

Chapter 20

解热镇痛抗炎药

Teaching goals

- 1、简述阿司匹林的作用、用途、不良反应。
- 2、简述对乙酰氨基酚的作用特点。
- 3、比较解热镇痛药与氯丙嗪在降温方面的作用和应用。
- 4、比较解热镇痛药与镇痛药在镇痛方面的作用和应用。

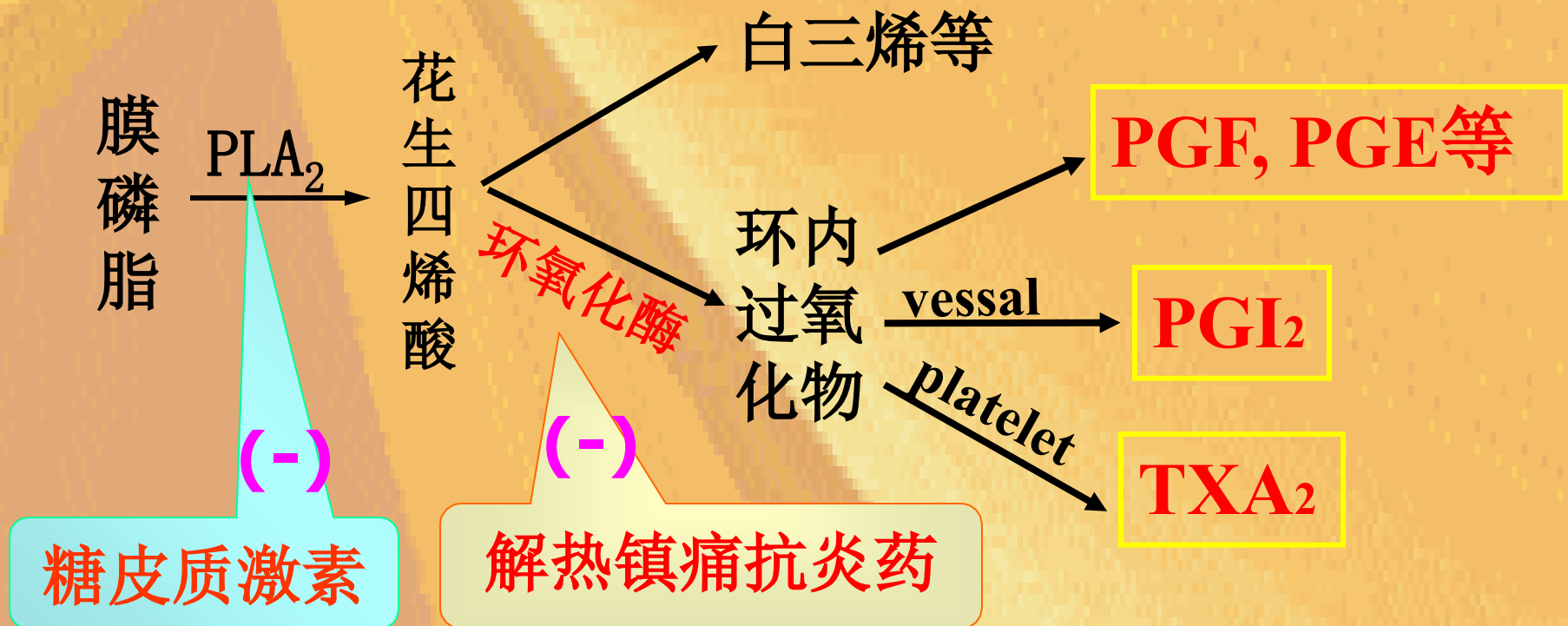
§1 Introduction

【Definition】

1. -have strong antipyretic effects
2. -have analgesic effects
3. -some have anti-inflammatory and anti-rheumatic effects.

(一类具有解热、镇痛，且大多数还有抗炎、抗风湿作用的药物)

【mechanism】



甾体抗炎药

SAIDs

non-steroidal anti-inflammatory drugs

非甾体抗炎药NSAIDs

PG:

★ a group of autacoids

★ - lead to inflammation, pain and fever.

(是自体活性物质，可致炎、致痛、致热)

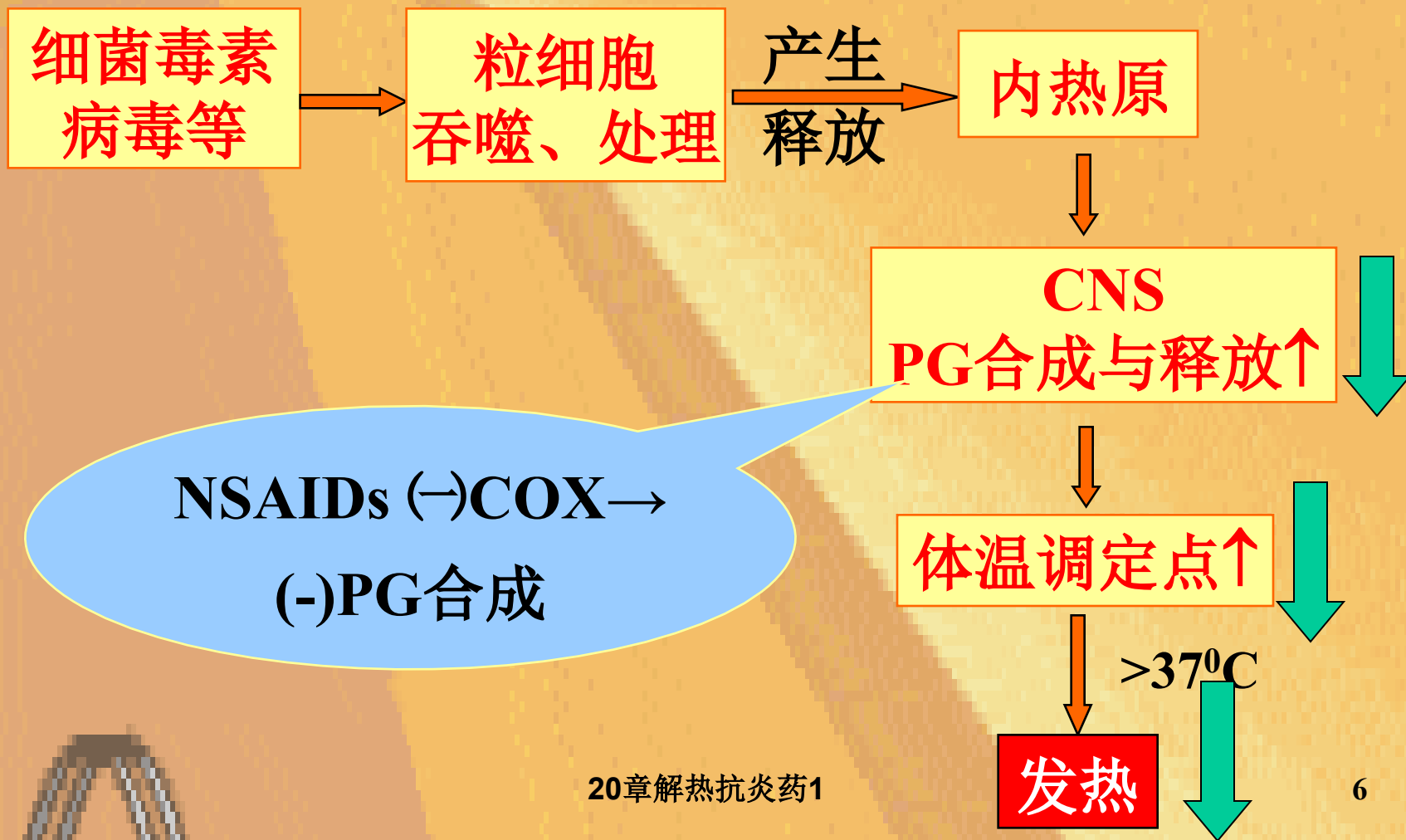
NSAIDs → (-)环氧化酶 (**COX**)

→ 前列腺素 (PG) 合成↓

→ 解热、镇痛、抗炎

【common pharmacological actions】

一. Antipyretic action (解热作用)



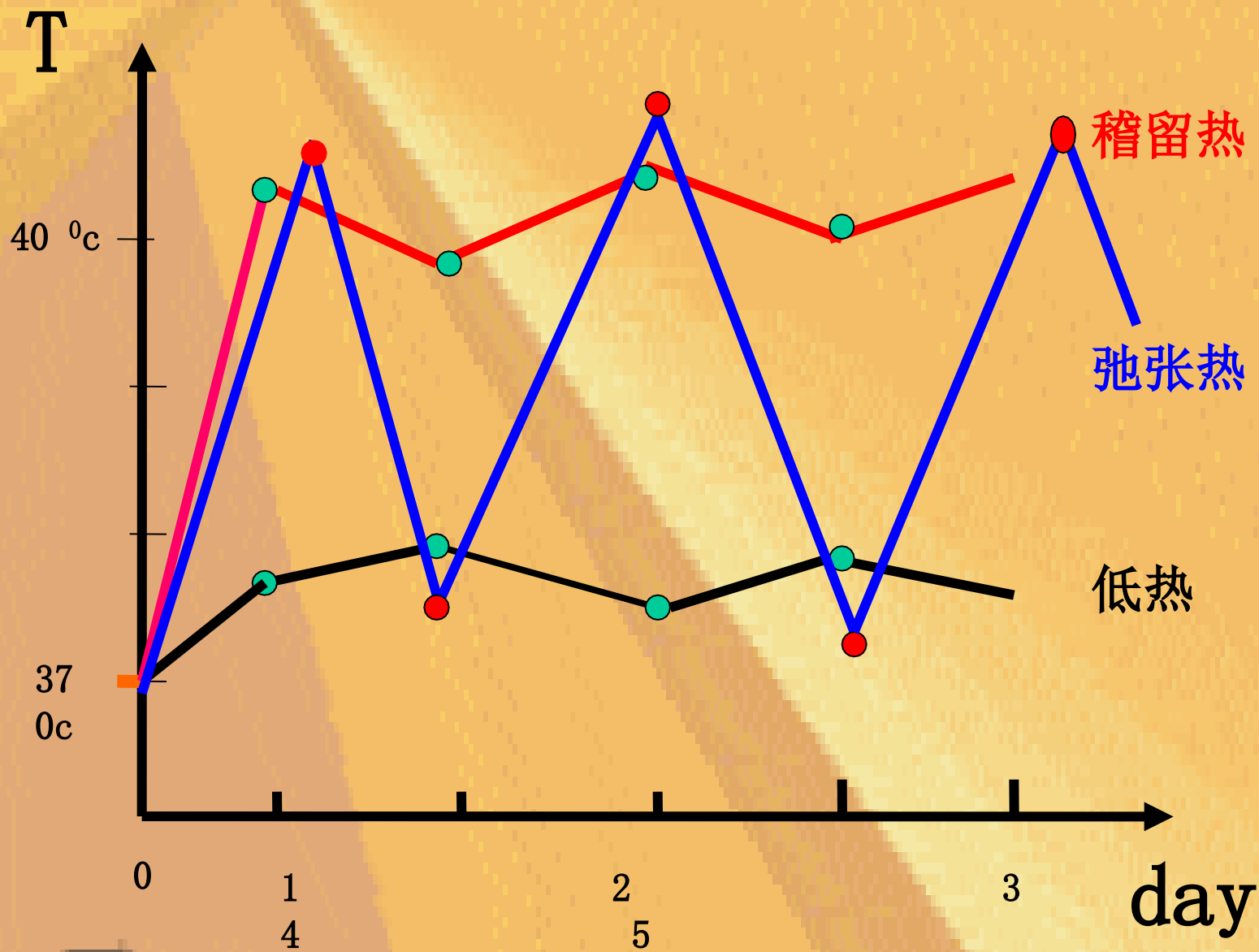
Properties :

1. temperature of patients with fever ↓
(只降发热者体温)
2. independent of environment temperature
(降温作用与环境温度无关)
3. increase heat dissipation mainly.
(主要增加散热)

Meaning of pyretic (发热利弊) :

Advantages :

1. -enhance the defense function.
(提高机体防御功能)
2. diagnosis of diseases
(热型是诊断的依据).



disadvantages :

high fever → CNS function disorders

e.g. convulsion(惊厥)

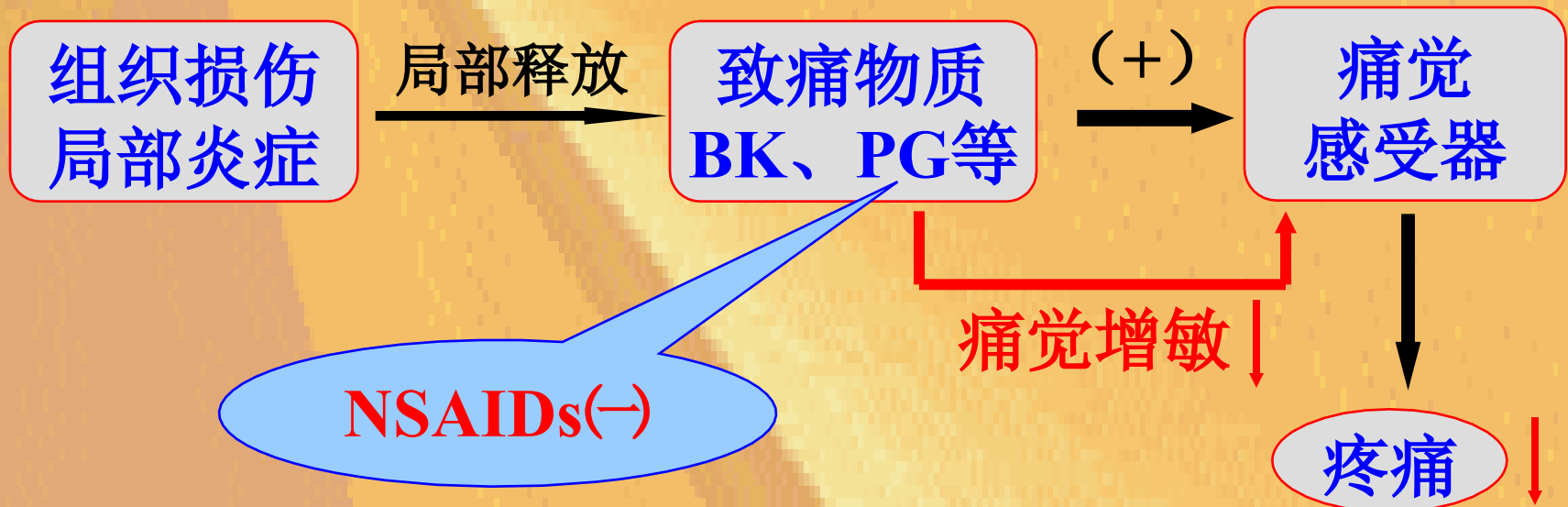
durative fever → consume physical energy

(持续发热, 消耗体能)

Uses & notes

1. $T > 39^{\circ}\text{c}$ (especially for children)
2. durative fever that has been diagnosed clearly. (诊断明确的持久发热)
3. fever with obvious pain.
(发热伴明显疼痛者)
4. symptomatic treatment only
(仅为对症治疗)

二. Analgesic action (镇痛作用)



NSAIDs \rightarrow (-) COX \rightarrow PG synthesis \downarrow

\rightarrow PG致痛及痛觉增敏 \downarrow \rightarrow analgesia (镇痛)

Properties :

- 1) moderate analgesics (镇痛作用中等)
- 2) -be well for chronic pain and inflammatory pain (炎性疼痛及慢性钝痛效佳)
- 3) no addiction and no respiratory depression (不成瘾，不抑制呼吸)

三. Anti-inflammatory action (抗炎作用)

Prostaglandins (PG) :

1. - dilate blood vessels. (扩血管)
2. \uparrow vascular permeability (血管的通透性 \uparrow)
e.g. tissue edema
3. synergy with other inflammatory mediators.
(协同其它炎症介质的作用)

NSAIDs \rightarrow (\ominus) COX \rightarrow PG \downarrow

\rightarrow antiinflammation

Properties :

- 1. symptomatic treatment only**
e.g. relieve redness, swelling, heat and pain
(对症治疗, 可明显改善红、肿、热、痛)
- 2. -do not arrest the progression of pathological injury to tissue and occurrence of complications.**
(不能阻止病程进展及合并症的发生)
- 3. Acetaminophen has no anti-inflammatory action.**
(苯胺类药无抗炎作用)

COX:

COX { COX-1: 结构型, 血管、胃、肾等
COX-2: 诱导型, 损伤性刺激诱导其作用

NSAIDs { 非选择性环氧酶抑制药
选择性环氧酶抑制药

§2 Non-selective COX inhibitors

Classification of drugs:

水杨酸类: aspirin (阿司匹林)

苯胺类: acetaminophen (对乙酰氨基酚)

吲哚类: indomethacin (吲哚美辛)

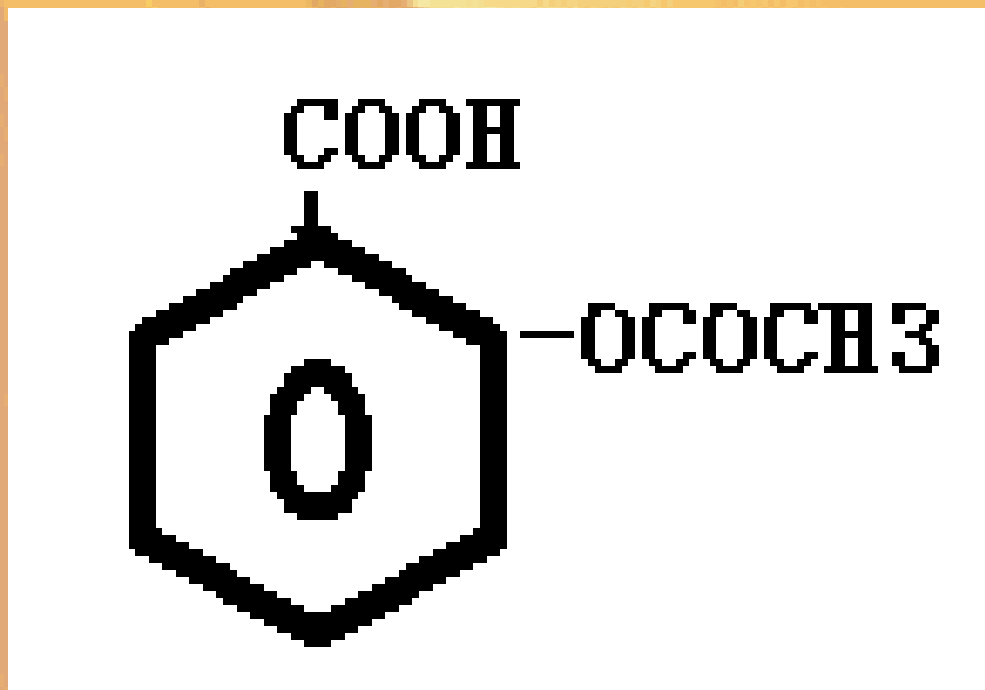
芳基丙酸类: ibuprofen (布洛芬)

其它类: 双氯芬酸、保太松等

I. Salicylates (水杨酸类)

Aspirin (阿司匹林)

Acetylsalicylic acid (乙酰水杨酸)



【pharmacokinetics

- -be absorbed rapidly.
- distribution wide ---- salicylate
(水杨酸盐分布广泛)

3. elimination(消除) :

doses < 1g : **first – order kinetics**

doses > 1g : **zero – order kinetics**

4. urine pH influence its excretion.

urine pH ↑, the excretion of aspirin ↑

(尿液 pH ↑, aspirin 排泄↑)

【 actions and uses 】

1. Antipyretic, analgesic and antirheumatic actions (解热、镇痛、抗风湿)

1. common cold and fever (感冒、发热)

2. chronic pain: headache, toothache,
muscular pain, dysmenorrhea
(头痛、牙痛、肌肉痛、痛经等)

3. rheumatic and rheumatoid arthritis
(风湿性、类风湿性关节炎)

2. Anti-platelet effect (抗血小板作用)

(-)PG synthetase in platelet → thromboxane (TXA₂)

synthesis ↓ → prevents platelet aggregation

(抑制PG合成酶 → TXA₂ ↓ → 抑制血小板聚集)

Uses:

at low doses

Prevention and treatment of thromboembolic diseases (防治血栓性疾病)

Note :

aspirin

低浓血小板 → (-)环氧酶 → $\text{TXA}_2 \downarrow$ → 抗血小板聚集

高浓血管壁 → (-)环氧酶 → $\text{PGI}_2 \downarrow$ → 促血小板聚集

TXA_2 : 血栓素----促血栓形成

PGI_2 : 前列环素----抗血栓形成

3. 儿科用于皮肤粘膜淋巴结综合征（川崎病）治疗

【 Adverse reactions 】

1. Gastrointestinal reactions: gastric ulcer (胃溃疡)

Stimulates gastric mucosa
directly (直接刺激胃粘膜)

(+) CTZ

(-) PG synthesis

nausea(恶心)

vomiting(呕吐)

bleeding(出血)

Prevention : 餐后服、同服抗酸药、肠溶片

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