriatric Use: Use with care due to potential reduced renal function; assess renal function before and during treatment

ADVERSE REACTIONS:
Common GI side effects: Abdominal pain, nausea, vomiting, diarrhea
With sulfonylurea: Increased risk of hypoglycemia
With metformin + PPARγ agonist: Headache, diarrhea, nausea, hypoglycemia, URTI, cough, fungal infection, edema, vomiting
With metformin (initial combo): Diarrhea, dyspepsia, flatulence, vomiting, headache
With PPARγ agonist (initial combo): Asymptomatic or symptomatic hypoglycemia

OVERDOSAGE:
In case of overdose, provide supportive care, monitor clinically (e.g., ECG), and remove unabsorbed drug if possible. Sitagliptin is modestly dialyzable; prolonged hemodialysis may help if needed. Effectiveness of peritoneal dialysis is unknown.

INSTRUCTIONS:
– Store below 30°C.
– Protect from heat, sunlight & moisture.
– Keep out of the reach of children.
– To be sold on the prescription of a registered medical practitioner only.

PRESENTATION:

SITA Tablet 50 mg	:	Pack of 1 x 14 tablets.
SITA Tablet 100 mg	:	Pack of 1 x 14 tablets.

ہدایات:
۳۰ درجہ سینٹی گریڈ سے کم درجہ حرارت پر رکھیں۔
گرمی، دھوپ اور نمی سے بچائیں۔
بچوں کی پہنچ سے دور رکھیں۔
صرف مستند ڈاکٹر کے نسخہ پر فروخت کریں۔

Manufactured by:
CCL Pharmaceuticals (Pvt.) Ltd.
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