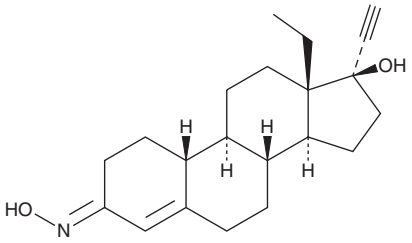
PRODUCT INFORMATION

Norelgestromin

Item No. 35243

CAS Registry No.:	53016-31-2
Formal Name:	13-ethyl-17α-hydroxy-18,19-dinorpregn-4-en-20-yn-3-one, oxime
Synonyms:	BRN 4202099, Levonorgestrel oxime, 17-desacetyl Norgestimate, RWJ 10553
MF:	$C_{21}H_{29}NO_2$
FW:	327.5
Purity:	≥95%
UV/Vis.:	λ_{max}: 242 nm
Supplied as:	A 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

Norelgestromin is supplied as a solid. A stock solution may be made by dissolving the norelgestromin in the solvent of choice, which should be purged with an inert gas. Norelgestromin is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of norelgestromin in these solvents is approximately 12, 10, and 11 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of norelgestromin can be prepared by directly dissolving the solid in aqueous buffers. The solubility of norelgestromin in PBS (pH 7.2) is approximately 0.25 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Norelgestromin is an active metabolite of the progestin norgestimate (Item No. 16547).[1] Norelgestromin is formed from norgestimate *via* deacetylation in human liver microsomes. It is an agonist of the progesterone receptor and estrogen receptor α (ERα; EC_{50}s = 11.1 and 43.4 nM, respectively) and an antagonist of the glucocorticoid and mineralocorticoid receptors (IC_{50}s = 255 nM and 83.7 nM, respectively).[2] Norelgestromin selectively binds to progestin receptors (IC_{50} = 4.61 nM for rabbit uterine receptors) over androgen receptors (IC_{50} = 222 nM for rat prostatic receptors) in radioligand binding assays.[3] However, norelgestromin (1 nM) activates the androgen receptor in a transactivation assay in MDA-MB-231 breast cancer cells expressing the human receptor.[4] Formulations containing norelgestromin in combination with ethynyl estradiol have been used as contraceptives and in the treatment of acne vulgaris in women.

References

1. Madden, S. and Back, D.J. *J. Steroid Biochem. Mol. Biol.* **38(4)**, 497-503 (1991).
2. Paris, F., Balaguer, P., Rimbault, F., *et al. Gynecol. Endocrinol.* **31(6)**, 487-490 (2015).
3. Phillips, A., Demarest, K., Hahn, D.W., *et al. Contraception* **41(4)**, 399-410 (1990).
4. Prifti, S., Lelle, I., Strowitzki, T., *et al. Gynecol. Endocrinol.* **19(1)**, 18-21 (2004).

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