Chemistry Project

Sildenafil

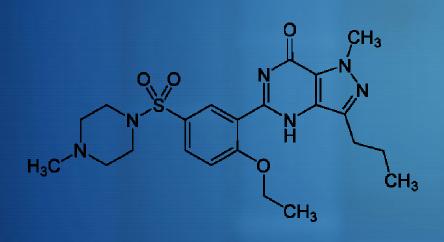
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Introduction:

- Sildenafil (Viagra)
- ♦ Treat erectile dysfunction and pulmonary arterial hypertension (PAH)
- 1-[[3-(6,7-dihydro-1-methyl-7-oxo-3-propyl-1*H*-pyrazolo[4,3-*d*]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methylpiperazine citrate





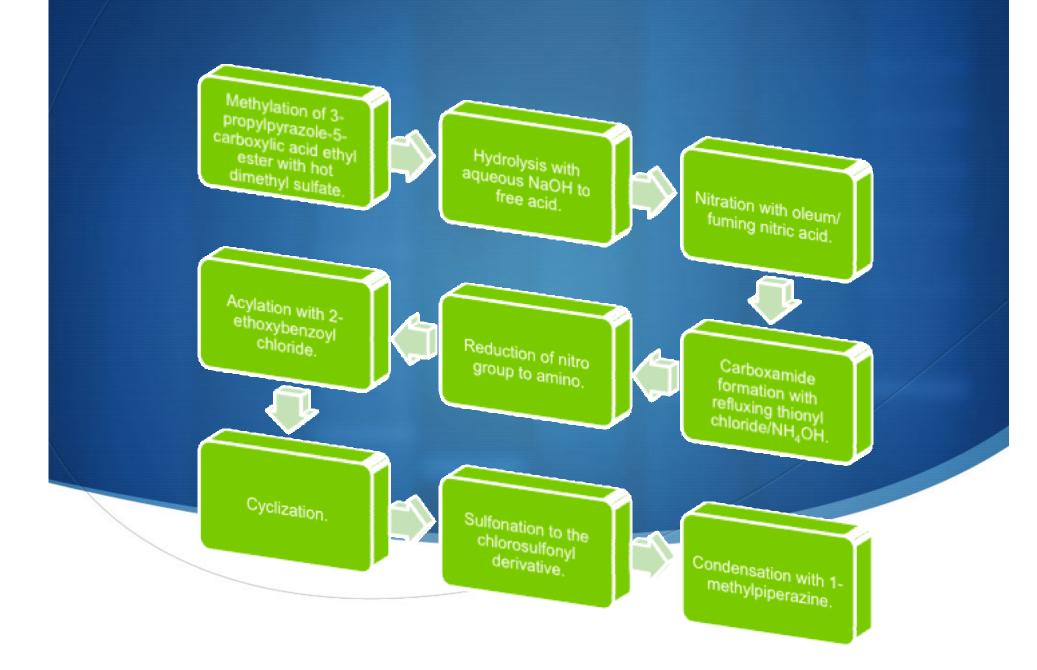
Compound Discovery:

- initially studied for use in hypertension (high blood pressure) and angina pectoris (a symptom of ischaemic heart disease).
- Phase I clinical trials reveal that the drug had little effect on angina, but that it could induce marked penile erections.
- decided to market it for erectile dysfunction, rather than for angina

Molecular Modification:

- ♦ Active ingredient : sildenafil citrate
- Inactive ingredients: microcrystalline cellulose, anhydrous dibasic calcium phosphate, croscarmellose sodium, magnesium stearate, hypromellose, titanium dioxide, lactose, triacetin, and FD & C Blue #2 aluminum lake.

Formulation development:



Adverse effects:

Adverse Event	Percentage of Patients Reporting Event
Headache	16%
Flushing	10%
Dyspepsia	7%
Nasal Congestion	4%
Urinary Tract Infection	3%
Abnormal Vision	3%
Diarrhea	3%
Dizziness	2%
Rash	2%

Approval for market:

- cure organic, psychogenic, and mixed erectile dysfunction.
- first oral therapy indicated for erectile dysfunction
- works only in response to sexual stimulation and restores natural function.
- nonsurgical and noninvasive
- are taken only on an as-needed basis as a single dose