Grapefruit juice and drug interactions? [1]

Dear Alice,

I heard somewhere that drinking grapefruit juice with vitamins and/or prescription medicine is harmful. Is this true?

Answer

Dear Reader,

Although grapefruit and its juices are rich sources of vitamin C and potassium, they can have adverse interactions with certain medications. In fact, there are over 85 drugs that this citrus may interact negatively with and the number of known interactions increases every year. These happen because grapefruit and its juices can make it hard for an enzyme called CYP3A4 (located in the small intestine) to break down and metabolize certain drugs. The outcome? Too much or too little of the drug in the body. It's also good to note that other citrus fruits such as Seville oranges, limes, pomelos, and tangelos have been identified as having the same chemical compound culprit as grapefruit, so if you're advised to avoid grapefruit, you may want to ask about these fruits as well. Read on for the juicy details!

When grapefruit and certain drugs interact, one potential outcome is that too much of the drug remains in the body. Although it hasn't been officially determined, the suspected culprit is bergamottin — a natural chemical known as furanocoumarin, which is present in both grapefruit flesh and peel — which can act as an inhibitor of the CYP3A4 enzyme. As a result, medication metabolized by CYP3A4 that interacts with grapefruit isn't fully able to break down, which increases the amount of drug in the bloodstream. Thus, the dose required to achieve a necessary concentration in the blood is lowered. This could make it easier to overdose on these medications unintentionally. This is specifically applicable to drugs known as statins (used to lower cholesterol levels) such as atorvastatin, or those used for high blood pressure such as felodipine or nifedipine. Some medications for anxiety and depression, such as sertraline and bupropion, can also be affected by grapefruit ingestion, and may lead to heightened side effects.

Although it seems counterintuitive, it's also possible to run the risk of decreasing levels of certain drugs in the body when consuming grapefruit. Some medications require the assistance of proteins (also known as “drug transporters”), which help move a drug into cells for absorption.
Grapefruit can block the action of the transporters, which in turn decreases the amount of the drug in the body and may cause the drug not to work as effectively. This is because when the active ingredient in the medication isn't absorbed, not enough of it is present in the bloodstream to have its intended effect. Drugs such as fexofenadine, which are used to treat allergies, are some that often have this interaction.

Other medications that may produce adverse interactions when taken alongside grapefruit include, but aren’t limited to:

- Cardiovascular medications
- Psychoactive drugs
- Organ transplant rejection drugs
- Immunosuppressants
- Antibiotics
- HIV medications
- Cancer medication
- Anti-arrhythmia medications
- Antihistamines
- Urinary tract medications

While this list is broad, not every one of these medications is destined to interact negatively with grapefruit, in part because concentrations of CYP3A4 differ body to body, meaning that the interaction may play out differently depending on the person. If you’re curious whether it’s safe to consume grapefruit or its juices with your medication, you can check for information provided on the packaging or additional handouts that come with prescription and over-the-counter medications to see whether grapefruit should be avoided. You may also consider consulting with your health care provider or a pharmacist who can provide you with more information about the risks of consuming grapefruit or its juices when using certain drugs. If you’re not willing to cut grapefruit out of your diet, your health care provider may be able to advise you about alternative yet effective drugs that may be right for you and won’t lead to adverse interactions.

Cheers to some freshly squeezed information!

Alice!

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