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The Activity of Some Antibiotics Depend on Stereochemistry of Them (Its Structure)

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Abstract

The chiral carbon in biological compounds lead to its activity, for example, the beta lactam ring contains two carbon centers carbon number three and carbon number four both are chiral carbon. This chirality plays important role in the activity and bind with structures activity relationship. Also the ofloxacin act on topoisomerase which required for protein Synthesis of microorganism, the ofloxacin when become Levo isomer not only racemic mixture the activity is more than the racemic or Dextro isomer. Also, the old Antibiotics Chloramphenicol the RR' isomers only active not the other isomers of Chloramphenicol.

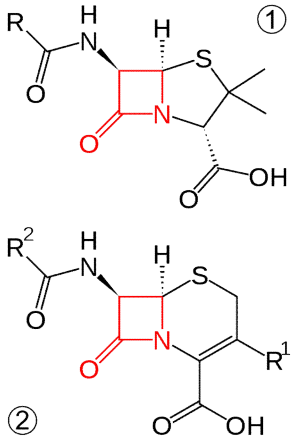
Keywords: activity, isomers chiral stereochemistry Levo, Dextro and enantiomers

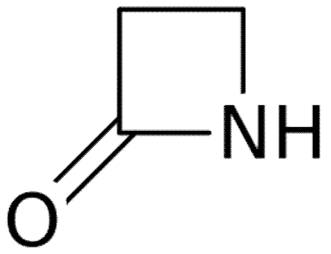
1. Introduction

The recent way of development of drugs, the seeking of chiral carbon of drugs, if present the chiral carbon specially or chiral atoms in generally. The inspection of Pharmacology of the Compound due to obe isomer, or due to racemic (probaphenone) mixture are collectively excellent anti hypertension where one act as betablocker and the other act as ion channel blocker. Lastly the racemic mixture of ofloxacin not active like levofloxacin, so the Study of stereochemistry of drugs become today one of the development of drugs for international pharmaceutical companies.

Also the researchers whose make in Synthesis of new compounds as drugs, the chiral center takes place in him mind, not merely the chirality but the carbon group which reduced by reductase enzyme and Change to chiral carbon via its metabolism. So the pharmacist which concern by Synthesis must sure from chiral carbon and carbonyl.

2. Chemistry and Pharmacology





This ring is beta lactam ring is azetidinone, 4-memberd cyclic ring the Penicillins and Cephalosporins containing the beta lactam ring.

The two rings penam and cepham the carbon number three and carbon number four in beta lactam ring are chiral carbon, the activity of beta lactam depend on these carbon where the stereochemistry of bicyclic system reactive to acylamino is important is essential.

The penicillin is the prototype of beta lactam antibiotics (parent Compound) (lead Compound) discovered by chance, active against gram positive bacilli (Staph, Gonorrhoea) and gram negative cocci and non toxic (selective).

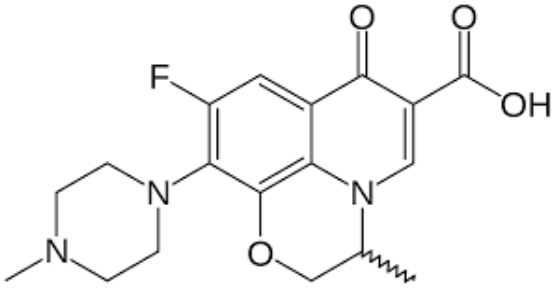
Note Bena

The penicillin and Cephalosporins derived fermentation of microorganisms.

From amino acids e.g., Cysteine and valine.

3. Ofloxacine

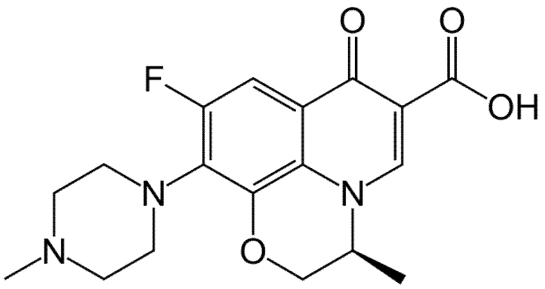
Ofloxacin is quinolone antibacterial, the activity and its spectrum are higher (its concentration detected in cerebrospinal fluide).



Ofloxacin is apprived for the treatment of the lower respiratory tract infection and pelvic inflammatory disease and is highly active against both gonococci and chlamydia.

It has asymmetric carbon atom, so obtained and supplied commerciallyas racemate (tarivid)

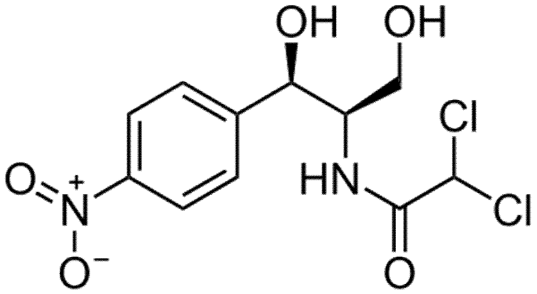
The 3S(-)isomer is more active than 3R(+)isomer and has recently been marketed as levofloxacie(Tavanic)



4. Chloramphenicol

Chloramphenicol is the First broad spectrum antibiotics, isolated from streptomyces venezuelae (soil) 1949, it is neutral Compound, prepared now synthetically, and has two asymmetric centers(four possible diastereomers) ONLY the R R'isomer is active why?

The R R' conformer is active where the ribose like ring formed between these three carbon and their attached hydroxyl (OH) groups as ONLY specific form R R' of the molecule that allows for this ring form is active.



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