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NSAID

1 message

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To: grossoehmen2@mailbox.winthrop.edu

Tue, Mar 21, 2017 at 7:43 AM

Thanks for filling out **NSAID**

Here's what we got from you:

NSAID

These questions are not in order. You are strongly encouraged to read the whole article before taking this quiz.

Your email address (grossoehmen2@mailbox.winthrop.edu) was recorded when you submitted this form.

What does NSAID stand for?

What reactions are catalyzed by the two COX active sites?

Which drugs produces a covalently modified COX enzyme?

The paper says that COX-1 is a constitutive isomer. what does this mean?

What physiological roles does COX-1 play?

The physiological level of Cox-2 is usually very low. What factors are responsible for regulating the levels of Cox-2?

cytokines, intracellular messengers, availability of substrate

What are the major differences between the active sites of COX-1 and COX-2? Why are these differences important?

Size - this allows scientists to develop inhibitors that cannot effectively bind to the COX-1 active site because it is much smaller.

What amino acid side chain gets modified by aspirin in COX-1? How about COX-2?

Serine 530; Serine 516

Which enzyme is more effectively inhibited by aspirin?

- COX-1
- COX-2

Inhibitors of COX-2 (and not COX-1) can be designed due to the natural differences in amino sequences between the two enzymes. Specifically, the COX-1 amino acids histidine and isoleucine are replaced with _____ and _____ in COX-2.

- serine
- alanin
- valine
- arginine
- leucine
- lysine

Which enzyme performs a normal "housekeeping" function (i.e. is necessary for normal cellular activity)?

- COX-1
- COX-2

Give three examples of molecules that can dramatically increase the cellular level of COX-2.

cytokines, intracellular messengers, substrate availability

Inhibition of which enzyme results in anti-inflammatory activity with side effects in the stomach and kidney?

- COX-1
 COX-2

A NSAID with a COX-2/COX-1 ratio of 100 has a high propensity for causing gastrointestinal damage.

- True
 False

What is an IC50? You may need to look outside of this article to find a good answer.

The concentration of drug the is necessary to inhibit 50% of the enzyme activity

Which NSAID in Table 1 is the best inhibitor of COX-2?

Celecoxib

Which NSAID in Table 1 is the least likely to cause gastric damage?

Refocoxib

Celebrex and Vioxx are both selective inhibitors of which enzyme?

- COX-1
 COX-2

In 2004, Merck withdrew Vioxx from the market. Why? What serious risk was observed in patients using Vioxx?

serious cardiovascular events

Which drug in Table 3 is the best inhibitor of each enzyme?

	COX-1	COX-2	COX-3
Acetaminophen	<input type="radio"/>	<input type="radio"/>	<input type="radio"/>

	COX-1	COX-2	COX-3
Aminopyrine	<input type="radio"/>	<input type="radio"/>	<input type="radio"/>
Antipyrine	<input type="radio"/>	<input type="radio"/>	<input type="radio"/>
Dipyrrone	<input type="radio"/>	<input type="radio"/>	<input type="radio"/>
Phenacetin	<input type="radio"/>	<input type="radio"/>	<input type="radio"/>
Aspirin	<input type="radio"/>	<input type="radio"/>	<input type="radio"/>
Diclofenac	<input type="radio"/>	<input type="radio"/>	<input checked="" type="radio"/>
Ibuprofen	<input type="radio"/>	<input type="radio"/>	<input type="radio"/>
Indomethacin	<input checked="" type="radio"/>	<input type="radio"/>	<input type="radio"/>
Caffeine	<input type="radio"/>	<input type="radio"/>	<input type="radio"/>
Thalidomine	<input type="radio"/>	<input type="radio"/>	<input type="radio"/>

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