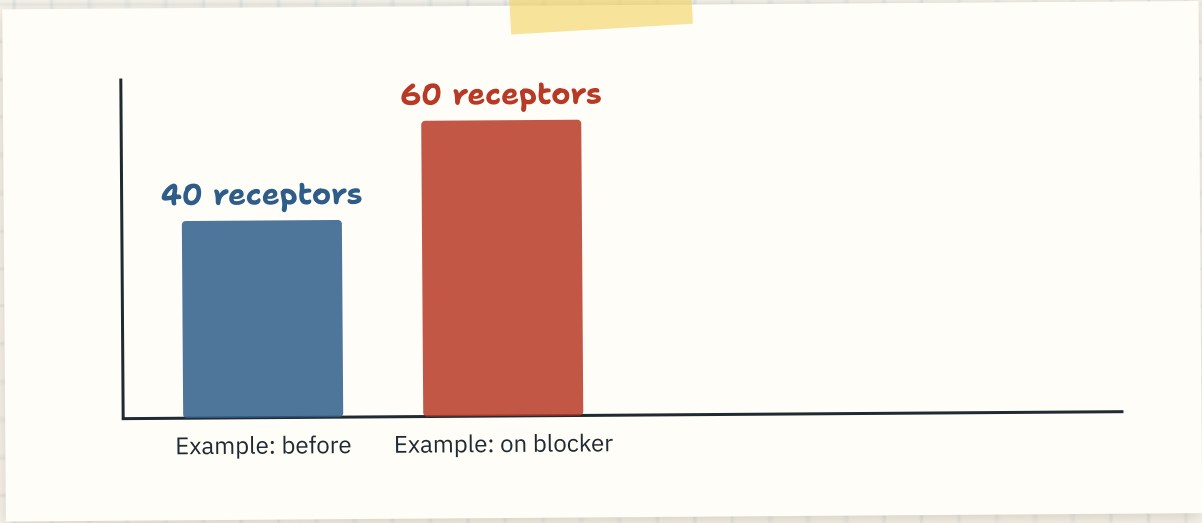
Receptor regulation

Desensitization, downregulation, upregulation



Step by step

1. Agonist bound: GRK phosphorylates the receptor
2. Beta-arrestin binds and blocks the G protein
3. Chronic agonist: receptors degraded, fewer made
4. Chronic antagonist: cell builds more receptors
5. Stop the antagonist abruptly: exaggerated response

Exposure	Receptors	Name
Repeated or continuous agonist	Uncoupled (GRK, arrestin)	Desensitization / tachyphylaxis
Chronic agonist	Fewer	Downregulation
Chronic antagonist	More	Upregulation

What agonist and antagonist exposure do

The rule

Chronic agonist, downregulation

Chronic antagonist, upregulation

Beta-blockers: taper, do not stop abruptly

Exam traps

- Desensitization is rapid uncoupling; downregulation is slow loss of receptors.
- Rebound after beta-blocker withdrawal needs no high catecholamine level: sensitivity is raised.
- Upregulation follows antagonists, not agonists.

Receptor desensitization, downregulation and upregulation

Video, written lesson, practice question and sources: medservatory.com/usmle-step-1/pharmacology-principles-autonomic/receptor-desensitization-downregulation-upregulation

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