

Drug Actions

1. 5 targets of drugs at the synapse.
2. Synaptic action of atropine.
3. Synaptic action of a Beta Blocker
4. Synaptic action of SSRI's.
5. Synaptic action of cocaine.
6. Synaptic action of methamphetamine.
7. How is marijuana able to inhibit most brain activity and cause a "high" at the same time?
8. How do opiates (heroin, morphine) decrease pain perception and cause a "high" at the same time?
9. How do alcohol and valium inhibit most brain activity and cause a "high" at the same time?

Answers:

Drug Actions

1. 5 targets of drugs at the synapse.
 - ***Block receptor**
 - ***Block a channel that normally opens in response to NT binding to a receptor**
 - ***Block degradation of NTs**
 - ***Block reuptake of NTs (thus keeps NTs in synaptic cleft and available for binding to receptors)**
 - ***stimulate excess NT release**
2. Synaptic action of atropine.
 - Blocks ACh from binding to muscarinic cholinergic receptors (thus, its effect to increase HR and BP)**
3. Synaptic action of a Beta Blocker
 - Block binding of NE to Beta 1 adrenergic receptors (thus, its effect is to decrease HR and BP)**
4. Synaptic action of SSRI's.
 - Block degradation of serotonin so that serotonin is bound longer to the receptor and increases its mood-regulating effects**
5. Synaptic action of cocaine.
 - Cocaine blocks the reuptake of dopamine. Thus, its effect is to increase the concentration of dopamine in the synaptic cleft and allow greater binding to the receptors.**
6. Synaptic action of methamphetamine.
 - Methamphetamines stimulate excess release of dopamine into the synaptic cleft. Thus, it overstimulates the receptors with dopamine.**
7. How is marijuana able to inhibit most brain activity and cause a "high" at the same time?
 - Marijuana stimulates GABA and dopaminergic neurons. Thus, marijuana causes relaxation and euphoria.**
8. How do opiates (heroin, morphine) decrease pain perception and cause a "high" at the same time?
 - Heroin mimics endorphins in our brain. Endorphins inhibit nociception in the brain and stimulate dopaminergic neurons.**
9. How do alcohol and valium inhibit most brain activity and cause a "high" at the same time?
 - They stimulate GABA (hence relaxing brain); inhibit glutamate (hence relaxing brain); and stimulate dopamine (hence feel-good).**
 - Note: alcohol withdrawals cause overexcitability of the brain because glutamate receptors upregulate and GABA receptors probably downregulate in response to alcohol abuse.**

Drug Tolerance

1. What is homeostasis?
2. Describe what happens to receptors when they are overstimulated.
3. Describe what happens to receptors when they are understimulated.
4. Why does a drug user need to increase dosage over time?
5. Why does someone addicted to pain medication feel more pain when they stop taking the pills?
6. Why does a cocaine addict feel extremely depressed when he comes down off the high?
7. Describe how insulin resistance has a similar physiology as drug tolerance.

Answers:

Drug Tolerance

1. What is homeostasis?

The body's ability to maintain a constant internal environment despite external changes. This helps understand why receptors upregulate and downregulate due to drug use.

2. Describe what happens to receptors when they are overstimulated.

Downregulate. It's like they say, "Stop stimulating me so much!!"

3. Describe what happens to receptors when they are understimulated.

Upregulate. It's like they say, "We need more of this neurotransmitter, so we will put out more receptors to catch whatever is out there more effectively."

4. Why does a drug user need to increase dosage over time?

A neuron will downregulate dopamine receptors (or other types of receptors) if the drug causes massive dopamine release at the synapse. Fewer receptors result in feeling less of a high in future uses of the drug. More of the drug is needed to stimulate the remaining receptors to get an adequate "high". Some types of neurons, and individual brain differences in different people, seem to downregulate more rapidly than others; hence, some drugs may lead to this effect more quickly than others.

A neuron will upregulate pain receptors if the drug blocks the receptors that normally respond to nociception. Since the receptors are upregulating, over time more and more of the drug is needed to block pain.

5. Why does someone addicted to pain medication feel more pain when they stop taking the pills?

They have upregulated their pain receptors in response to the drug. Their baseline level of pain perception is elevated from before they used the drug.

6. Why does a cocaine addict feel extremely depressed when he comes down off the high?

The dopamine supply has been exhausted. Also, since the cocaine user has downregulated his dopamine receptors, his baseline level of "feel-good" is much lower than before he used the drug.

7. Describe how insulin resistance has a similar physiology as drug tolerance.

Insulin receptors may down-regulate if consistently flooded with a lot of insulin. Then, more insulin is required to bind to enough receptors to achieve the needed effect—the lowering of blood sugar by allowing glucose to enter cells.