# Seviteronel

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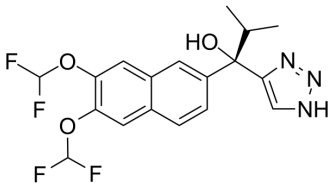
| **Cat. No.:** | HY-15996 |
| **CAS No.:** | 1610537-15-9 |
| **Molecular Formula:** | $C_{18}H_{17}F_4N_3O_3$ |
| **Molecular Weight:** | 399.34 |
| **Target:** | Cytochrome P450 |
| **Pathway:** | Metabolic Enzyme/Protease |
| **Storage:** | Powder -20°C 3 years<br>4°C 2 years<br>In solvent -80°C 2 years<br>-20°C 1 year |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : ≥ 50 mg/mL (125.21 mM)

* "≥" means soluble, but saturation unknown.

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 2.5041 mL | 12.5207 mL | 25.0413 mL |
| 5 mM | 0.5008 mL | 2.5041 mL | 5.0083 mL |
| 10 mM | 0.2504 mL | 1.2521 mL | 2.5041 mL |

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

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.26 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.26 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.26 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

Seviteronel (VT-464) is a potent CYP17 lyase inhibitor(h-Lyase $IC_{50}$=69 nM) that demonstrated both exceptional in vitro lyase/hydroxylase selectivity (~10-fold) and oral activity in a hamster model of androgen biosynthesis inhibition.

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

IC50: 69 nM(h-CYP17 Lyase)[1].

**In Vitro**

Seviteronel (VT-464), a non-steroidal small molecule inhibits androgen production without mineralocorticoid excess or

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| | cortisol depletion by selective inhibition of CYP17 17,20-lyase. We determined the impact of Seviteronel (VT-464) on tumor growth of a mCRPC xenograft, MDA-PCa-133, in vivo, and on androgen signaling in C4-2B prostate cancer cells in vitro[2].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **In Vivo** | The MDA-PCa-133 xenograft is derived from a clinical CRPC bone metastasis. Subcutaneous MDA-PCa-133 tumor expresses PSA, full-length androgen receptor (AR) and AR-V7 isoform. We determined the effect of Seviteronel (VT-464) and AA on MDA-PCa-133 growing in tumor-bearing castrated male mice: randomization into three groups; oral treatment with vehicle only, VT-464, (100 mg/kg bid), or AA (100 mg/kg bid) for 25 days. Both Seviteronel (VT-464) and AA reduced tumor volume (>two fold compared to vehicle; $p<0.05$). These results indicate that selective Seviteronel (VT-464) CYP17 lyase inhibition is as effective as AA CYP17 inhibition in this model [2].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## REFERENCES


[1]. Rafferty SW, et al. Highly-selective 4-(1,2,3-triazole)-based P450c17a 17,20-lyase inhibitors. Bioorg Med Chem Lett. 2014 Jun 1;24(11):2444-7.

[2]. Sankar N. Maity, et al. Abstract 4772: Efficacy of VT-464, a novel selective inhibitor of cytochrome P450 17,20-lyase, in castrate-resistant prostate cancer models. Cancer Research: April 15, 2013; Volume 73, Issue 8, Supplement 1





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