

Product Data Sheet

Product Name: Seviteronel racemate

Cat. No.: GC37632

Chemical Properties

Cas. No. 1375603-36-3

SMILES FC(F)OC1=C(OC(F)F)C=C(C=CC(C(C2=CN=N2)(C(C)C)O)=C3)C3=C1

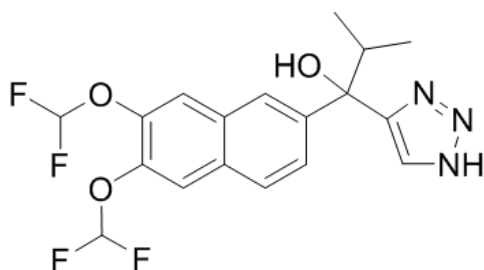
Formula $C_{18}H_{17}F_4N_3O_3$ M.Wt 399.34

Solubility DMSO: 50 mg/mL (125.21 mM); Storage Store at -20°C
Water: < 0.1 mg/mL (insoluble)

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Background

Seviteronel racemate (VT-464 racemate) is the racemate form of Seviteronel (VT-464), which is a potent CYP17 lyase inhibitor (h-Lyase IC₅₀=nM) inhibition. IC₅₀: 69 nM (VT-464, h-CYP17 Lyase)[1].

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

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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].

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].

[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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