When Healthy Turns Dangerous: Pharmacokinetic Implications of Grapefruit Juice and Statins

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You are an ER nurse about to meet your next patient, Mrs. Stephens. She is an obese 57-year-old woman who has arrived at the ER with her daughter. Her chart indicates she has a normal body temperature, although her blood pressure is a little elevated.

"Good evening, Mrs. Stephens. Can you tell me what's going on today?"

Mrs. Stephens presses her hand to her chest and says, "I'm feeling dizzy, and my heart is beating funny. What if I'm having a heart attack?"

You are concerned and quickly ask, "Are you having any pain in your chest, or maybe your shoulder, neck, or arm?"

"Yes, my arm hurts," she replies.

"Your left arm?" you ask.

"Actually, both arms. They ache, like I've been working out. But I haven't!" Mrs. Stephens says, rubbing her arms.

"Well, let's see if we can figure out what's going on. The doctor will be in soon, but while we're waiting, can you tell me about any medications you're taking?" you ask.

"Oh, I don't take anything really. But there is that one new medicine my doctor prescribed...I can't think of what it is...," she says.

"Mom, isn't it your cholesterol medicine?" asks her daughter.

"Oh that's right! Let me see...it makes me think of liposuction," Mrs. Stephens mumbles, thinking to herself.

"Is it Lipitor?" you ask.

"Yes! That's it! I've been taking it for a couple of months. I feel fine, but the doctor said my cholesterol is too high, and I also need to work on getting my weight down. Too many of my homemade pies!" Mrs. Stephens laughs.

"Anything else different in the past few days?" you ask.

"Not really. Although I did try that diet my neighbor was talking about. It's easy; you just drink a large glass of grapefruit juice with every meal, and the weight is supposed to drop off. I think it's helping, because I've already lost four pounds," Mrs. Stephens says.

"Thanks, Mrs. Stephens. This information is very helpful. The doctor will be right in."

You excuse yourself to talk with the doctor, although you already have an idea of what might be going on. Sure enough, she confirms your suspicions, and comes to talk to Mrs. Stephens.

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"Mrs. Stephens, we're going to do some bloodwork to check, but all of the grapefruit juice you're drinking is probably inhibiting your cytochrome P450 liver enzymes, especially CYP3A. As a result, the Lipitor you're taking is building up in your bloodstream instead of being processed correctly. We'll get the bloodwork done, and you should be on your way soon. You'll be fine, just stay off the grapefruit juice," Dr. McPherson says. She leaves to attend to her next patient.

Mrs. Stephens looks confused. "I really don't understand what the doctor just said. Grapefruit juice is so healthy! How can it be bad for me?"

You need to make sure you have your facts straight to help explain the situation to Mrs. Stephens and her daughter. Answer these questions to make sure you can have a good conversation with your patient.

Questions

- 1. What is the grapefruit diet, and is there research data to support its effectiveness?
- 2. What is an enzyme?
- 3. What kinds of reactions do cytochrome P450 enzymes like CYP3A carry out?
- 4. Based on how P450 enzymes modify their substrates, how does this affect the water solubility and excretion (in the urine) of the substrates?
- 5. How are P450 drugs involved in the normal pharmacokinetics of drugs like Lipitor?
- 6. What kinds of drug side effects can be seen if a patient's P450 enzymes are not functioning at a normal level, through their own unique biochemistry or through other drug or substance interactions?
- 7. What is an enzyme inhibitor? What is furanocoumarin, and what is its relationship to grapefruit?
- 8. How do grapefruit and grapefruit juice affect P450 enzyme activity? How does this result in a higher concentration of Lipitor in a person's blood?
- 9. What is the link between an increased concentration of Lipitor and the muscle pain Mrs. Stephens is experiencing?
- 10. What might happen if Mrs. Stephens continues the grapefruit diet while taking Lipitor, despite the doctor's recommendations?
- 11. Have a conversation with Mrs. Stephens about the grapefruit diet and medication interactions. Perhaps suggest options to help her with her weight and cholesterol that do not involve grapefruit juice. Complete the script below and practice out loud:

Mrs. Stephens, let me explain why Dr. McPherson has asked you to avoid grapefruit juice. Grapefruit juice interacts with your medication in this way: _______. As a result, the medication can build up in your body and cause _______. If you continue both together, it can be dangerous. Grapefruit juice is healthy, but in this case, while taking Lipitor, you should avoid it.

Here is a resource you can use for more information about grapefruit juice and drug interactions:

Here are other ways you can manage weight and cholesterol without the grapefruit diet: