

PHARMACOLOGY-III

UNIT-II

TOPIC: Cotrimoxazole.



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COTRIMOXAZOLE

The fixed dose combination of *trimethoprim and sulfamethoxazole* is called cotrimoxazole. Trimethoprim is a diaminopyrimidine related to the antimalarial drug pyrimethamine which selectively inhibits bacterial dihydrofolate reductase (DHFRase).

Thus, human folate metabolism is not interfered at antibacterial concentrations of **trimethoprim**.

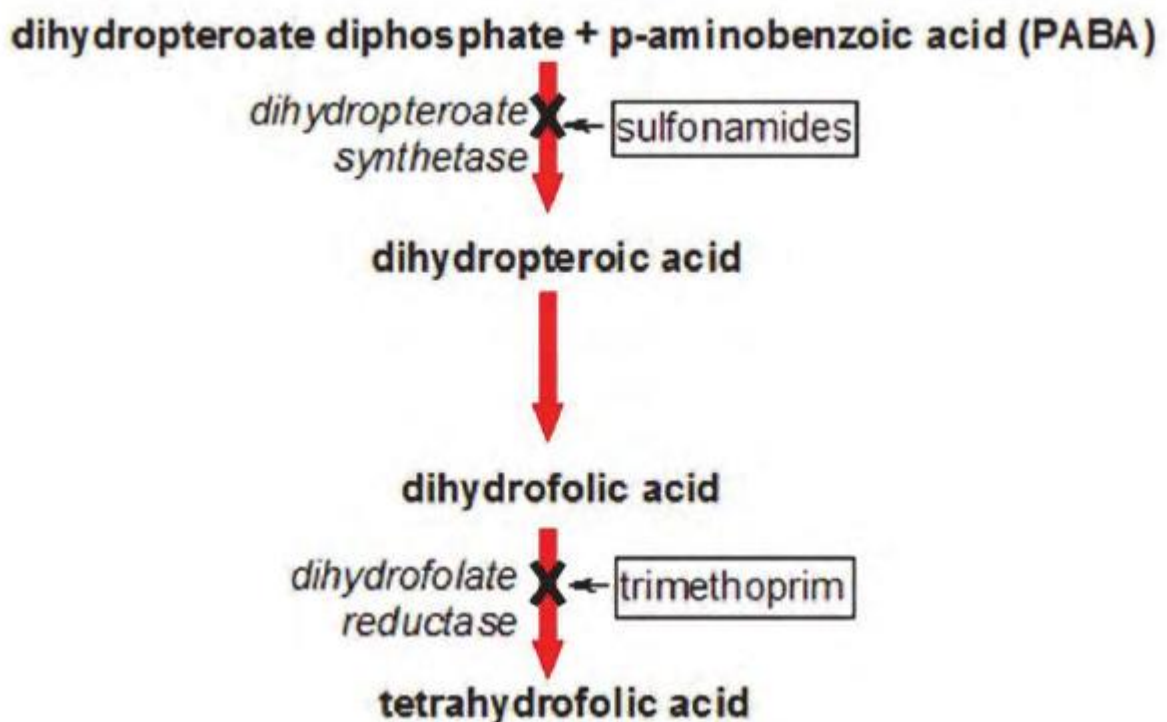
Individually, both sulfonamide and trimethoprim are bacteriostatic, but the combination becomes cidal against many organisms.

Sulfamethoxazole was selected for combining with trimethoprim because both have nearly the same $t_{1/2}$ (- 10 hr). Optimal synergy in case of most organisms is exhibited at a concentration ratio of sulfamethoxazole 20 : trimethoprim 1, the MIC of each component may be reduced by 3-6 times. This ratio is obtained in the plasma when the two are given in a dose ratio of 5 : 1, because trimethoprim enters many tissues, has a larger. Cotrimoxazole volume of distribution than sulfamethoxazole and attains lower plasma concentration.

However, the concentration ratio in many tissues is less than 20 : 1 .

Trimethoprim adequately crosses blood-brain barrier and placenta, while sulfamethoxazole has a poorer entry. Moreover, trimethoprim is more rapidly absorbed than sulfamethoxazole-- concentration ratios may vary with time.

Trimethoprim is 40% plasma protein bound, while sulfamethoxazole is 65% bound. Trimethoprim is partly metabolized in liver and excreted in urine.



Uses

- 1 . Urinary tract infections
2. Respiratory tract infections
3. Typhoid
4. Bacterial diarrhoeas and dysentery
5. Pneumocystis
6. Chancroid