

# Antihistaminic agents

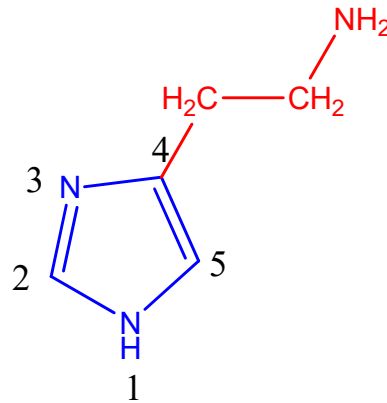
Dr. Gopal Krishna Padhy

Assoc. Professor

Centurion University of Technology and Management

## Introduction

❖ Histamine [4-(2-aminoethyl)imidazole] occurs in mast cell , blood basophiles and acid secreting parietal cells.



❖ Antigens derived from food products, pollens, dust and human hair releases histamine and causes serious allergic reaction.

❖ The physiological action of histamine is due to their action on histamine receptors (H<sub>1</sub>, H<sub>2</sub> and H<sub>3</sub>).

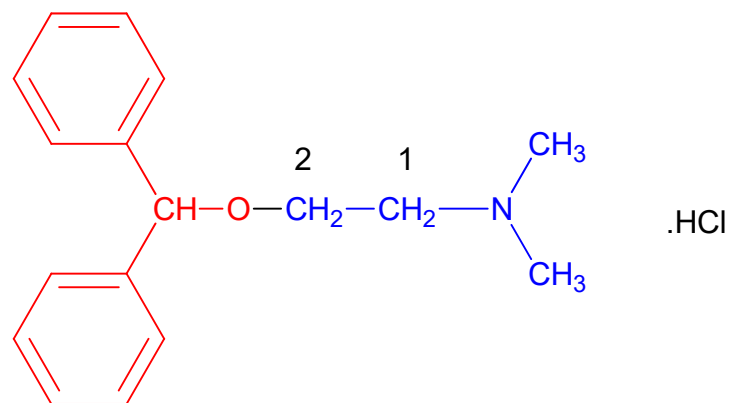
## Definition

### Antihistaminic agents:

These are drugs which competitively antagonise the action of histamine at H<sub>1</sub> receptor.

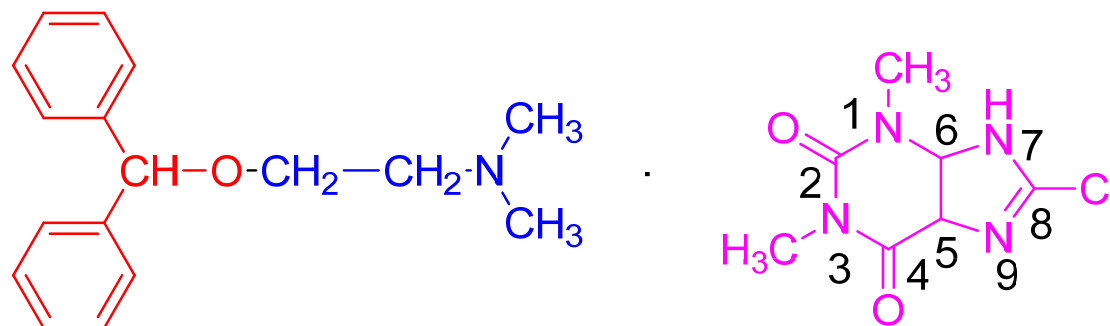
## Classification of Histamine H<sub>1</sub> receptor antagonist

### Aminoalkyl ether derivatives



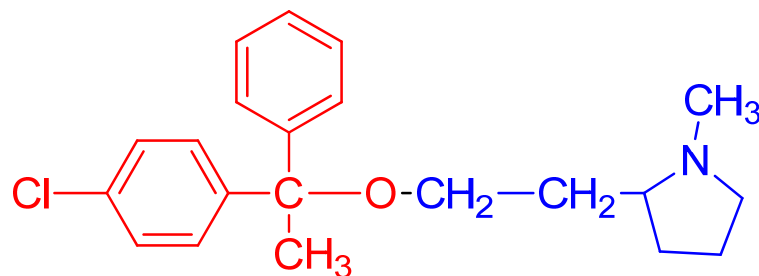
Diphenhydramine Hydrochloride

IUPAC: N,N-dimethyl-(2-diphenylmethoxy)ethylamine



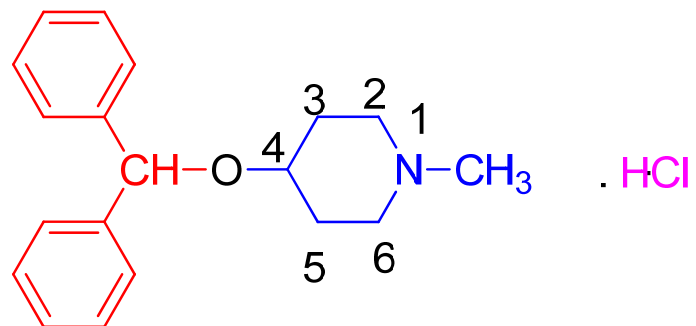
## Bromodiphenhydramine

Complex of N, N-dimethyl (2-diphenylmethoxy) ethylamine and 8-chlorotheophylline



## Clemastine

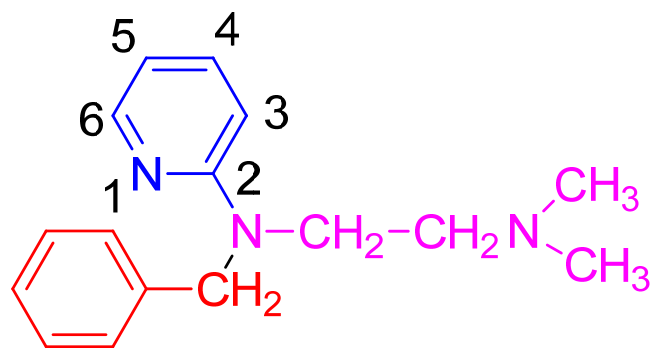
IUPAC: 2-[2-[1-(4-chlorophenyl)-1-phenylethoxy]ethyl]-1-methylpyrrolidine



Diphenylphyraline hydrochloride

IUPAC: 4-(benzhydryloxy)-N-methylpiperidine

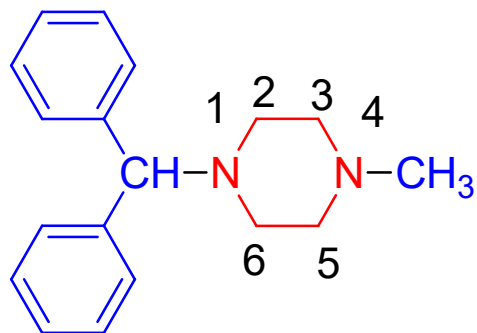
### Ethylenediamine derivatives



Tripelennamine

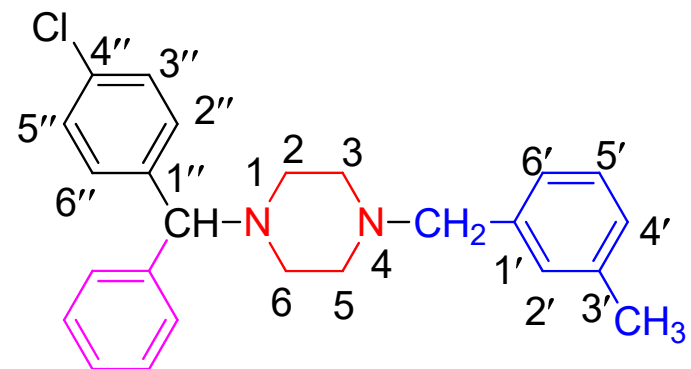
IUPAC: N-benzyl-N',N'-dimethyl-N-2-pyridylethylenediamine

## Piperazine derivatives:



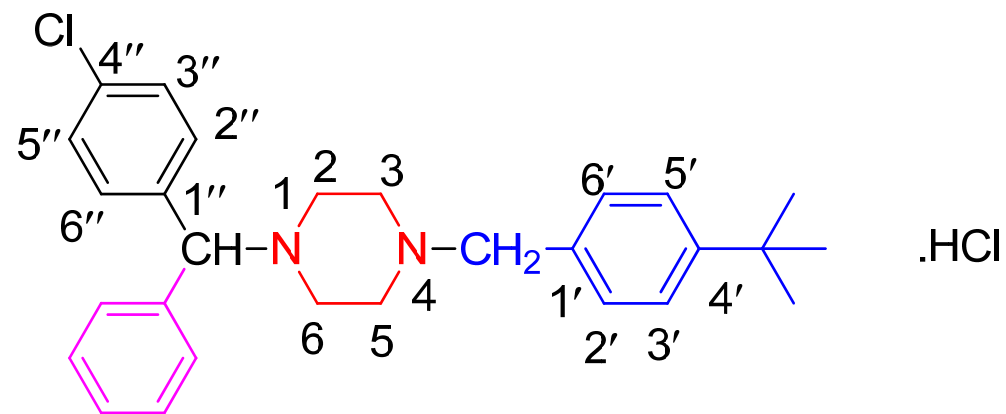
Cyclizine

IUPAC: 1-(diphenylmethyl)-4-methylpiperazine



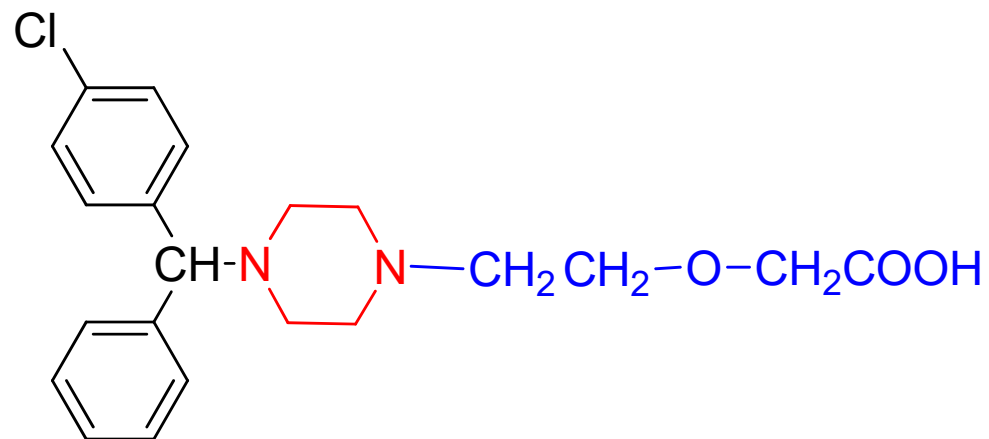
Meclizine

IUPAC: 1-[(4''-chlorophenyl)phenylmethyl]-4-[(3'-methylbenzyl)phenyl]piperazine



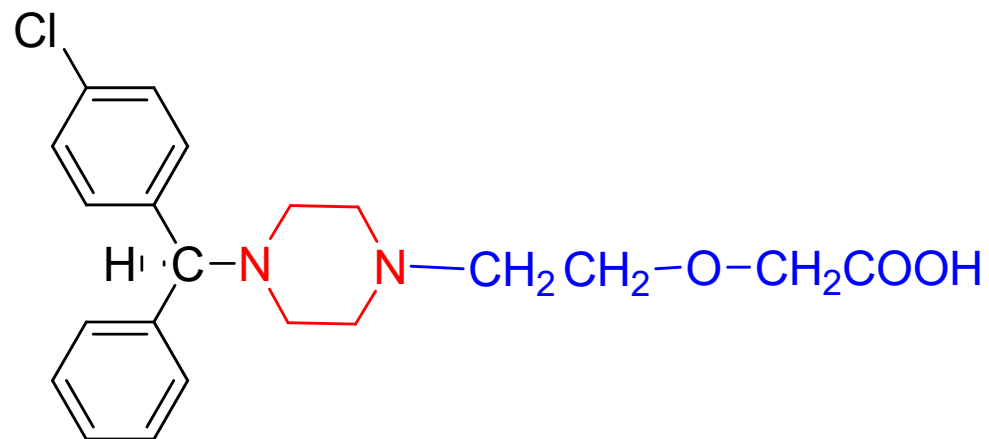
## Buclizine Hydrochloride

IUPAC: 1-[(4-chlorophenyl)-  
phenylmethyl]-4-[(4-*tert*-  
butylphenyl)methyl]piperazine



Cetirizine

IUPAC: 2-[2-[4-[(4-chlorophenyl)-phenylmethyl]piperazin-1-yl]ethoxy]acetic acid

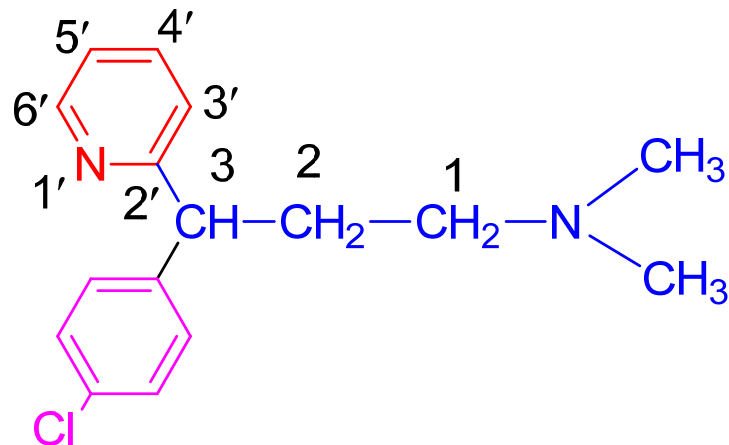


Levocetirizine

2-[2-[4-[(*R*)-(4-chlorophenyl)-  
phenylmethyl]piperazin-1-yl]ethoxy]acetic acid

## Propylamine derivatives

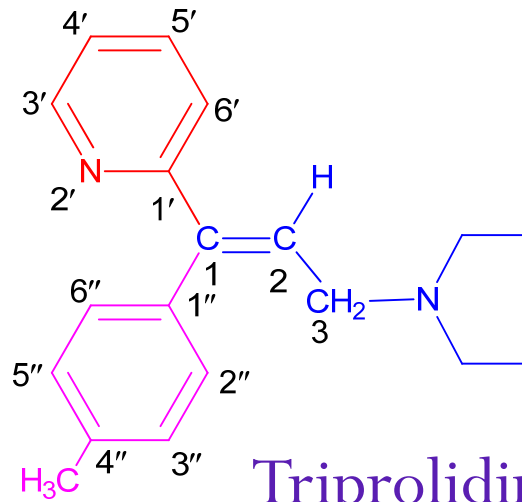
### Saturated analogs



Chlorpheniramine maleate

IUPAC: 3-(p-chlorophenyl)-3-(2'-pyridyl)propyldimethylamine

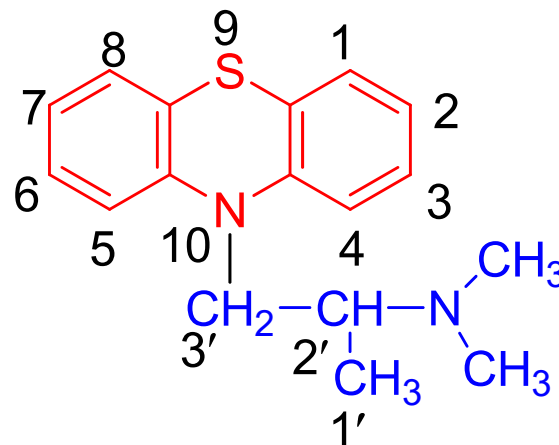
## Unsaturated derivatives



Triprolidine

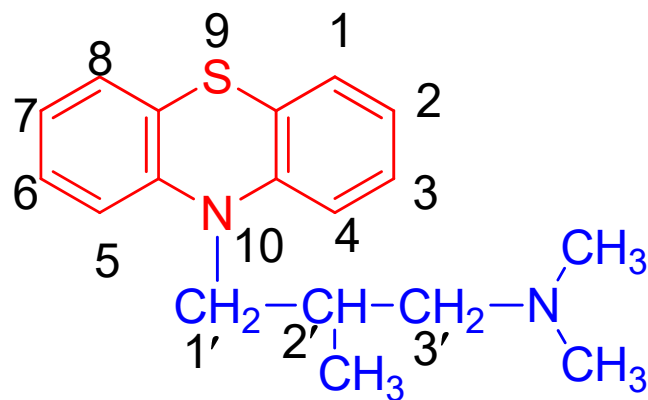
IUPAC: trans-1-(4''-methylphenyl)-1-(2'-pyridyl)-3-pyrrolidinoprop-1-ene

## Phenothiazine derivatives:



Promethazine

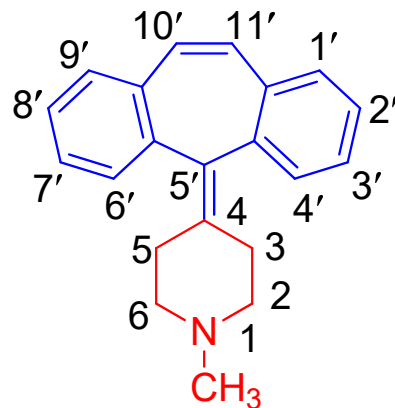
10-(2'-dimethylaminopropyl)phenothiazine



Trimeprazine

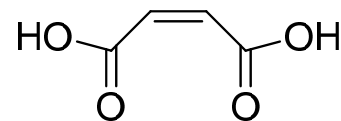
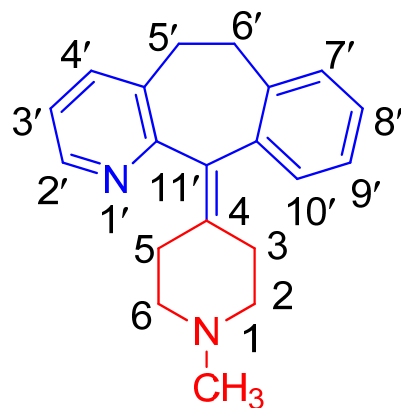
IUPAC: 10-(3'-dimethylamino-2'-methylpropyl) phenothiazine

## Tricyclic derivatives:



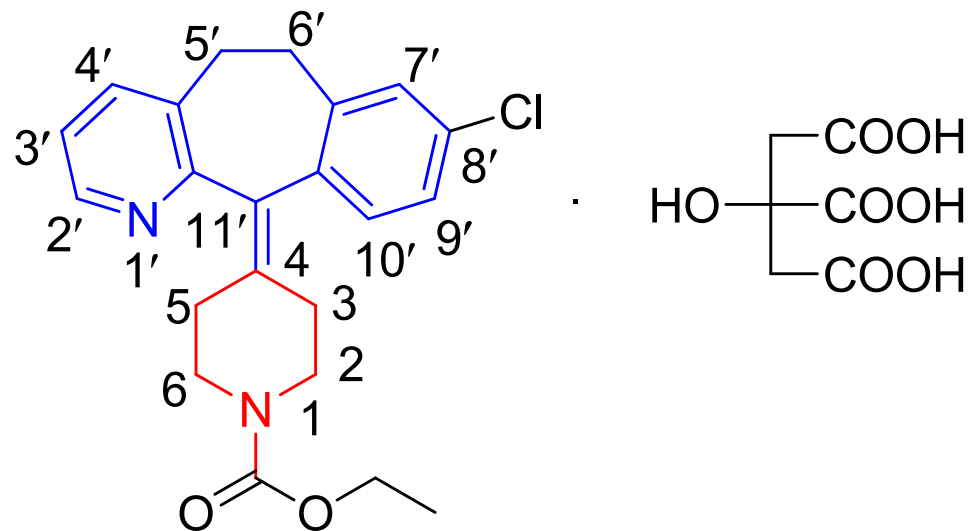
Cyproheptadine  
hydrochloride

IUPAC: 4-(dibenzo[a,d]cyclohepten-5-ylidene)-  
1-methylpiperidine



Azatidine  
maleate

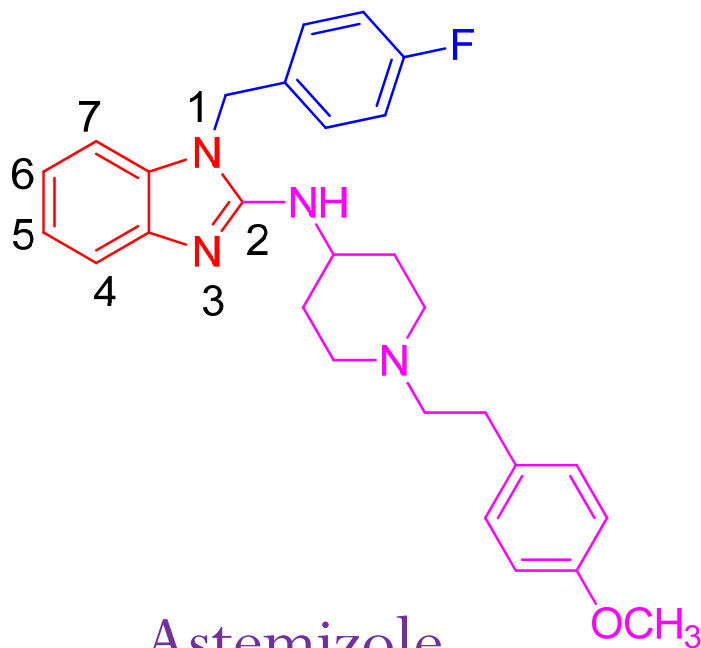
IUPAC: 11-(1-Methyl-4-piperidinylidene)-5,6-dihydro-5H-  
benzo[1,2]cyclohepta[3,4-b]pyridine



Loratadine

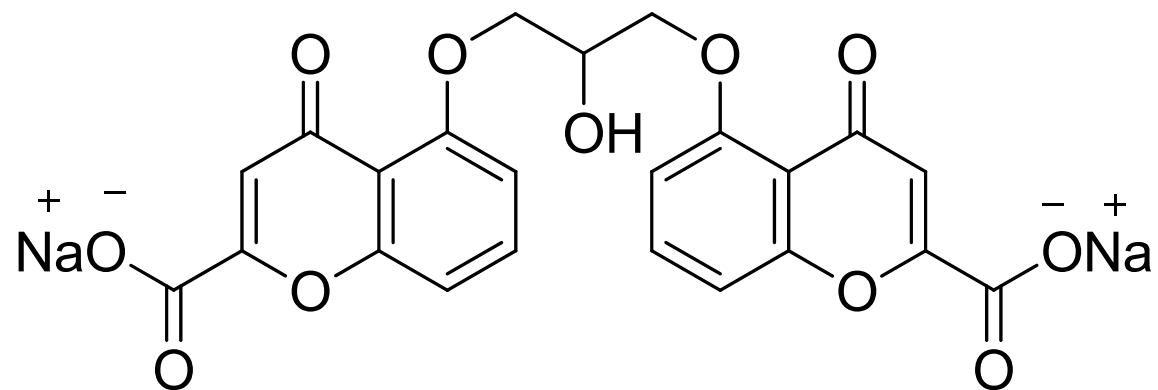
IUPAC: 1-Piperidinecarboxylic acid, 4-(8-chloro-5,6-dihydro-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-ylidene)-, ethyl ester

## Miscellaneous compounds



Astemizole

IUPAC: 1-[(4-fluorophenyl)methyl]-N-[1-[2-(4-methoxyphenyl) ethyl]-4-piperidinyl]-benzimidazol-2-amine



## Cromolyn sodium

IUPAC: disodium;5-[3-(2-carboxylato-4-oxochromen-5-yl)oxy-2-hydroxypropoxy]-4-oxochromene-2-carboxylate