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Classification and pharmacology of progestins

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Abstract

Besides the natural progestin, progesterone, there are different classes of progestins, such as retroprogesterone (i.e. dydrogesterone), progesterone derivatives (i.e. medrogestone) 17α-hydroxyprogesterone derivatives (i.e. chlormadinone acetate, cyproterone acetate, medroxyprogesterone acetate, megestrol acetate), 19-norprogesterone derivatives (i.e. nomegestrol, promegestone, trimegestone, nesterone), 19-nortestosterone derivatives norethisterone (NET), lynestrenol, levonorgestrel, desogestrel, gestodene, norgestimate, dienogest) and spironolactone derivatives (i.e. drospirenone).

Some of the synthetic progestins are prodrugs, which need to be metabolized to become active compounds. Besides the progestogenic effect, which is in common for all progestins, there is a wide range of biological effects, which are different for the various progestins and have to be taken into account, when medical treatment is considered.

Keywords: Progesterone; Progestin; Classification; Biological effects; Pharmacology

1. Introduction

Recent prospective randomised studies on hormone replacement therapy (HRT), among others the HERS I and II as well as the WHI and MWS [1–5], have raised great concern regarding the role of progestins for the cardiovascular and venous system and breast cancer in the climacteric and postmenopausal woman. Neither secondary nor primary prevention of cardiovascular events seems to be accomplished and the rate of invasive breast cancer seems even to be raised. This could be related to the specific progestin used in HRT in these studies. Since there is a large body of data, partially conflicting, on the various progestins it appears mandatory to scrutinize the progestins in clinical use.

Basically all progestins do have only *one* effect in common, the progestogenic effect on the estrogen-primed endometrium of the rabbit, but there are large differences between progestins in the multitude of other biological effects elicited. In practice,

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