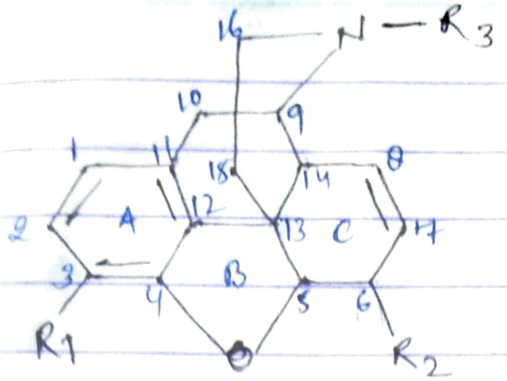
OPOID SAR



- Planner st required for receptor binding
- ring A and basic nature of N-R3 is essential for activity
- 3rd OH is imp for analgesic activity. If it is replaced by OCH_3 or OC_2H_5 then its analgesic activity is decreased but antitussive activity is increased eg. codiene, ~~Heroin~~
- Esterification of 3' OH group → Act ↑ mainly onset of action due to lipid solubility ↑
  eg. Heroin

B-Ring

If chain open then Act ↓

C-Ring

6-OH is not very imp

- If it replaced by C=O and 7-8 C is saturated then Act ↑↑
- ~~Eg~~ substitution at 14th position Act ↑↑ if at 6th position C=O is present and 7-8 C bond is saturated
- At 9th position 3° N is necessary for receptor binding

If N-CH_3 then agonist
N-C_{2-5} - antagonist
N-$C_{more\ than\ 5}$ - agonist

- C ring is imp for pharmacokinetic activity