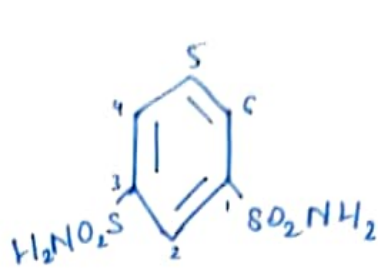
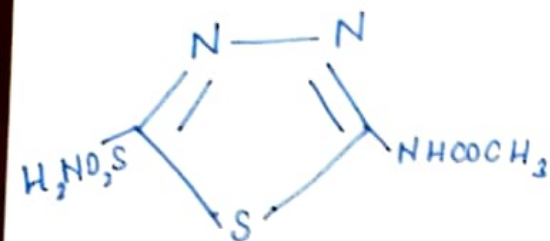


SAR of Carbonic Anhydrase inhibitor →

CAase Inhibitor

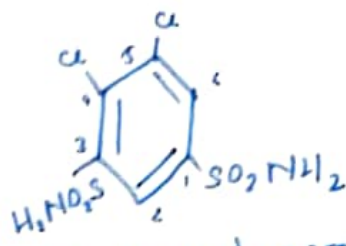
Heterocyclic
sulphonamides

meta-disulphamoyl
benzene derivatives



1,3-disulphamoyl
benzene

Inactive



Dichlorophenamide

unsubstituted
sulphamoyl
moiety

Essential for
activity

Sulphamoyl
moiety
↓
Reduced \bar{e} similar
electrophilic grp
[carboxyl / carbonyl]

↑ safety
substitution on 4th
position [Cl, Br, (F₃NO₂)]

↑ activity

- Sulphamoyl group → Important for activity
- Substitution of sulphamoyl → Inactive compound
- Sulphamoyl group most attached to aromatic structure
- N-alkylation with methyl grp → Active compound [eg. Methazolamide]

- Heterocyclic sulphonamide
 - either partition coefficient
 - lowest pKa value
- ↑ diuretic activity