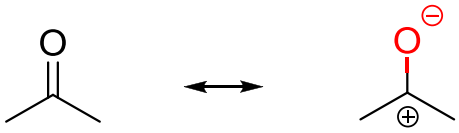
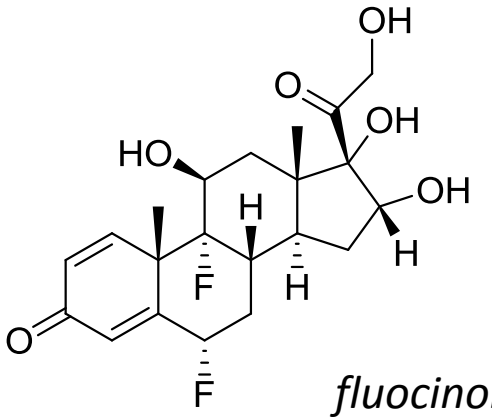
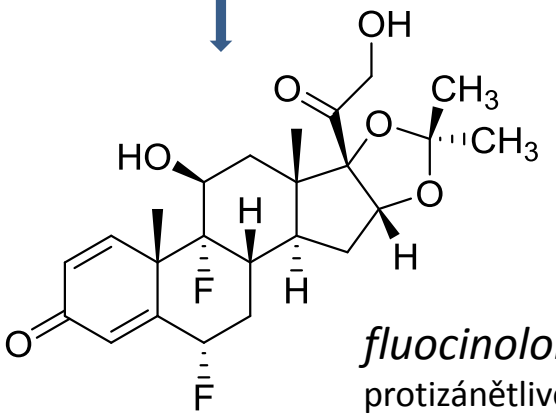
3. Aldehydy a ketony



- maskování (příliš) polárních skupin v léčivech: “prodrugs”
- kompenzace příliš hydrofilních částí molekuly - lepší schopnost projít buněčnou membránou

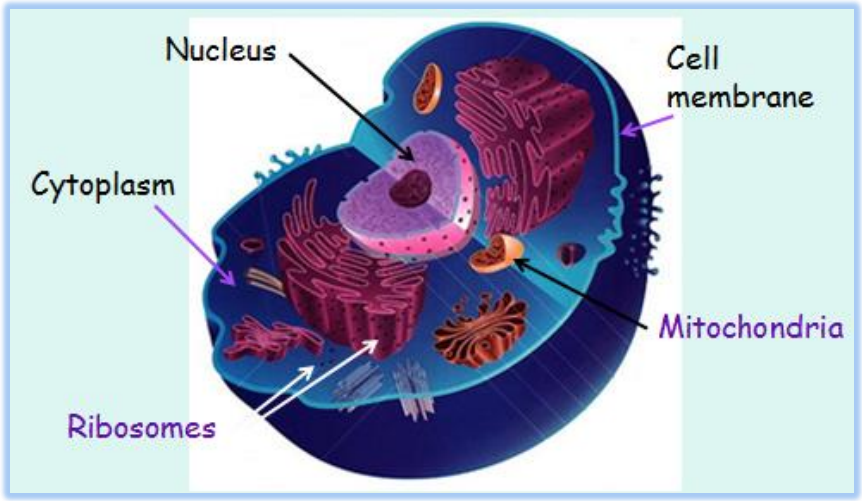


fluocinolone

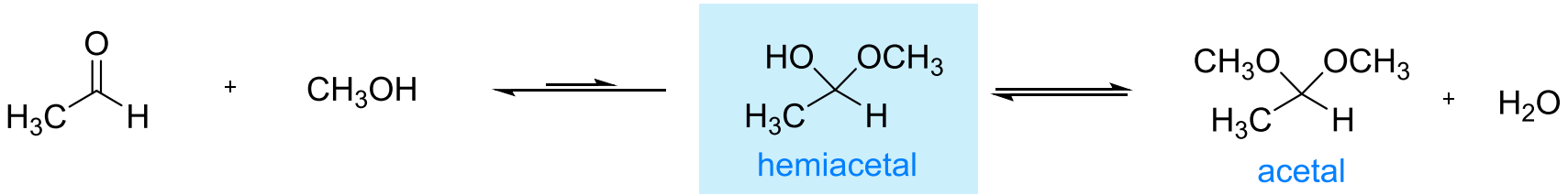


fluocinolone acetonide

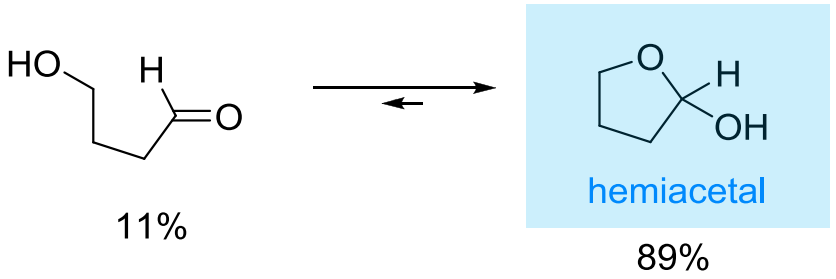
protizánětlivé účinky – aplikace v dermatologii



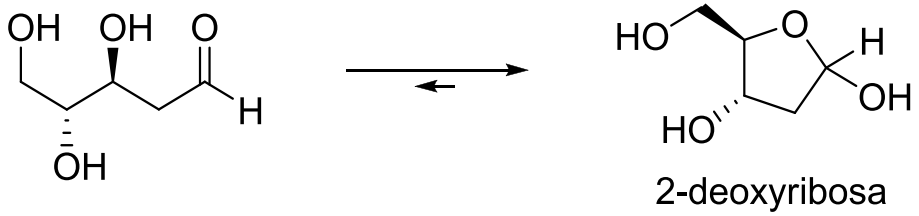
- Hemiacetaly v biologických systémech

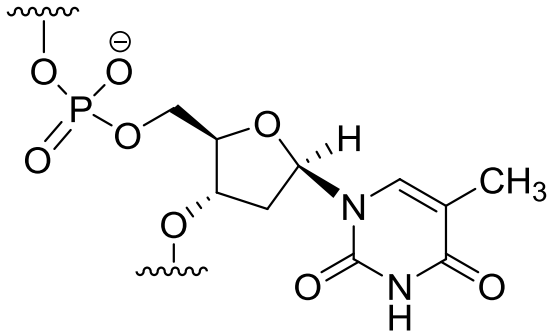


typicky nestabilní



relativně (termodynamicky) stabilní

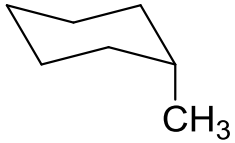


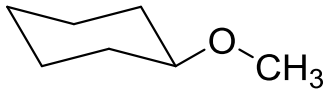


nukleotid (monomer DNA)

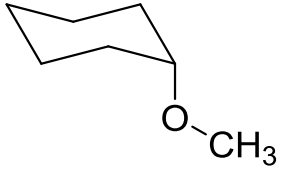
- Anomerní efekt

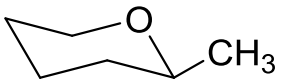
stabilnější



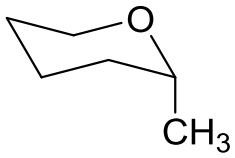


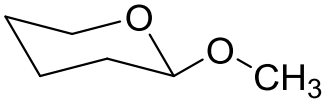
stabilnější

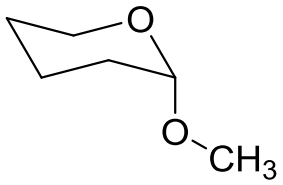




stabilnější

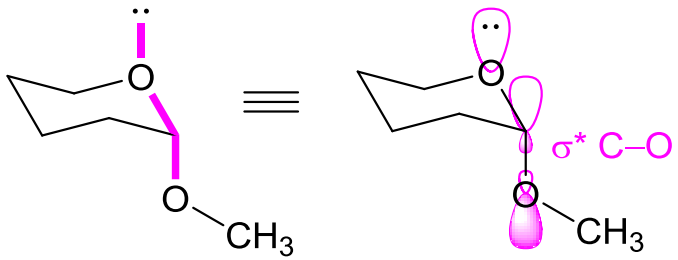






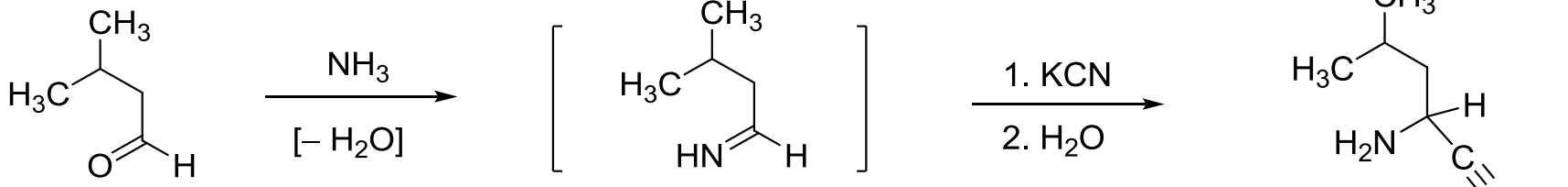
stabilnější

Anomerní efekt

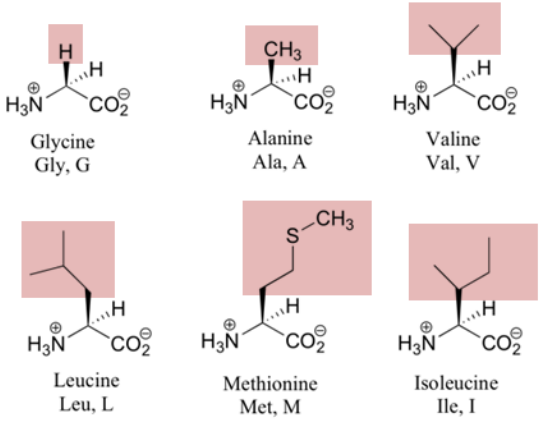


antiperiplanární orientace

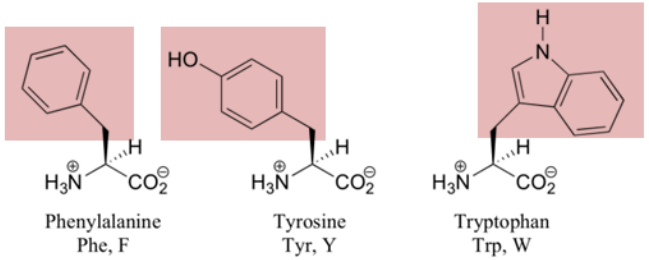
Laboratorní syntéza aminokyselin



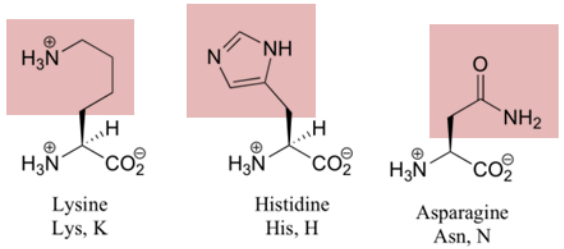
Nonpolar, aliphatic side groups



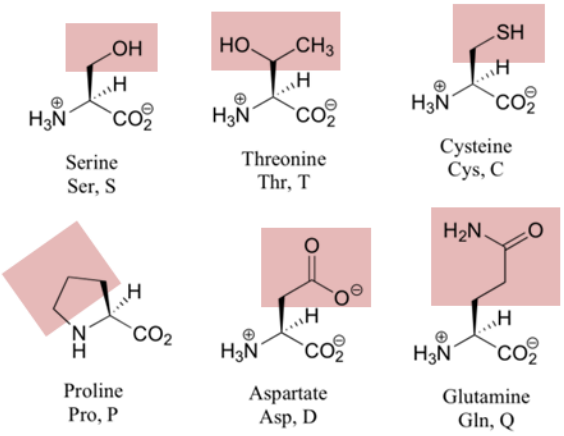
Aromatic side groups



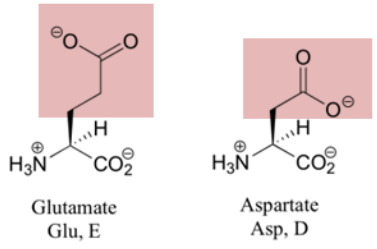
Positively charged side groups

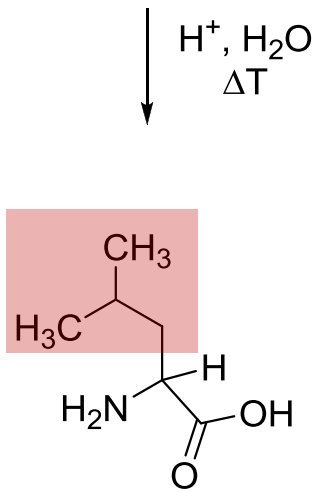


Polar, uncharged side groups



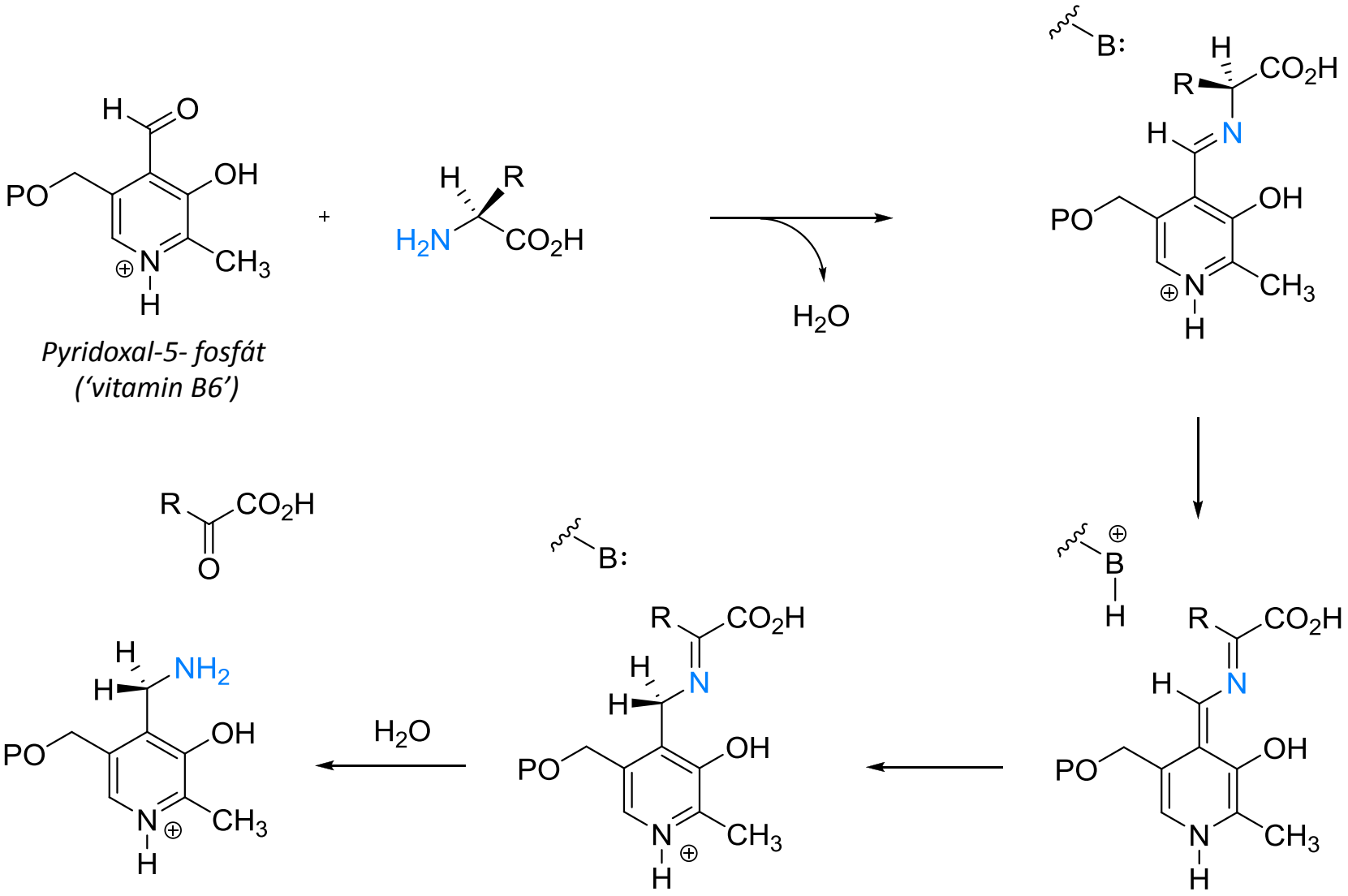
Negatively charged side groups





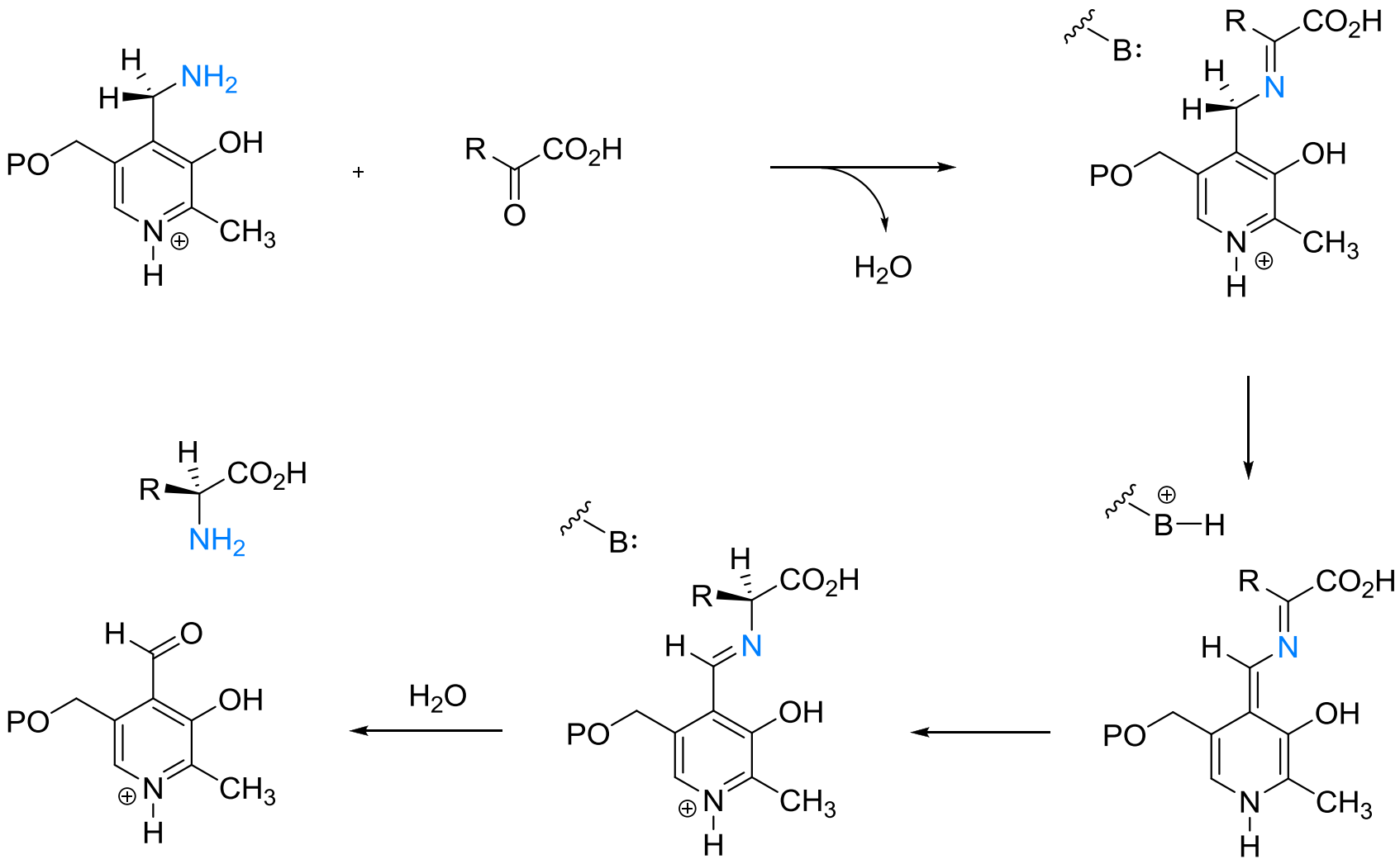
Leucin

Deaminace v biologických procesech



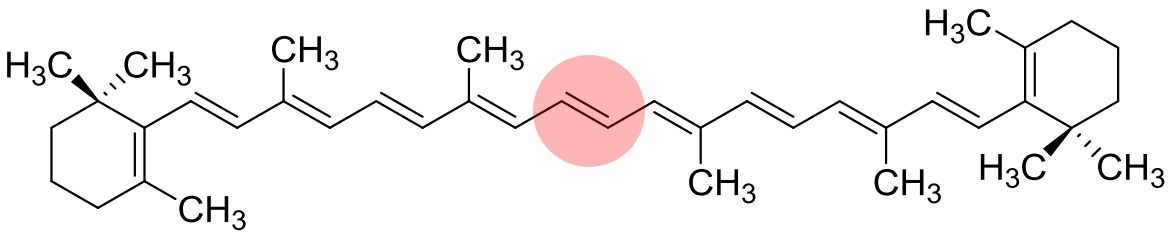
Pyridoxal-5- fosfát
('vitamin B6')

Aminace v biologických procesech



Wittigova reakce - aplikace

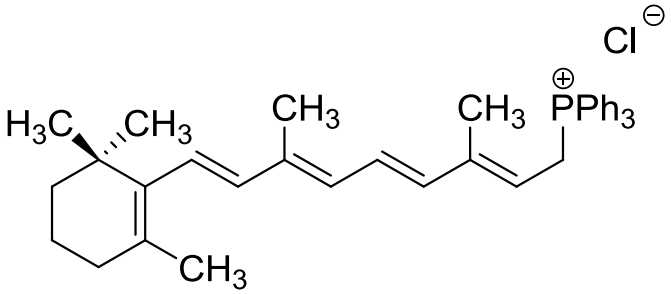
- Aplikace Wittigovy reakce v organické syntéze



β-karoten

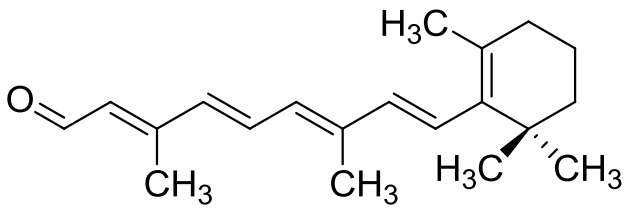
Q: nějaké návrhy?

KOH, CH_3OH



vytvoří 'stabilizovaný ylid'

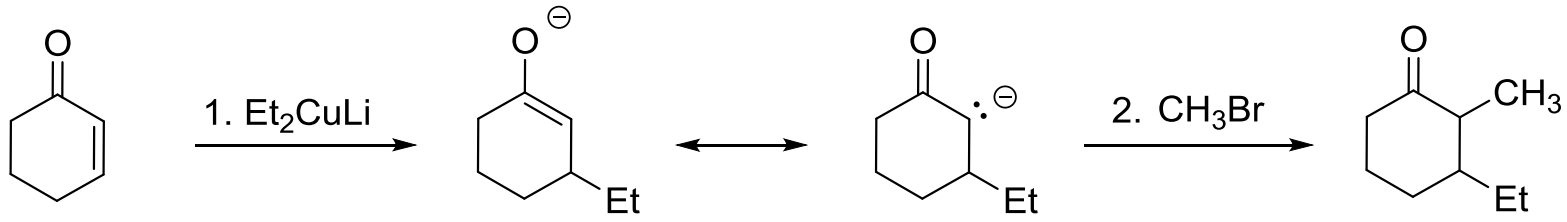
+

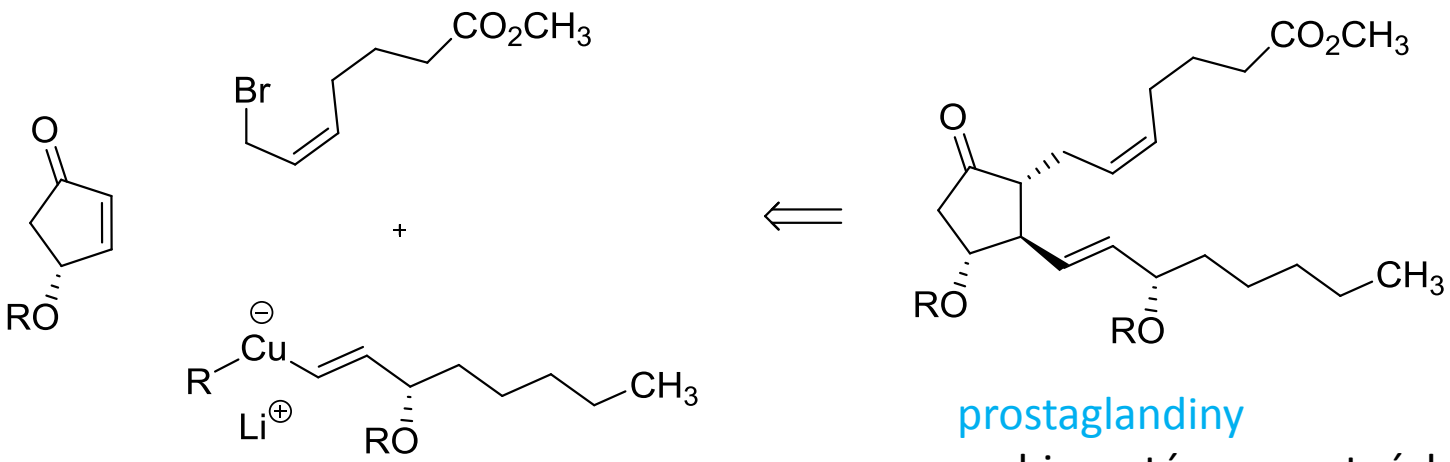


retinal

Q: jak připravit tuto fosfoniovou sůl?

- Aplikace organomědných reagentů



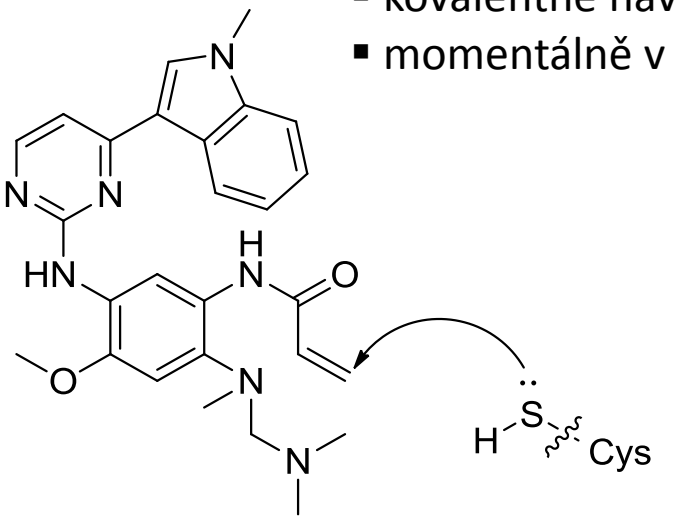


prostaglandiny

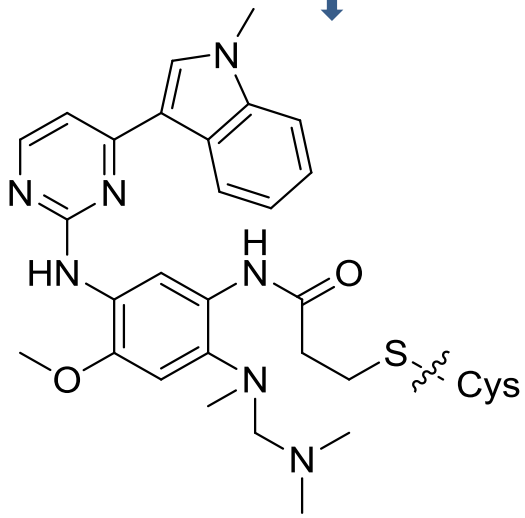
- biosyntéza z mastných kyselin
- široká škála biologických efektů (kontrakce hladkého svalstva)

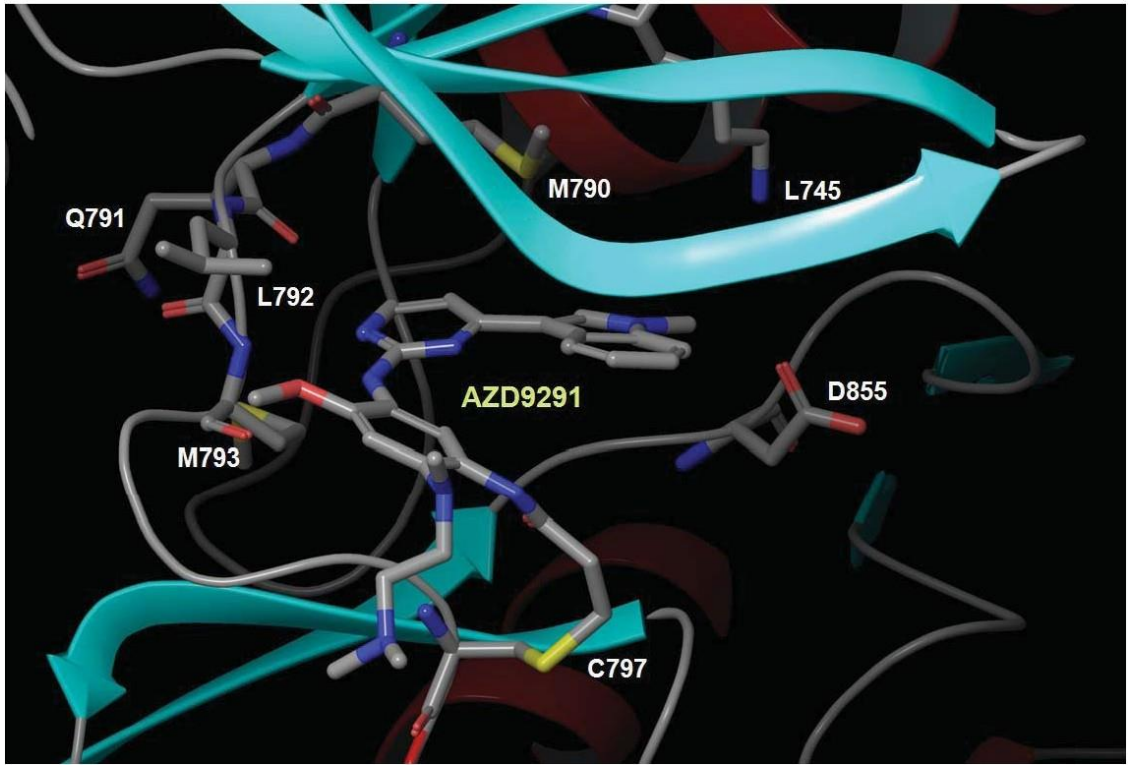
Aplikace 1,4-adice při vývoji léčiv

- AZD9291: ireverzibilní inhibitor buněčného receptoru (EGFR) růstových faktorů
  - kovalentně navázaný na protein (1,4-adice)
  - momentálně v klinickém testování (nádorová onemocnění)



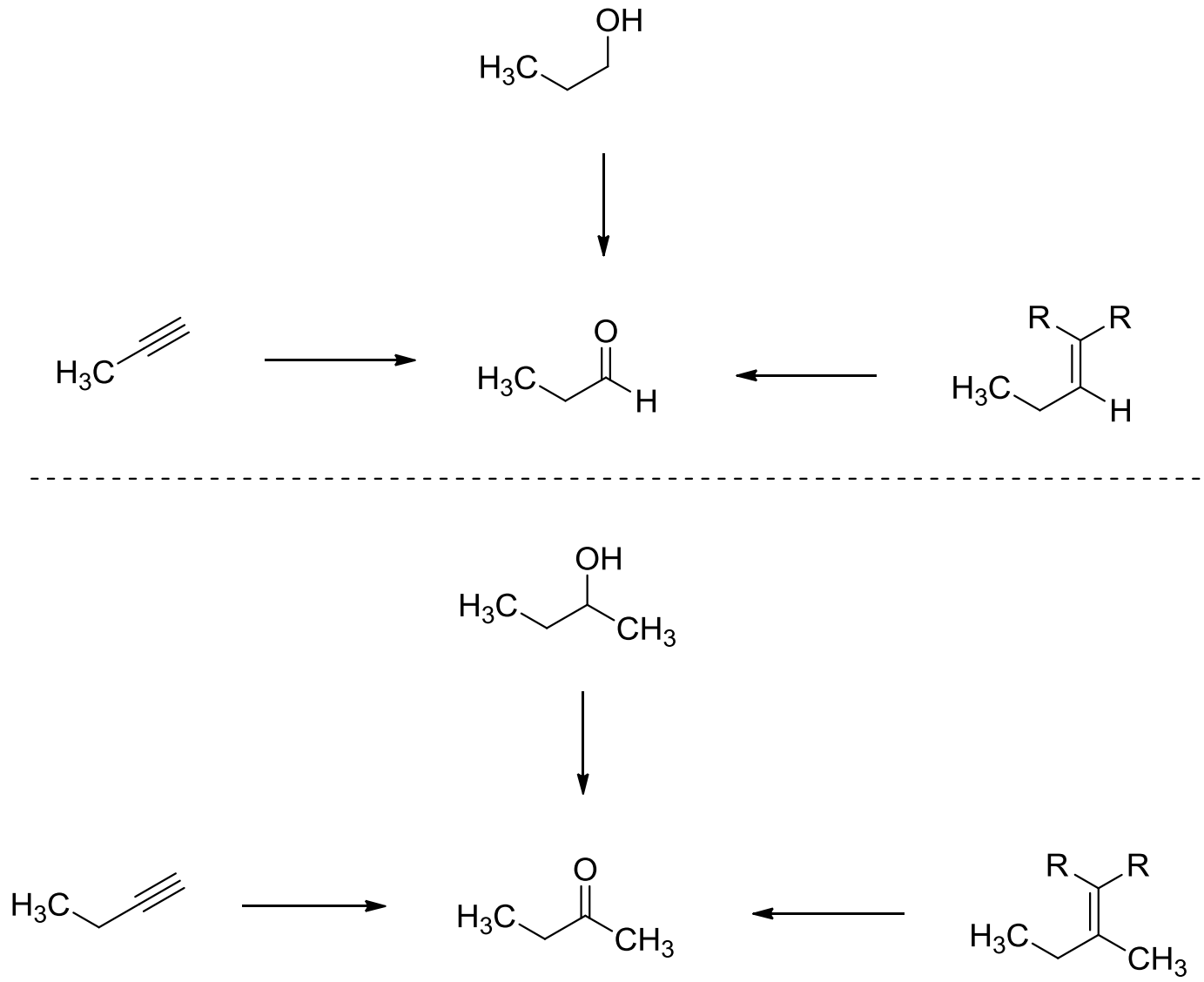
AZD9291



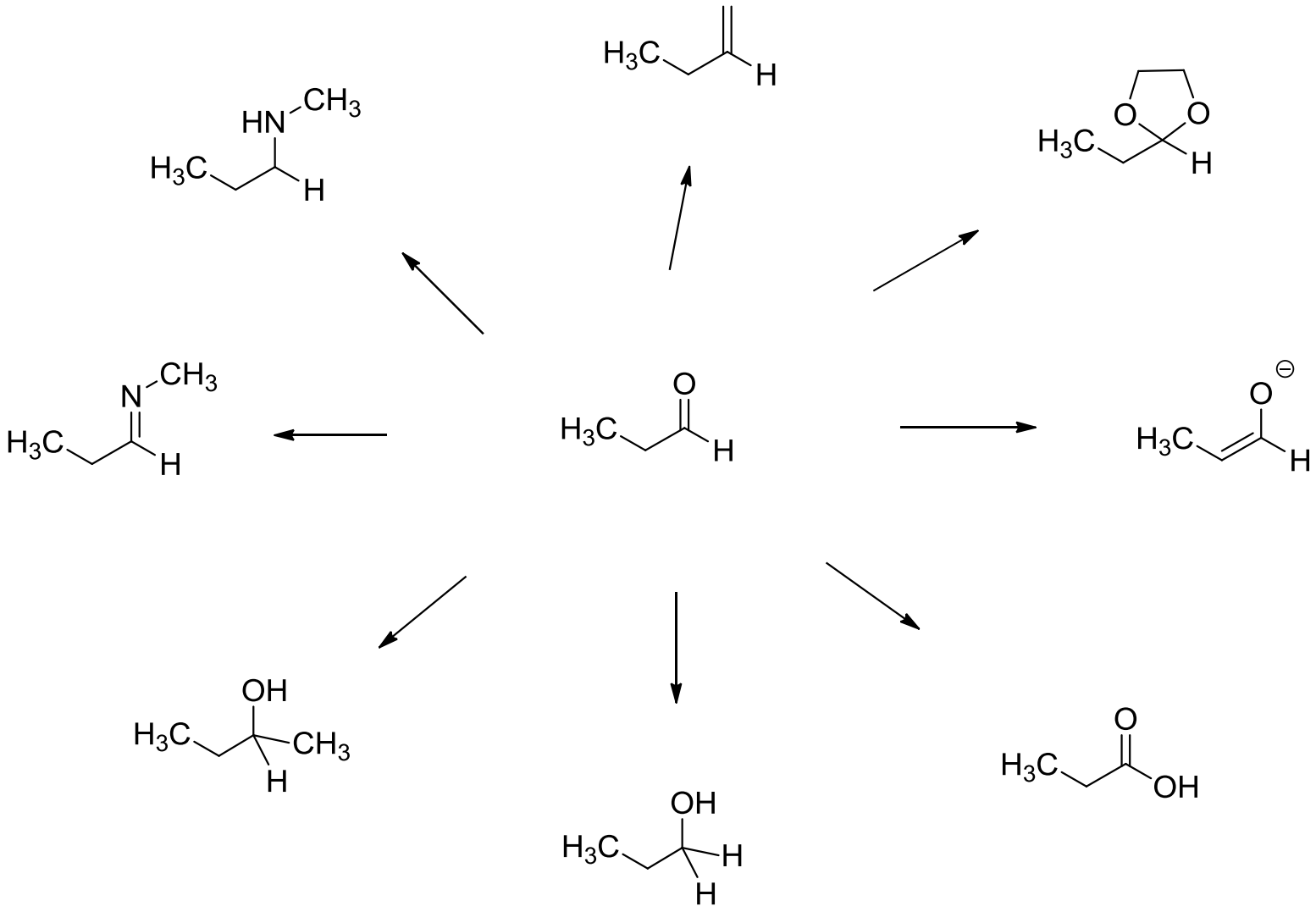


Syntetický přehled

- Přehled základních metod pro přípravu aldehydů a ketonů



- Přehled vybraných transformací - aldehydy



Syntetický přehled

- Přehled vybraných transformací - ketony