

An antagonist drug binds to the receptor and effectively blocks the normal body chemicals from binding and initiating the resulting action. In the heart we have beta receptors which, when triggered, stimulate the heart to beat harder and faster. We have all experienced this effect. Remember the last time someone startled you in the dark? I'll bet your heart was pounding. That "fight or flight reaction" was caused by the stimulation of beta receptors of the heart. In people who are hypertensive, causing the heart to beat harder and faster is the last thing we need. The drug atenolol is a beta blocker which acts as an antagonist drug at the heart's beta receptors. Once this blockade is in place, the heart rate and contractility are modulated.

Now, wait a second. Didn't I say that stimulating beta receptors in the lung could be good, but blocking them in the heart is also good? How can we stimulate one and not stimulate the other? Or block one and not the other? Enter the factor of receptor selectivity.

Through slight changes in properties, drugs can be created which will show differences in affinity for one receptor over another, while present at therapeutic blood levels. This means that atenolol can be given to patients with little danger of blocking the bronchodilation response of the beta cells in the lungs.

However, as the blood concentration goes up, selectivity goes down. The more you give, the less selectivity is seen clinically. It is possible to give so much drug that the clinical selectivity is gone. In this case, give enough atenolol and you'll see blockade of the bronchodilation mechanism too.

Antagonists can be further classified by the reversibility of their action at the receptor, and to the degree that they accomplish the blockade. Reversibility can be said to be one of two types, 1.) competitive, or 2.) irreversible. With a competitive antagonist, the effect is a temporary one, and the concentration of the drug is the determinant of the degree of blockade which will exist. The more of the drug which is present, the more blockade will occur.



Think of it this way, remember the game musical chairs you'd play as a child? Let's pretend we're back there now. Only instead of every child for himself we are playing in two teams. One team represents the drug which is acting as an antagonist at the receptor, and they wear red shirts. The other team represents the body's natural chemicals which will stimulate the receptor if they bind to it. They are wearing green shirts. Each team has eight members. There are 7 chairs, which will represent the receptors. When the music stops, there's green and red shirts flying everywhere. Somehow, more of one color than the other will wind up with their backside in the chairs, and that will determine the net results from our receptors.

Stimulation vs. no stimulation.

Let's say we change the numbers a bit. Instead of eight red players, we have twenty-eight competing against the eight green shirts. How do you think the results will come out this time? I'll bet the reds will get the most chairs! This is competitive antagonism