

## Ненаркотични аналгетици

Ефекти:

Аналгеничен;

Антипиретичен;

Противовъзпалителен.

## Класификация:

Салицилати;

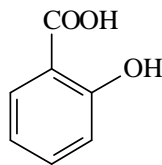
Анилини;

Пиразолинони (5-пиразолони).

# Салицилати

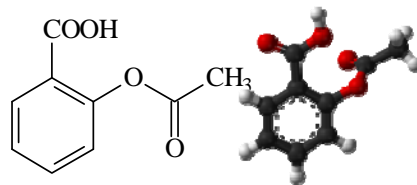
1763 tree—Salix Alba **salicin**, гликозид на салициловата киселина

Salicylic acid



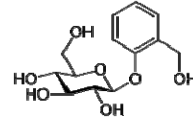
1899 се регистрира от Bayer

Acetylsalicylic acid (Aspirin)



2-(ацетокси)бензоена киселина

*Ph Eur* 2-(acetyloxy)benzoic acid



# Aspirin

Ефекти:

Аналгетичен;

Антипиретичен;

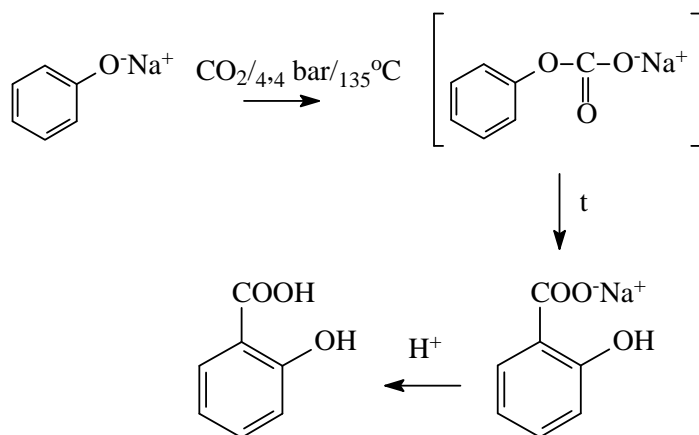
Противовъзпалителен.

Още – антикоагулантен, инхибирайки  
продукцията на tromboxane.

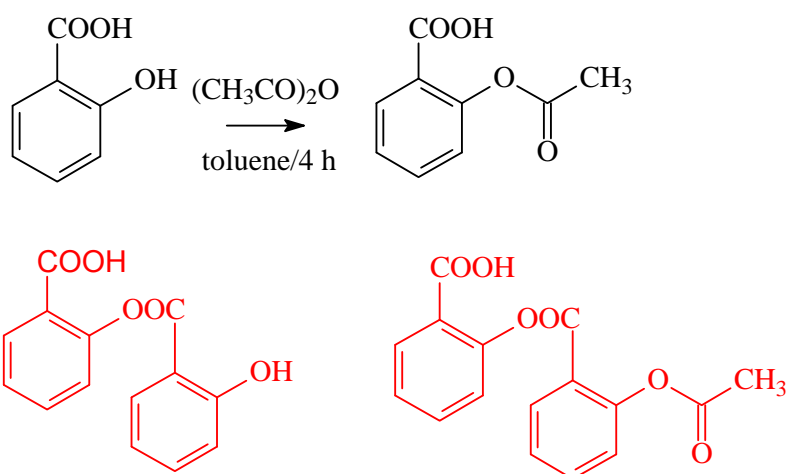
Първи от клас лекарства – NSAIDs, инхибирайки ензима cyclooxygenase.  
1971, англичанинът Jon Robert Vane – **Aspirin** инхибира  
простагландините и трмбоксаните,  
1982 , става Нобелов лауреат.

## Получаване

Kolbe-Schmitt

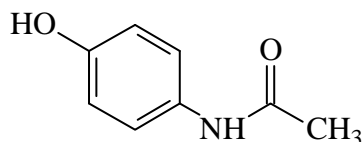


## Получаване на Aspirin



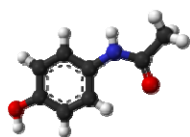
# АНИЛИНИ

Paracetamol  
(Acetaminophen)



*N*-(4-hydroxyphenyl)acetamide

*Ph Eur*



*N*-(4-хидроксифенил)ацетамид

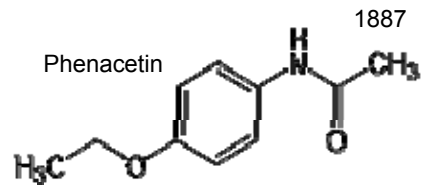
*N*-(4-hydroxyphenyl)ethanamide

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

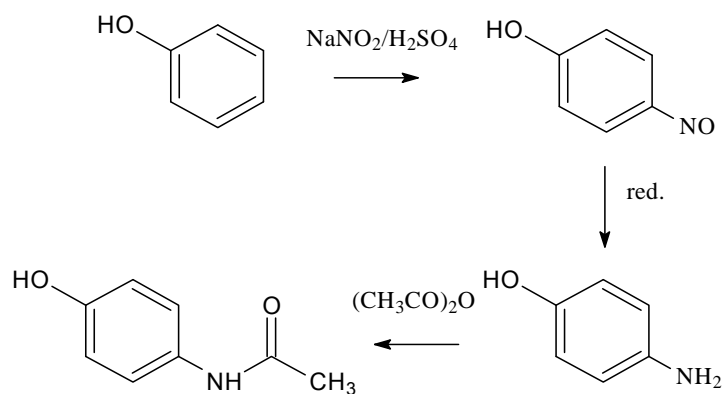


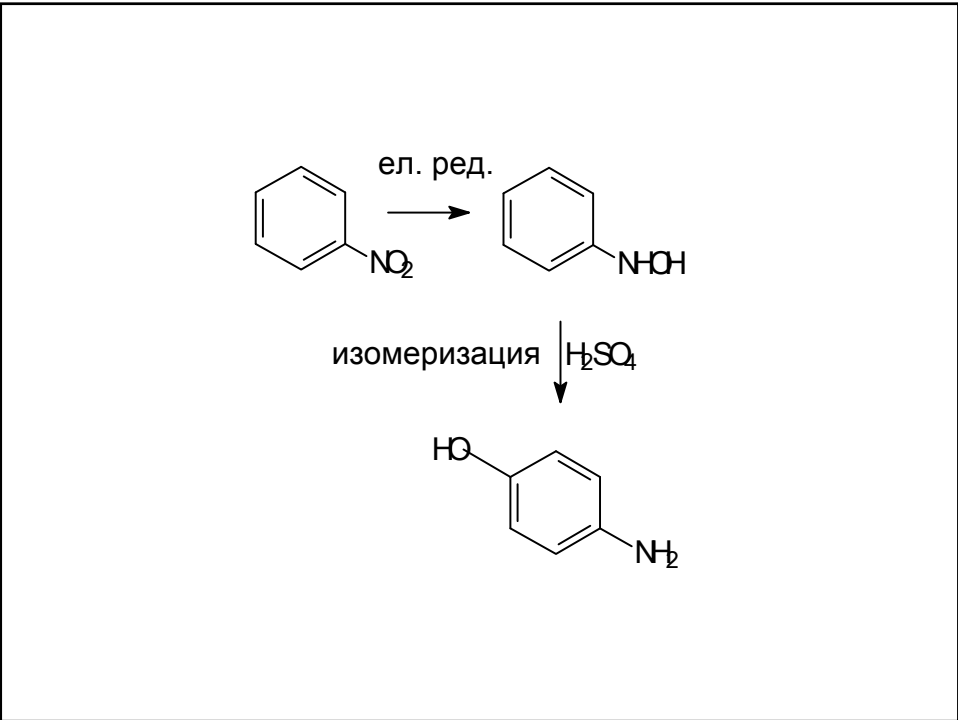
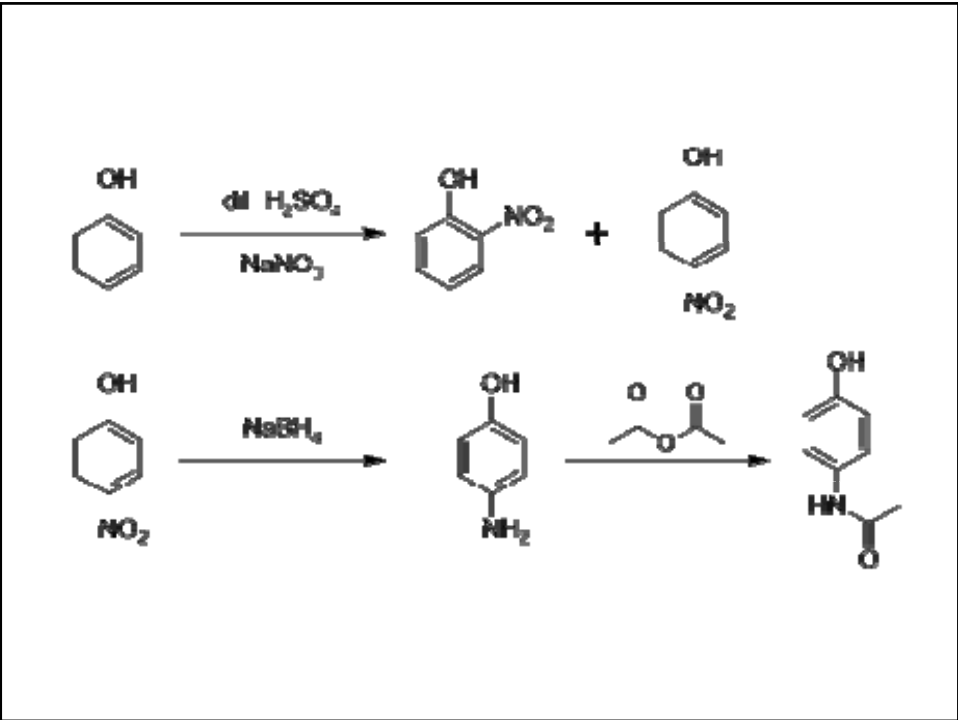
*N*-(4-ethoxyphenyl)ethanamide

карциногенни ефекти

Paracetamol –  
активен метаболит

## Получаване



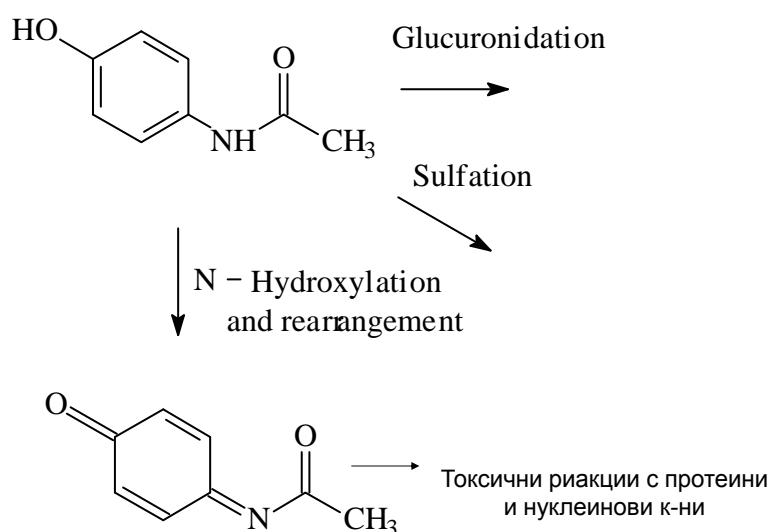


## Метаболизъм

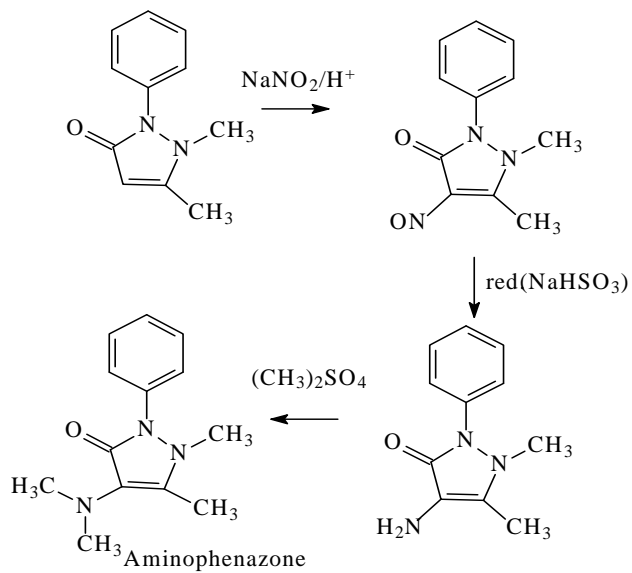
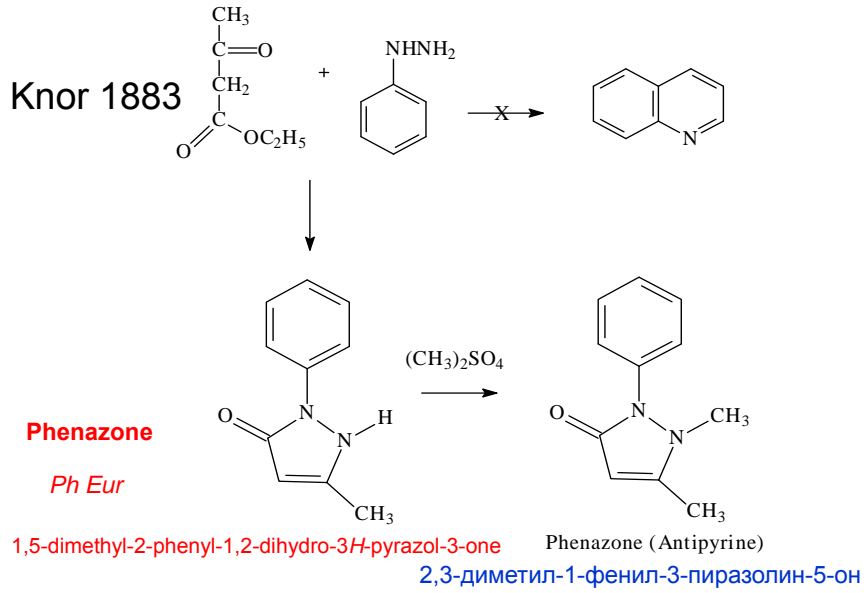
Гонюгиране с глюкуронова киселина –  
40%.

Сулфатно конюгиране – 20 – 40%.

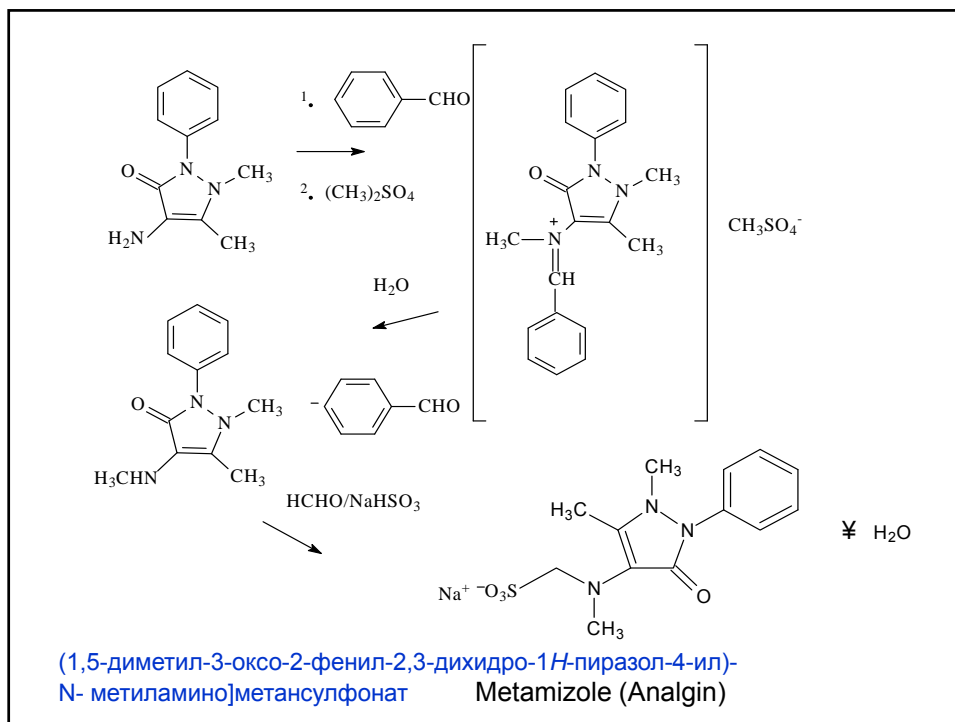
N-хидроксилиране и конюгиране с  
глутатион – 15%.



# Пиразолинони







## Metamizole

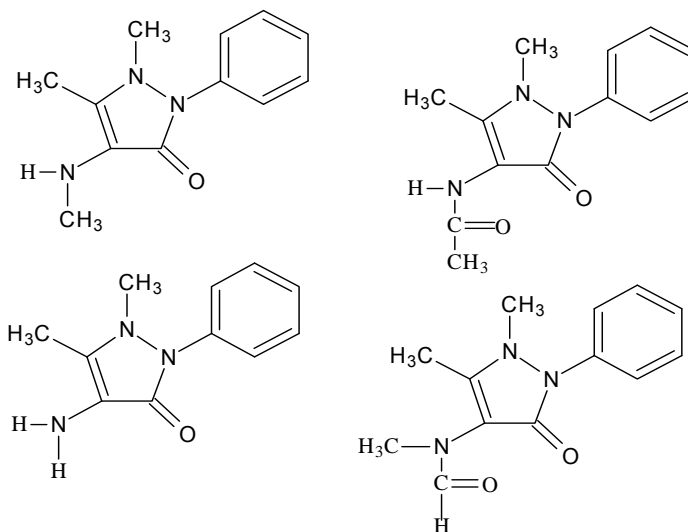
1920

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

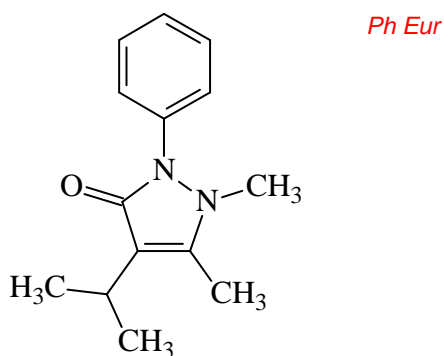
**Hoechst AG** (сега част от **Sanofi-Aventis**)

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