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EVROPSKÁ UNIE



MINISTERSTVO ŠKOLSTVÍ,
MLÁDEŽE A TĚLOVÝCHOVY



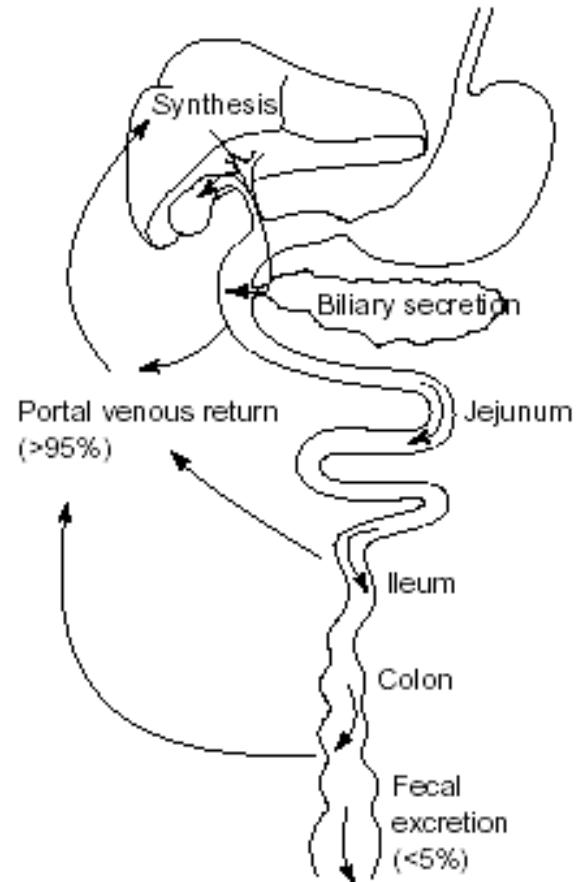
INVESTICE DO ROZVOJE VZDĚLÁVÁNÍ

Choleretics, cholelitholytics

**= drugs for enhancement of biliary secretion or for
dissolving of gallstones respectively**

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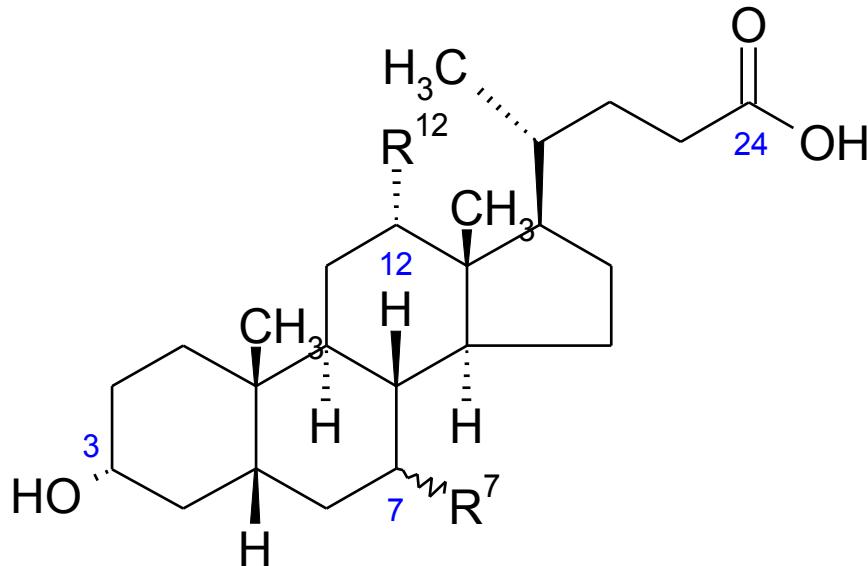
Functions of bile (gall): emulsifies fats and facilitates their enzymatic hydrolysis



Enterohepatal circulation of billiary acids

Billiary acids

- derivatives of cholan or cholan-24-oic acid respectively



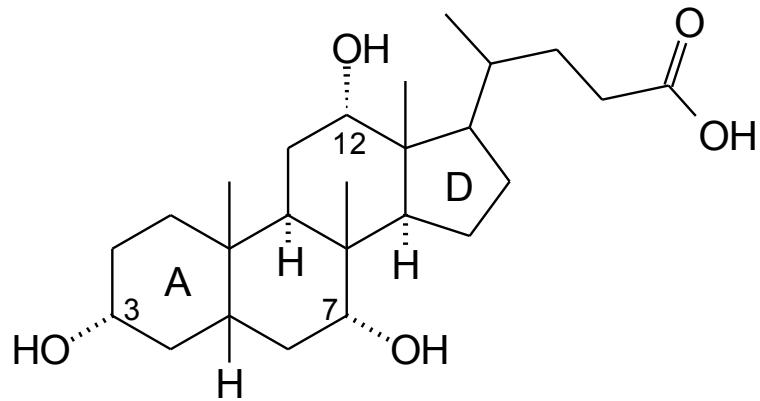
R^7	R^{12}
$\alpha\text{-OH}$	-OH
other ones	
$\alpha\text{-OH}$	-H
$\beta\text{-OH}$	-H
cholelithiasis	

cholic acid – the most frequent one, raw material for synthesis of

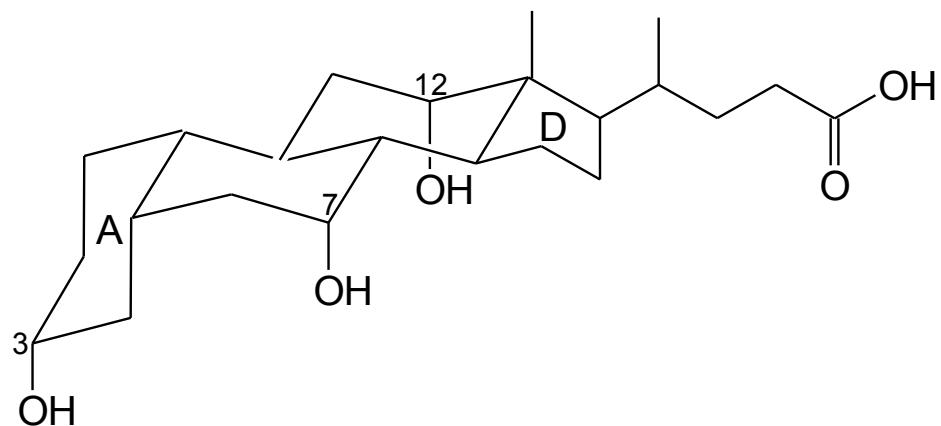
chenodeoxycholic acid syn. **chenodiol** [USAN]

ursodeoxycholic acid syn. **ursodiol** [USAN] – drug for cholesterol
Ursofalk®, Ursosan®e

Cholic acid and its stereochemistry



cis/trans/trans

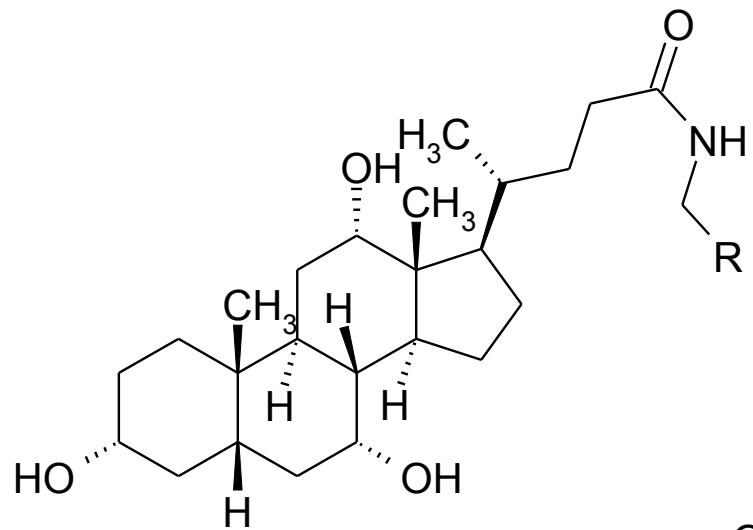


cholic acid

3α , 7α , 12α -trihydroxycholan-24-oic acid

3α -OH, e: easily esterifiable 7α -OH and 12α -OH a: easily oxidable

Biliary acids conjugates

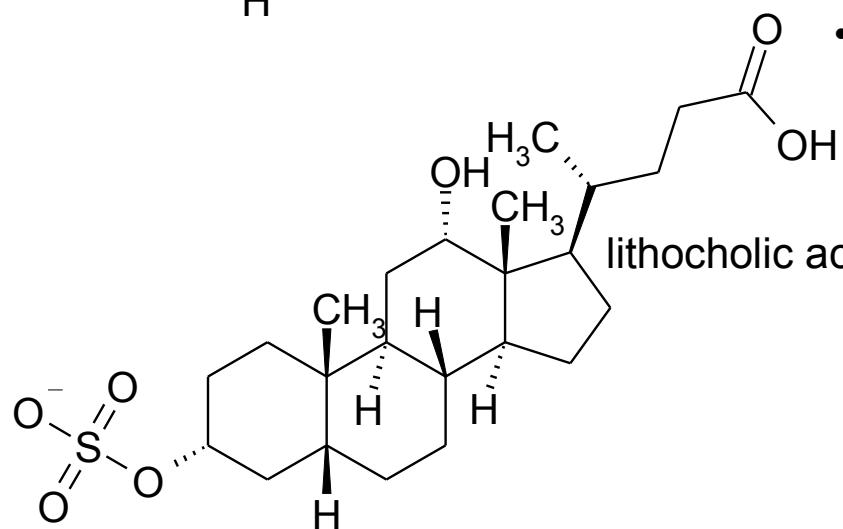


Conjugates formed by reaction of carboxyl with amino group of a suitable amino acid are effective as fats emulsifiers in the form of Na^+ salt

R = -COOH **glycocholic acid** (conjugate with glycine)

R = - $\text{CH}_2\text{SO}_3\text{H}$ **taurocholic acid** (conjugate with taurine, i.e. 2-aminoethanesulfonic acid)

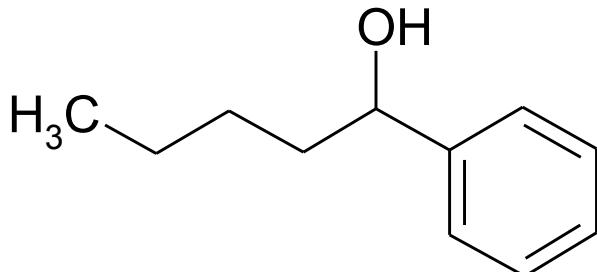
- other biliary acids are coonjugated similarly



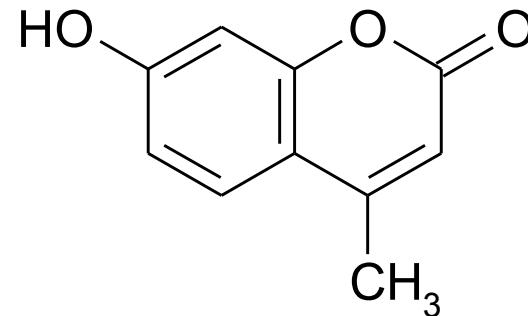
lithocholic acid 3-sulfate – metabolic endproduct of cholic acid

Synthetic choleretics

- stimulate secretion of biliary acid conjugates



1-phenylpentane-1-ol



7-hydroxy-4-methyl-2*H*-chromene-2-one

fenipentol

- stimulates also secretion of pancreatic enzymes
Febichol® cps.

hymecromone

- also spasmolytic
Isochol® drg.