

APREMILAST- FLASH CARD

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Mechanism of Action

- Phosphodiesterase-4 (PDE-4) inhibitor
- PDE-4 is a key enzyme in the degradation of cyclic AMP (cAMP)
 - Apremilast inhibits PDE-4, thus increasing cAMP levels in immune and non-immune cells which in turn decreases pro-inflammatory cytokines
 - It binds to toll-like receptor-4 (TLR4) in peripheral blood mononuclear cells, further reducing production of pro-inflammatory cytokines.

Indications

FDA approved

- Psoriatic Arthritis and Moderate To Severe Plaque
- Psoriasis
- Oral ulcers associated with Behcet's Disease

Off-label

- Nail and scalp psoriasis
- Palmo-plantar psoriasis
- Alopecia areata
- Lichen planus
- Atopic dermatitis
- Granulomatous dermatoses including cutaneous sarcoidosis
- Recurrent aphthous stomatitis
- SAPHO Syndrome
- Vitiligo
- Chronic actinic dermatitis
- Hidradenitis suppurativa
- Pityriasis rubra pilaris
- Discoid lupus erythematosus
- Rosacea
- Lepra Reaction

Dosage

Adult: 30 mg twice a day orally

Pediatric: 30 mg once a day or 20 mg twice a day

- An upward titration of the dose is recommended to decrease gastrointestinal side effects.

- Starting at 10 mg OD on day 1, 10 mg bid on day 2, increasing by 10mg/day reaching up to 30 mg bid on day 6.

** Few companies have a starter pack for dosing of apremilast **

- In the Indian scenario, up dosing is tailored as per tolerability and indications

Availability and average cost

- INR 300 - 400 for strip of 10 tablets (30 mg)
- INR 110 for strip of 4 tablets (20mg)
- INR 90 for strip of 4 tablets (10mg) tablet

Contra-indication

- Hypersensitivity to drug
- Pregnancy and lactation
- Relative contraindication includes a history of depression or suicidal ideation.
- Administration of live vaccines during therapy with apremilast is contraindicated.

Pregnancy / lactation

- Category-C
- Newer rating : Moderate-high risk. (well-controlled studies not present)
- It is not known if apremilast or its metabolite is secreted in breast milk or not.

Adverse effects

- Most common: nausea /vomiting, diarrhea
- 2nd most common: headache and nasopharyngitis
- Upper abdominal pain
- Hypersensitivity and drug rash
- Depression and suicidal ideation in 1% of patient
- Rare Cutaneous side effects: Lichenoid Reaction, Majocchi purpura, Hyperpigmentation, Lentigenes on resolving psoriatic plaques.
- Weight loss > 10%: stop drug
- Antiemetics & loperamide can be used to improve tolerability

Drug interactions

- Decrease levels: co-administration with potent CYP450 inducers like Rifampin, phenobarbital, carbamazepine and phenytoin
- Increased levels: BRAF inhibitor (eg: dabrafenib)

Pre work-up

No specific recommendations available.

- Complete blood count
- Liver function test
- Renal function test
- Serology

Monitoring

- *No specific monitoring guidelines available*
- Look for any signs and symptoms of infections and significant weight loss.
- Watch for side-effects including GI and psychiatry symptoms