Product Data Sheet

Product Name: HE-3235
Cat. No.: GC14221

Chemical Properties

Cas. No.	183387-50-0		
Chemical Name	(3α,5α,17α)-pregn-20-yne-3,17-diol		
SMILES	C[C@@]12[C@](CC[C@]2(C#C)O)([H])[C@]3([H])CC[C@@]4([H])C[C@H](O)CC[C@]4(C)[C@@]3([H])CC1		
Formula	$C_{21}H_{32}O_2$	M.Wt	316.5
Solubility	≤10mg/ml in ethanol;10mg/ml in DMSO;10mg/ml in dimethyl formamide	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	HE-3235		

Background

HE-3235 is a novel antagonist of androgen receptor.

Androgen receptor (AR) is an adrenal hormone belongs to the steroid hormone receptor family of molecules. AR is responsible for mediating the physiologic effects of androgens by binding to specific DNA sequences that influence transcription of androgen-responsive genes. Variations in the AR gene may lead to genetic predisposition to prostate cancer development and severity [2].

In vitro: In LNCaP cells expressing a mutated androgen receptor, HE3235 significantly inhibited activity for AED-stimulated LNCaP proliferation. This inhibitory activity is accompanied by an increase in the number of apoptotic cells [1].

In vivo: Animal studies have confirmed the cytoreductive activity of HE3235 on LNCaP

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tumours. The results suggest that this compound may be of clinical use in castration-resistant prostate cancer. In castrated male mice implanted subcutaneously with LuCaP35V CaP xenografts, treatment with HE3235 significantly prolonged the tumor doubling time of LuCaP35V, decreased androgen receptor expression, and lowered levels of intratumoral testosterone by 89% and dihydrotestosterone by 63% in both the presence and the absence of AED. HE3235 inhibited tumor growth in the bone environment. HE3235 inhibited the growth of subcutaneous CRPC as well as CRPC in the bone environment. HE3235 exhibited a wide range of effects, including alteration of androgen receptor signaling and reductions in levels of intratumoral androgens. Weights of tumored tibiae of HE3235-treated animals were lower than those of control [3].

References:

[1] Trauger R, Corey E, Bell D, et al. Inhibition of androstenediol-dependent LNCaP tumour growth by 17α-ethynyl-5α-androstane-3α, 17β-diol (HE3235)[J]. British journal of cancer, 2009, 100(7): 1068-1072.
[2] Gelmann E P. Molecular biology of the androgen receptor[J]. Journal of Clinical Oncology, 2002, 20(13): 3001-3015.
[3 Gelmann E P. Molecular biology of the androgen receptor[J]. Journal of Clinical Oncology, 2002, 20(13): 3001-3015.] Koreckij T D, Trauger R J, Montgomery R B, et al. HE3235 inhibits growth of castration-resistant prostate cancer[J]. Neoplasia, 2009, 11(11): 1216IN22-1225IN23.