# N-Desmethyl-Apalutamide

**Cat. No.:** HY-135331

**CAS No.:** 1332391-11-3

**Molecular Formula:** $C_{20}H_{13}F_4N_5O_2S$

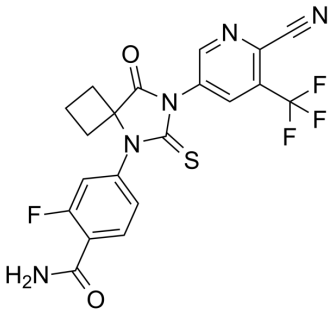
**Molecular Weight:** 463.41

**Target:** Androgen Receptor; Cytochrome P450

**Pathway:** Vitamin D Related/Nuclear Receptor; Metabolic Enzyme/Protease

**Storage:**

| | | |
|---|---|---|
| Powder | -20°C | 3 years |
| | 4°C | 2 years |
| In solvent | -80°C | 6 months |
| | -20°C | 1 month |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 100 mg/mL (215.79 mM; Need ultrasonic)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.1579 mL | 10.7896 mL | 21.5792 mL |
| | 5 mM | 0.4316 mL | 2.1579 mL | 4.3158 mL |
| | 10 mM | 0.2158 mL | 1.0790 mL | 2.1579 mL |

Please refer to the solubility information to select the appropriate solvent.

## BIOLOGICAL ACTIVITY

**Description**

N-Desmethyl Apalutamide is an active metabolite of Apalutamide. N-Desmethyl Apalutamide is a less potent antagonist of the androgen receptor and is responsible for one-third of the activity of Apalutamide. The formation of N-Desmethyl Apalutamide mediated predominantly by CYP2C8 and CYP3A4. N-Desmethyl Apalutamide is moderate to strong CYP3A4 and CYP2B6 inducer and has an excellent plasma-proteins bound concentration[1][2][3].

**$IC_{50}$ & Target**

CYP3A4 and CYP2B6[1];Androgen receptor[2]

## REFERENCES

[1]. Pérez-Ruixo C, et al. Population Pharmacokinetics of Apalutamide and its Active Metabolite N-Desmethyl-Apalutamide in Healthy and Castration-Resistant Prostate Cancer Subjects. Clin Pharmacokinet. 2019 Aug 20.

[2]. Smith MR, et al. Phase 2 Study of the Safety and Antitumor Activity of Apalutamide (ARN-509), a Potent Androgen Receptor Antagonist, in the High-risk Nonmetastatic Castration-resistant Prostate Cancer Cohort. Eur Urol. 2016 May 6. pii: S0302-2838(16)30133

[3]. May MB, et al. Apalutamide: A new agent in the management of prostate cancer. J Oncol Pharm Pract. 2019 Dec;25(8):1968-1978.



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