- Receptors are situated on surface of cell or inside cell (cytoplasm and nucleus).

D + R DR Complex

Affinity

Affinity – measure of propensity of a drug to bind receptor; the attractiveness of drug and receptor

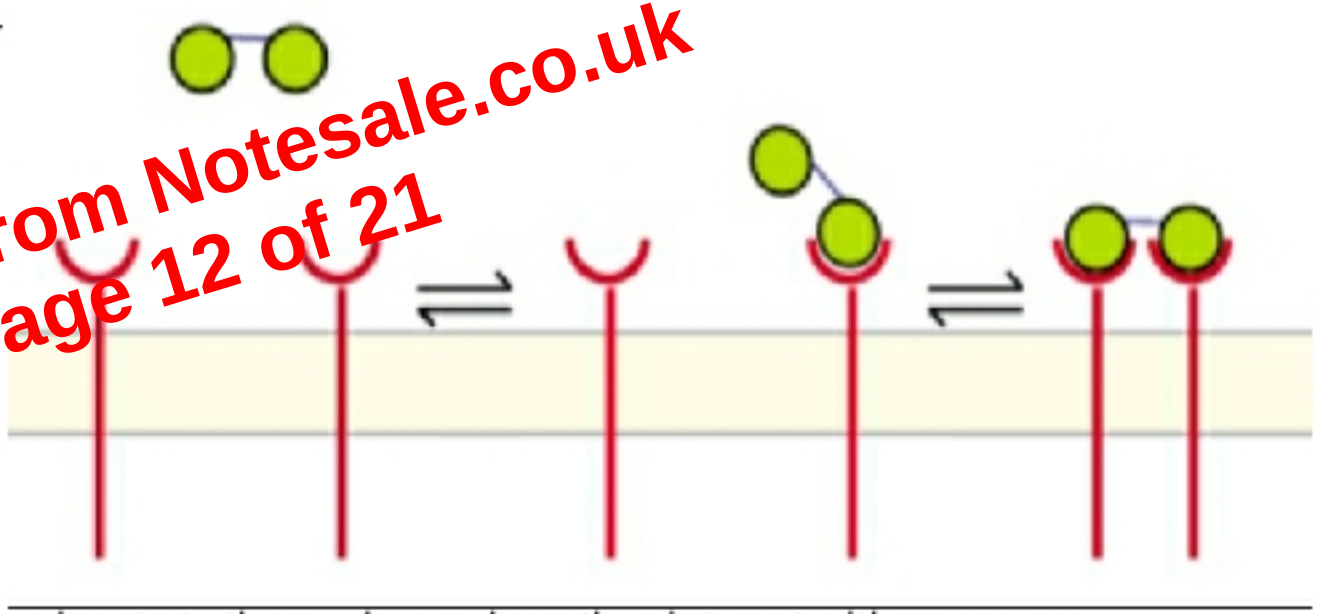
Covalent bonds are stable and essentially irreversible

Electrostatic bonds may be strong or weak, but are usually reversible

● Intracellular receptors

These include receptors for steroids, thyroxine, gonadal steroids and vitamin D.

Binding of drugs or hormones to such receptors cause Dimerization of hormone receptor complex.



Such complexes translocate to the nucleus, where they interact with response elements

in spacer DNA.

This leads to changes in gene expression.

Pharmacologic responses elicited via modification of gene expression are slower in onset but longer in duration.

Receptors linked via G-proteins

- Many receptors are coupled via GTP binding proteins (G-proteins) to adenylyl cyclase,

the enzyme that converts ATP to cAMP, a second messenger that promotes protein

phosphorylation by activating protein kinase A.

These receptors are typically serpentine with 7 transmembrane spanning domains.

Protein kinase A serves to phosphorylate a set of tissue specific substrate enzymes or

transcription factors (CREB), thereby affecting their activity.