

# Biotransformation of selected pharmaceutical excipients

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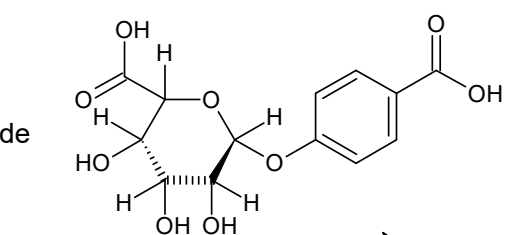
# Antimicrobial preservatives

# Parabens: methylparaben

*p*-carboxyphenyl glucuronide

major metabolite

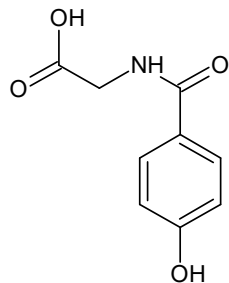
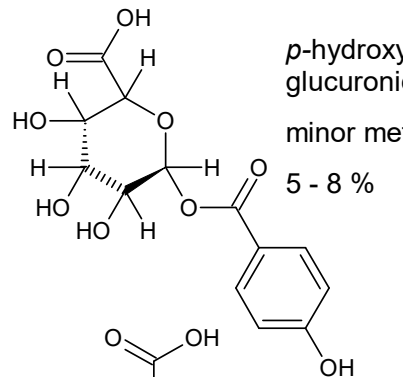
10 - 18 %



*p*-hydroxybenzoyl glucuronide

minor metabolite

5 - 8 %

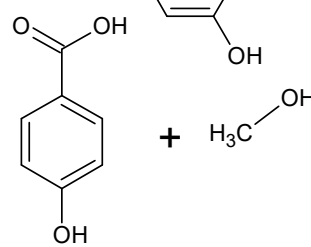
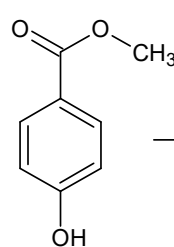


*p*-hydroxyhippuric acid

major metabolite

15 - 29 %

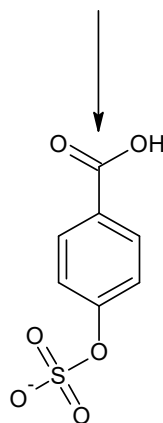
glycine



+ H<sub>3</sub>C-OH

major metabolite

25 - 39 %

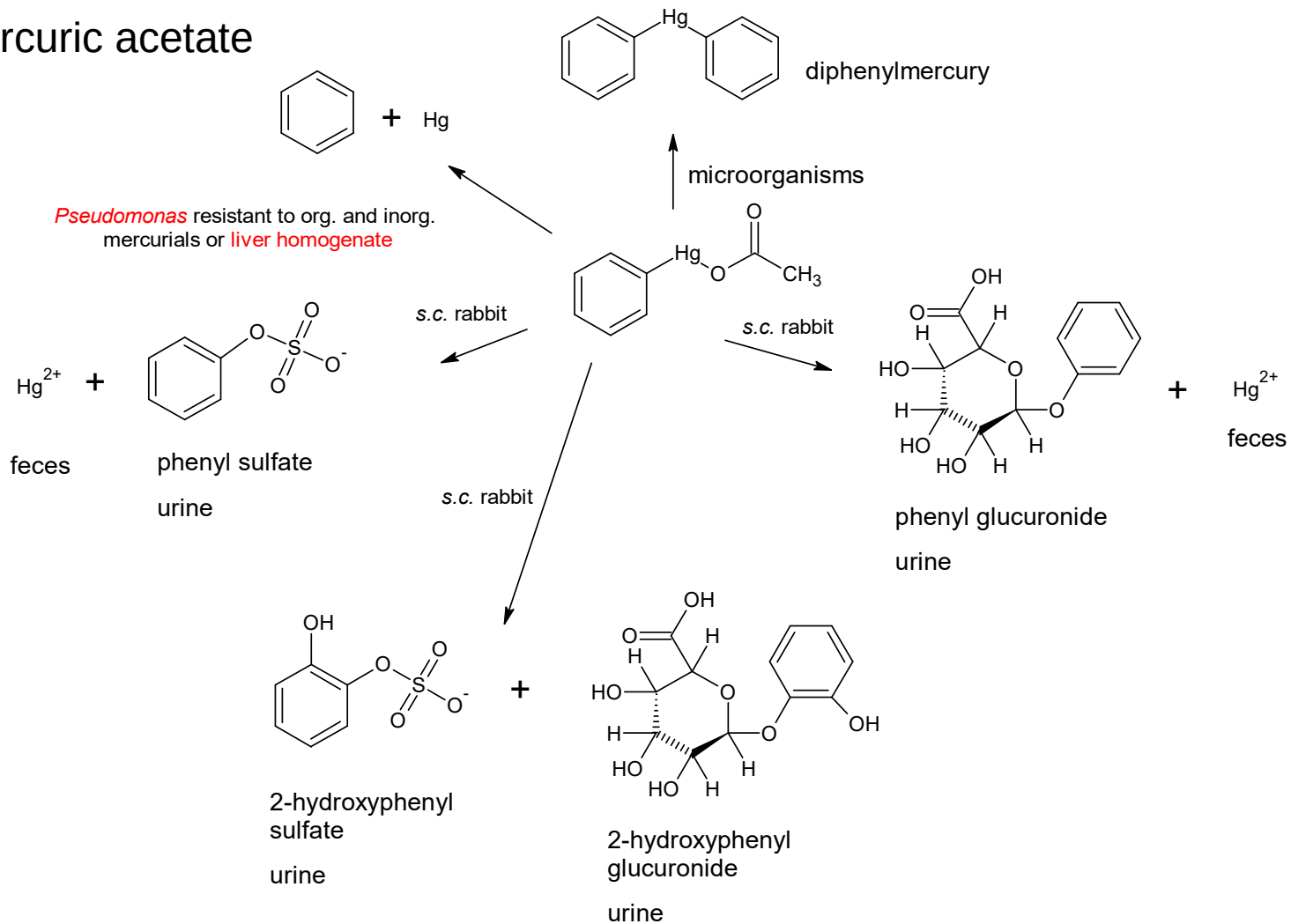


*p*-carboxyphenyl sulfate

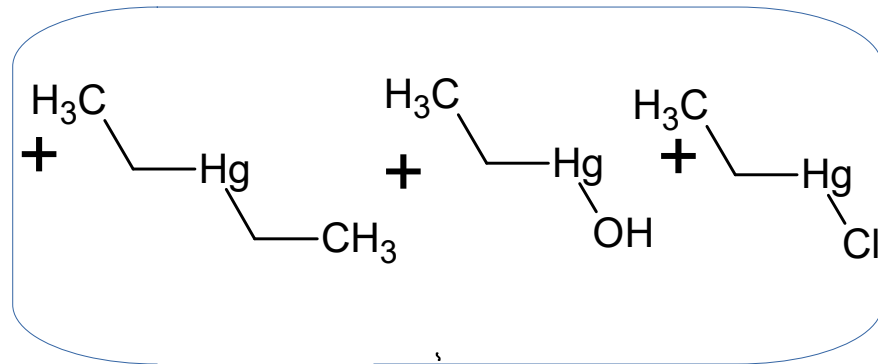
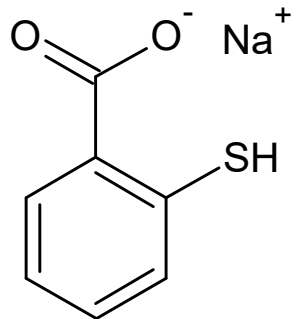
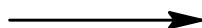
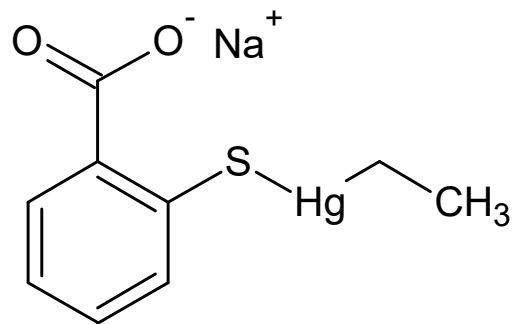
minor metabolite

7 - 12 %

# Phenylmercuric acetate



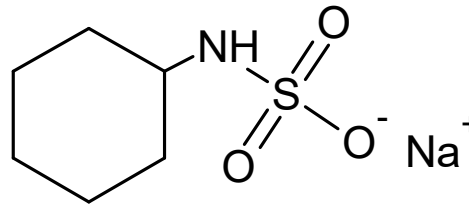
# Thiomersal



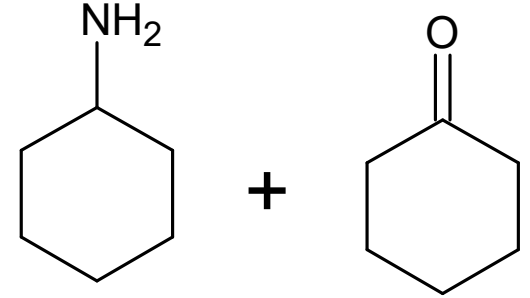
blood  $T_{1/2} = 7$  days

# Sweeteners

# Metabolism of sodium cyclamate



typically < 0.15 % of total amount  
but > 60 % in "converters"



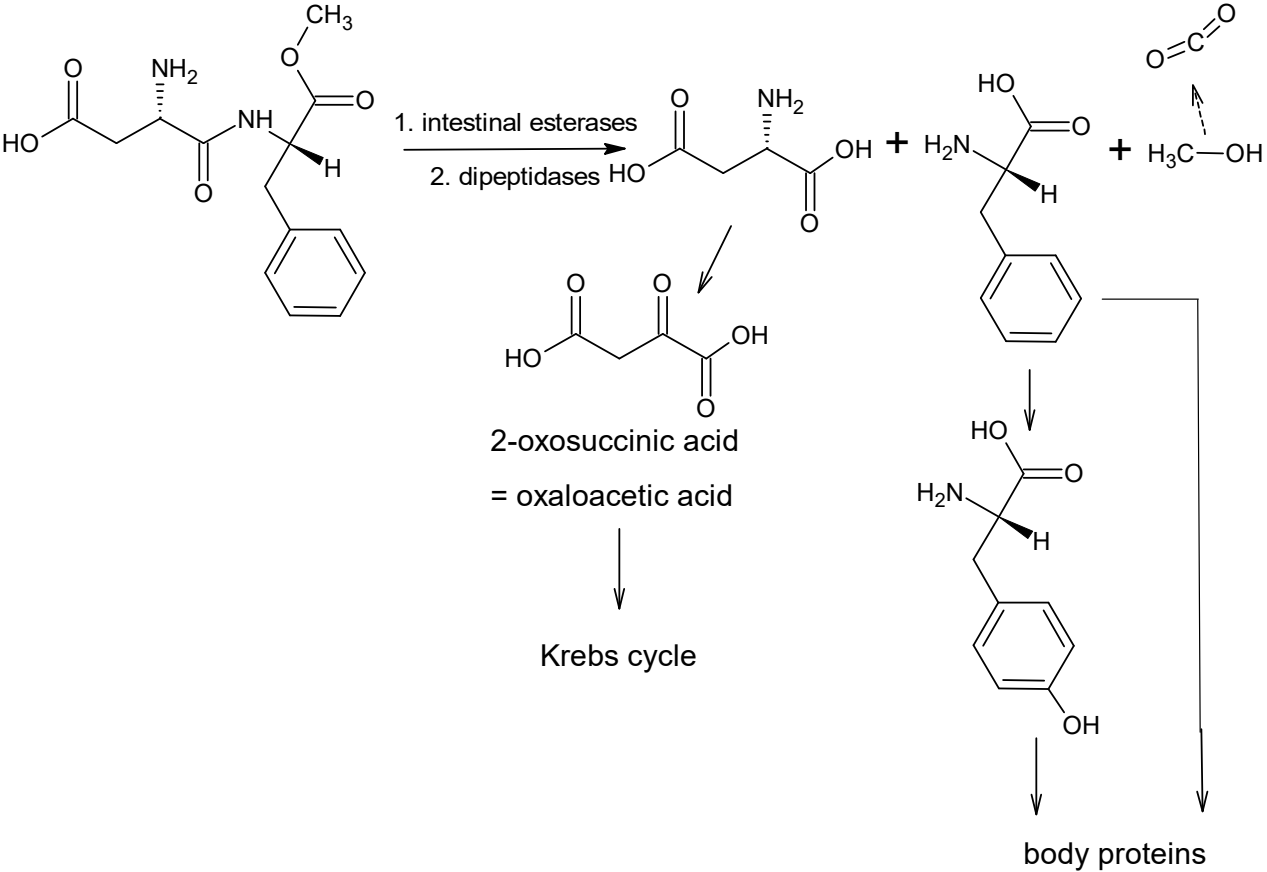
most unchanged in  
urine

toxic

testicular  
atrophy in rats

rise in blood  
pressure

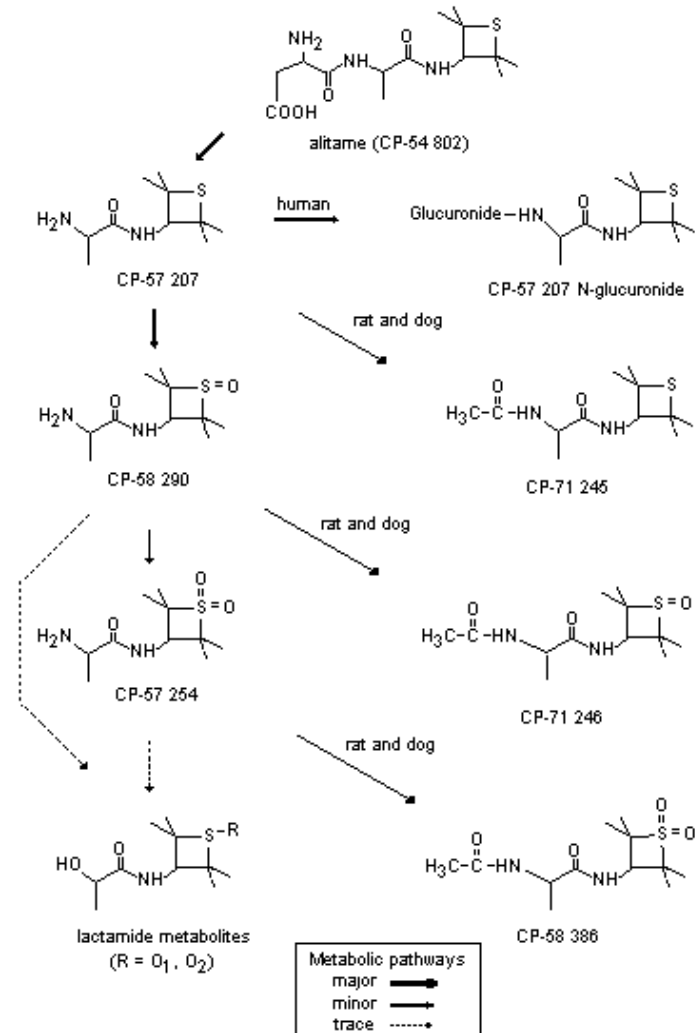
# Metabolism of aspartam



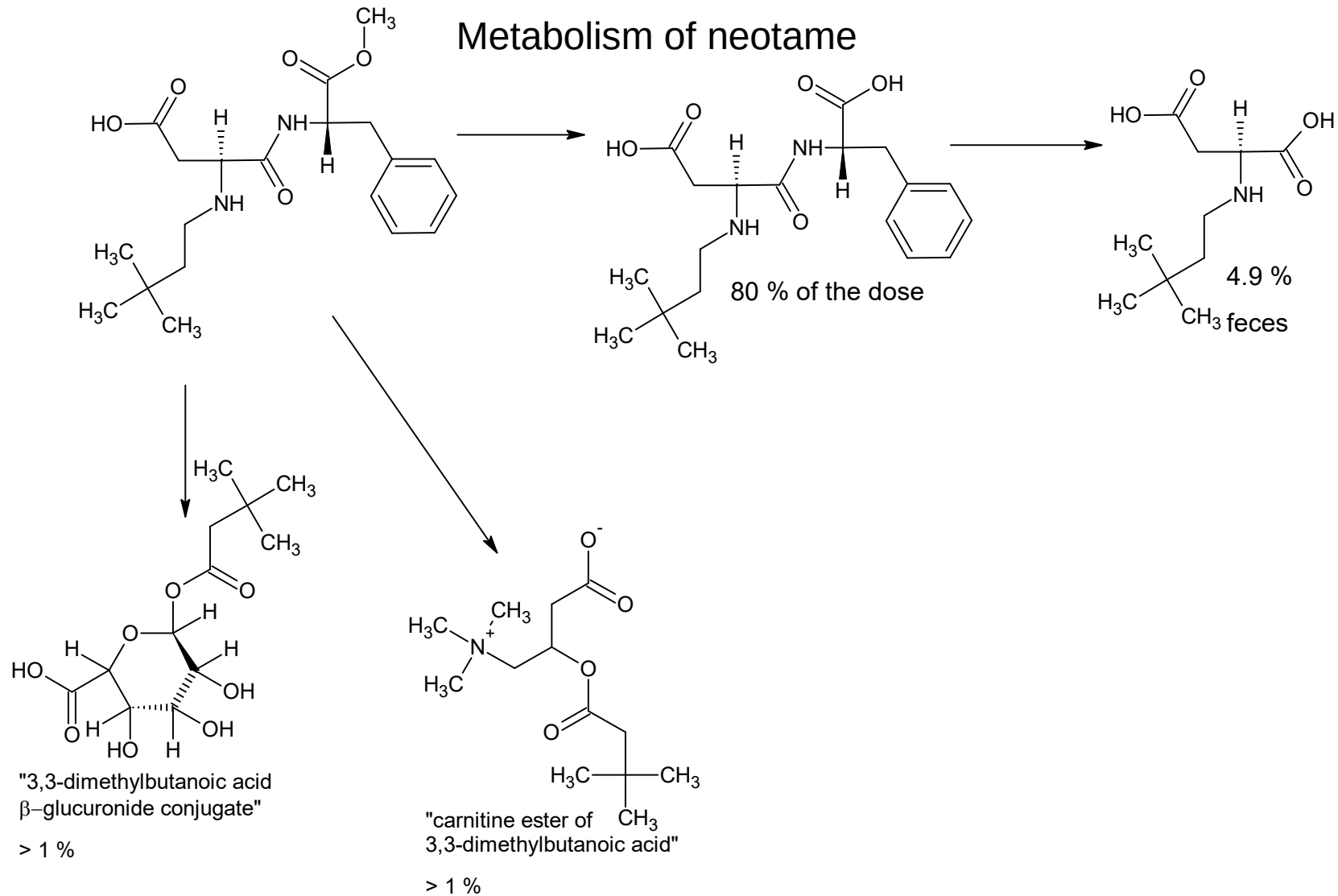


# Metabolism of alitame

Figure 2. Metabolic pathways of alitame in humans and in different animal species (Pfizer, 1986)

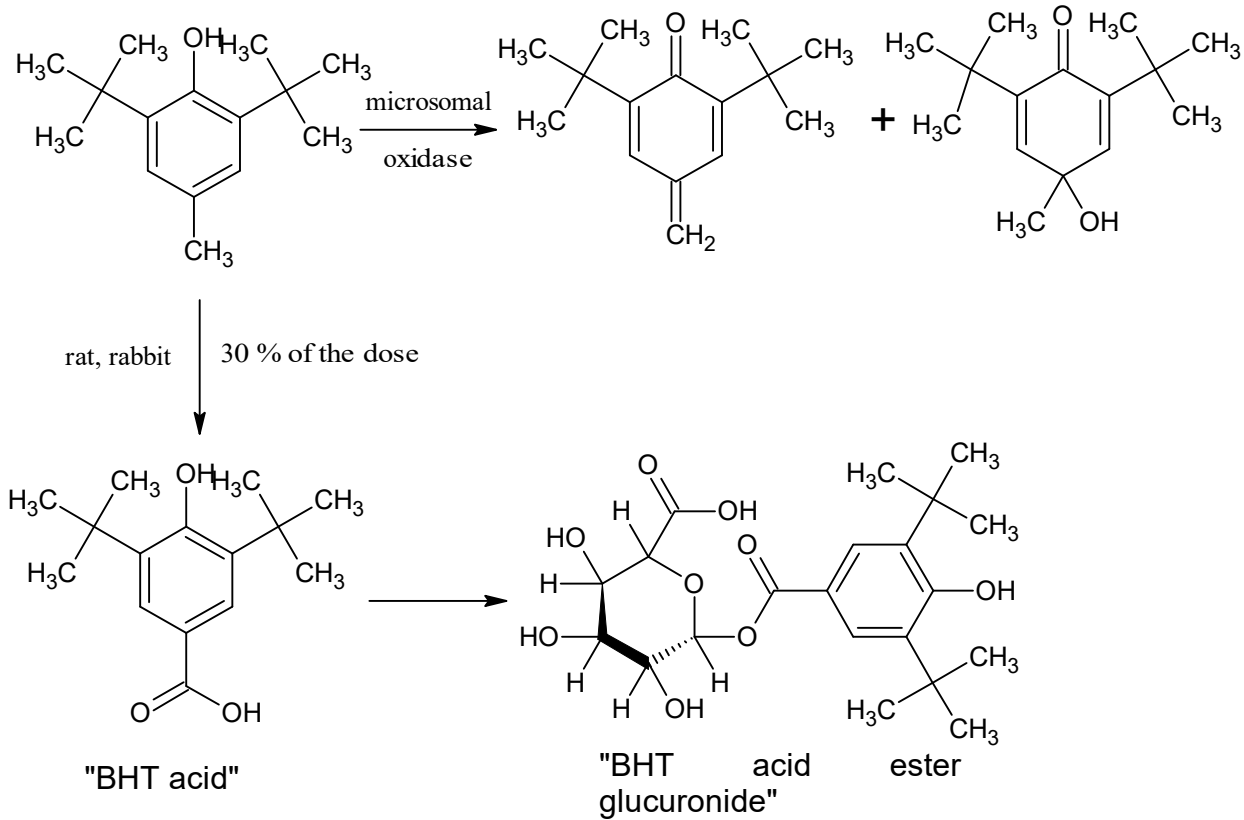


# Metabolism of neotame

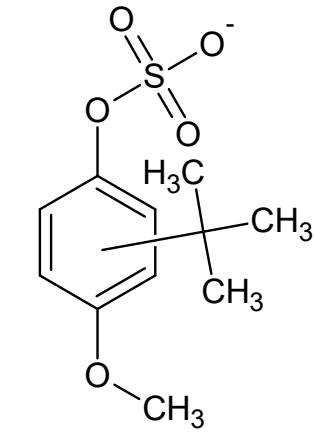


Antioxidants

# Metabolism of butylhydroxytoluene (BHT)

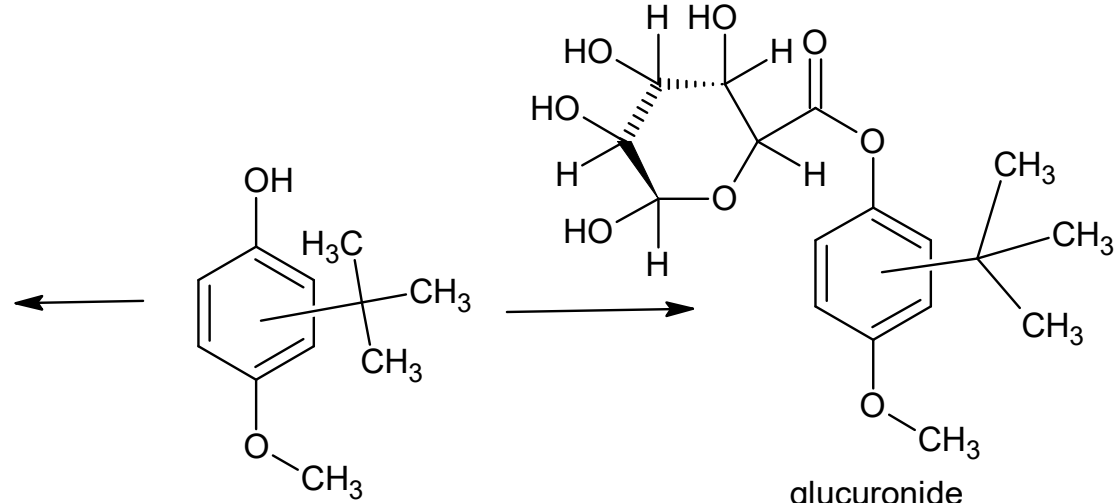


# Metabolism of butylated hydroxyanisole (BHA)



sulfate

14 % in urine  
(rat)



BHA (mixture of *o*- and *m*-isomers)

5 % in urine unchanged (rat)

glucuronide

27 - 77 % in urine

# Metabolism of propyl gallate

