# Bicalon

## Bicalutamide USP 50mg Tablet

**COMPOSITION :** Each film coated tablet contains Bicalutamide USP 50mg.

**CLINICAL PHARMACOLOGY :**

**Mechanism of Action:** Bicalutamide is a non-steroidal androgen receptor inhibitor. It competitively inhibits the action of androgens by binding to cytosol androgen receptors in the target tissue. When Bicalutamide is combined with luteinizing hormone releasing hormone (LHRH) analog therapy, the suppression of serum testosterone induced by the LHRH analog is not aected. However, in clinical trials with Bicalutamide as a single agent for prostate cancer, rises in serum testosterone and estradiol have been noted. In a subset of patients who have been treated with Bicalutamide and an LHRH agonist and who discontinue Bicalutamide therapy due to progressive advanced prostate cancer, a reduc-tion in Prostate Speci c Antigen (PSA) and/or clinical improvement (antiandrogen withdrawal phenomenon) may be observed.

**Pharmacokinetics:**

**Absorption :** Bicalutamide is well-absorbed following oral administration, although the absolute bioavailability is unknown. Coadministration of Bicalutamide with food has no clinically signi cant e--ect on rate or extent of absorption.

**Distribution :** Bicalutamide is highly protein-bound (96%).

**Metabolism/Elimination :** Bicalutamide undergoes stereospeci c metabolism. The S (inactive) isomer is metabolized primarily by glucuronidation. The R (active) isomer also undergoes glucuronidation but is predominantly oxidized to an inactive metabolite followed by glucuronidation. Both the parent and metabolite glucuronides are eliminated in the urine and feces.

**INDICATIONS :** It is indicated for use in combination therapy with a luteinizing hormone-releasing hormone (LHRH) analog for the treatment of Stage D2 metastatic carcinoma of the prostate.

**DOSAGE AND ADMINISTRATION :** The recommended dose for Bicalutamide therapy in combination with an LHRH analog is one 50 mg tablet once daily (morning or evening), with or without food. It is recommended that Bicalutamide should be taken at the same time each day.Treatment with Bicalutamide should be started at the same time as treatment with an LHRH analog.

**Dosage Adjustment in Renal Impairment :** No dosage adjustment is necessary for patients with renal impairment.

**Dosage Adjustment in Hepatic Impairment :** No dosage adjustment is necessary for patients with mild to moderate hepatic impairment.In patients with severe liver impairment, although there was a 76% increase in the half-life (5.9 and 10.4 days for normal and impaired patients, respectively) of the active enantiomer of Bicalutamide no dosage adjustment is necessary. Or, as directed by the registered physicians.

**SIDE EFFECTS :**

When Bicalutamide and an LHRH analog are given together, the most common side eect is hot ashes (50% of patients) and facial ushing. Alcohol may worsen this reaction and so it should be cautiously consumed. Other common side eects of the combination are infections, water retention, anemia, diarrhea, constipation and overall pain including pain in the back, hips and stomach. LHRH analogs may increase blood glucose and worsen diabetes.

Less common side eects are breast enlargement and breast pain, which may be due to the Bicalut-amide alone.

**CONTRAINDICATIONS :**It is contraindicated in patients with hypersensitivity reactions including angioneurotic edema and urticaria to Bicalutamide or any other components of this drug.

**DRUG INTERACTIONS :**Bicalutamide may interact with warfarin. Therefore, the dose of warfarin may need to be adjusted and the ability of blood to clot should be closely monitored. Bicalutamide reduces the activity of liver enzymes that breakdown certain drugs, for example, midazolam. Such combinations should be avoided if possible.

**PRECAUTIONS :**

**Hepatitis:** Cases of death or hospitalization due to severe liver injury (hepatic failure) have been reported postmarketing in association with the use of Bicalutamide. Hepatotoxicity in these reports generally occurred within the rst three to four months of treatment. Hepatitis or marked increases in liver enzymes leading to drug discontinuation occurred in approximately 1% of Bicalutamide patients in controlled clinical trials. Serum transaminase levels should be measured prior to starting treatment with Bicalutamide , at regular intervals for the rst four months of treatment, and periodi-cally thereafter. If clinical symptoms or signs suggestive of liver dysfunction occur (e.g., nausea, vomiting, abdominal pain, fatigue, anorexia, " u-like" symptoms, dark urine, jaundice or right upper quadrant tenderness), the serum transaminases, in particular the serum ALT, should be measured immediately. If at any time a patient has jaundice, or their ALT rises above two times the upper limit of normal, Bicalutamide should be immediately discontinued with close follow-up of liver function.

**Gynecomastia and Breast Pain:**In clinical trials with Bicalutamide 150 mg as a single agent for prostate cancer, gynecomastia and breast pain have been reported in up to 38% and 39% of patients, respectively.

**Glucose Tolerance:** A reduction in glucose tolerance has been observed in males receiving LHRH agonists. This may manifest as diabetes or loss of glycemic control in those with preexisting diabetes. Consideration should therefore be given to monitoring blood glucose in patients receiving Bicalutamide in combi-nation with LHRH agonists.

**Laboratory Tests : s**Regular assessments of serum Prostate Specif ic Antigen (PSA) may be helpful in monitoring the patient's response. If PSA levels rise during Bicalutamide therapy, the patient should be evaluated for clinical progression. For patients who have objective progression of disease together with an elevated PSA, a treatment-free period of antiandrogen, while continuing the LHRH analog, may be considered.

**Use in pregnancy and lactation :** There are no adequate and well controlled studied in pregnant and lactating women. It may cause fetal harm when administered to a pregnant woman. If this drug is used during pregnancy, or if the patient becomes pregnant while taking this drug, the patient should be apprised of the potential hazard to a fetus.

**Overdose :** Symptomatic and supportive treatment should be given in case of overdose.

**Storage :** Store at 25$^{o}$ C in a dry place.

**Packing :** Each box contains 28 tablets in Alu-Alu blister pack.

**DRUG INTERNATIONAL LTD.**
**UNIT-2**
TONGI, GAZIPUR