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Pharmacological profile of progestins.

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The synthetic progestins used so far for contraception and menopausal hormone therapy are derived either from testosterone (19-nortestosterone derivatives) or from progesterone (17-OH progesterone derivatives and 19-norprogesterone derivatives). Among the 19-nortestosterone derivatives, the estrane group include norethisterone (NET) and its metabolites, and the gonane group include levonorgestrel (LNG) and its derivatives. The later, including desogestrel (DSG) and its derivative etonogestrel, gestodene (GES) and norgestimate (norelgestromin), have been referred to as third-generation progestins. Several new progestins have been synthesized in the last decade and may be considered as a fourth-generation of progestins. Dienogest is referred to as a hybrid progestin being derived from the estrane group with a 17alpha-cyanomethyl group, and drospirenone derives from spirolactone. These two progestins have no androgenic effect but a partial antiandrogenic effect. The later exerts anti-mineralocorticoid effects. This property leads to a decreased salt and water retention and a lowering in blood pressure in users of pills containing this progestin. The 19-norprogesterone derivatives appear more specifically progestational and do not possess any androgenic, estrogenic or glucocorticoid activity. They are referred to as "pure" progestational molecules as they bind almost exclusively to the progesterone receptor (PR) and do not interfere with the other steroid receptor. This category includes, trimegestone, nomegestrol acetate and Nestorone is not active orally but proved to be a potent anti-ovulatory agent when given in implants, vaginal rings or percutaneous gel. Non-androgenic progestins would appear neutral on metabolic factors and on the vessels and would have the advantage of avoiding acnea. Progestins with antiandrogenic properties may also be used for the treatment of women with preexisting androgen related conditions. The progestins available for therapy exhibit profound differences according to their structure or metabolites and it is inappropriate to consider the various effects of the old and new molecules as class-effects.

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