

# **Nonsteroidal Anti-inflammatory Drugs (NSAIDs)**

**PHARMACEUTICAL CHEMISTRY I**

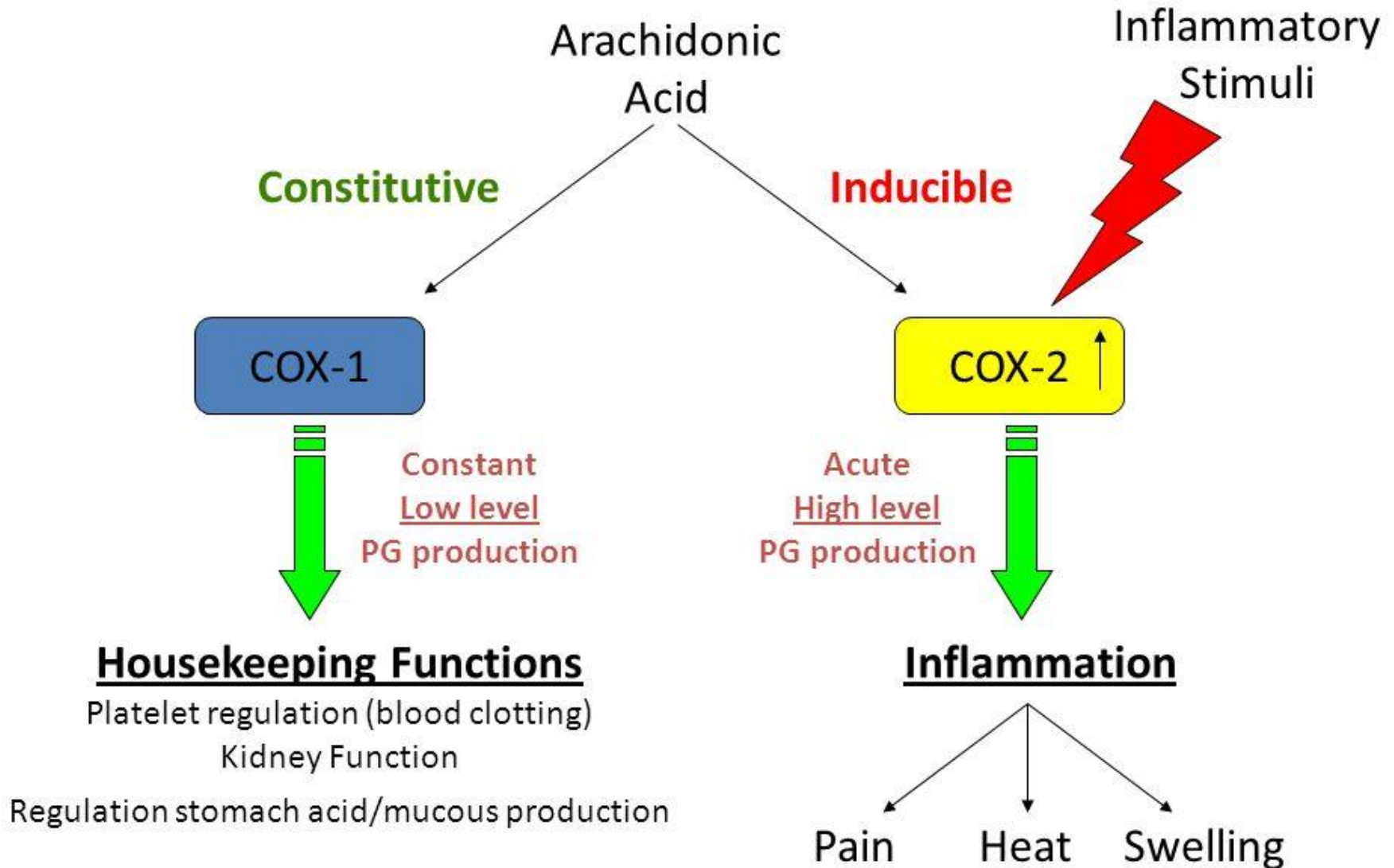
**PHA385**

**2017-2018**

**Nonsteroidal anti-inflammatory drugs (NSAIDs) are usually used for**

- reduce pain
- decrease fever
- decrease inflammation

# Distinct physiological roles of COX-1 and COX-2



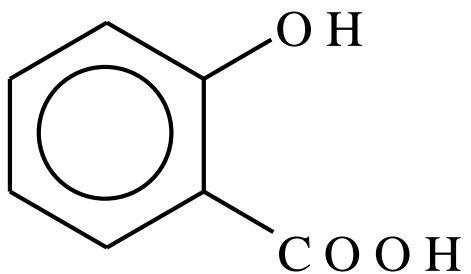
# **CLASSIFICATION OF NSAIDs**

# SALICYLATES

- The salicylates are derivatives of 2-hydroxybenzoic acid (salicylic acid).

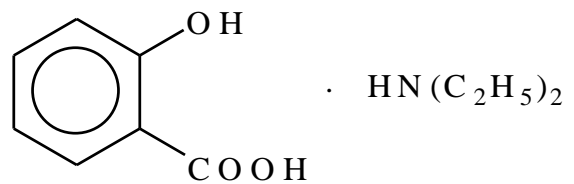
# SALICYLIC ACID DERIVATIVES

## SALICYLIC ACID

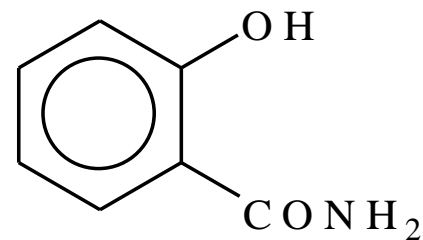


(o-Hydroxy benzoic acid)

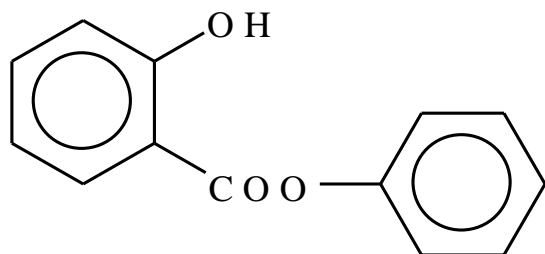
## Diethylamine Salicylate



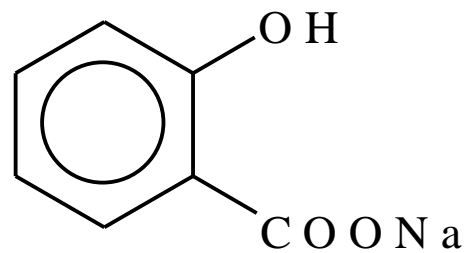
## Salicylamide



## Phenyl Salicylate

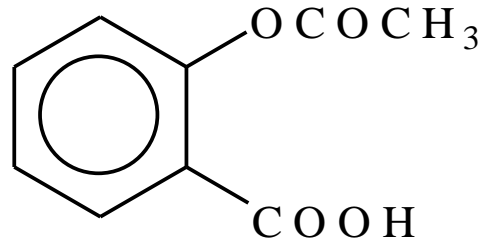


## Sodium Salicylate



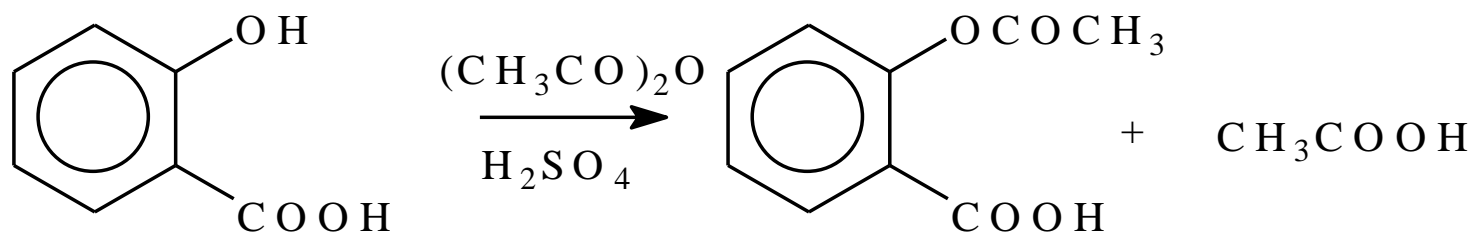
# Acetylsalicylic Acid

ASPIRIN®

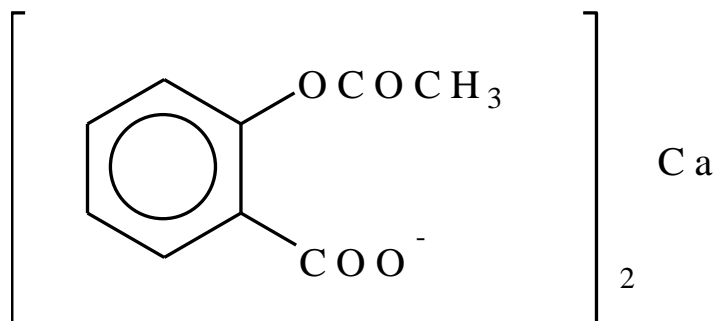




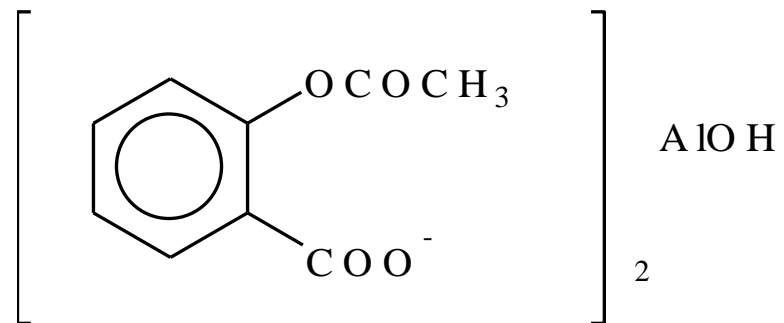
## Synthesis of Aspirin



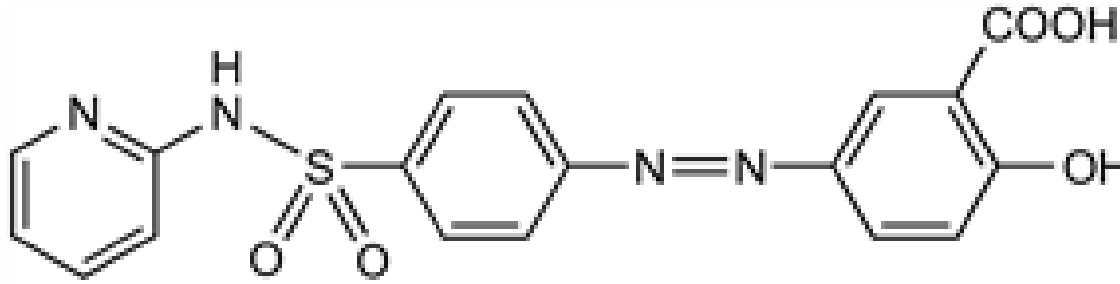
## Calcium Acetyl Salicylate



## Aluminum Acetyl Salicylate

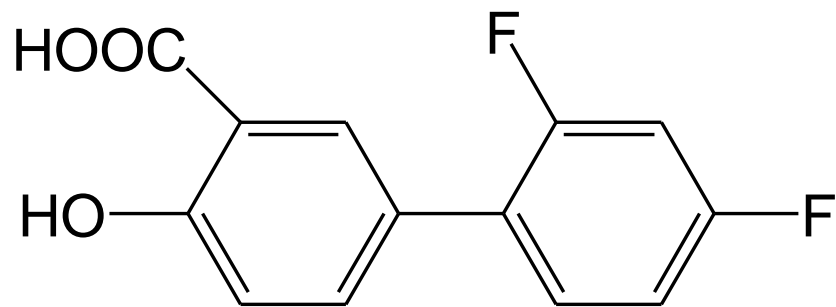


## Sulfasalazine



2-hydroxy-5-[2-{4-[(pyridin-2-yl)sulfamoyl]phenyl}diazene-1-yl]benzoic acid

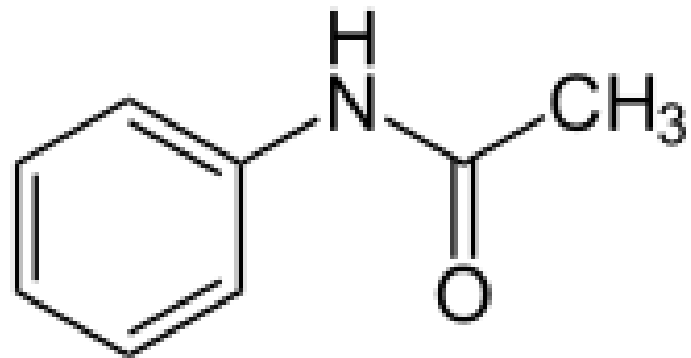
## Diflunisal



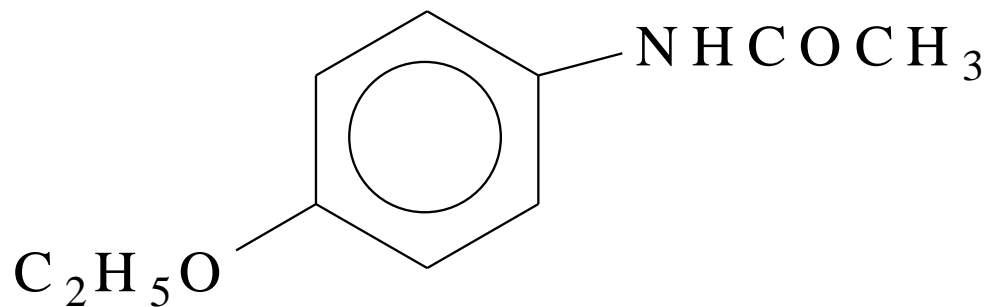
2-hydroxy-5-(2,4-diflorophenyl)benzoic acid

# **ANILIN and p-AMINOPHENOL DERIVATIVES**

# Acetanilide

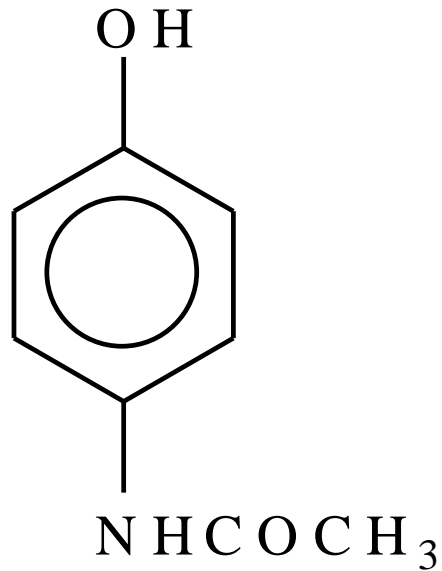


# Phenacetin



1)N-(4-Ethoxyphenyl)acetamide

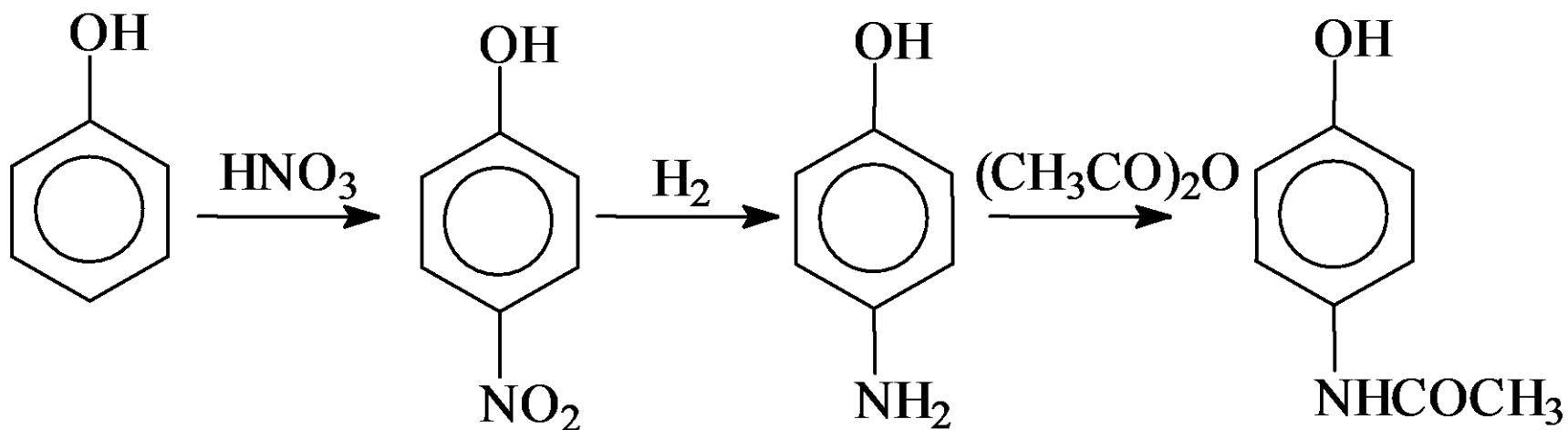
# Acetaminophen (Paracetamol)



N-(4-hydroxyphenyl)ethanamide

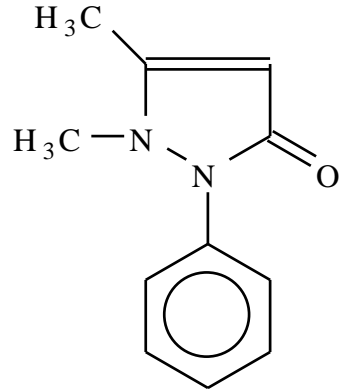


# Synthesis of Acetaminophen



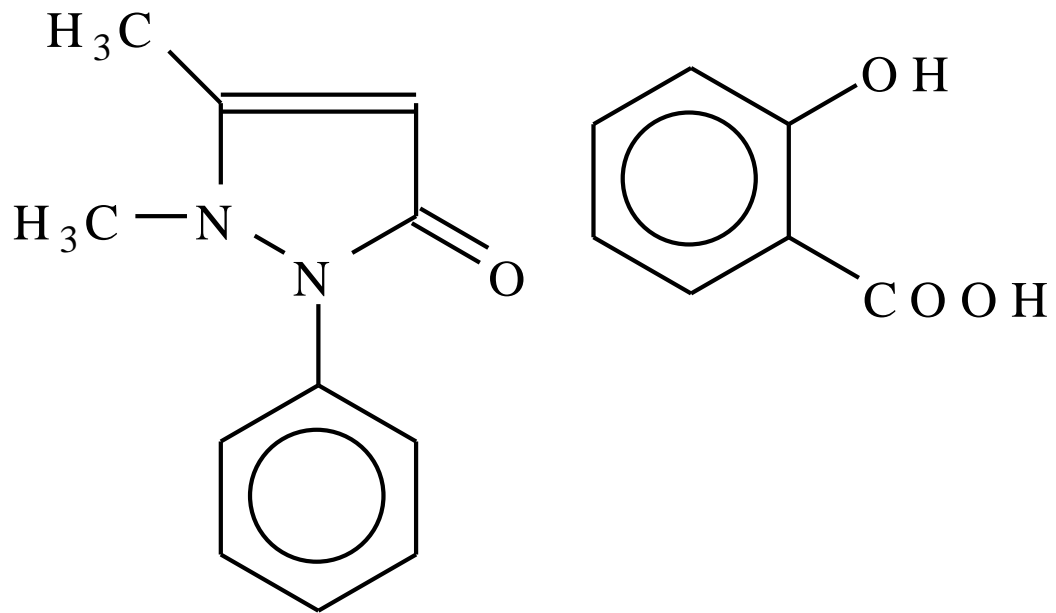
# PYRAZOLE DERIVATIVES

# Antipyrine (Phenazone)

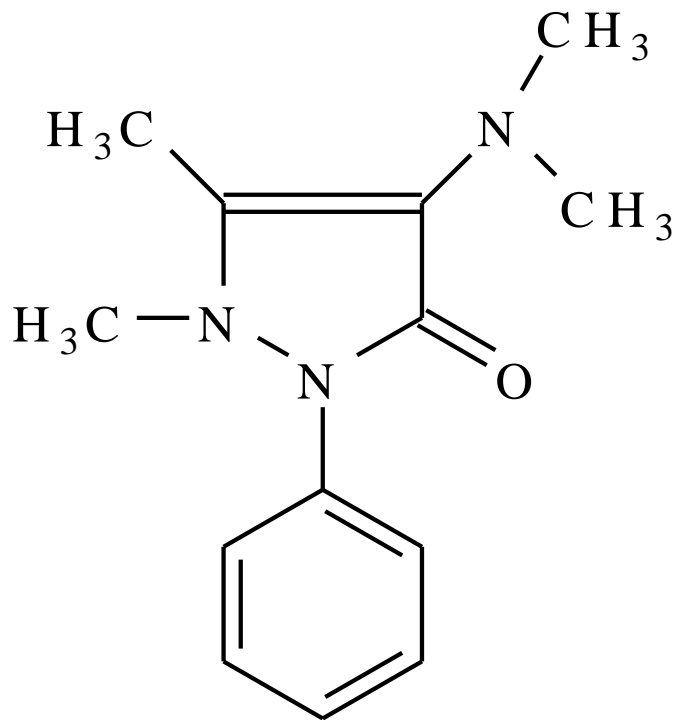


1) 2,3-Dimethyl-1-phenyl-3-pyrazoline-5-one

# Antipyrine Salicylate

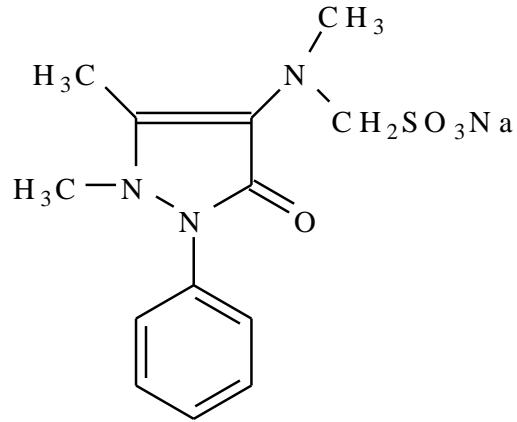


# Aminopyrine



4-Dimethylamino-2,3-dimethyl-1-phenyl-3-pyrazoline-5-one

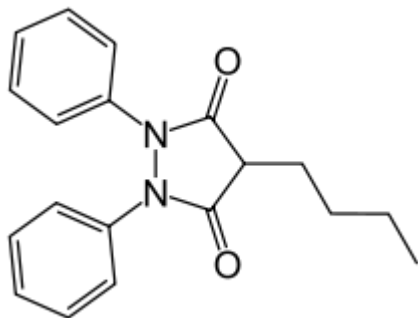
# Dipyrone (Metamizole) NOVALGIN®



1) Sodium 1-phenyl-2,3-dimethyl-3-pyrazoline-5-oxo-4-methylamino-methanesulphonate

# **PYRAZOLYDINE DERIVATIVES**

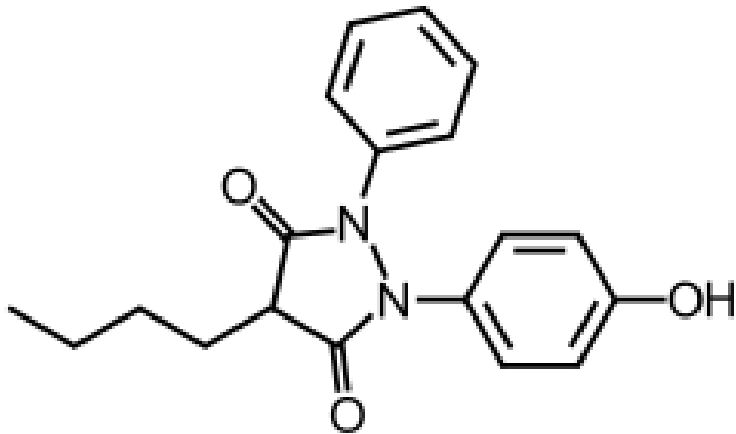
# Phenylbutazone



4-Butyl-1,2-diphenyl-pyrazolidine-3,5-dione

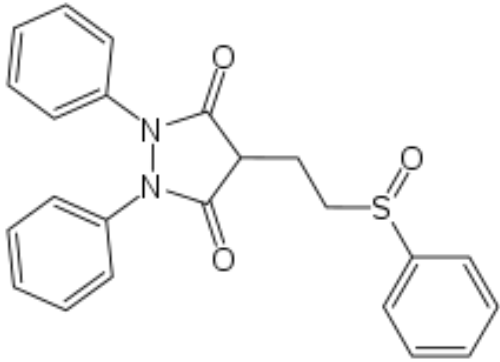


# Oxyphenbutazone



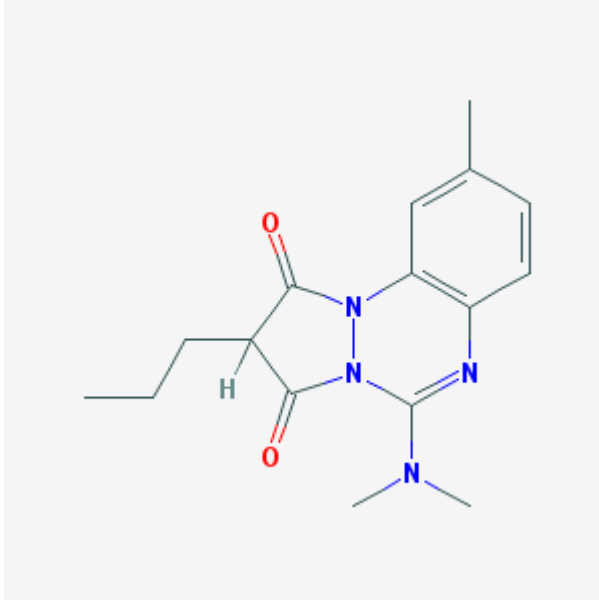
(*RS*)-4-butyl-1-(4-hydroxyphenyl)-  
2-phenylpyrazolidine-3,5-dione

# Sulfinpyrazone



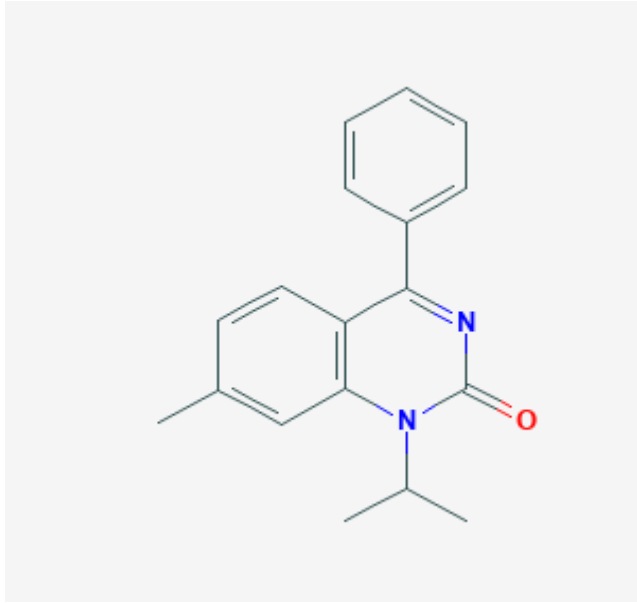
1,2-diphenyl-4-[2-(phenylsulfinyl)ethyl]pyrazolidine-3,5-dione

# Apazone



5-(dimethylamino)-9-methyl-2-propylpyrazolo[1,2-a][1,2,4]benzotriazine-1,3-dione

# Proquazone

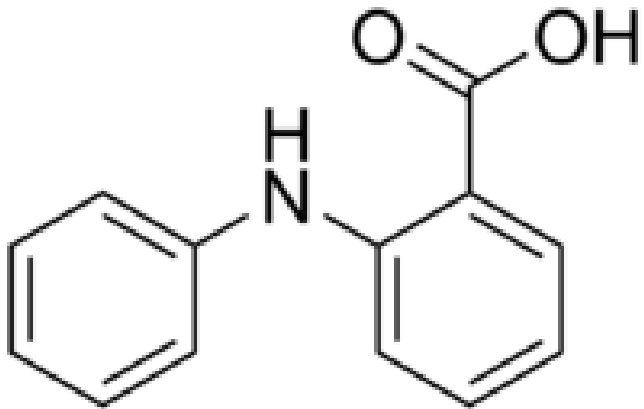


1-isopropyl-7-methyl-4-phenylquinazolin-2(1*H*)-one

# **ANTHRANILIC ACID DERIVATIVES**

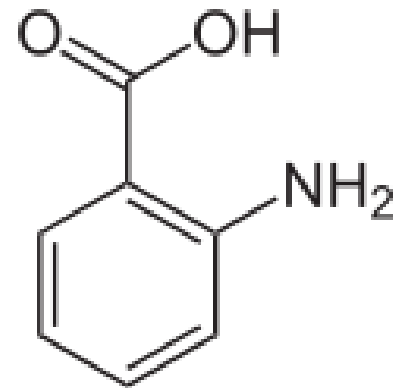
## **FENAMATES**

# FENAMATES



**Fenamic acid**

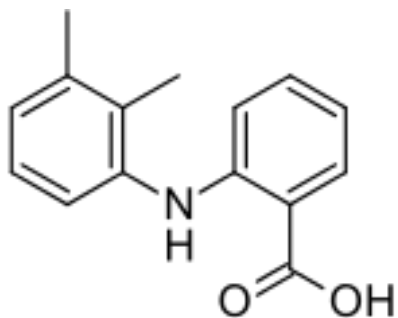
N-phenylanthranilic acid



**Anthranilic acid**

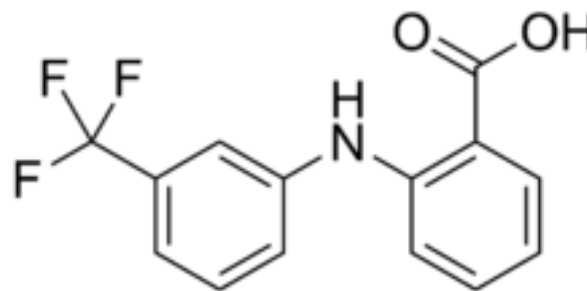
2-aminobenzoic acid

## Mefenamic acid



2-(2,3-dimethylphenyl)aminobenzoic acid

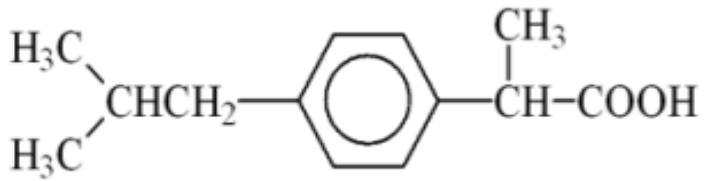
## Flufenamic acid



2-[[3-(Trifluoromethyl)phenyl]amino]benzoic acid

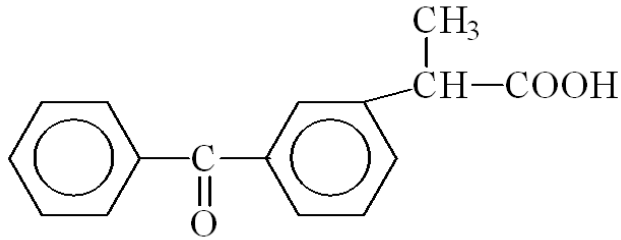
# **ARYL PROPIONIC ACID DERIVATIVES**





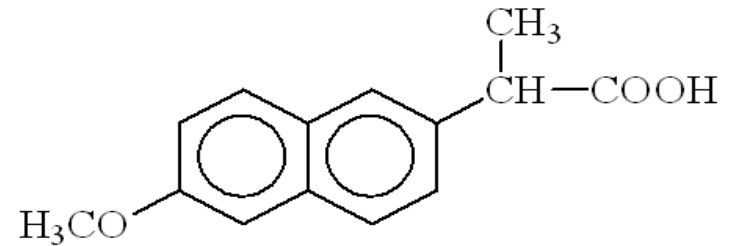
## Ibuprofen

2-(p-isobutylphenyl)propanoic acid



## Ketoprofen

2-(3-benzoyl phenyl)  
propanoic acid

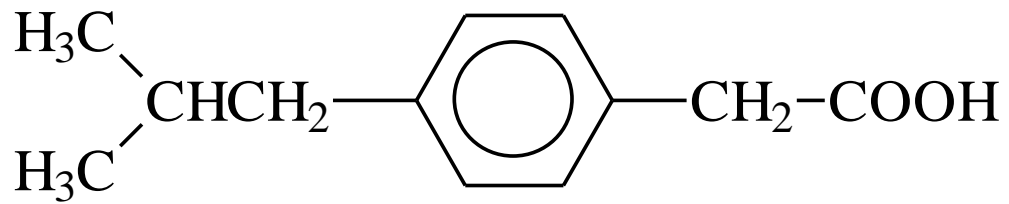


## Naproxen

2-(6-methoxy-2-naphthyl)  
propanoic acid

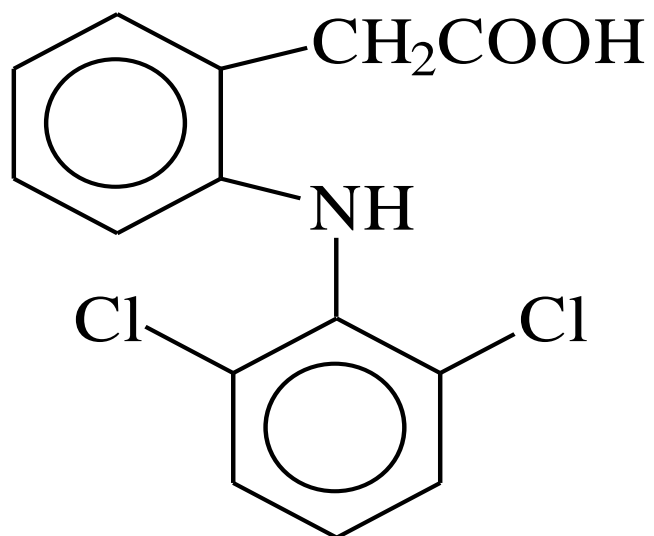
# PHENYL ACETIC ACID DERIVATIVES

# Ibuprofen



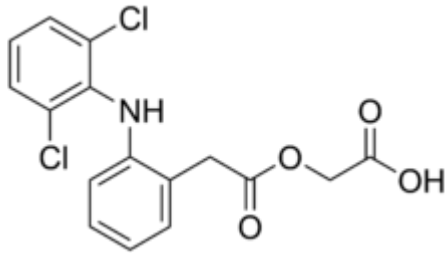
p-isobutylphenylacetic acid

# Diclofenac



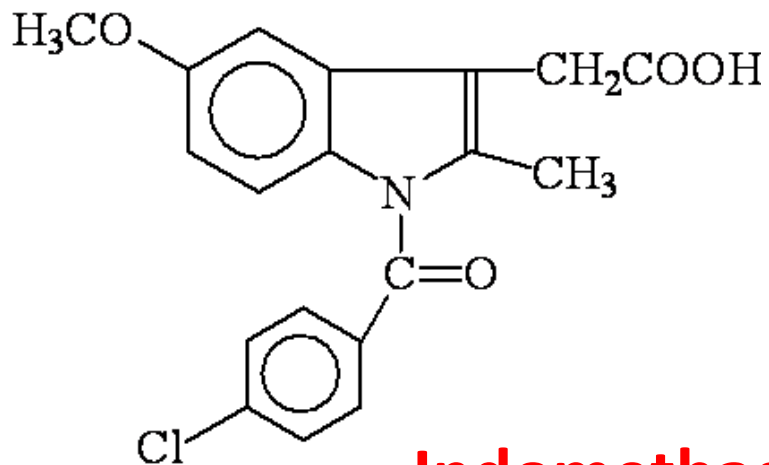
2-(2,6-dichloroanilino)phenylacetic acid

# Aceclofenac



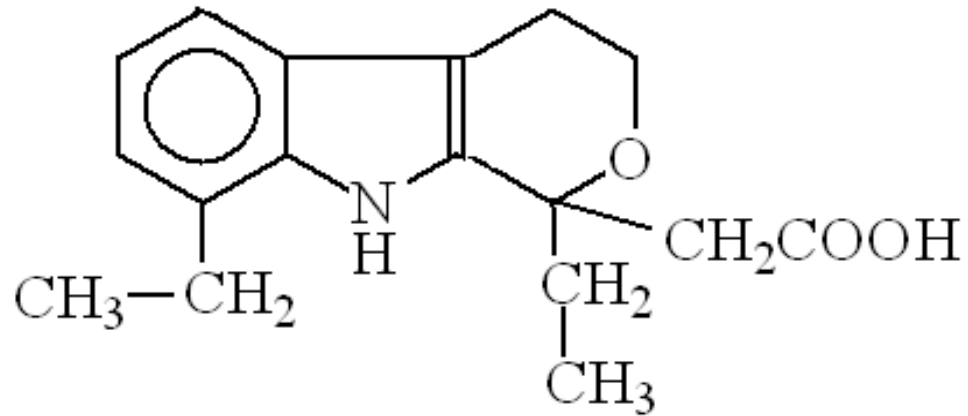
2-[2-[2-[(2,6-dichlorophenyl)amino]phenyl]acetyl]oxyacetic acid

# **INDOLE ACETIC ACID DERIVATIVES**



## Indomethacin

1-(p-chlorobenzoyl)-5-methoxy-2-methyl-  
indole-3-acetic acid



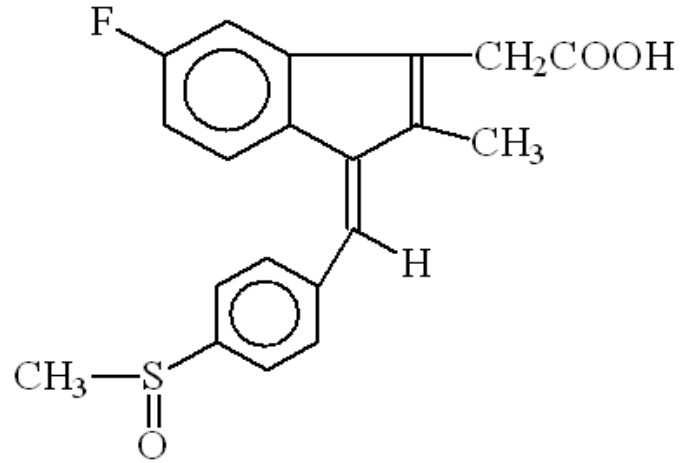
**Etodolac**

1,8-diethyl-1,3,4,9-tetrahydropirano[3,4-b]  
indole-1-acetic acid



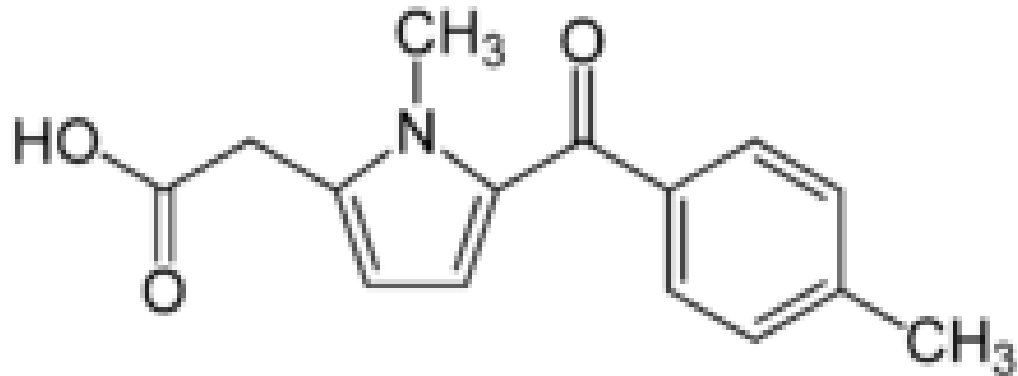
# Sulindac

{(1Z)-5-fluoro-2-methyl-1-[4-(methylsulfinyl)benzylidene]-1H-indene-3-yl}acetic acid



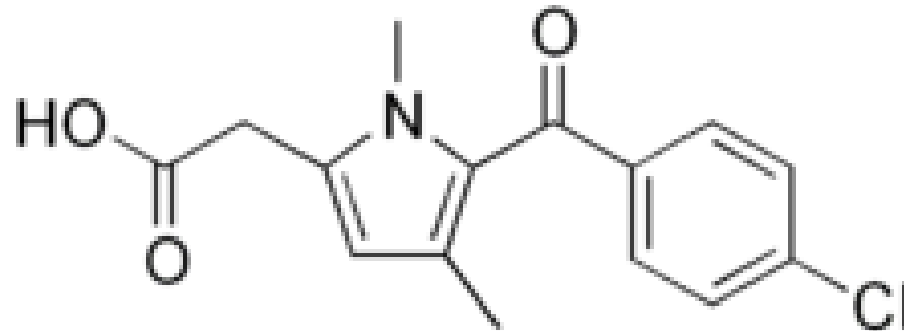
# **PYRROLE 2-ACETIC ACID DERIVATIVES**

# Tolmetin



[1-methyl-5-(4-methylbenzoyl)-1*H*-pyrrol-2-yl]acetic acid

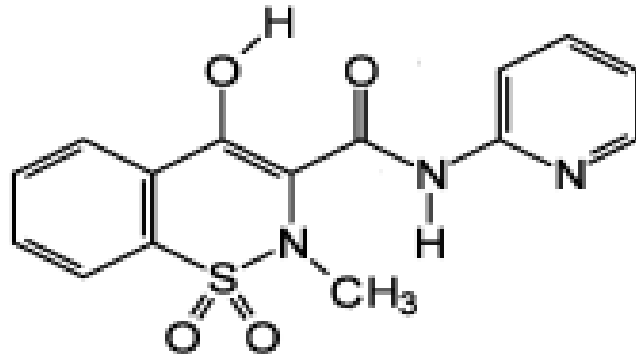
# Zomepirac



2-[5-(4-Chlorobenzoyl)-1,4-dimethyl-pyrrol-2-yl]acetic acid

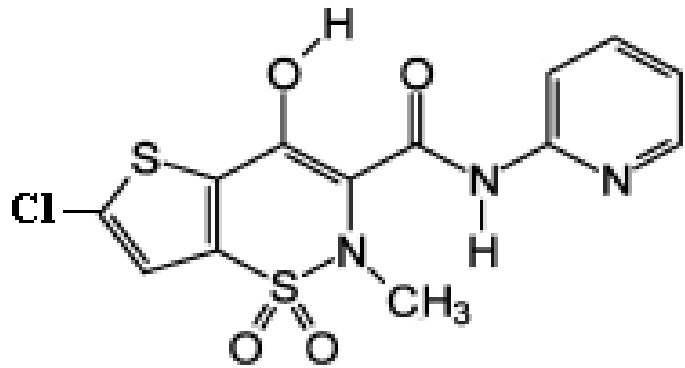
# **OXICAMS (ENOLIC ACIDS)**

# Piroxicam



4-Hydroxy-2-methyl-N-(2-pyridinyl)-2H-1,2-benzothiazine-3-carboxamide 1,1-dioxide

# Lornoxicam

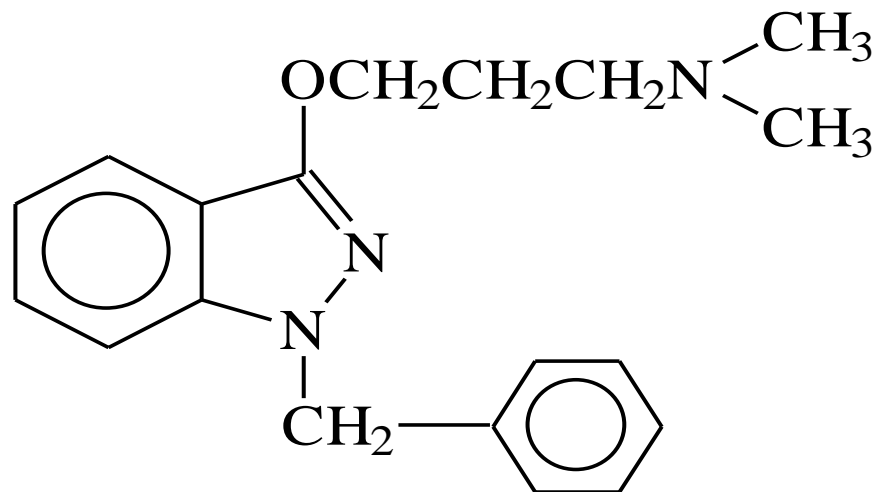


6-Chloro-4-hydroxy-2-methyl-N-(2-pyridinyl)-2H-thieno[2,3-e]-1,2-thiazin-3-carboxamide-1,1-dioxide

# **OTHER DERIVATIVES**

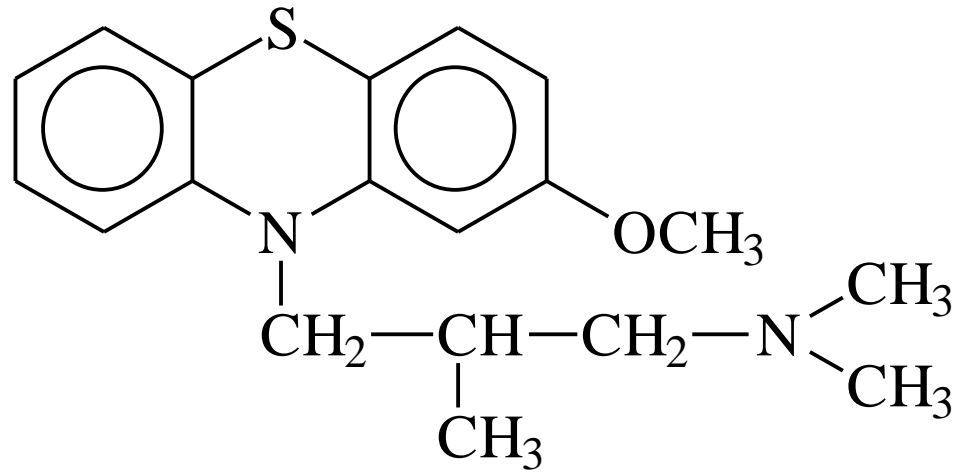


# Benzydamine

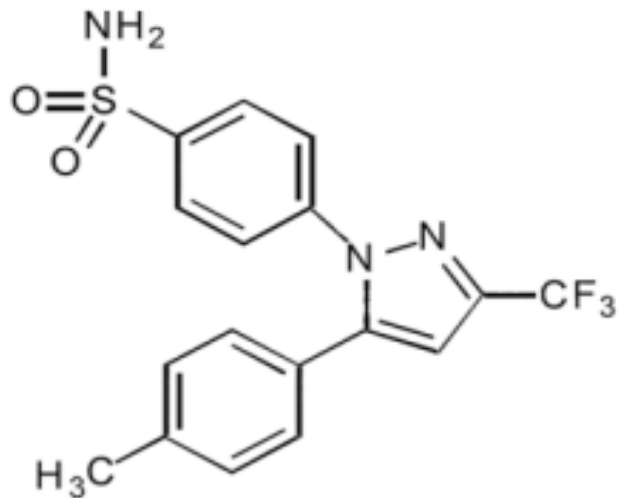


3-(1-benzyl-1*H*-indazol-3-yloxy)-*N,N*-dimethylpropan-1-amine

# Lovoprome

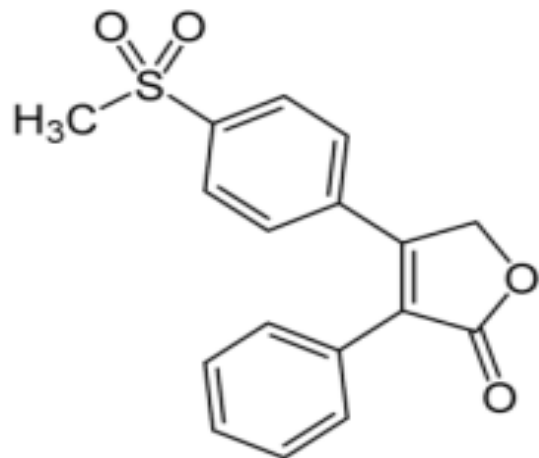


# **SELECTIVE CYCLOOXYGENASE-2 (COX-2) INHIBITORS**



## Celecoxib

4-[5-(4-Methylphenyl)-3-(trifluoromethyl)pyrazol-1-yl]benzenesulfonamide



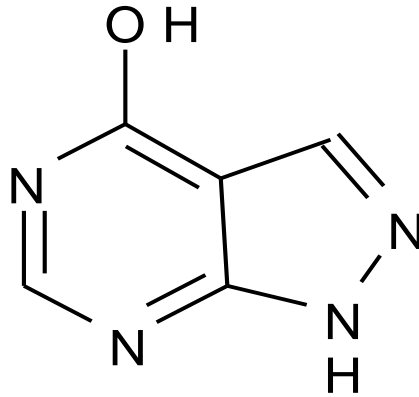
## Rofecoxib

4-(4-methylsulfonylphenyl)-3-phenyl-5H-furan-2-one

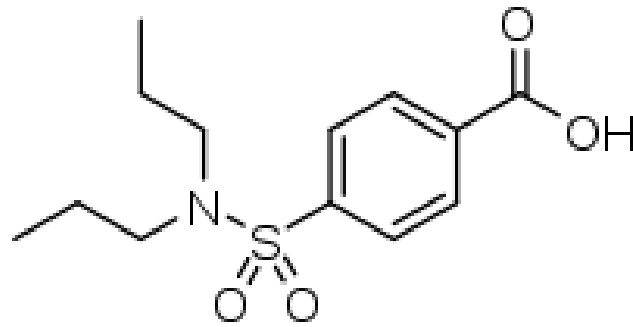
# **DRUGS USED GOUT TREATMENT**

**Gout** occurs when **urate crystals** accumulate in the joint, causing the inflammation and intense pain of a gout attack.

# Medications to prevent gout complications



**allopurinol**



**probenecid**