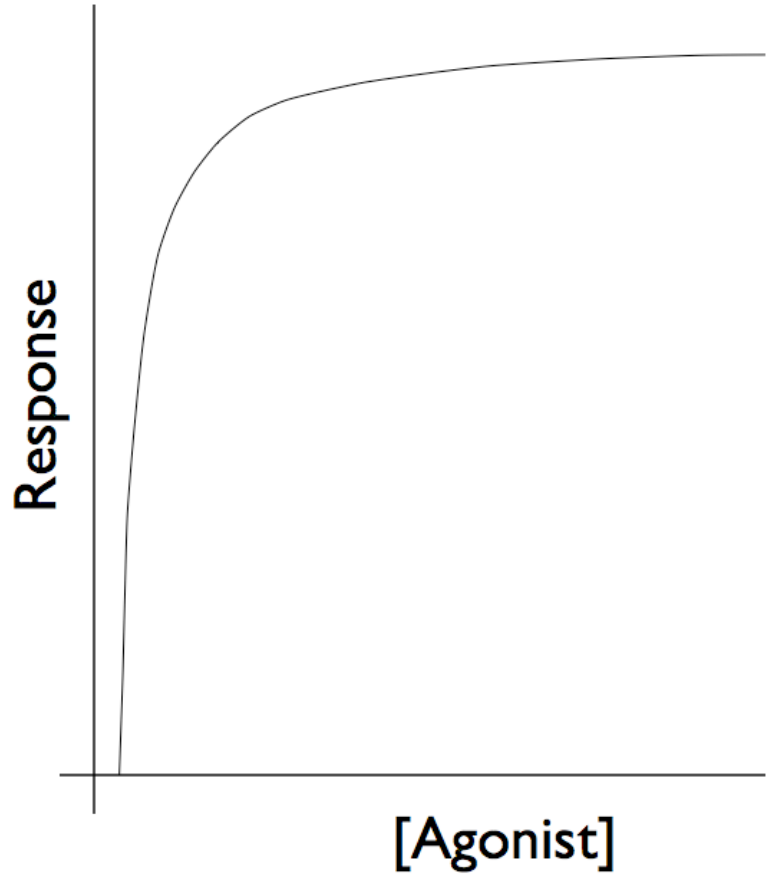
Agonist responses

- = affinity and efficacy
- **Full agonist** = can generate maximum response
  - Spare receptors
- **Partial agonist** = cannot generate max
- EC50 = concentration for 50% drug's maximum response



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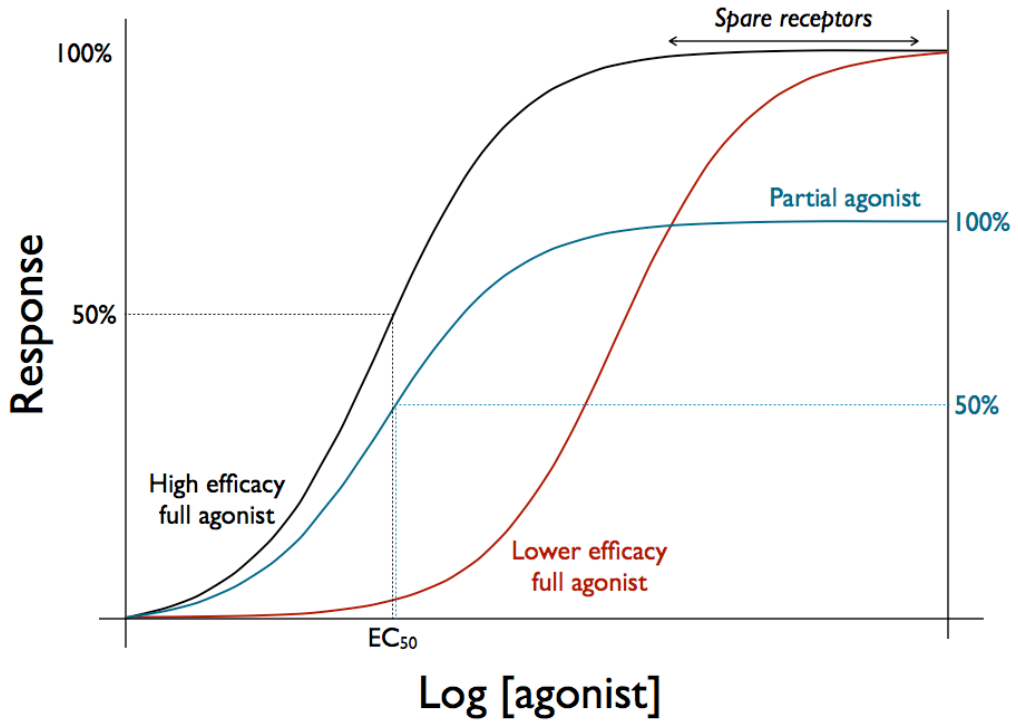
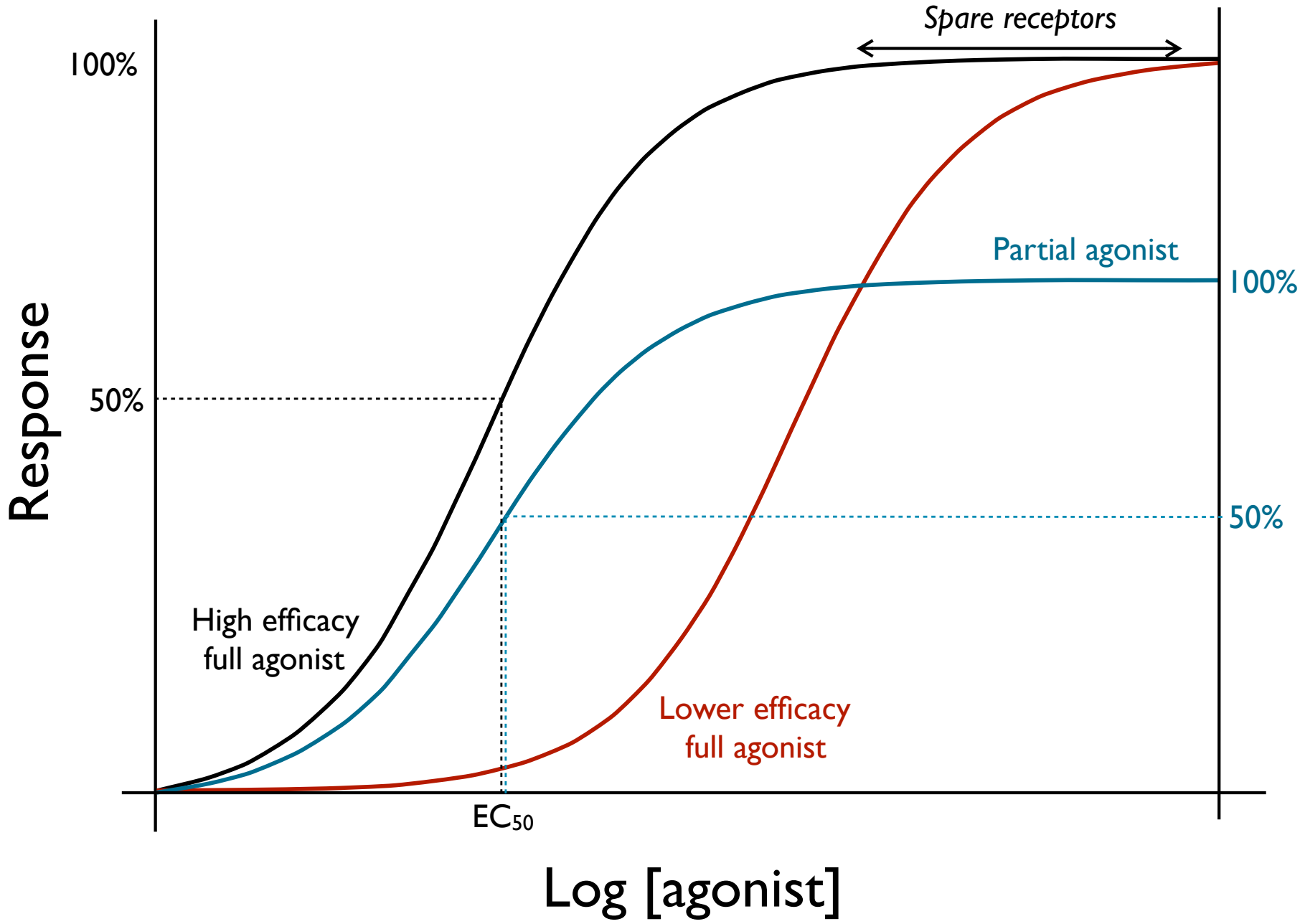
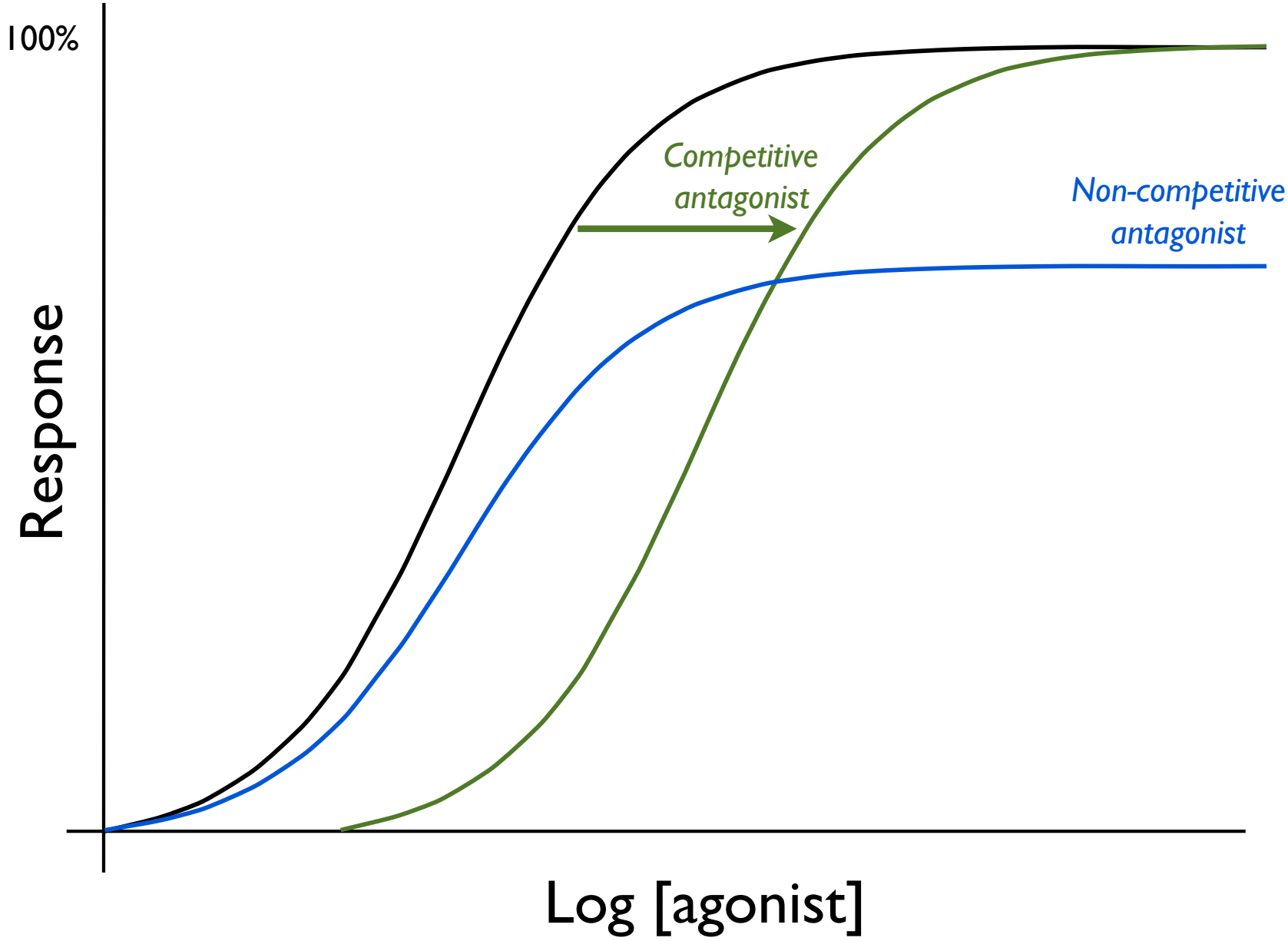



Spare receptors
100%
Response
50%
Partial agonist
100%
50%
High efficacy
full agonist
Lower efficacy
full agonist
EC50
Log [agonist]

Antagonist responses

- Binds with affinity and no efficacy
- **Competitive** = same binding site as agonist
  - Dissociates away
  - Can be overcome
- **Non-competitive** = irreversible (covalent)
  - Removes receptor once bound
  - Increasing agonist has no effect
- **Allosteric agonist / inhibitor** = binds at different site

Antagonist responses



Key points

- **G-proteins** are activated by GTP, causing subunit dissociation
- **Increased ionisation** of drugs reduces transmembrane passage
- **Zero order elimination** has a fixed amount removed per hour, with variable half-life
- **Competitive antagonists** can be overcome by increasing agonist concentration