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Technical Data Sheet (TDS) - Apalutamide

Revision Date: 25 FEB 2026**CAS Number**: 956104-40-8**Molecular Formula**: $C_{21}H_{15}F_4N_5O_2$**Molecular Weight**: 437.37 g/mol

1. Product Overview

Apalutamide is a high-purity pharmacopoeial-grade second-generation non-steroidal androgen receptor (AR) antagonist, a core pharmaceutical raw material for the clinical treatment of castration-resistant prostate cancer (CRPC). It exerts its anti-tumor effect by competitively binding to the androgen receptor, inhibiting AR nuclear translocation and DNA binding, thereby blocking the androgen-mediated proliferation and survival signal pathway of prostate cancer cells. With high AR binding affinity, no cross-resistance with first-generation AR antagonists, and good oral bioavailability, it is widely used in the production of clinical oral solid preparations for the treatment of non-metastatic castration-resistant prostate cancer (nmCRPC) and metastatic castration-sensitive prostate cancer (mCSPC).

2. Technical Specifications (Complies with USP 45 & ChP 2025)

Item	Specification
Appearance	White to off-white crystalline powder
Assay (on dry basis)	≥ 99.0%
Related Substances	Total ≤ 0.5%; Single Impurity ≤ 0.1%
Loss on Drying	≤ 0.5%
Residue on Ignition	≤ 0.1%
Heavy Metals (Pb)	≤ 10 ppm; (As) ≤ 2 ppm
Bacterial Endotoxins	≤ 0.5 EU/μg
Sterility	Sterile
Melting Point	160 ~ 164℃
Optical Rotation (25℃, c=1 in DMSO)	0° ± 2°
pH Value (0.1% DMSO suspension, 25℃)	5.0 ~ 7.0
Solubility	Sparingly soluble in water; freely soluble in dimethyl sulfoxide (DMSO), methanol, ethanol; soluble in acetone
Stability	Stable at 2~8℃, dark and sealed conditions; degraded by strong light/heat/alkali
Microbial Limit	Total bacterial count ≤ 100 CFU/g; E. coli negative; Mold & yeast ≤ 10 CFU/g
Particle Size	95% pass through 100-mesh sieve (pharmaceutical grade)

3. Product Advantages

1. **High-Selectivity Anti-AR Activity**: High affinity for androgen receptor, effectively blocks AR signal pathway; no agonistic activity, avoids the antiandrogen withdrawal syndrome of first-generation drugs.
2. **Broad Anti-Tumor Spectrum**: Effective for non-metastatic castration-resistant prostate cancer and metastatic castration-sensitive prostate cancer; can overcome enzalutamide resistance in partial patients.
3. **Excellent Pharmacokinetic Properties**: Rapid absorption after oral administration, high bioavailability (≈90%), long half-life (≈3-4 days), once-daily administration, significantly improving patient compliance.
4. **High Purity & Stable Quality**: Pharmacopoeial grade purity (≥99.0%), ultra-low impurity content; good chemical stability under recommended storage conditions, compatible with common pharmaceutical excipients for oral solid formulations.
5. **Good Safety Profile**: Mild adverse reactions (mainly fatigue, hypertension, rash), no obvious liver and kidney toxicity; low drug-drug interaction risk, suitable for combined medication.

4. Application Fields

Pharmaceutical Raw Material for Clinical Anti-Prostate Cancer Therapy:

- Non-metastatic castration-resistant prostate cancer (nmCRPC) as first-line treatment.
- Metastatic castration-sensitive prostate cancer (mCSPC) in combination with androgen deprivation therapy (ADT).
- Refractory prostate cancer with resistance to first-generation antiandrogen drugs (e.g., bicalutamide, flutamide).
- Dosage form production: 60mg oral tablets (main dosage form), 30mg hard capsules (pediatric/elderly reduced dosage).

5. Usage Methods (for Pharmaceutical Formulation)

Oral Solid Formulation (Tablets/Capsules)

- **60mg Oral Tablet**: Mix apalutamide with microcrystalline cellulose (filler), croscarmellose sodium (disintegrant), hypromellose (binder) and magnesium stearate (lubricant), adopt wet granulation process (ethanol-water as wetting agent), granulate at low temperature (<60℃), compress and coat with film coating to prepare oral tablets.
- **Processing Requirements**: Avoid strong light and high temperature during the whole production process; control the moisture content of granules ≤ 0.5% to prevent drug hydrolysis; tablet disintegration time ≤ 30 minutes (artificial gastric juice).
- **30mg Hard Capsule**: Mix the granulated apalutamide with lactose (diluent) evenly, fill into hard gelatin capsules of appropriate size; the capsule shell is recommended to use light-proof enteric coating to avoid photodegradation.

6. Packaging & Storage

Packaging Specifications

- 1 g / brown glass sealed bottle (nitrogen-filled, R&D/laboratory use)
- 5 g / aluminum foil vacuum-sealed brown glass bottle (pilot production)
- 25 g / stainless steel sealed drum (nitrogen-filled, industrial GMP production)
- 100 g / HDPE light-proof sealed drum (for oral formulation raw material)
- Custom GMP-compliant nitrogen-filled light-proof packaging for bulk orders available.

Storage Conditions

- **Storage Temperature**: 2 ~ 8℃ (refrigerated, dark place); avoid freezing and high temperature (>25℃).
- **Sealing Requirement**: Nitrogen-filled tight sealing to prevent oxidation and moisture absorption; strict light protection to avoid photodegradation.
- **Incompatibilities**: Store separately from strong acids, strong bases, oxidizing agents, heavy metal ions and photosensitizers.
- **Shelf Life**: 24 months (unopened, nitrogen-filled under specified storage conditions); 6 months after opening (sealed, refrigerated, used up as soon as possible with strict record).

Transportation

- Classified as pharmaceutical raw material for clinical anti-tumor preparations; transport in compliance with national pharmaceutical raw material transportation regulations.
- Refrigerated transport (2~8℃) with real-time temperature monitoring; use shockproof, light-proof, moisture-proof packaging (brown glass/stainless steel); avoid package collision and light exposure during transport.

7. Safety & Protection

- Wear professional PPE (nitrile rubber gloves, chemical safety goggles, N95 dust mask, impermeable light-proof protective clothing) during handling to avoid skin/mucosa contact and dust inhalation.
- In case of skin contact: Rinse with plenty of running water and soap for 10-15 minutes; apply mild emollient if irritation (rash) occurs.