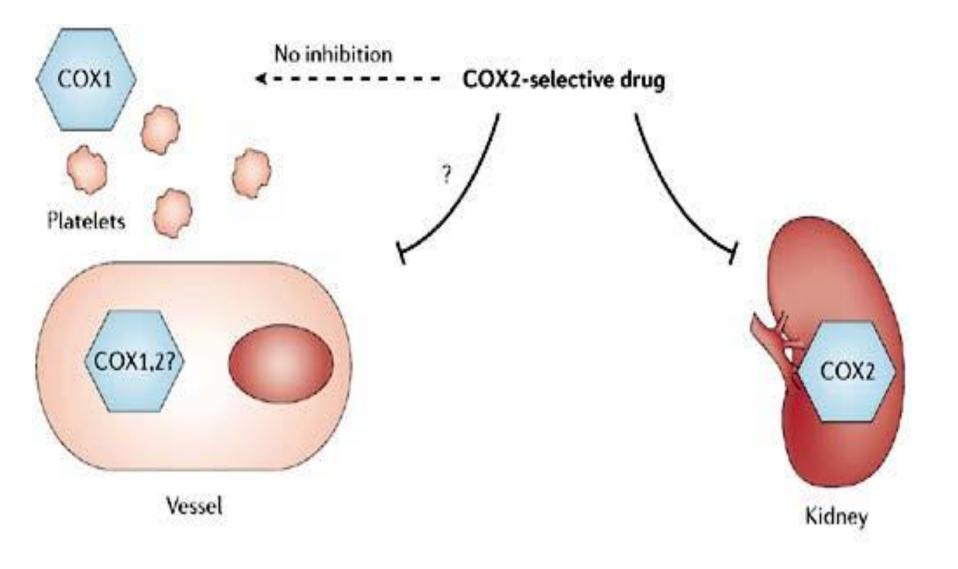
Selective COX-2 inhibitors

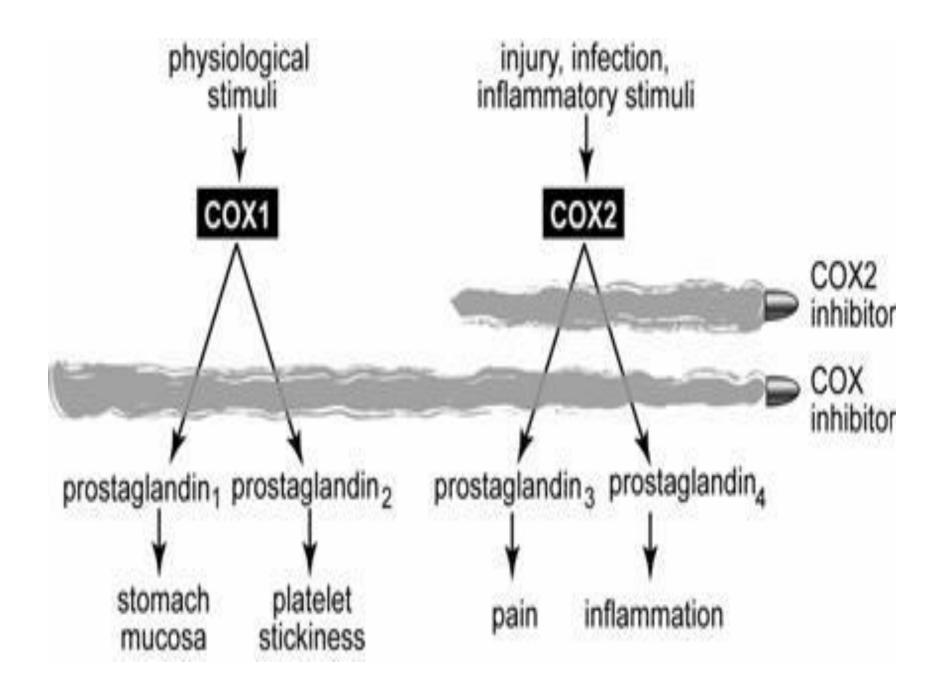
DR SHAMS SULEMAN

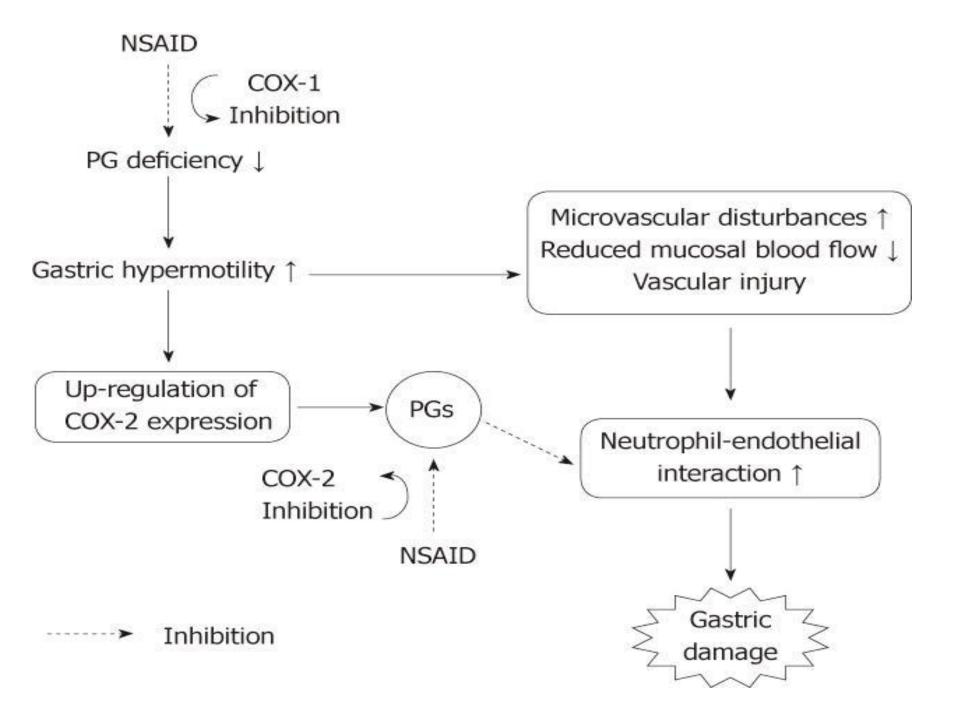
LEARNING OBJECTIVES

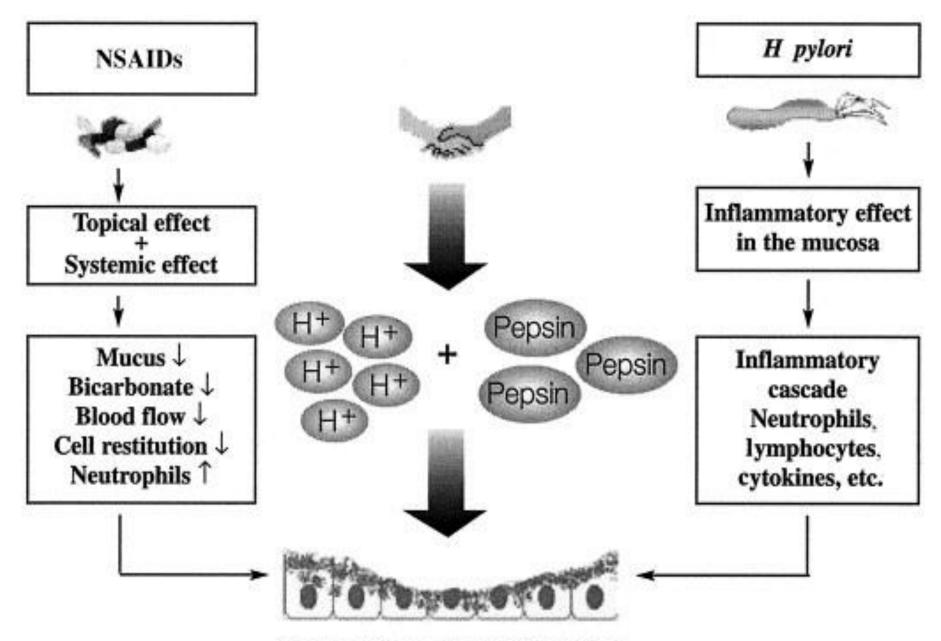
- Describe mechanism of action of selective COX-2 Inhibitors
- Describe the clinical uses of selective COX-2 Inhibitors
- Describe the adverse effects of selective COX-2 Inhibitors
- Describe the merits and demerits of of selective COX-2 Inhibitors and non selective COX-2 Inhibitors



Copyright © 2005 Nature Publishing Group Nature Reviews | Drug Discovery







Mucosal Damage and Ulceration

COX-2 SELECTIVE INHIBITORS

CLASSIFICATION

- Celecoxib
- Rofecoxib
- Valdecoxib
- Parecoxib
- Etoricoxib
- Lumaricixib

Highly COX-2 Selective		Moderately COX-2 Selective	
Generic	Brand	Generic	Brand
Etoricoxib	Arcoxia	Celecoxib	Celebrex
Lumiracoxib	Prexige	Etodolac	Lodine
Parecoxib	Dynastat	Meloxicam	Mobicox
Rofecoxib	Vioxx		
Valdecoxib	Bextra		

Selective COX 2 inhibitors

Advantages:

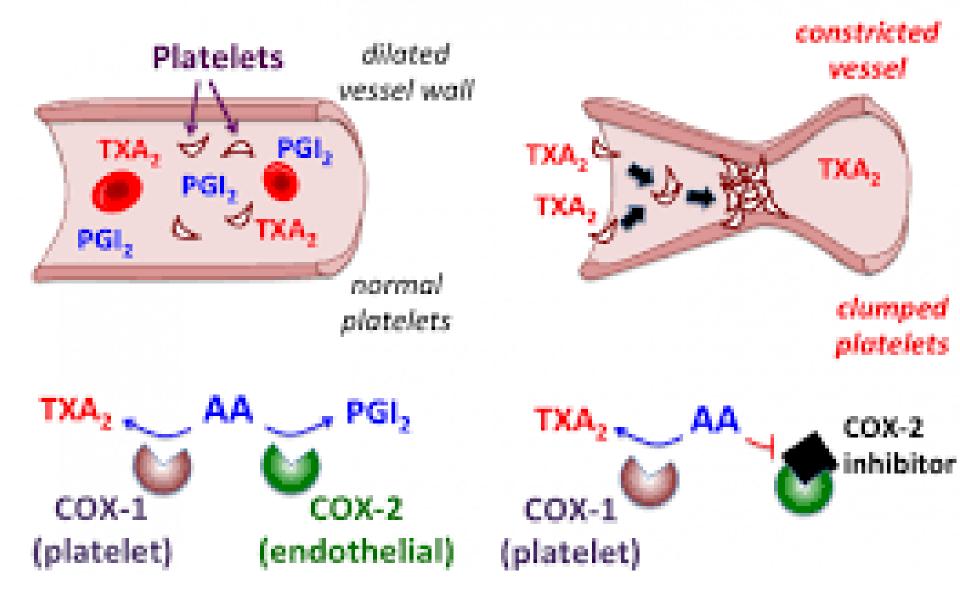
- Highly selective inhibitors to COX2 enzyme.
- 2. Potent anti-inflammatory.
- 3. Have analgesic & antipyretic properties.
- 4. Highly bound to plasma proteins.

Selective Cox 2 inhibitors

- 5. Lower incidence of gastric upset.
- 6. No effect on platelet aggregation (COX1).
- 7. Renal toxicities (they are not recommended for patients with severe renal insufficiency).
- 8. High incidence of cardiovascular thrombotic events with some of them as ROFECOXIB.

Selective COX-2 Inhibition & Enhanced CV Risk

(The Thrombonane/Prostacyclin Imbalance Hypothesis)



BASIC PHARMACOLOGY

- Inhibit prostaglandin synthesis by the COX-2 isozyme
- Analgesic, antipyretic and anti inflammatory effects
- No effect on platelet aggregation
- No cardio protective effect

CELECOXIB

- Highly selective COX- 2 inhibitor.
- Half life is 11 hrs
- Metabolized mainly in the liver
- Effective in rheumatoid arthritis and osteoarthritis.
- Less production of peptic ulcer
- Inhibit COX 2 mediated prostacyclin synthesis in vascular endothelium- platelet aggregation

REFERENCES

Basic & Clinical Pharmacology, 14th Edition: Bertram G. Katzung

Katzung & Trevor's Pharmacolog: Examination & Board Review, 12th Edition

Lippincott illustrated review Pharmacology: 6th Edition

Email address for queries on the topic

drshams11@hotmail.com