



THE ONLY SUPPLEMENTS YOU NEED TO GET THE BODY YOU WANT.

WHY CAFFEINE STOPS WORKING (AND WHAT TO DO ABOUT IT)



Ah, caffeine, the world's most popular psychoactive drug. Some call it a supplement but I'm a fan of calling a spade a spade.

It's well-researched, it's effective, and people have given it such affectionate names like "liquid gold" or "legal crack."

Regardless of what you call it, and its effects on people's commutes to work in the morning, caffeine is pretty wonderful from a research perspective as well. It has existed in our society for so long that it, as well as alcohol, got grandfathered into legality before we even had systems to judge what was legal to put in your mouth.

This led to a wealth of data on how it affects people because, well, we didn't even need to spend any money to get people to put it in their mouths; they did it on their own accord.

And this led to many properties of caffeine, the benefits and downsides, being well known even by those who have never touched a biochemistry book in their lives. I mean, how can you not know that you can become tolerant to caffeine?

Naturally, though, it's totally reasonable to not know why you become tolerant to caffeine. That's what we will be talking about in this article as well as whether or not this is something you want. You'll also see why, when it comes to whether you're caffeine sensitive or caffeine tolerant, you need to pick your side.

HOW DOES CAFFEINE WORK?

For most intents and purposes the actions of caffeine are as follows:

- ✓ Adenosine is a neurotransmitter that makes you sleepy.
- ✓ Caffeine goes to the adenosine receptor and blocks it.
- ✓ By preventing adenosine from acting on its receptor you "prevent the sleepy."
- ✓ This is perceived as increased wakefulness when you would otherwise be tired.

The above is actually a fairly accurate description of how caffeine acts in the body when it is used daily but, for the purpose of this article, we need to go a little deeper.

See, there isn't just "an" adenosine receptor.

The first time we found an "adenosine" receptor it was just that, a receptor that happened to respond to adenosine.

However, new receptors were found that also responded to adenosine and we couldn't just name them something else; they had to be some manner of adenosine receptor. That's why there are now receptor subtypes; just letters and numbers that come after the acronym.

Adenosine has a few receptors that respond to it primarily as well:

- ✓ Adenosine subtype 1 (A1 receptor class)
- ✓ Adenosine subtype 2 (A2 receptor class)
- ✓ Adenosine subtype 3 (A3 receptor class)

The A2 receptors can also be broken down another time, into A2A and A2B.

Caffeine acts on all these receptors the same way, being a placeholder that prevents adenosine from acting on the receptor (thus, blocking adenosine), but since each receptor is different, the actual effects you get from caffeine differ.

The reason that caffeine can reduce the feeling of sleepiness is largely accredited to it blocking the A1 receptor.

Caffeine can also block the A2A receptor. The A2A receptor normally prevents dopamine signaling from firing too strongly so, when it is prevented from doing its job, dopamine signaling becomes stronger.

For the purpose of this article we are only focusing on these two receptors; A2B and A3 are definitely relevant but research on them is less abundant than the others when we look at how caffeine affects the body; they seem to be more related to bone maintenance.

So, we've established that caffeine works on these two receptors and by acting on these two receptors we have different effects. Where does that play into tolerance and sensitivity to caffeine?

It's because these receptors don't just have different jobs, they respond differently to daily ingestion of caffeine.

WHAT IS CAFFEINE TOLERANCE?

Before we continue let's just quickly define some relevant terms:

Sensitivity refers to how much of a drug is needed to have an effect, with somebody who needs less of that drug being more sensitive to that drug. Somebody who needs 100 mg caffeine to get a buzz is more sensitive than somebody who needs 300 mg.

Tolerance is the opposite, the higher the dose needed to get a certain effect of a drug the more tolerant you are to the drug. In the above example, the person who needed 300 mg is more tolerant to caffeine than the person who needs 100 mg.

Withdrawal refers to how your body reacts when you stop taking a drug. The effects are, as a general rule of thumb, the opposite of what you get while "on" the drug. If you stop caffeine and start getting brain fog due to it that's withdrawal.

Dependency is a subjective rating of how bad withdrawal is and how likely it is to make you start taking the drug again to make the withdrawal go away. If you can easily suck it up you have a low dependency, and if you feel like you have to take the drug again so that withdrawal goes away then you have a high dependency.

With that out of the way, what is caffeine tolerance?

Caffeine tolerance, as we call it, refers to when caffeine just no longer gives you that "kick" that it once did. People who are caffeine tolerant no longer get stimulation from caffeine yet still take it because it helps eliminate sleepiness.

These feelings are in line with what we know about the receptors. The anti-sleep receptor A1 keeps chugging along no matter how much caffeine you drown it with while the dopamine-enhancing receptor A2A realizes its dopamine-enhancing job is becoming a threat to the cell and reduces its sensitivity.

When A2A shuts down, likely from the stress of caffeine breathing down her throat every damn waking moment, then both A2A and caffeine are removed from the picture. Sure, dopamine can still do dopamine things but A2A has no role anymore, nor does caffeine; the receptors end up, you could say, divorced.

Analogies aside, why does this happen? It's because dopamine can be pretty dangerous when left to its own devices and needs some failsafes in place.

This is also why caffeine, for being the world's most popular drug, is so damn safe. The fact that the A2A receptor shuts itself down is a built-in safety switch!

Furthermore, it's so effective at this that it even has a unique type of desensitization (a term used to refer to receptors becoming less sensitive). Caffeine has what is known as an insurmountable tolerance.

This means that, when tolerance hits, you cannot get the stimulation back by simply upping the dose of caffeine.

Ultimately, caffeine tolerance refers to when it can no longer influence dopamine signaling and those benefits are lost. The wakefulness-promoting effects are still intact but, as they still work as intended, you do not become tolerant to them.

HOW TO MAKE YOURSELF SENSITIVE TO CAFFEINE AGAIN

First rule of thumb when it comes to getting sensitivity back from a drug; stop taking the drug. The mechanisms in place in your mind that desensitize you to a drug will continue to chug along, as intended, for as long as that drug is still present.

When it comes to how long you need to stop using caffeine, and whether or not you can have even minimal amounts of caffeine during this time, it's hard to come up with a perfect solution that can apply to every reader.

How well caffeine can act, how your body responds to it, and how it is metabolized and eliminated from the body all change fairly drastically from one person to the next; not just due to genetics but also lifestyle.

Due to this, if you want accurate information on how long you need to stop caffeine for, you need to run some tests for yourself:

- ✓ Cease all caffeine use for at least two weeks (among the shortest times for resensitizing to caffeine).
- ✓ Then, once a week, ingest about 250 mg of caffeine in a single dose.
- ✓ Continue with once weekly dosing until it feels like caffeine is, once again, stimulating you to a high degree.
- ✓ If the benefits still don't come back then maybe two weeks cessation was a bit too short for you. Try a month next time.

DEALING WITH CAFFEINE WITHDRAWAL

If you want to become sensitive to caffeine again you have to stop using it for a while. This means you have to deal with the demon that is caffeine withdrawal.

How long caffeine withdrawal lasts varies from one person to the next, depending on how much caffeine you ingested and for how long, but generally speaking symptoms are sort of bad for only one or two days with lingering symptoms for up to two weeks.

Sedation and Lack of Focus

While the anti-sleep A1 receptor never really stops chugging, it does adapt a bit to chronic caffeine ingestion; more of the receptor is produced in an attempt to balance out the body which is an observation seen in people who habitually consume caffeine.

The reason your body does this is because it wants there to be a certain level of action through the A1 receptors and, if you are always blocking half of the activity, it needs to either sensitize the receptors (to make them more efficient) or make more of them to compensate.

If adenosine is a ship then chronic caffeine ingestion makes more ports for it to dock at. When you stop taking caffeine you now have more ports all ready to work with adenosine in causing sleepiness.

This means that you're gonna feel more tired for a while and, related to increased tiredness, less focus and attention.

Headaches

Caffeine is actually known for being a good anti-migraine/headache supplement, being able to quickly and effectively reduce blood pressure in the brain.

But the rule of thumb for withdrawal is "what the drug giveth, the drug taketh away" (at least 95% of the time). Whatever happens when you are on the drug there is a good chance the opposite will happen for a short duration when you go off the drug.

Which is why using caffeine reduces blood pressure in the brain and mitigates headaches, your body partially adapts to it, and when you stop using caffeine, this partial adaptation means there is increased blood pressure in the brain and a propensity for headaches.

THE BENEFITS OF BEING SENSITIVE TO CAFFEINE

When you are sensitive to caffeine (you use it infrequently enough that A2A is not desensitized), then caffeine basically just becomes a dopamine-based stimulant.

It's simple when you put it that way but dopamine-based stimulants are highly valued; to the point where amphetamines are still abused despite their illegality and some companies may try to slip them in your supplements and hope the FDA doesn't catch them.

This is because you can get some really nice benefits with them.

- ✓ Acute benefits like cognitive alertness and performance are seen at a much higher magnitude when you use caffeine acutely, but not chronically.
- ✓ Improved reaction time is also seen with caffeine, although at not too high of a dose (300 mg rather than 600 mg, perhaps related to jitters).
- ✓ Improved power output in short duration (3 minute) events, peak anaerobic exercise (6 second wingate sprints) and weightlifting; with a side of less muscle soreness.

Of course, if you succeed in getting dopaminergic-like effects from caffeine then side effects are going to go hand-in-hand. Desensitization is the emergency safety switch of caffeine and, if your body did not need to pull it, then anxiety and jitteriness is totally possible.

THE BENEFITS OF BEING TOLERANT TO CAFFEINE

When you are sensitive to caffeine it can be treated as a potent dopaminergic stimulant, one that increases euphoria and makes you want to go out and do stuff.

Of course, to come with the benefits of a potent stimulant means to accept the downsides of it as well. When sensitive to caffeine then side effects such as anxiety and jitteriness are more common.

In contrast, daily caffeine ingestion is more of a "leveling the hills and valleys" effect to stimulation.

When somebody is tolerant to caffeine, when compared to those who are sensitive, a single dose of caffeine will be inherently less stimulating and the differences in stimulation between varying doses of caffeine seem to be normalized.

Yet, at the same time, caffeine itself seems to be perceived as a better stimulant the more you take it. It seems like the brain adapts to always get a small amount of stimulation and focus from caffeine and then works to keep the magnitude the same.

So for somebody who doesn't want to get buzzed every now and then but simply wants a smooth ride when they have their coffee on the way to work, tolerance is the way to go. This is also recommended for things that require precision where jitters can ruin your work, like with fine motor skills.

Only real issue here is sleep.

Now, obviously if you are sensitive to caffeine and decide to take 400 mg an hour or two before bed you're going to have a bad time. Caffeine, however, is a bit more insidious than that.

Even if you consume caffeine daily, and are tolerant to its effects, 400 mg of caffeine six hours before bed impairs sleep quality and 200 mg taken in the morning (16 hours before bed!) may interact negatively with sleep in a subtle manner.

It's why we included rutaecarpine in our Lunar product. The human evidence for rutaecarpine isn't as robust as we would like right now but, hey, it's the only real option we have right now for speeding up caffeine's elimination from the body.

THE BOTTOM LINE ON CAFFEINE TOLERANCE

If you use caffeine infrequently, it is a relatively potent dopamine-based stimulant. It can cause euphoria, improve general mood, and (secondary to the effects of dopamine) increase strength during your workouts.

If you use caffeine daily the dopamine-based potency fades away and you're left with a small, but consistent, elevation in mood from caffeine usage. However, while consistently beneficial to a small degree it may also consistently impair sleep to a small degree.

To get the maximal benefits of caffeine you need to choose your side and go all-in on it. Trying to get the best of both worlds, retaining caffeine sensitivity while using it daily and at doses that improve strength, seems pretty impossible right now.

Oh, and if you're looking for a convenient source of caffeine, either for daily or intermittent use, might I suggest Pulse?

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