

The enantiomer ratio is critical because, while one enantiomer is beneficial to the body, the other enantiomer can be extremely toxic.

Few examples of chiral drugs, whose enantiomers have vastly different properties.

1. The *R*- and *S*-enantiomers of thalidomide are well-known examples of enantiomer related toxicity.

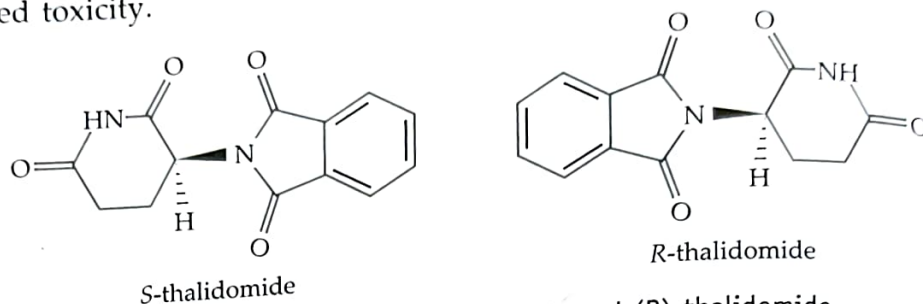


Figure 8 The structures of (*S*)-thalidomide and (*R*)-thalidomide.

The *R*-enantiomer is an effective sedative with a soothing effect that relieves anxiety and causes drowsiness, whereas the *S*-enantiomer is known to cause teratogenic birth defects. Teratogenic fetuses have parts that are deficient, redundant, misplaced, or grossly misshapen. In fact, *S*-Thalidomide has been linked to over 2000 cases of serious birth defects in children born to mothers who used the racemic mixture during their pregnancy.

2. Because human olfactory sensory organs are chiral, the enantiomers below smell very differently to us. The *R*-isomer of carvone smells like spearmint leaves, whereas the *S*-isomer smells like caraway seeds.

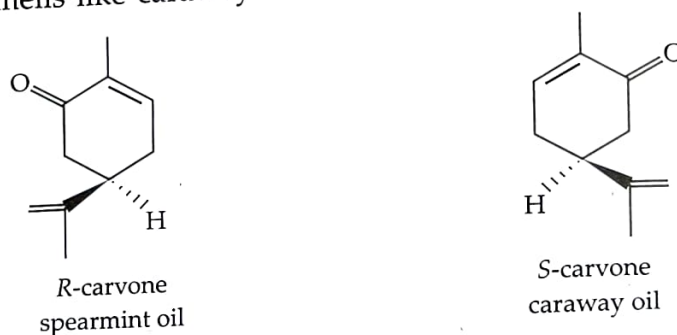


Figure 9 The structures of *R*-carvone (which smells like spearmint) and *S*-carvone (which smells like caraway seeds).

3. In the case of ibuprofen (pain killer drug), the (*S*)-enantiomer has the desired pharmacological activity while the (*R*)-enantiomer is completely inactive.

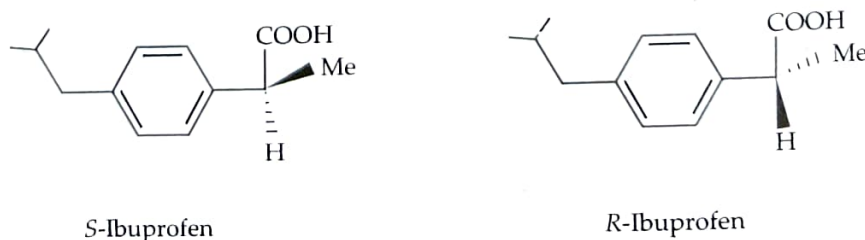


Figure 10 The structures of *S*- and *R*-Ibuprofen

Example 21 Choose/fill correct answer :

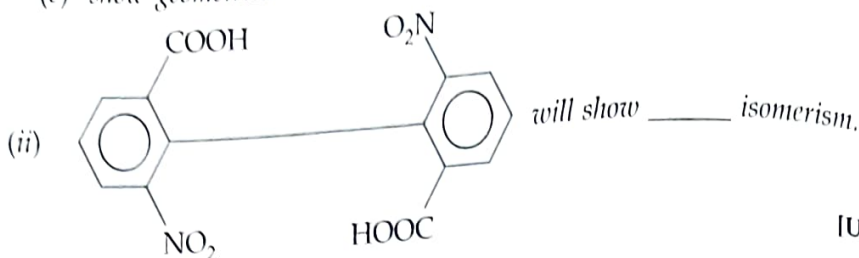
(i) Chiral molecules are those which are :

(a) not superimposable on their mirror image.

(b) are superimposable on their mirror image.

(c) show geometrical isomerism.

(d) unstable molecules.



Solution. (i) (a) ; (ii) optical.

Review Questions

- Which of the following compounds would show optical isomerism :
 (i) $\text{H}_2\text{NCH}_2\text{COOH}$ (ii) $(\text{CH}_3)_2\text{CHCHO}$ (iii) $\text{H}_2\text{NCH}(\text{CH}_3)_2$ (iv) $\text{CH}_3-\text{CH}(\text{OH})\text{COOH}$
 [UPTU, 2009-10]
- Write short notes on : (i) Meso compound (ii) Racemisation
 [UPTU, 2007-08]
- Define : (i) Enantiomers and Diastereoisomers. (ii) Which of the following compounds are optically active, and why, n-propanol, allenes, n-butanol and 2-chlorobutane. [U.P. Tech., 1st Sem., 2006-07, 2011-12]
- What is optical activity ? Give the stereoisomers of Tartaric acid. How do you account for lack of optical activity in meso-forms and racemic mixtures.
 [U.P. Tech., 2nd Sem., 2006-07]
- (a) Discuss stereochemistry of tartaric acid.
 (b) What will happen if one of the OH groups of tartaric acid is replaced by NH_2 group ?
 [U.P. Tech., 1st Sem., 2009-10]
- 0.5 gm of an optically active compound was dissolved in 2 mL of a solvent at 25°C . The solution was kept in a cell of length 10 cm and observed rotation was $+10^\circ$. Calculate specific rotation of its enantiomer.
 [UPTU, Jan, 2009]
- Differentiate between racemic mixture and meso compounds.
 [UPTU, May, 2008]
- What is the condition essential for optical activity ?
- Define optical isomerism. Why do allenes show optical isomerism in spite of the fact that they do not contain a chiral carbon ?
 [UPTU, 2nd Sem., 2011-12]

Dynamic Stereochemistry

Stereochemistry deals with structure of molecules in three dimensions (Greek : *stereos*, solid). It is one of the important part of the science of organic chemistry.

Dynamic stereochemistry deals with the structures of reactants and product molecules in three dimensions as chemical transformations take place.

It is concerned with stereochemical studies of any rate process, be it a conformational transformation involving interconversion of conformers or a chemical reaction involving bond-breaking and bond-making processes.

It helps in (a) correlating conformation and reactivity and in (b) stereoselective synthesis.

The enantiomer ratio is critical because, while one enantiomer is beneficial to the body, the other enantiomer can be extremely toxic.

Few examples of chiral drugs, whose enantiomers have vastly different properties.

1. The *R*- and *S*-enantiomers of thalidomide are well-known examples of enantiomer-related toxicity.

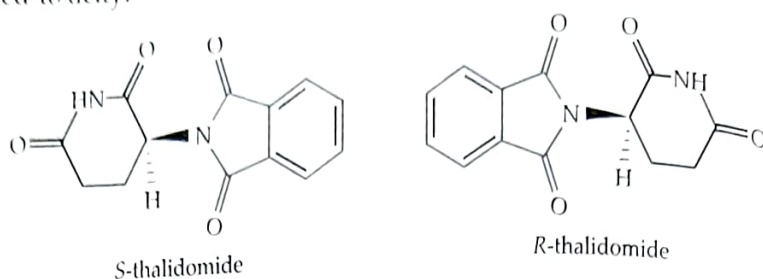


Figure 8 The structures of (*S*)-thalidomide and (*R*)-thalidomide.

The *R*-enantiomer is an effective sedative with a soothing effect that relieves anxiety and causes drowsiness, whereas the *S*-enantiomer is known to cause teratogenic birth defects. Teratogenic foetuses have parts that are deficient, redundant, misplaced, or grossly misshapen. In fact, *S*-Thalidomide has been linked to over 2000 cases of serious birth defects in children born to mothers who used the racemic mixture during their pregnancy.

2. Because human olfactory sensory organs are chiral, the enantiomers below smell very differently to us. The *R*-isomer of carvone smells like spearmint leaves, whereas the *S*-isomer smells like caraway seeds.

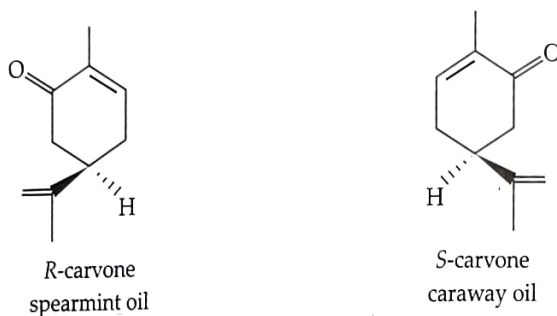


Figure 9 The structures of *R*-carvone (which smells like spearmint) and *S*-carvone (which smells like caraway seeds).

3. In the case of ibuprofen (pain killer drug), the (*S*)-enantiomer has the desired pharmacological activity while the (*R*)-enantiomer is completely inactive.

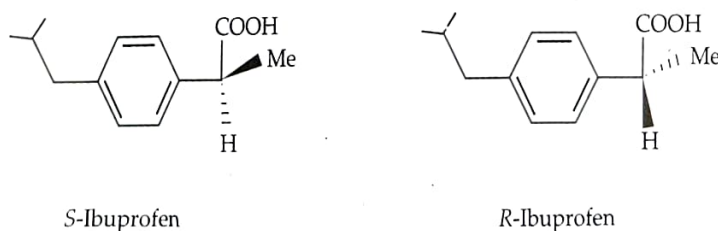


Figure 10 The structures of *S*- and *R*-Ibuprofen