Liver X and farnesoid X

Overview: Liver X and farnesoid X receptors (LXR and FXR, nomenclature as agreed by NC-IUPHAR Committee on Nuclear Receptors, see Moore *et al*., 2006) are members of a steroid analogue-activated nuclear receptor subfamily (ENSF00000000720), which form heterodimers with members of the retinoid X receptor family. Endogenous ligands for LXRs include hydroxycholesterols (OHC), while FXRs appear to be activated by bile acids.

Nomenclature	LXRα	LXRβ	FXRα	FXRβ
Systematic nomenclature	NR1H3	NR1H2	NR1H4	NR1H5
Other names	Oxysterols receptor α	Oxysterols receptor β, ubiquitously expressed nuclear receptor	Bile acid receptor	Lanosterol receptor
Ensembl ID	ENSG00000025434	ENSG00000131408	ENSG00000012504	ENSMUSG00000048938 (pseudogene in man)
Potency order	20*S*-OHC, 22*R*-OHC, 24*S*-OHC > 25-OHC, 27-OHC (Lehmann *et al*., 1997)	20*S*-OHC, 22*R*-OHC, 24*S*-OHC > 25-OHC, 27-OHC (Lehmann *et al*., 1997)	Chenodeoxycholate > lithocholate, deoxycholate (Makishima *et al*., 1999; Parks *et al*., 1999)	–
Selective agonists	–	–	ECDCA (Pellicciari *et al*., 2002)	Lanosterol (Otte *et al*., 2003)
Selective antagonists	–	–	Guggulsterone (Urizar *et al*., 2002)	–

TO901317 (Repa *et al*., 2000) and GW3965 (Collins *et al*., 2002) are synthetic agonists acting at both LXRα and LXRβ with less than 10-fold selectivity.

Abbreviations: ECDCA, 6α-ethyl-chenodeoxycholate, also known as INT747; **guggulsterone**, *trans*-4,17(20)-pregnadiene-3,16-dione; **GW3965**, 3-(3-[*N*-{2-chloro-3-trifluoromethylbenzyl}-{2,2-diphenylethyl}amino]propyloxy)phenylacetic acid hydrochloride; **OHC**, hydroxycholesterol; **TO901317**, *N*-(2,2,2-trifluoroethyl)-*N*-(4-[2,2,2-trifluoro-1-hydroxy-1-{trifluoromethyl}ethyl]phenyl)-benzenesulfonamide

Further Reading

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