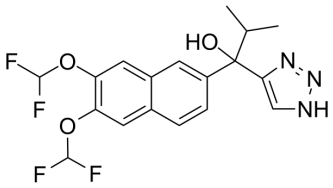
Seviteronel racemate

Cat. No.:	HY-15996B
CAS No.:	1375603-36-3
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 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (125.21 mM; Need ultrasonic)

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: ≥ 3 mg/mL (7.51 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 3 mg/mL (7.51 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Seviteronel racemate (VT-464 racemate) is the racemate form of Seviteronel (VT-464), which is a potent CYP17 lyase inhibitor(h-Lyase IC_{50}=nM)inhibition.

IC_{50} & Target

IC50: 69 nM(VT-464, 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 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, Seviteronel (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

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