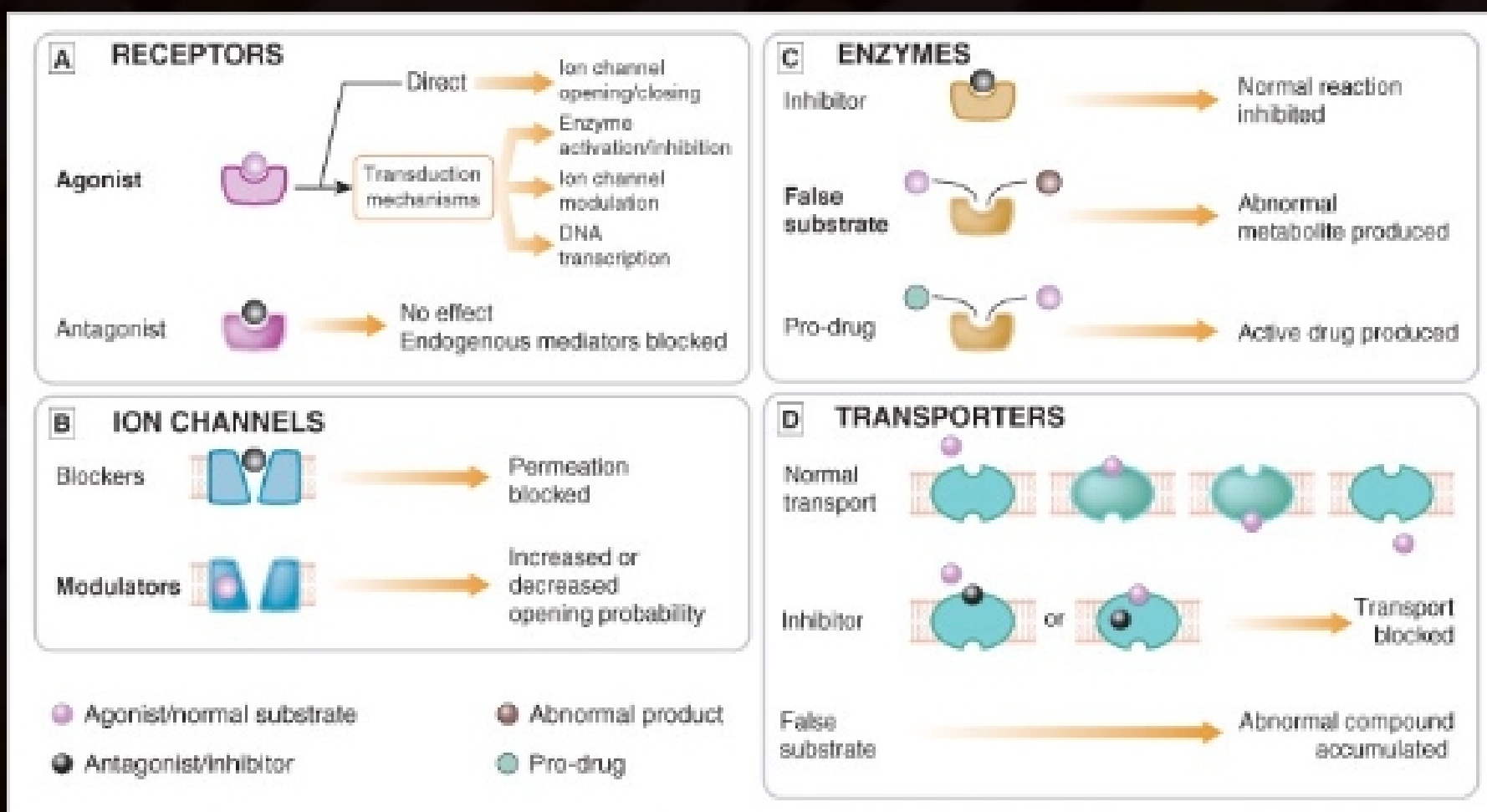


Drug Targets

Four major drug targets:



Exceptions: Colchicin (acts on tubulin), Cyclosporin (acts via immunophilins), etc.

Drug Targets

Receptors	Agonists	Antagonists
Nicotinic ACh receptor	Acetylcholine Nicotine	Tubocurarine α -Bungarotoxin
Beta-adrenoceptor	Noradrenaline (norepinephrine) Isoprenaline	Propranolol
Histamine (H ₁ -receptor)	Histamine Impromidine	Mepyramine
Histamine (H ₂ -receptor)		Ranitidine
Opiate (μ -receptor)	Morphine	Naloxone
5-HT ₂ -receptor	5-Hydroxytryptamine	Ketanserin
Dopamine (D ₂ receptor)	Dopamine Bromocriptine	Chlorpromazine
Insulin receptor	Insulin	Not known
Oestrogen receptor	Ethinylestradiol	Tamoxifen
Progesterone receptor	Norethisterone	Danazol
Ion channels	Blockers	Modulators
Voltage-gated sodium channels	Local anaesthetics Tetrodotoxin	Veratridine
Renal tubule sodium channels	Amiloride	Aldosterone
Voltage-gated calcium channels	Divalent cations (e.g. Cd ²⁺)	Dihydropyridines Beta-adrenoceptor agonists
Voltage-gated potassium channels	4-Aminopyridine	Many neuromodulators
ATP-sensitive potassium channels	ATP	Cromokalim Sulphonylureas
GABA-gated chloride channels	Picrotoxin	Benzodiazepines
Glutamate-gated (NMDA) cation channels	Dizocilpine, Mg ²⁺ Ketamine	Glycine

Drug Targets

Enzymes	Inhibitors	False substrates
Acetylcholinesterase	Neostigmine	
Choline acetyltransferase	Organophosphates	Hemicholinium
Cyclooxygenase	Aspirin	
Xanthine oxidase	Allopurinol	
Angiotensin-converting enzyme	Captopril	
Carbonic anhydrase	Acetazolamide	
HMG-CoA reductase	Simvastatin	
Dopa decarboxylase	Carbidopa	Methyllopa
Monoamine oxidase-A	Iproniazid	
Monoamine oxidase-B	Selegiline	MPTP
Dihydrofolate reductase	Trimethoprim	
	Methotrexate	
DNA polymerase	Cytarabine	Cytarabine
Enzymes involved in DNA synthesis	Azathioprine	
Enzymes of blood clotting cascade	Heparin	
Plasminogen ^a		
Thymidine kinase	Aciclovir	
HIV protease	Saquinavir	
Reverse transcriptase	Didanosine, zidovudine	
Carriers	Inhibitors	False substrates
Choline carrier (nerve terminal)	Hemicholinium	
Noradrenaline uptake 1	Tricyclic antidepressants	
	Cocaine	Amphetamine
		Methyllopa
Noradrenaline uptake (vesicular)	Reserpine	
Weak acid carrier (renal tubule)	Probenecid	
Na ⁺ /K ⁺ /Cl ⁻ co-transporter (loop of Henle)	Loop diuretics	
Na ⁺ /K ⁺ pump	Cardiac glycosides	
Proton pump (gastric mucosa)	Omeprazole	
Others		
Immunophilins	Ciclosporin, tacrolimus	
Tubulin	Colchicine	