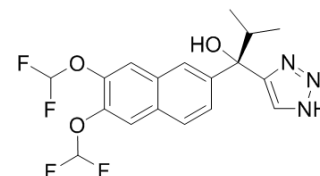


Seviteronel

Cat. No.:	HY-15996		
CAS No.:	1610537-15-9		
Molecular Formula:	C ₁₈ H ₁₇ F ₄ N ₃ O ₃		
Molecular Weight:	399.34		
Target:	Cytochrome P450		
Pathway:	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 : ≥ 50 mg/mL (125.21 mM)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Mass		1 mg	5 mg	10 mg
	Concentration				
	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

- 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
- 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
- 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 IC₅₀=69 nM) that demonstrated both exceptional in vitro lyase/hydroxylase selectivity (~10-fold) and oral activity in a hamster model of androgen biosynthesis inhibition.

IC₅₀ & 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

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]. 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].

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

Caution: Product has not been fully validated for medical applications. For research use only.

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