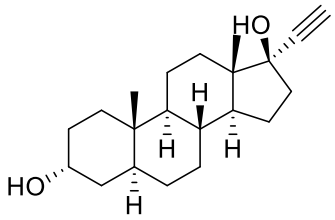
Product data sheet

MedKoo Cat#: 205485 Name: Apoptone CAS#: 183387-50-0 Chemical Formula: $C_{21}H_{32}O_2$ Exact Mass: 316.24023 Molecular Weight: 316.47758	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

Apoptone, also known as HE3235, is an orally bioavailable adrenal steroid analogue with potential antineoplastic activity. Androstane steroid HE3235 appears to bind the androgen receptor (AR), down-regulating anti-apoptotic genes, such as Bcl-2, while increasing the expression of pro-apoptotic genes, such as caspases. In vitro and in vivo studies indicate that this agent inhibits androstenediol-dependent LNCaP cell tumor growth. In addition, HE3235 may potentiate chemotherapeutic agents by down-regulating ABCG2, the gene encoding the multi-drug resistant (MDR) protein MDR2.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	10.0	31.60
DMSO:PBS (pH 7.2) (1:6)	0.14	0.44
DMF	10.0	31.60
Ethanol	10.0	31.60

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	3.16 mL	15.80 mL	31.60 mL
5 mM	0.63 mL	3.16 mL	6.32 mL
10 mM	0.32 mL	1.58 mL	3.16 mL
50 mM	0.06 mL	0.32 mL	0.63 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Koreckij TD, Trauger RJ, Montgomery RB, Pitts TE, Coleman I, Nguyen H, Reading CL, Nelson PS, Vessella RL, Corey E. HE3235 inhibits growth of castration-resistant prostate cancer. Neoplasia. 2009 Nov;11(11):1216-25. doi: 10.1593/neo.09960. PMID: 19881957; PMCID: PMC2767223.
2. Trauger R, Corey E, Bell D, White S, Garsd A, Stickney D, Reading C, Frincke J. Inhibition of androstenediol-dependent LNCaP tumour growth by 17alpha-ethynyl-5alpha-androstane-3alpha, 17beta-diol (HE3235). Br J Cancer. 2009 Apr 7;100(7):1068-72. doi: 10.1038/sj.bjc.6604987. PMID: 19337256; PMCID: PMC2669987.

In vivo study

Product data sheet

1. Koreckij TD, Trauger RJ, Montgomery RB, Pitts TE, Coleman I, Nguyen H, Reading CL, Nelson PS, Vessella RL, Corey E. HE3235 inhibits growth of castration-resistant prostate cancer. Neoplasia. 2009 Nov;11(11):1216-25. doi: 10.1593/neo.09960. PMID: 19881957; PMCID: PMC2767223.
2. Trauger R, Corey E, Bell D, White S, Garsd A, Stickney D, Reading C, Frincke J. Inhibition of androstenediol-dependent LNCaP tumour growth by 17alpha-ethynyl-5alpha-androstane-3alpha, 17beta-diol (HE3235). Br J Cancer. 2009 Apr 7;100(7):1068-72. doi: 10.1038/sj.bjc.6604987. PMID: 19337256; PMCID: PMC2669987.

7. Bioactivity

Biological target:

HE-3235 acts as an androgen receptor antagonist.

In vitro activity

Based on the observations above, the possibility that HE3235 was affecting cell viability in LNCaP cells was examined. Figure 5 shows a modest increase (8–21%) in the percentage of apoptotic LNCaP cells after 4 days of culture with HE3235, suggesting that HE3235 is cytotoxic for LNCaP cells.

Reference: Br J Cancer. 2009 Apr 7; 100(7): 1068–1072. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC2669987/

In vivo activity

This study set out to investigate whether HE3235 inhibits growth of CaP tumors in castrated male mice in the absence of AED. These experimental conditions mimic the clinical scenario of patients treated with agents aimed at blocking adrenal synthesis of androgens (e.g., ketoconazole). In this setting, HE3235 significantly inhibited the tumor doubling times of LuCaP35V (LuCaP35V + HE3235, 18.2 ± 6.28 days; untreated LuCaP35V, 10.44 ± 1.8 days; $P < .0001$; Figure 2B). HE3235 treatment resulted in significant increases in serum PSA levels in the treated animals versus control animals bearing LuCaP35V tumors in the period of 1 to 3 weeks after treatment initiation ($P < .0001$; Figure 2B).

Reference: Neoplasia. 2009 Nov; 11(11): 1216–1225. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC2767223/