

Ненаркотични аналгетици

Ефекти:

Аналгеничен;

Антипиретичен;

Противовъзпалителен.

Класификация:

Салицилати;

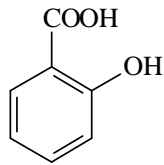
Анилини;

Пиразолинони (5-пиразолони).

Салицилати

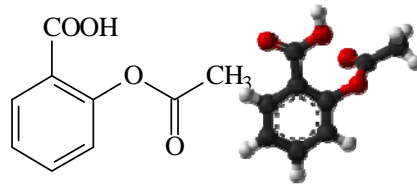
1763 tree—Salix Alba **salicin**, гликозид на салициловата киселина

Salicylic acid



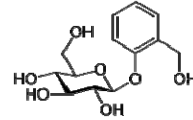
1899 се регистрира от Bayer

Acetylsalicylic acid (Aspirin)



2-(ацетокси)бензоена киселина

Ph Eur 2-(acetyloxy)benzoic acid



Aspirin

Ефекти:

Аналгетичен;

Антипиретичен;

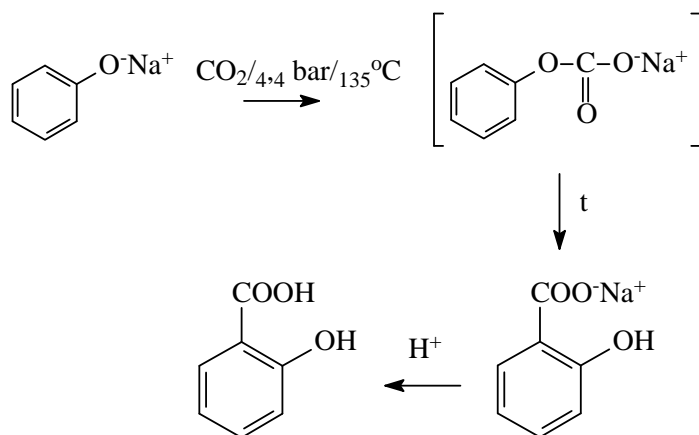
Противовъзпалителен.

Още – антикоагулантен, инхибирайки
продукцията на tromboxane.

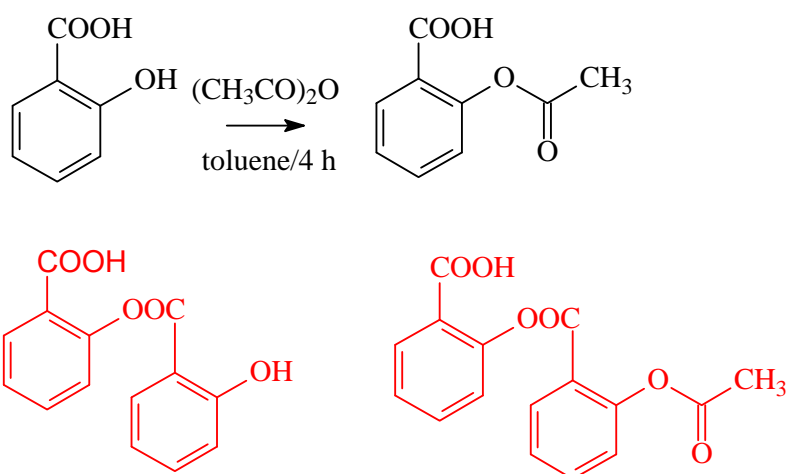
Първи от клас лекарства – NSAIDs, инхибирайки ензима cyclooxygenase.
1971, англичанинът Jon Robert Vane – **Aspirin** инхибира
простагландините и трмбоксаните,
1982 , става Нобелов лауреат.

Получаване

Kolbe-Schmitt

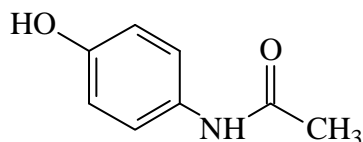


Получаване на Aspirin



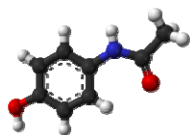
АНИЛИНИ

Paracetamol
(Acetaminophen)



N-(4-hydroxyphenyl)acetamide

Ph Eur



N-(4-хидроксифенил)ацетамид

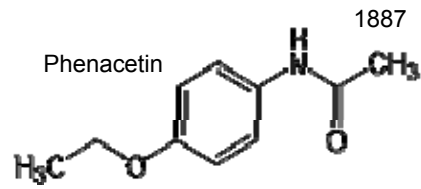
N-(4-hydroxyphenyl)ethanamide

аналгетик, антипиретик

Не е напълно изяснен механизмът на действие.
Основен предложен механизъм - инхибиране на COX.

Противовъзпалителната активност е ограничена от различни фактори,
един от които е високо ниво на пероксиди,
на мястото на възпаление.

Acephen®, Acetaminophen, Actamin®, Adprin® B, Anacin® AF,
Anacin®-3 Maximum Strength, Apacet®, Apra®, Children's Pain and Fever,
Childrens Silapap®, Comtrex® Sore Throat Relief, Dolono®, Dolono® Infant,
Ed-APAP®, ElixSure® Fever/Pain, Equate® Pain Reliever, Feverall®,
Genapap®, Genebs®, Infantaire®, Liquiprin®, Lopap®, Mapap, Mapap®,
Mapap® Junior Strength, Mardol®, Masophen®, Neopap® Supprettes,
Non-Aspirin Childrens , Non-Aspirin Infants, Pain-Eze®, Panadol®,
Panadol® Jr., Q-Pap®, Q-Pap® Childrens, Redutemp®, Ridenol®,
S-T Febrol®, St. Joseph® Aspirin-Free, T-Painol®, T-Panol®,
empra® 1, Temptra® 2, Temptra® 3, Tycolene®, Tylenol® ,
Tylenol® 8 Hour, Tylenol® Arthritis , Tylenol® Childrens,
Tylenol® Infants, Tylenol® Junior , Tylenol® Sore Throat ,
Tylenol® Sore Throat Daytime, Tylophen®, Uni-Ace®, Uni-Ace® Child,
Uniserts®, Vitapap®, XS® No Aspirin PR, XS® Pain Reliever

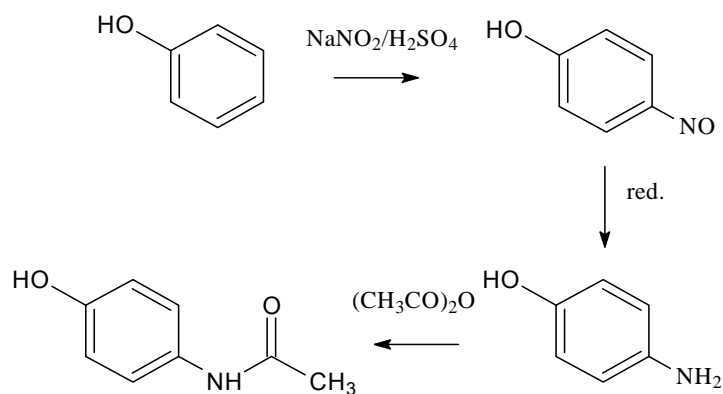


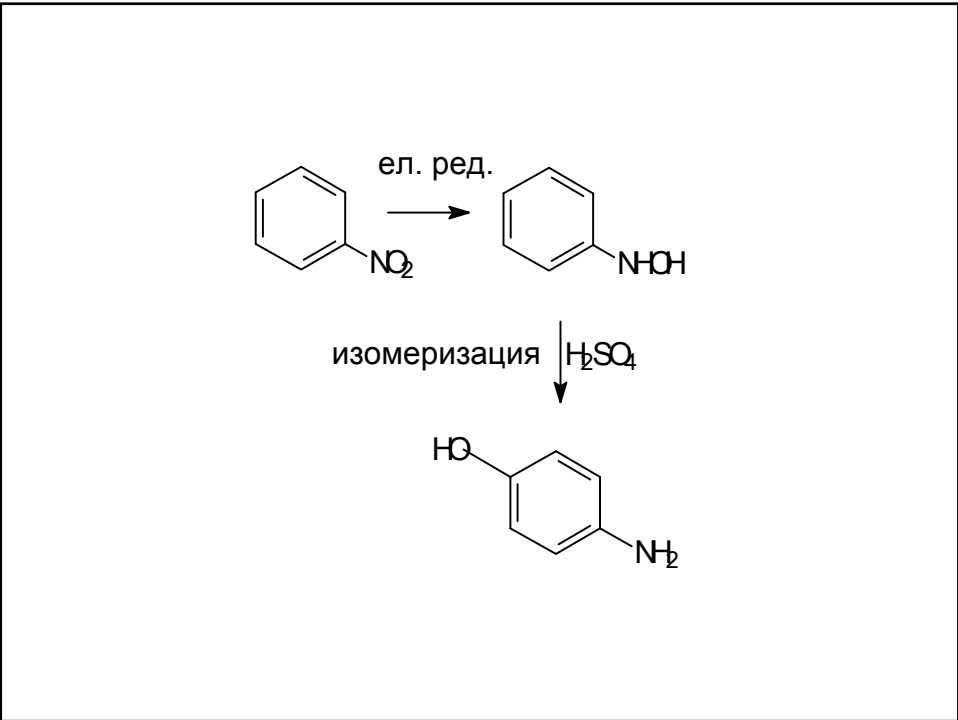
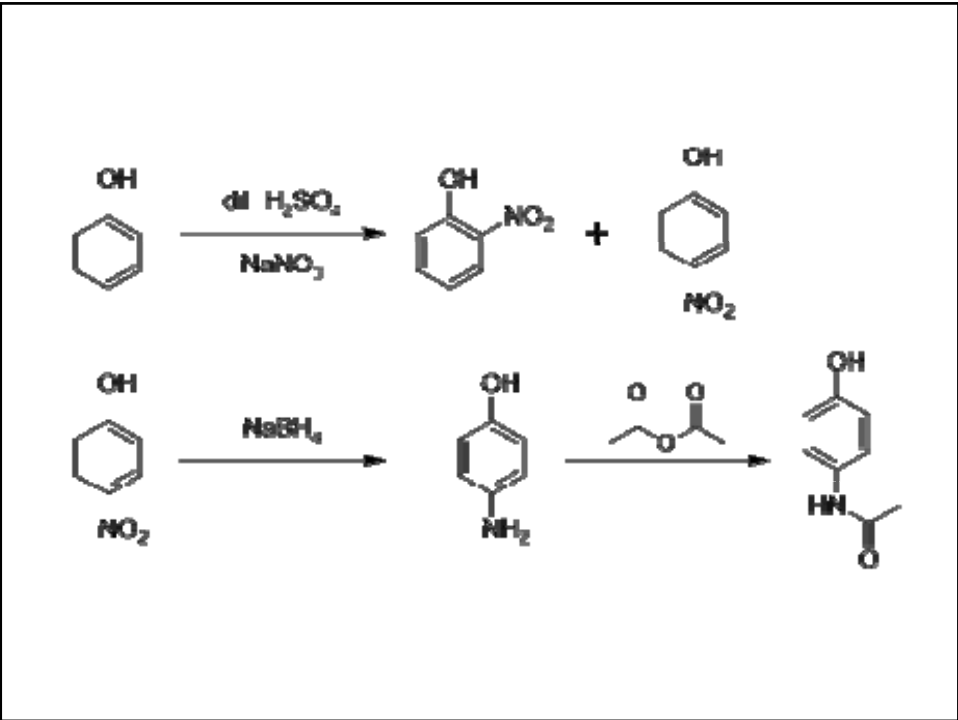
N-(4-ethoxyphenyl)ethanamide

карциногенни ефекти

Paracetamol –
активен метаболит

Получаване



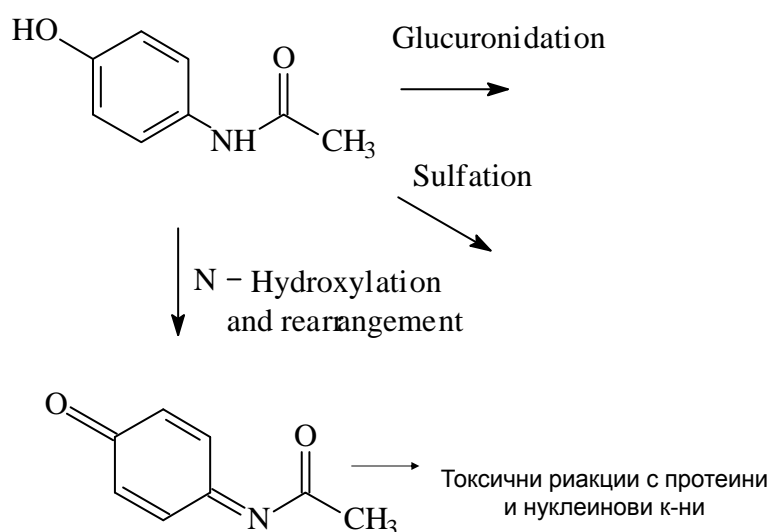


Метаболизъм

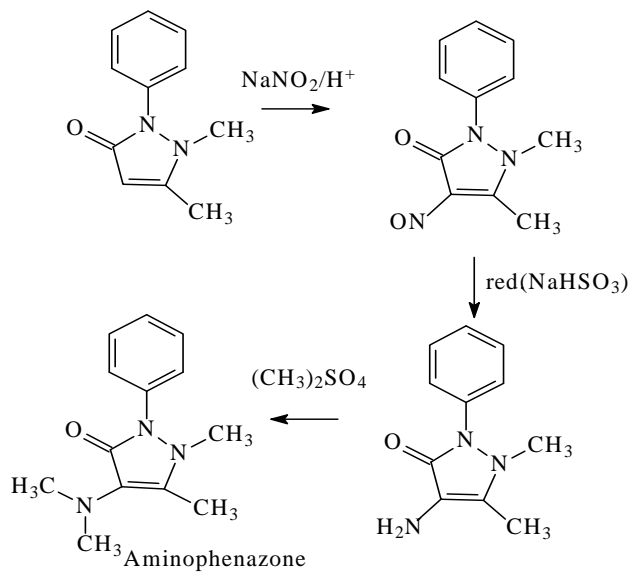
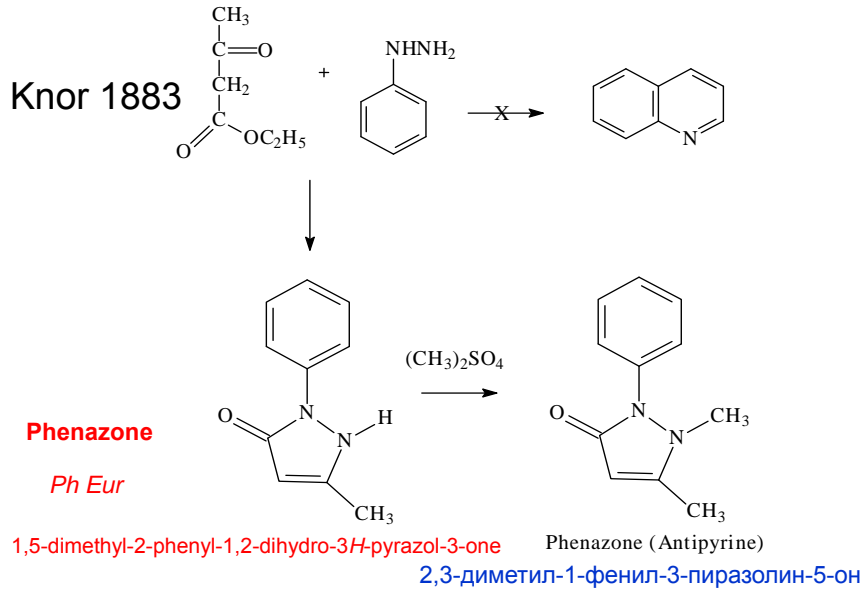
Гонюгиране с глюкуронова киселина –
40%.

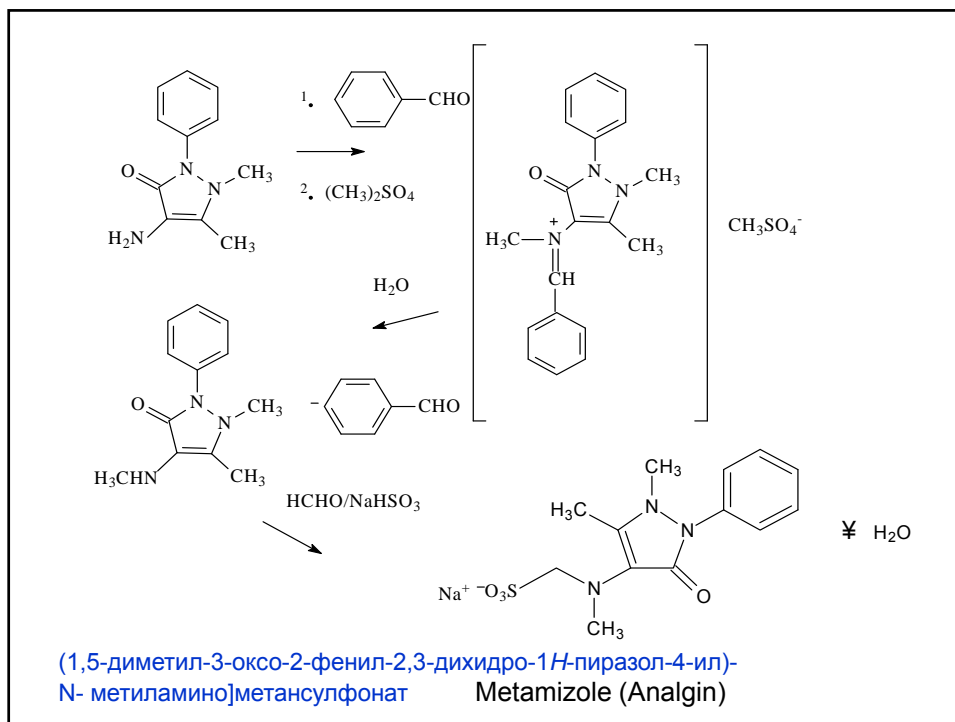
Сульфатно конюгиране – 20 – 40%.

N-хидроксилиране и конюгиране с
глутатион – 15%.



Пиразолинони





Metamizole

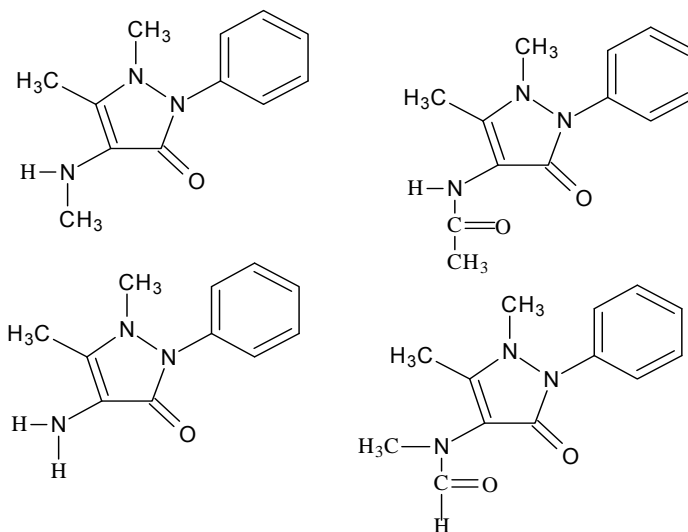
1920

sodium [(2,3-dihydro- 1,5-dimethyl- 3-oxo- 2-phenyl-1H- pyrazol-4-yl) methylamino] methanesulfonate

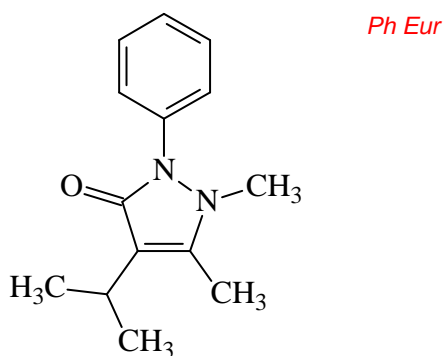
Hoechst AG (сега част от **Sanofi-Aventis**)

1970 – риск от **агранулоцитоза** - силно намаляване общото количество на неутрофилните левкоцити в кръвта с характерно развитие на чести инфекции по кожата, лигавиците, гастроинтестиналния тракт.

Метаболизъм



Propyphenazone (4-isopropylantipyrine)



1,2-дихидро-1,5-диметил-4-(1-метилетил)-2-фенил-3H-пиразол-3-он

1,5-dimethyl-4-(1-methylethyl)-2-phenyl-1,2-dihydro-3H-pyrazol-3-one

Caffetin sc Saridon Sariphezon

Caffeine
Paracetamol
Propyphenazone