

Effect of different classes of drugs on a constitutively active GPCR. Assessment with IP-One assays

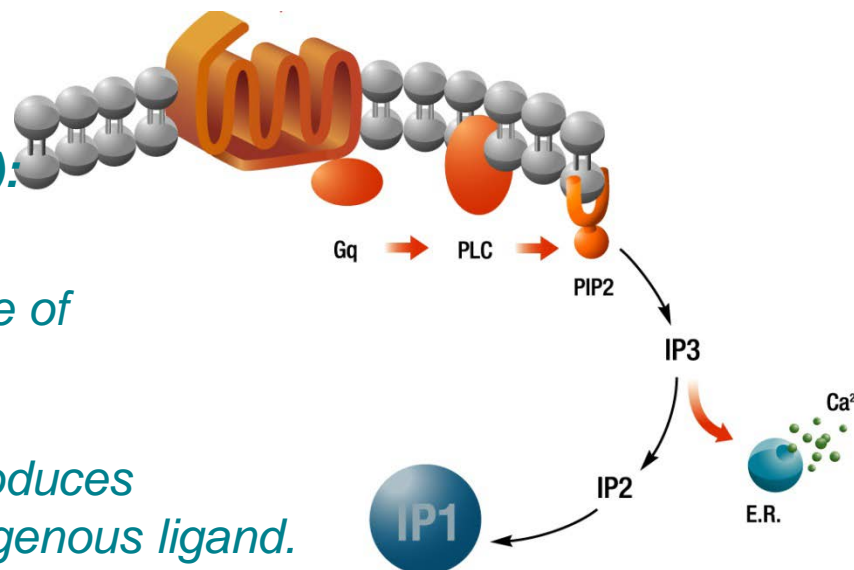
Definition

Constitutive activity (basal activity): The basal activity is the spontaneous activity of a receptor, and second messenger production, in the absence of external modulation.

Agonist: An agonist is a drug that produces the same maximal effect as the endogenous ligand.

Inverse agonist: An inverse agonist reduces the basal activity of native or overexpressed receptors.

Antagonist: An antagonist is a ligand that blocks receptor response to agonists or inverse agonists via general occupation of the receptor binding pocket. In addition, a competitive antagonist binds to the same domain on the receptor as the agonist.

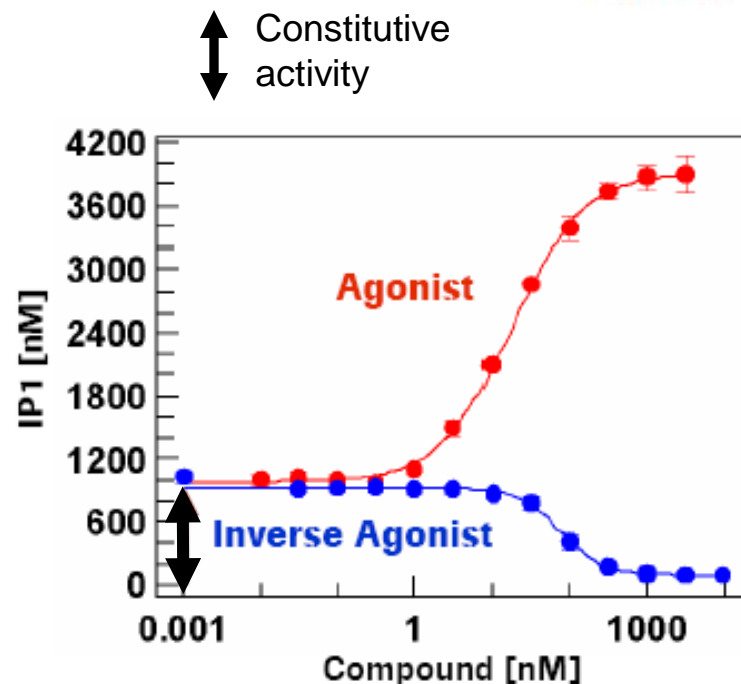
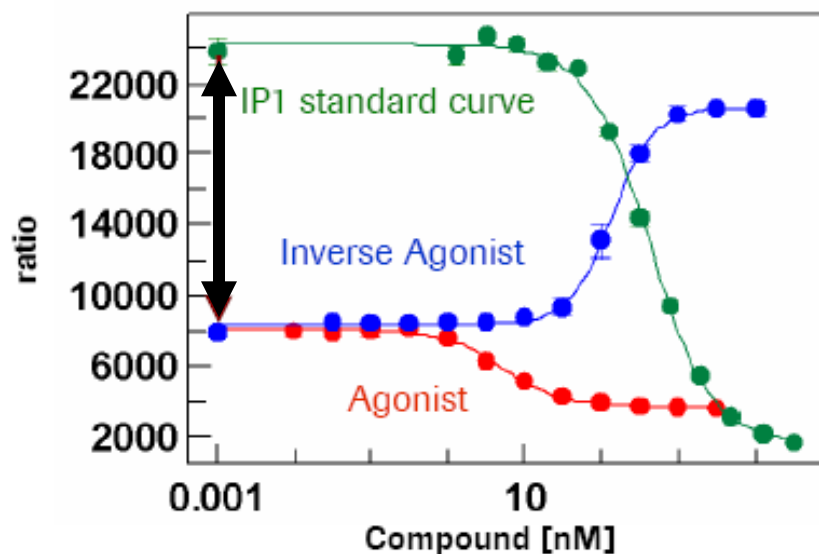


Assessment with IP-One assays of agonist and inverse agonist effect on a constitutively active GPCR.



Assay in action

Conditions: 7'500 cells/well, 2h incubation

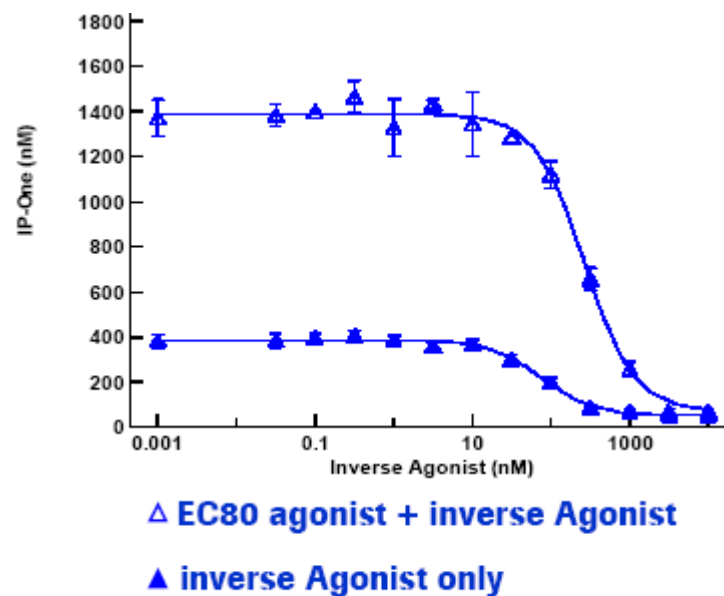
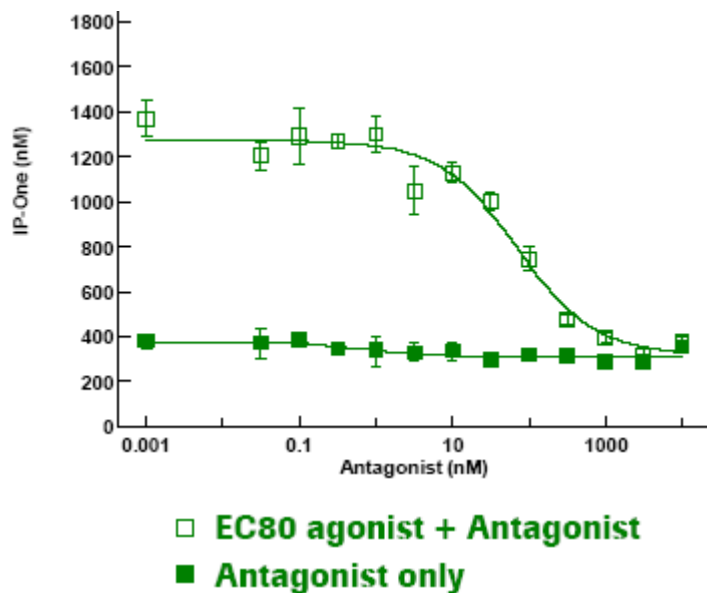


- The basal activity of the receptor is inhibited in the presence of increasing concentration of Inverse Agonist (blue curves).
- IP-One allows the assessment of an agonist stimulation on a constitutively active receptor (red curves).

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Comparison of an Antagonist and an Inverse Agonist effect on a constitutively active GPCR.

Assay in action



The agonist effect is inhibited in the presence of increasing concentration of antagonist (green curves) or inverse agonist (blue curves).

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