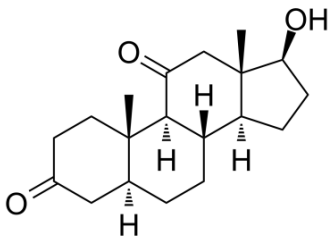
11-Ketodihydrotestosterone

Cat. No.:	HY-135794
CAS No.:	32694-37-4
Molecular Formula:	$C_{19}H_{28}O_3$
Molecular Weight:	304.42
Target:	Androgen Receptor
Pathway:	Others
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (328.49 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.2849 mL	16.4247 mL	32.8493 mL
	5 mM	0.6570 mL	3.2849 mL	6.5699 mL
	10 mM	0.3285 mL	1.6425 mL	3.2849 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 (8.21 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (8.21 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.21 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

11-Ketodihydrotestosterone (11-KDHT; 5α-Dihydro-11-keto testosterone) is an endogenous steroid and a metabolite of 11β-Hydroxyandrostenedione. 11-Ketodihydrotestosterone is an active androgen and is also a potent androgen receptor (AR) agonist with a K_i of 20.4 nM and an EC_{50} of 1.35 nM for human AR. 11-Ketodihydrotestosterone drives gene regulation, protein expression and cell growth in androgen-dependent prostate cancer cells[1][2].

IC_{50} & Target

Ki: 20.4 nM (Human androgen receptor)[1]
EC50: 1.35 nM (Human androgen receptor)[1]

In Vitro

11-Ketodihydrotestosterone (11-KDHT; 1-10 nM; 24 hours; LNCaP and VCaP cells) treatment induces significant cell proliferation[1].

11-Ketodihydrotestosterone (11-KDHT; 0.1-10 nM; 7-10 days; LNCaP and VCaP cells) treatment results in the significant upregulation of KLK3, TMPRSS2 and FKBP5 in both LNCaP and VCaP cells, with the exception of KLK3 at 1 nM in LNCaP cells [1].

In PNT2 cells, only 20% of 11β-hydroxyandrostenedione (11OHA4) and 11β-hydroxytestosterone (11OHT) are metabolised with the former yielding 11keto-androstenedione (11KA4), 11-Ketodihydrotestosterone (11-KDHT) and 11β-hydroxy-5α-androstanedione (11OH-5αDIONE) and the latter yielding 11OHA4, 11KT and 11-Ketodihydrotestosterone with downstream products <0.03 μM[2].

In prostate cancer tissue, C11-oxy C19 metabolites at significantly higher levels than the C19 steroids are detected, with unconjugated 11-Ketodihydrotestosterone, 11KT and 11OHA4 levels ranging between 13 and 37.5 ng/g. Analyses of total steroid levels in plasma show significant levels of 11OHA4 (≈230-440 nM), 11KT (≈250-390 nM) and 11-Ketodihydrotestosterone (≈19 nM)[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	LNCaP and VCaP cells
Concentration:	0.1 nM, 1 nM or 10 nM
Incubation Time:	7 days (LNCaP cells) or 10 days (VCaP cells)
Result:	Induced significant cell proliferation.

RT-PCR[1]

Cell Line:	LNCaP and VCaP cells
Concentration:	1 nM, 10 nM
Incubation Time:	24 hours
Result:	Resulted in the significant upregulation of KLK3, TMPRSS2 and FKBP5 in both LNCaP (Fig 3) and VCaP (Fig 4) cells.

REFERENCES

[1]. Pretorius E, et al. 1-Ketotestosterone and 11-Ketodihydrotestosterone in Castration Resistant Prostate Cancer: Potent Androgens Which Can No Longer Be Ignored. PLoS One. 2016 Jul 21;11(7):e0159867.

[2]. du Toit T, et al. Profiling adrenal 11β-hydroxyandrostenedione metabolites in prostate cancer cells, tissue and plasma: UPC2-MS/MS quantification of 11β-hydroxytestosterone, 11keto-testosterone and 11keto-dihydrotestosterone. J Steroid Biochem Mol Biol. 2017 Feb;166:54-67.

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