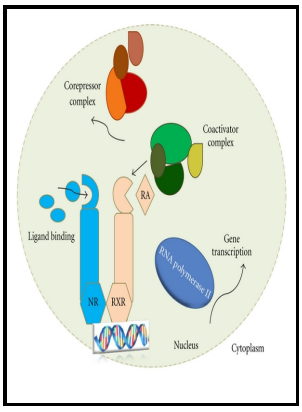
Nuclear receptors in drug metabolism

John Wiley & Sons - Nuclear Receptors in Drug Metabolism, Drug Response and Drug Interactions



Description: -
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Medical care -- Appalachian Region -- Congresses.
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Manufacturing industries -- United States -- Quality control.
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Receptors, Steroid -- metabolism
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Genetic regulation
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Nuclear Receptors in Drug Metabolism, Drug Response and Drug Interactions

Inhibition of Nuclear Receptors in Clinical Drug Interactions. Although most of the findings in NR function in cancer biology have been obtained by these high-throughput technologies that evaluate the state of the NR-regulated cistrome, straightforward genomic DNA sequencing of large cohorts of clinical tumor samples has also uncovered exciting findings. Schema showing a PXR- and CAR-binding composite XRE comprised of IR2 and DR4 elements, and an HNF4-α-binding DR1 element located downstream of XRE.

Nuclear Receptors: Recent Drug Discovery for Cancer Therapies

Among the nuclear receptors PXR is exceptional, because it can accept wide variety of ligands with significant structural differences, although generally quite high concentrations of ligands are needed for activation.

Nuclear receptors in the cross

The clinical trial that assesses enobosarm as a single agent in a phase 2 trial in patients with AR + TNBC NCT02368691 has been terminated due to lack of efficacy, whereas the clinical trial that evaluates the therapeutic efficacy of a combination of enobosarm with the immune checkpoint inhibitor pembrolizumab for patients with AR + metastatic TNBC NCT02971761 is still ongoing. It shows greater binding affinity than does estradiol for the ER, is more efficacious than tamoxifen in suppression of breast cancer cell proliferation and tumor progression, and is active against both tamoxifen-sensitive and tamoxifen-insensitive cell lines. Substrate specificity is narrower for other members of the CYP family that are expressed in hepatic and extrahepatic tissues.

Regulation of hepatic energy metabolism by the nuclear receptor PXR

Thus we show that two fasting activated pathways PGC-1α and SIRT1 differentially modify PXR expression and function. Section snippets Clinical and epidemiological evidence connecting PXR and glucose homeostasis There is increasing evidence that PXR agonists cause hyperglycemia in humans. Conformational analysis showed that the CYP17 inhibitor-bound AR adopted a conformation similar to the unliganded AR apo-AR , blocking nuclear translocalization and DNA binding.

Nuclear Receptors and Control of Drug Metabolism

Inhibition of the hydroxylase activity causes reduction in cortisol production, necessitating cotreatment with GCs such as prednisone. Long-term treatment with AZD3514 resulted in a decrease in total AR protein levels regardless of the presence of androgen, further explaining the mechanism for AZD3514-induced reduction of AR signaling independent of androgens. Ligand-activated VDR also induces DME and transporter expression.

Nuclear receptors in the cross

Induction of Drug Transporters by Activation of PXR and CAR. Therapeutically, FGF2-driven drug resistance in antiestrogen-sensitive and antiestrogen-resistant models could be reversed by neutralizing FGF2 or FGFRs.

Induction of drug metabolism: the role of nuclear receptors

This comprehensive resource collects scattered materials into one handy, informative volume. Wang, Metformin represses drug-induced expression of CYP2B6 by modulating the constitutive androstane receptor signaling, Mol Pharmacol, 85, 249—260, 2014.

Nuclear Receptors in Drug Metabolism, Drug Response and Drug Interactions

Huang, Neonatal activation of the nuclear receptor CAR results in epigenetic memory and permanent change of drug metabolism in mouse liver, Hepatology, 56, 1499—1509, 2012. Regulation of PXR and CAR Nuclear Translocation. Twenty years after the discovery of ER β, good ER β-selective agonists have been synthesized.

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