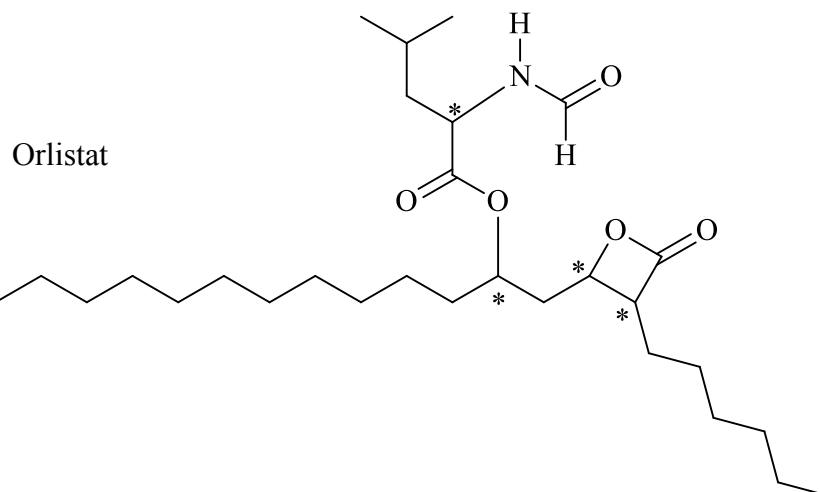


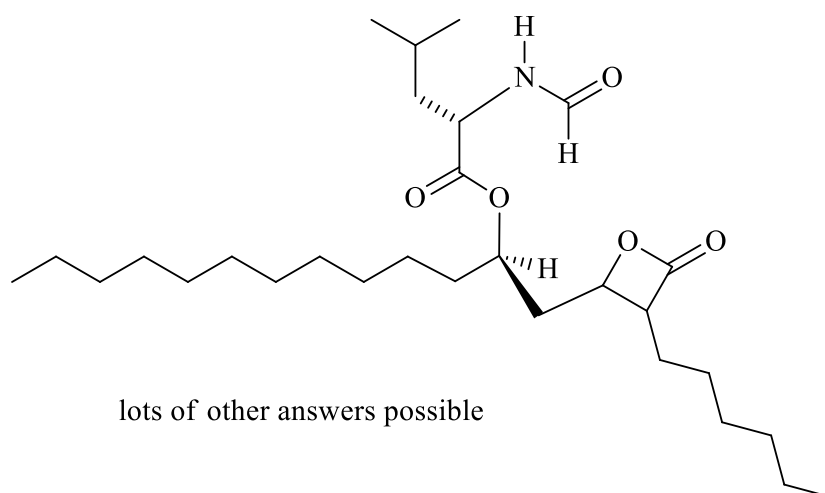
- Orlistat (shown below) is a drug for obesity management which acts by inhibiting the absorption of dietary fats. Indicate all stereogenic centres on the structure below.



How many different diastereoisomers are possible for Orlistat?

**With 4 chiral centres, there are  $(4)^2 = 16$  possible diastereomers.**

Select one of the stereogenic centres and draw the isomer with the (*R*)-configuration.



List the functional groups present in Orlistat.

**Amide and ester**

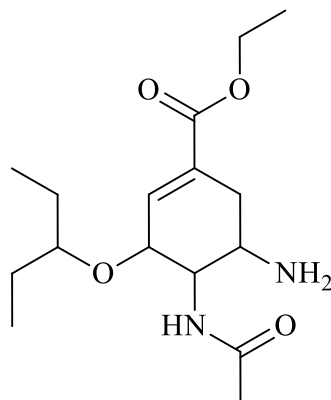
Is Orlistat likely to be soluble in water? Why?

**No. Long hydrocarbon chains means that the molecule is hydrophobic and will not dissolve in water, which is a polar solvent.**

**Marks**  
**4**

- Oseltamivir, marketed under the trade name Tamiflu, is an antiviral drug, which may slow the spread of influenza (flu) virus between cells in the body by stopping the virus from chemically cutting ties with its host cell.

Tamiflu



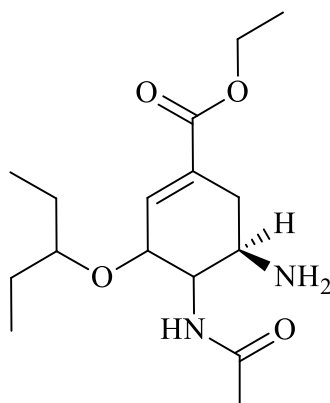
How many stereogenic centres are there in Tamiflu?

**3**

How many possible stereoisomers can exist for Tamiflu?

**8**

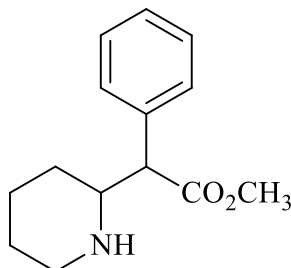
Add the NH<sub>2</sub> and H groups to the stereogenic centre indicated below to give the (*R*)-configuration of that centre.



**Marks**  
**7**

- Methylphenidate, also known as Ritalin, is a psychostimulant drug approved for treatment of attention-deficit disorder. It belongs to the piperidine class of compounds and increases the levels of dopamine and norepinephrine in the brain through reuptake inhibition of the monoamine transporter.

methylphenidate



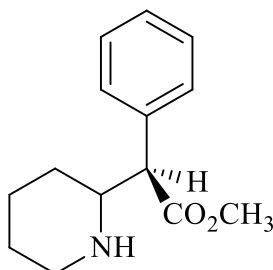
Give the molecular formula of methylphenidate.

**C<sub>14</sub>H<sub>19</sub>NO<sub>2</sub>**

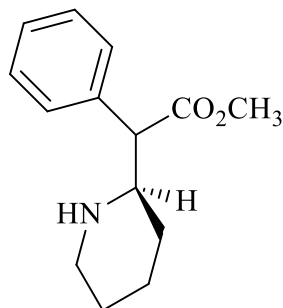
List the functional groups present in methylphenidate.

**Aromatic ring, ester, secondary amine**

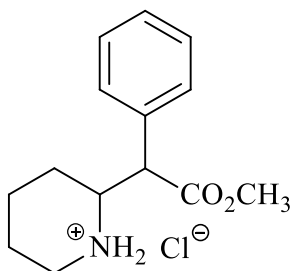
How many stereogenic (chiral) centres are there in methylphenidate?

**2**Using a stereogenic centre you have identified, draw the (*R*)-configuration of that centre.

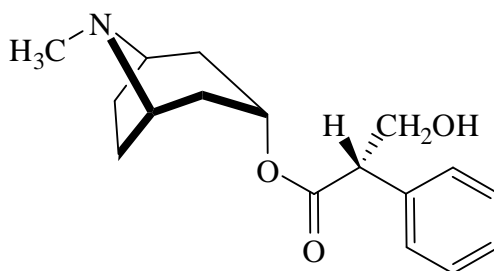
or



Ritalin is generally sold as the hydrochloride salt. Draw the structure of this salt and suggest why this is the preferred compound for sale.

**The hydrochloride salt is soluble in water, which generally means better bioavailability.****Salt will have better stability - amines prone to aerial oxidation.**

- The tropane alkaloid (-)-hyoscyamine is found in certain plants of the *Solanaceae* family. It is an anticholinergic agent that works by blocking the action of acetylcholine at parasympathetic sites in smooth muscle, secretory glands and the central nervous system.



Give the molecular formula of (-)-hyoscyamine.

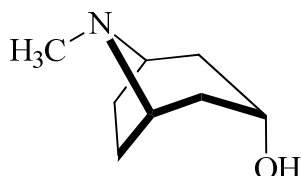
**C<sub>17</sub>H<sub>23</sub>O<sub>3</sub>N**

List the functional groups present in (-)-hyoscyamine.

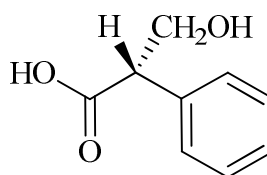
**amine, alcohol, ester, aromatic ring (arene)**

Hydrolysis of (-)-hyoscyamine results in two fragments, tropine and tropic acid. Draw each of these fragments.

tropine



tropic acid



What is the stereochemistry at the tropic acid stereocentre? Write (*R*) or (*S*).

**(*S*)**

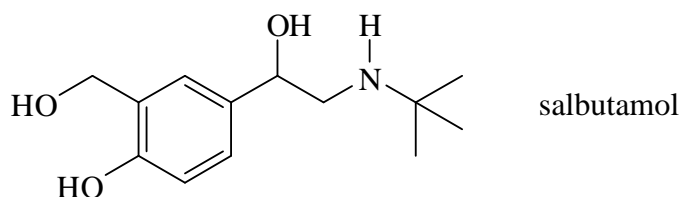
Is tropine optically active? Explain your answer.

**No. It is a *meso*-isomer (*i.e.* has a plane of symmetry) and therefore optically inactive.**

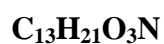
**It is superimposable on its mirror image.**

**Marks**  
**6**

- Salbutamol is available under the trade name Ventolin® as a racemic mixture of compounds. A stick representation of the compound is shown below.



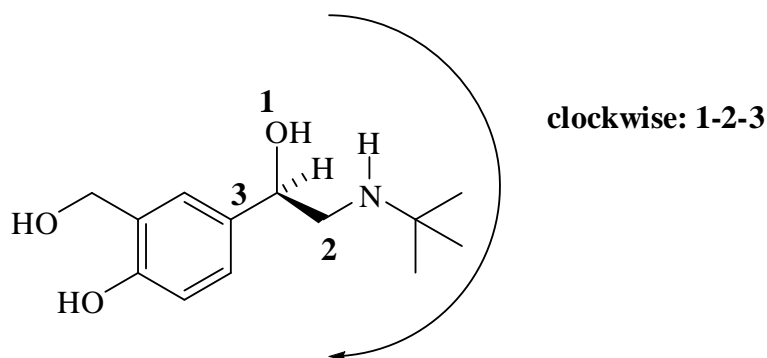
Give the molecular formula of salbutamol.



List the functional groups present in salbutamol.

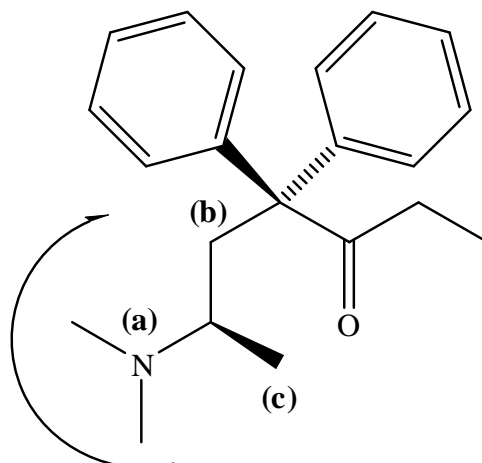
**alcohol (primary and secondary), phenol, amine (secondary)**

Draw the (*R*)-enantiomer of salbutamol.



**Marks**  
**6**

- A stick representation for the active enantiomer of methadone, an analgesic used as a maintenance drug in the treatment of heroin addiction, is shown below.



Give the molecular formula of methadone.

**C<sub>21</sub>H<sub>27</sub>NO**

Methadone contains a stereogenic centre. List the substituents attached to this stereogenic centre in descending order of priority according to the sequence rules.

Highest priority

Lowest priority

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What is the stereochemistry at this stereocentre? Write (*R*) or (*S*).

**(*R*)**

List the functional groups present in methadone.

**tertiary amine, ketone, arene (aromatic ring)**

Treatment of methadone with NaBH<sub>4</sub> gives compounds (**X**) and (**Y**). Draw the structures of (**X**) and (**Y**).

<p><b>(X)</b></p>	<p><b>(Y)</b></p>
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What is the stereochemical relationship between compounds (**X**) and (**Y**)?

**They are diastereomers**

