# MEDICINAL CHEMISTRY-I – BP402T

UNIT: 1

CLASS: 6

**TOPIC: GEOMETRICAL ISOMERISM**

## 1. GEOMETRICAL ISOMERISM:

Basing on the position of the atoms or molecules or groups around the double bond the molecules are classified into configurations

a. Cis – configuration/ Z-configuration
b. Trans – configuration / E- configuration

In geometric isomers, there exists a spatial arrangement of either atoms of functional groups in the C-C double bond locations.

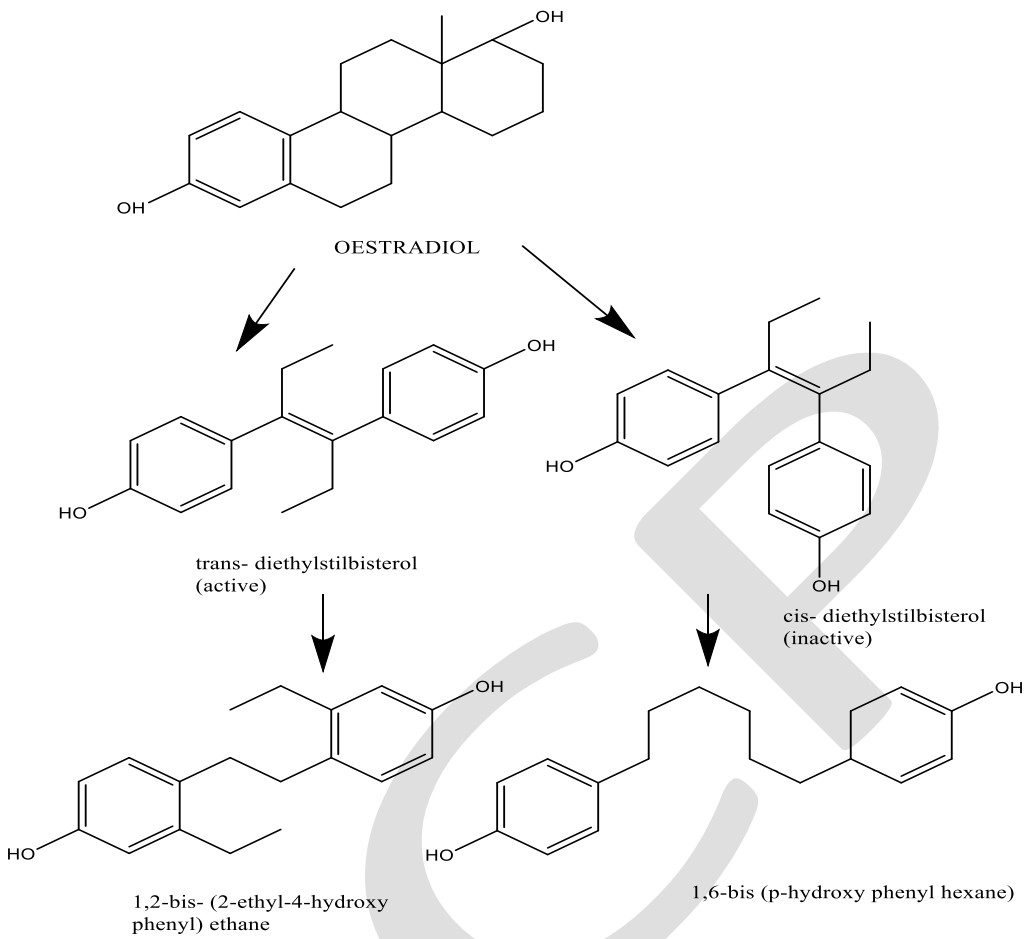
**Eg:** 1. Non classical bio-isosteres—non cyclic analogues of oestradiol.

2.diastereoisomers – pseudo ephedrine and ephedrine.

Nonclassical bioisosteres are precisely the replacements of functional groups not falling within the regimen.

Although several of these functional moieties practically just behave as one if the following characteristic specific features such as

- Electronic properties
- Physico chemical property of the molecule
- Spatial arrangements
- Functional moiety critical for biological activity.




Diastereoisomers are all stereoisomeric compounds which are not enantiomers.

They essentially include compounds containing both ring systems and double bonds simultaneously.

Diastereoisomers invariably display different display physical and chemical characteristics namely

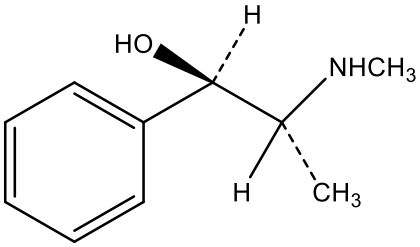
- Chromatographic behavior
- Solubility
- Melting point
- Boiling point

Basing on these differences prevailing in their physical chemical properties, the separation of a mixture of diastereoisomers can be done by

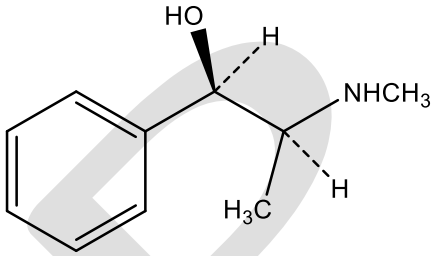
- Crystallization techniques

- Column chromatography

**Eg**: (-)- pseudo ephedrine has two hydrogen atoms on the opposite side (trans) doesn't exhibit any biological activity , correspondingly its cis- isomer (-)- ephedrine , the two H- atoms are located in the same side has CNS stimulatory actions, used for cold, allergy and asthma.




-(-)pseudo ephedrine
(inactive)




-(-) ephedrine
(active)

(Z)- Tripolidine is found to be inactive where the two heterocyclic rings (aromatic) are located on the same side of the double bond whereas its trans isomer (E)- Tripolidine shows potent pharmacological actions as antihistaminic and anticholinergic agent.