AH Exercise 2.5

Pharmaceutical Chemistry

2001 AH MC30 (92%) and 2006 AH MC40 (90%)

30. Salbutamol is used to treat asthma. It behaves like the body's natural active compound by binding to receptors on the muscles of the airways. This relaxes the muscles and gives relief from breathing difficulties. Salbutamol is

A an agonist

B an antagonist

C a pharmacaphore

D a receptor.

2009 AH MC40 (91%)

40. Antihistamines act by inhibiting the action of the inflammatory agent histamine in the body.

Antihistamines can be described as

A agonists

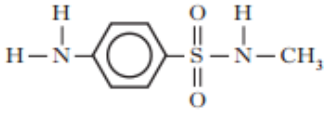
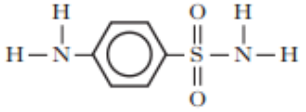
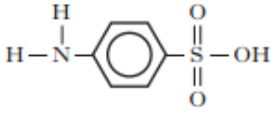
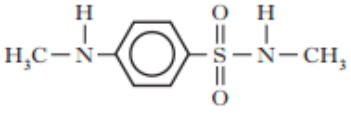
B receptors

C antagonists

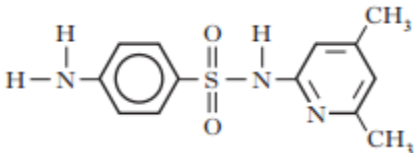
D pharmacophores.

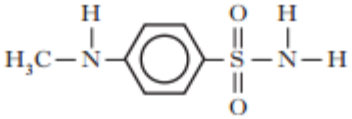
2014 AH MC40 (81%) and 2014 revAH MC30 (82%)

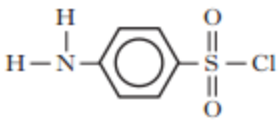
40. The table shows the structural formulae of some sulphonamides and their antibacterial activity.

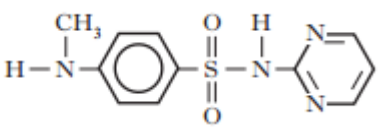
Sulphonamide	Antibacterial activity
	active
	active
	inactive
	inactive

Which of the following would be an active antibacterial agent?

A 

B 

C 

D 

2013 AH MC40 (93%) and 2013 revAH MC29 (94%)

40. Most medicines work by binding to receptors.

Receptors are usually

A nucleophiles

B electrophiles

C free radicals

D protein molecules.

2015 AH MC39 (93%)

39. Sulphonamides are synthetic compounds that block the production of folic acid in bacterial cells.

Sulphonamides are best described as

A agonists

B receptors

C antagonists

D pharmacophores.

2003 AH L8

8. Sulphanilamide and penicillin are antibiotics which are antagonists whereas salbutamol is a bronchodilator which acts as an agonist.

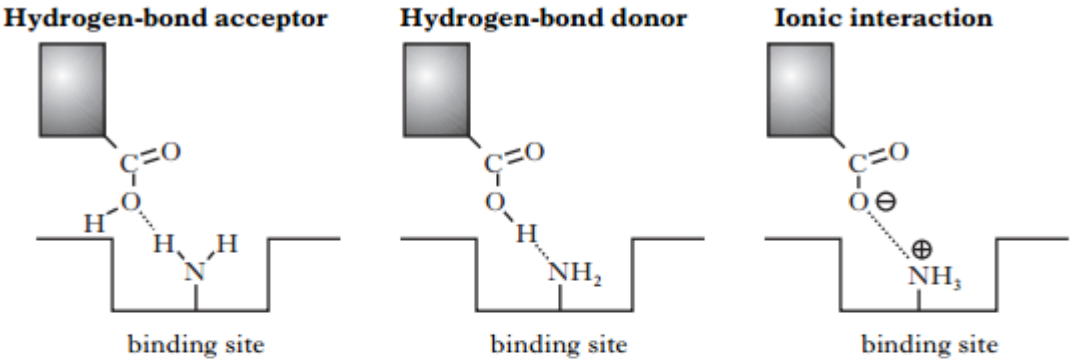
All of these medicines have **pharmacophores** which bind to specific **receptors**.

(*a*) Explain the difference in behaviour between an agonist and an antagonist. **1**

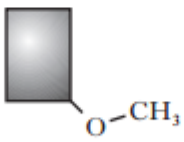
(*b*) Explain the meanings of the **two** words in bold. **2**

2008 AH MC40 (38%) and 2015 AH MC40 (45%)

40. A drug containing a carboxyl group can bind to an amino group on a receptor site in three different ways.



The drug with the following structure



could bind to the same site

A only by ionic interaction

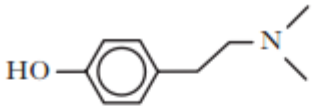
B only as a hydrogen-bond donor

C only as a hydrogen-bond acceptor

D both as a hydrogen-bond donor and acceptor.

2012 AH MC40 (94%)

40.



The active structural fragment of several pain-killing molecules is shown.

What term best describes this structural fragment?

A Agonist

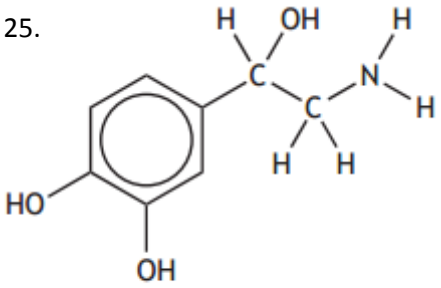
B Receptor

C Antagonist

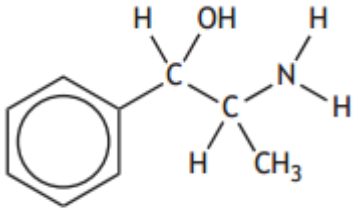
D Pharmacophore

2016 AH MC25 (70%)

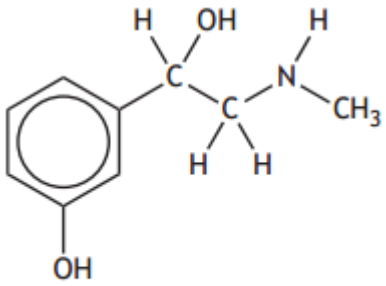
25.



Noradrenaline



Amphetamine

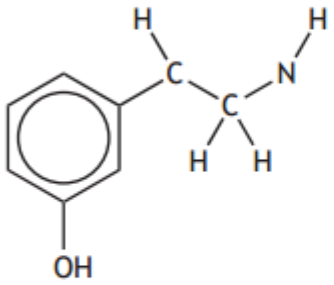


Phenylephrine

Noradrenaline and phenylephrine stimulate receptors in the body resulting in increased blood pressure. Amphetamine has the same effect but works indirectly in the body by stimulating production of noradrenaline.

The structural fragment acting **directly** on the receptor is

A



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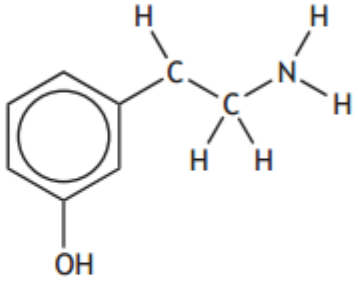

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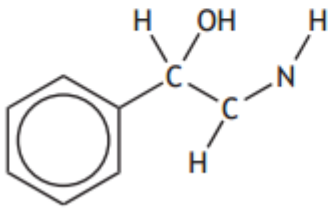

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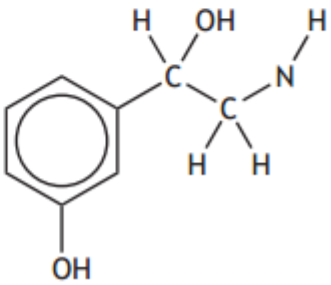
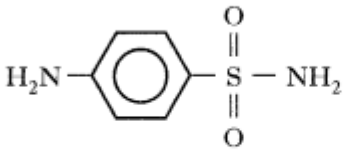


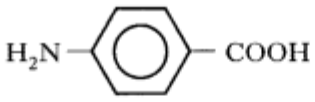
2002 AH L13

13. Sulphonamides are a group of organic compounds some of which are antibacterial agents. Sulphanilamide was the first to be synthesised.



sulphanilamide

It acts as an enzyme inhibitor and blocks the biosynthesis of folic acid which is essential for cell growth. It does this by mimicking 4-aminobenzoic acid which is one of the reactants required in folic acid synthesis.



4-aminobenzoic acid

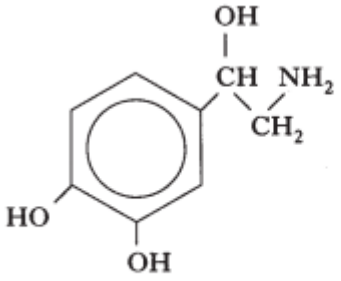
The sulphanilamide molecule prevents the 4-aminobenzoic acid molecule binding to the active site of the enzyme. As a result, folic acid can no longer be synthesised and the bacterial cell stops dividing.

(*a*) Suggest why the sulphanilamide molecule prevents the 4-aminobenzoic acid molecule binding to the active site of the enzyme. **1**

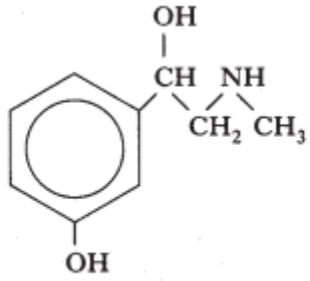
(*b*) State whether sulphanilamide plays the role of agonist or antagonist and explain your answer. **2**

2004 AH L11a+b

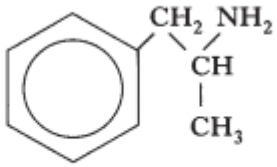
11. The three molecules shown below can all increase blood pressure if introduced into the human body.



noradrenaline



phenylephrine



amphetamine

Noradrenaline is produced naturally by the adrenal gland in times of stress. It activates sites called adrenoreceptors that cause many changes in the body including increased blood pressure.

Phenylephrine has been found to stimulate the same receptors producing very similar effects.

Amphetamine is classed as an indirectly acting agonist. Instead of acting directly on adrenoreceptors it causes certain nerve terminals in the body to produce noradrenaline thus raising blood pressure.

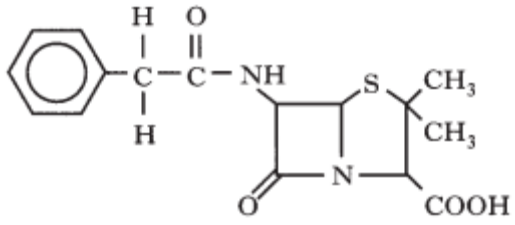
(*a*) What is meant by the term "agonist"? **1**

(*b*) Draw the structural formula for the pharmacophore acting on the adrenoreceptors. **1**

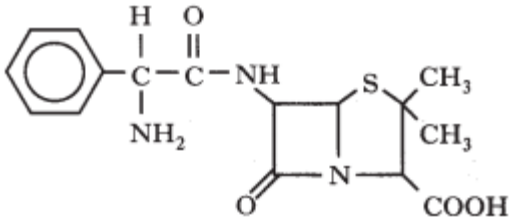
2005 AH L12

12. Penicillins are well tried families of drugs that bind to proteins in the cell walls of bacteria and inhibit bacterial wall synthesis. New forms of penicillin with different pharmacaphores are constantly being developed.

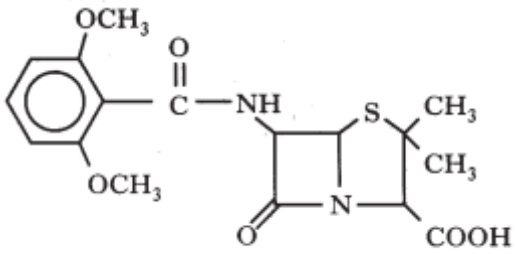
The structures of four penicillin molecules are shown.



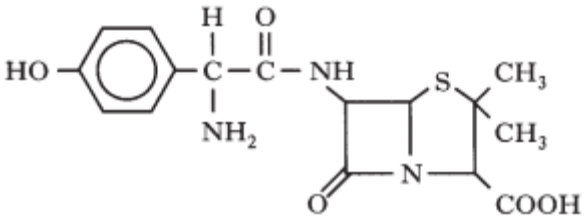
penicillin G



ampicillin



methicillin



amoxicillin

(*a*) Using information from the passage, explain whether these penicillin molecules are acting as agonists or antagonists with regard to the bacteria. **1**

(*b*) Draw the structure of the pharmacaphore that is shared by these four penicillin molecules. **1**

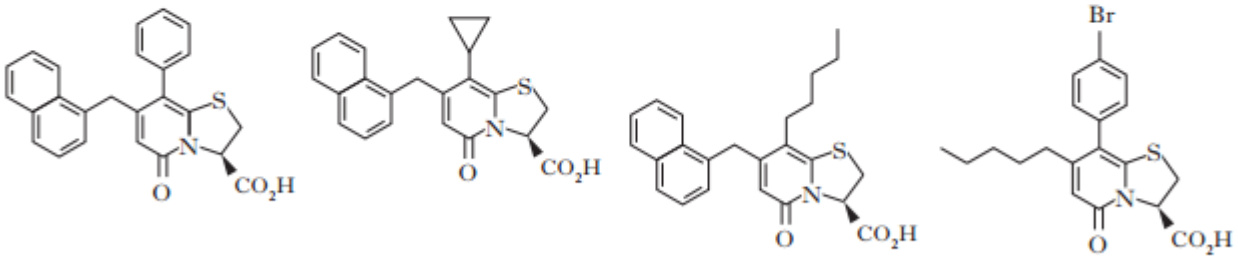
2010 AH L10

10. Chemists are developing compounds which block the ability of certain bacteria to bind to the surface of cells. This will help stop the spread of infection.

(*a*) What name is given to the structural fragment of this type of compound which binds to a receptor? **1**

(*b*) The diagram shows the structure of four of these compounds.

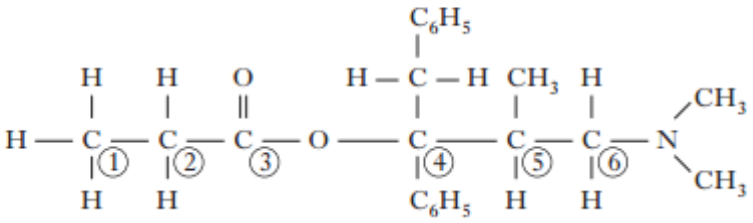
(1) (2) (3) (4)



Draw the structural fragment which is common to these compounds which allows them to bind to the relevant receptor. **1**

2007 AH L11b+c

11. Propoxyphene is a pain-killing drug. Its structure is shown below.



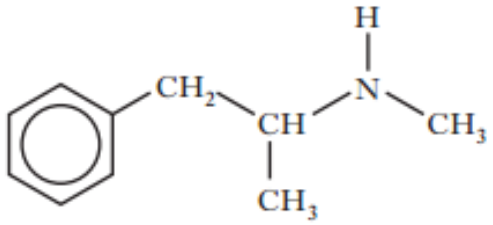
(*b*) Propoxyphene has a pharmacophore which binds to specific receptors.
What is meant by the term **pharmacophore**? **1**

(*c*) Propoxyphene stimulates the body's own natural response to pain.
What term is used to describe medicines which act in this way? **1**

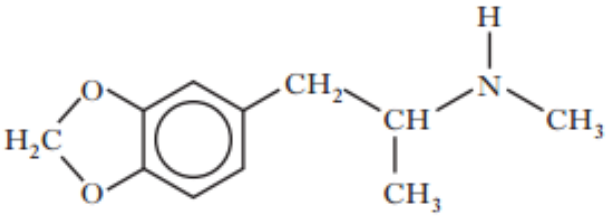
2013 AH L11c

11. Methylamphetamine (also known as "speed") and caffeine are stimulants.

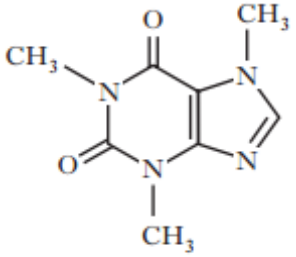
A "designer drug" with a structure related to methylamphetamine is ecstasy. Ecstasy tablets are sometimes contaminated with a substance called 4-MTA.



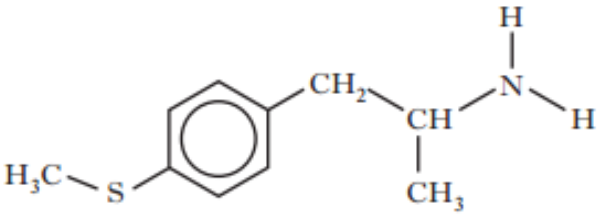
methylamphetamine



ecstasy



caffeine



4-MTA

(*c*) Draw the structure of the pharmacaphore common to methylamphetamine, ecstasy and 4-MTA. **1**

2014 revAH 7a

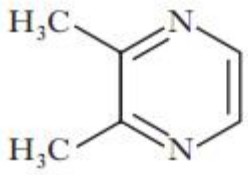
7. Aspirin is one of the most widely used drugs in our society. Aspirin works as a pain killer by binding to a specific enzyme and blocking its use in biological pathways which lead to the production of pain.

(*a*) What name is given to drugs that behave in this way? **1**

2013 revAH L7d

7. The dominant flavours of chocolate are due to molecules called substituted pyrazines. These are produced when sugars and amino acids react during the roasting of cocoa beans.

An example is 2,3-dimethylpyrazine



This compound is responsible for the nutty flavour of chocolate. Other substances responsible for the distinctive smell of chocolate are aldehydes including phenylethanal, 2-methylbutanal and 3-methylbutanal.

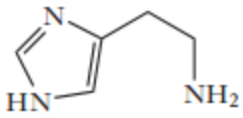
(*d*) Anandamide is another substance also found in small quantities in chocolate, that plays a role in appetite, memory, fertility and pain depression. It binds to the same receptors as the cannabinoid drugs and enhances some of the body's natural responses.

What general term is used for a substance that behaves in this way? **1**

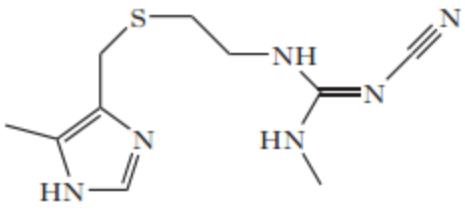
2015 revAH L11b

11.

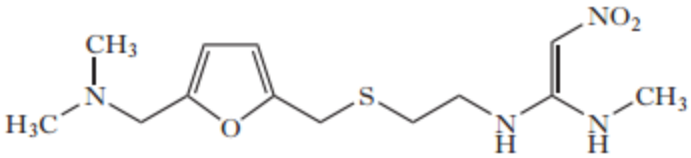
(*b*) Cimetidine, ranitidine and burimamide are drugs used to counteract the effect of histamine which is known to be involved in the production of acid in the stomach.



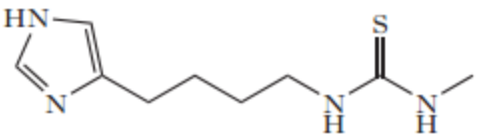
histamine



cimetidine



ranitidine



burimamide

Using your knowledge of chemistry suggest how cimetidine, ranitidine and burimamide can counteract the effect of histamine. **3**

2016 AH L8a

8. Aspirin can be used as a starting material for the synthesis of the drug, salbutamol, which is used in the treatment of asthma. Salbutamol acts as an agonist by stimulating receptors in the lungs.

(a) State what is meant by the term agonist. **1**