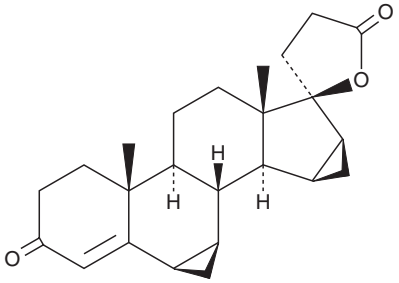
PRODUCT INFORMATION

Drospirenone

Item No. 23347

CAS Registry No.:	67392-87-4
Formal Name:	1,3',4',6R,7R,8R,9S,10R,11,12,13S,14S,15S,16S,20,21-hexadecahydro-10,13-dimethyl-spiro[17H-dicyclopropa[6,7:15,16]cyclopenta[a]phenanthrene-17,2'S(5'H)-furan]-3,5'(2H)-dione
Synonyms:	1,2-dihydro Spirorenone, ZK 30595
MF:	$C_{24}H_{30}O_3$
FW:	366.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 259 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Drospirenone is supplied as a crystalline solid. A stock solution may be made by dissolving the drospirenone in the solvent of choice, which should be purged with an inert gas. Drospirenone is soluble in dimethyl formamide (DMF) at a concentration of approximately 2 mg/ml.

Drospirenone is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, drospirenone should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Drospirenone has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Drospirenone is a synthetic progestogen that binds to the progesterone, mineralocorticoid, and androgen receptors with binding affinities of 20, 230, and 65% relative to R5020, aldosterone (Item No. 15273), and R1881, respectively.[1] *In vivo*, drospirenone inhibits spontaneous ovulation in rats (ID_{50}s = 0.3-1.0 mg/day) when administered orally or subcutaneously.[2] Drospirenone (0.5 mg/animal) administered six times per day maintains pregnancy in ovariectomized pregnant rats. It reduces serum testosterone (Item Nos. 15645 | ISO60154) and luteinizing hormone in cynomolgus monkeys in a dose-dependent manner. Drospirenone (10 mg/animal per day) also inhibits testosterone-induced growth of the seminal vesicles and prostate in castrated rats. Formulations containing drospirenone have been used as oral contraceptives.[3]

References

1. Pollow, K., Juchem, M., Elger, W., *et al.* Dihydrospirorenone (ZK30595): A novel synthetic progestagen - characterization of binding to different receptor proteins. *Contraception* **46(6)**, 561-574 (1992).
2. Muhn, P., Fuhrmann, U., Fritzemeier, K.-H., *et al.* Drospirenone: A novel progestogen with antimineralocorticoid and antiandrogenic activity. *Ann. N.Y. Acad. Sci.* **761(1)**, 311-335 (1995).
3. Apter, D., Zimmerman, Y., Beekman, L., *et al.* Bleeding pattern and cycle control with estetrol-containing combined oral contraceptives: Results from a phase II, randomised, dose-finding study (FIESTA). *Contraception* **94(4)**, 366-373 (2016).

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