

CLINICAL CLASSIFICATION OF **ANTIMICROBIAL AGENTS**

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Main Category of Antimicrobial Agent	Subcategory	Division	Subdivision	Name of Antimicrobial Agent (prototypical examples)
1) Antibacterial Agents/ Antibiotics	<p>A) <u>Beta-lactam antibiotics</u>:</p> <p>* Consist of the penicillins, cephalosporins, carbapenems and monobactams.</p> <p>* Mechanism of action is by inhibition of bacterial cell wall synthesis (by preventing bacterial cell wall cross-linking) and are bactericidal.</p>	<p>1) <u>Penicillins</u>:</p> <p>* Are composed of a beta-lactam ring fused to a thiazolidine ring, and are derived from 6-aminopenicillanic acid.</p>	a) Natural penicillins	<p>i) Benzylpenicillin ii) Benzathine penicillin G iii) Procaine penicillin G iv) Phenoxymethyl penicillin (penicillin V)</p>
			b) Penicillinase-resistant penicillins	<p>i) Flucloxacillin ii) Cloxacillin iii) (Methicillin) iv) (Oxacillin)</p>
			c) Aminopenicillins	<p>i) Amoxicillin ii) Co-amoxiclav (amoxicillin plus clavulanate combination) iii) Ampicillin</p>
			d) Extended-spectrum penicillins	<p>i) Piperacillin ii) Piperacillin plus tazobactam combination</p>
		<p>2) <u>Cephalosporins</u>:</p> <p>* Are composed of a beta-lactam ring fused to a 6-membered dihydrothiazine ring (in place of the 5-membered thiazolidine ring of the penicillins).</p>	a) 1 st Generation Cephalosporins	<p>i) Cefazolin ii) Cephalexin iii) Cefadroxil iv) Cephalothin v) Cephadrine</p>

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			b) 2 nd Generation Cephalosporins	i) Cefuroxime ii) Cefuroxime axetil iii) Cefamandole iv) Cefprozil
			c) Carbacephems	Loracarbef
			d) Cephamycins	i) Cefaclor ii) Cefoxitin
			e) 3 rd Generation Cephalosporins	i) Cefotaxime ii) Ceftriaxone iii) Ceftazidime iv) Cefixime v) Cefpodoxime vi) Ceftibuten
			f) 4 th Generation Cephalosporins	i) Cefepime ii) Cefpirome
		3) <u>Beta-lactam/beta-lactamase inhibitor combinations:</u> * Beta-lactamase inhibitors (notably clavulanic acid and tazobactam) inhibit the bacterial enzyme beta-lactamase which is		i) Amoxicillin plus clavulanate (co-amoxiclav, "Augmentin") ii) Piperacillin plus tazobactam ("Tazocin")

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		responsible for inactivating beta-lactam antibiotics, thereby restoring the antimicrobial activity of the beta-lactam antibiotic.		
		4) <u>Monobactams</u> : * Are monocyclic beta-lactam antibiotics active only against gram-negative bacteria including <i>Pseudomonas aeruginosa</i> .		Aztreonam
		5) Carbapenems		i) Imipenem ii) Meropenem iii) Ertapenem
	B) <u>Aminoglycosides</u> : * Are aminocyclitol compounds in which amino sugars are linked to cyclic alcohols by glycosidic linkage.		a) Most commonly used aminoglycosides	i) Gentamicin ii) Amikacin iii) Tobramycin iv) Netilmicin
			b) Anti-tuberculous aminoglycosides	Streptomycin

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	<p>* Mechanism of action is by inhibition of bacterial protein synthesis (by binding to the bacterial 30S ribosomal subunit and preventing translocation of peptidyl-tRNA) and are bactericidal.</p>		c) Topically and locally administered aminoglycosides	i) Neomycin II) Kanamycin
			d) Amoebicidal and anti-cryptosporidial aminoglycosides	Paromomycin
		Aminocyclitols	Anti-gonococcal agents	Spectinomycin
	<p>C) <u>Glycopeptides</u>:</p> <p>* Are glycosylated cyclic peptides active only against gram-positive bacteria.</p> <p>* Mechanism of action is by inhibition of bacterial cell wall synthesis by binding to amino acids, thereby preventing bacterial peptidoglycan synthesis.</p>			i) Vancomycin ii) Teicoplanin
			Lipopeptides	Daptomycin
	<p>D) <u>Streptogramins</u>:</p> <p>* Are cyclic peptides (macrocyclic lactone peptolides)</p>			Quinupristin plus dalfopristin combination

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	<p>E) <u>Oxazolidinones</u>:</p> <ul style="list-style-type: none"> * Are heterocyclic compounds structurally related to the anti-tuberculous agent, cycloserine. * Mechanics of action is by inhibition of bacterial protein synthesis by preventing tRNA from binding to the ribosome. * Is bacteristatic. 			Linezolid
	<p>F) <u>Macrolides</u>:</p> <ul style="list-style-type: none"> * Consist of a macrolactone ring to which 2 sugars, one of which is an amino sugar, are attached. * Mechanism of action is by inhibition of bacterial protein synthesis by prevention of peptidyl transfer on the bacterial ribosome. * Is bacteristatic. 		<p>a) Parent macrolide</p> <p>b) Semisynthetic macrolide derivatives</p> <p>c) Ketolides</p> <p>d) Azalides</p> <p>e) Anti-toxoplasma macrolides</p>	<p>Erythromycin</p> <p>i) Roxithromycin ii) Clarithromycin</p> <p>Telithromycin</p> <p>Azithromycin</p> <p>Spiramycin</p>

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	G) <u>Tetracyclines</u> : * Consist of 4 hydrocarbon rings and are derivatives of polycyclic naphthacene carboxamide. * Mechanism of action is by inhibition of bacterial protein synthesis by preventing binding of aminoacyl-tRNA to the mRNA-ribosome complex at the 30S ribosomal subunit. * Are bacteristatic.		a) Parent compounds	i) Chlortetracycline ii) Oxytetracycline iii) Tetracycline
			b) Long-acting tetracyclines	i) Doxycycline ii) Minocycline
	H) <u>Fluoroquinolones</u> : * Are derivatives of pyridone-beta-carboxylic acid of which nalidixic acid (used for urinary tract infections) was the first compound synthesized.	1) Quinolones	a) 1 st Generation quinolones	i) Nalidixic acid ii) Cinoxacin
		2) Fluoroquinolones	b) 2 nd Generation fluoroquinolones	i) Norfloxacin ii) Enoxacin iii) Ciprofloxacin iv) Ofloxacin v) Lomefloxacin

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	<p>* Mechanism of action is by inhibition of bacterial DNA synthesis by inhibition of bacterial DNA gyrase and topoisomerase enzymes.</p> <p>* Are bactericidal.</p>		c) 3 rd Generation fluoroquinolones	i) Levofloxacin ii) Sparfloxacin
			d) 4 th Generation fluoroquinolones	i) Moxifloxacin ii) Gatifloxacin
	<p>I) <u>Lincosamides</u>:</p> <p>* Are derived from an amino acid and sulfur-containing octose which is a synthetic monosaccharide containing 8 carbon atoms.</p> <p>* Mechanism of action is by inhibiting bacterial protein synthesis by binding to the 50S ribosomal subunit and blocking peptide chain elongation by causing dissociation of the peptidyl-tRNA link.</p> <p>* Are bacteristatic.</p>			i) Clindamycin ii) Lincomycin

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	<p>J) <u>Antimicrobial anti-folate agents</u>:</p> <p>* Act by inhibiting bacterial cell metabolism by competitively inhibiting the bacterial enzymes involved in bacterial folic acid biosynthesis.</p>	<p>1) <u>Sulfonamides</u>:</p> <p>* Are synthesized by combining a sulfonyl chloride with ammonia or an amine.</p> <p>* Act by competitive inhibition of the bacterial enzyme dihydrofolate synthetase.</p> <p>* Are bacteristatic.</p>	a) Prototype sulfonamide	Sulfadiazine
			b) Combination of sulfonamide with trimethoprim	Cotrimoxazole (trimethoprim-sulfamethoxazole)
			c) Antimalarial sulfonamide combined with pyrimethamine	Pyrimethamine-sulfadoxine combination ("Fansidar")
		<p>2) <u>Trimethoprim</u>:</p> <p>* Is a pyrimidine analogue (trimethoxybenzyl pyrimidine diamine).</p> <p>* Acts by binding to bacterial dihydrofolate reductase enzyme, thereby inhibiting bacterial folic acid synthesis.</p>	a) Used on its own	Trimethoprim
			b) Combination of trimethoprim with sulfonamide	Cotrimoxazole (trimethoprim-sulfamethoxazole)

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		<p>* Trimethoprim, when combined with sulfamethoxazole, acts synergistically by inhibiting successive steps in bacterial folate synthesis.</p> <p>* Is bacteristatic.</p>		
		3) Pyrimethamine	a) Antimalarial combination with sulfadoxine	Pyrimethamine-sulfadoxine combination ("Fansidar")
			b) Anti-toxoplasma agent with sulfadiazine	Pyrimethamine plus sulfadiazine
			c) Anti-toxoplasma agent with clindamycin	Pyrimethamine plus clindamycin
			d) Anti-toxoplasma agent with atovaquone	Pyrimethamine plus atovaquone
			e) Anti-toxoplasma agent with dapsone	Pyrimethamine plus dapsone
			f) Anti-toxoplasma agent with a macrolide	Pyrimethamine plus clarithromycin or azithromycin

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			g) Anti-isosporiasis (Isospora belli) agent	Pyrimethamine
	K) <u>Polymyxins</u>			i) Colistin (polymyxin E) ii) Polymyxin B
	<p>L) <u>Chloramphenicol</u>:</p> <ul style="list-style-type: none"> * Because of its potential for causing serious myelotoxicity when administered systemically, chloramphenicol is usually reserved for treatment of eye and ear infections as topical eye and ear drops. * Is a dichloro-dihydroxy nitrophenyl propan acetamide compound. * Mechanism of action is by inhibition of bacterial protein synthesis by binding to the 50S ribosomal subunit and blocking amino-acyl-tRNA attachment. * Is a bacteristatic broad-spectrum antibiotic 			Chloramphenicol

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	M) <u>Steroid antibacterial agents</u>			<p><u>Fusidic acid:</u></p> <ul style="list-style-type: none"> * Fusidic acid is a fusidane antibiotic and is composed of a steroid-like structure. * Mechanism of action is by inhibiting bacterial protein synthesis by preventing turnover of elongation factor G from the bacterial ribosome. <p>•Is bacteristatic.</p>
	N) <u>Urinary antiseptics</u>			<p>i) <u>Nitrofurantoin:</u></p> <ul style="list-style-type: none"> * Is a bactericidal urinary antiseptic achieving high concentrations in the urine but levels in the blood are minimal. * Is a nitrofurantoin antibiotic derived from nitrofururaldehyde * Mechanism of action is by damage to bacterial DNA as well as inhibiting bacterial protein synthesis.

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				ii) Nalidixic acid iii) Methenamine iv) <u>Fosfomycin</u> : * Belongs to the phosphonic class of antibiotics. * Is a bactericidal antibiotic mainly used for the treatment of urinary tract infections. * Mechanism of action is by inhibiting bacterial cell wall synthesis by inactivating the bacterial enzyme Mur A.
	O) <u>Anti-anaerobic antibiotics</u>			i) <u>Metronidazole</u> : * Is a nitroimidazole drug active against both anaerobic bacteria and protozoan parasites. * Mechanism of action is by intracellular production of free radicals resulting in a cytotoxic effect on anaerobic bacteria and protozoa by disrupting enzyme systems and DNA synthesis

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				<ul style="list-style-type: none"> * Is bactericidal. ii) Clindamycin iii) Cefoxitin iv) Benzylpenicillin v) Chloramphenicol vi) Imipenem/meropenem vii) Piperacillin-tazobactam viii) Vancomycin (for Clostridium difficile) ix) Co-amoxiclav
	P) <u>Antibiotics for topical dermatologic use</u>		a) Anti-staphylococcal agents	<p><u>Mupirocin:</u></p> <ul style="list-style-type: none"> * Is derived from a fermentation product of Pseudomonas fluorescens called pseudomonic acid. * Is a bactericidal topical agent mostly used against methicillin-resistant Staph aureus as well as pyogenic streptococci. * Mechanism of action is by inhibition of bacterial RNA and protein synthesis by

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				binding to bacterial isoleucyl-transfer RNA synthetase, thereby preventing bacterial cell wall synthesis. ii) Fusidic acid
			b) Treatment of burn wound infections and other skin infections	Silver sulfadiazine ("Flamazine")
			c) Aminoglycosides	i) Neomycin ii) Framycetin
	Q) <u>Anti-tuberculous and anti-mycobacterial drugs</u>	1) Anti-tuberculous agents	a) 1 st -line drugs	i) Rifampicin ii) Isoniazid (INH) iii) Ethambutol iv) Pyrazinamide v) Streptomycin
			b) 2 nd -line drugs	i) Rifabutin ii) Ethionamide iii) Fluoroquinolones (ciprofloxacin, ofloxacin, levofloxacin) iv) Aminoglycosides (kanamycin, amikacin) v) Cycloserine

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		2) Anti-leprosy agents		<ul style="list-style-type: none"> i) Dapsone ii) Clofazimine iii) Rifampicin
		3) Agents for treatment of atypical (non-tuberculous) mycobacteria		<ul style="list-style-type: none"> i) Anti-tuberculous agents ii) Macrolides (clarithromycin, azithromycin)
	R) <u>Ophthalmologic antibiotics</u>			<ul style="list-style-type: none"> i) Chloramphenicol ii) Fluoroquinolones (ciprofloxacin, ofloxacin) iii) Aminoglycosides (gentamicin, tobramycin, amikacin, neomycin, framycetin) iv) Fusidic acid v) Tetracycline vi) Bacitracin vii) Polymyxin B viii) Sulfacetamide ix) Propamidine (a non-antibiotic antiseptic agent useful in the treatment of Acanthamoeba keratitis)
	S) <u>Otologic antimicrobial agents</u>			<ul style="list-style-type: none"> i) Acetic acid ii) Clioquinol iii) Boric acid iv) Clotrimazole

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				v) Ichthammol vi) Thiomersal vii) Aminoglycosides (neomycin, framycetin) vii) Gramicidin viii) Polymyxin B ix) Colistin x) Tetracycline xii) Fluoroquinolones (ciprofloxacin, ofloxacin)
II) Antifungal Agents	A) Polyenes	1) Amphotericin B	a) Conventional (desoxycholate) formulation	<u>Amphotericin B:</u> * Is a fungicidal polyene drug. * Mechanism of action is by binding to ergosterol of the fungal cell membrane resulting in efflux of fungal ions (potassium, sodium) with fungal cell death
			b) Lipid formulations	i) Liposomal amphotericin B ii) Amphotericin B colloidal dispersion iii) Amphotericin B lipid complex

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		2) Nystatin		Nystatin
	B) Nucleic acid analogues	Pyrimidine analogue	Cytosine analogue	Flucytosine
	C) Azoles	1) Imidazoles		i) Ketoconazole ii) Clotrimazole iii) Econazole iv) Miconazole v) Bifonazole vi) Tioconazole
		2) Triazoles		a) 1 st generation triazoles

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				ii) <u>Itraconazole</u> : * Is a triazole antifungal drug with a broader spectrum of antifungal activity than fluconazole.
			b) 2 nd generation triazoles	i) <u>Voriconazole</u> and ii) <u>Posaconazole</u> : * Are triazole antifungal agents used to treat serious invasive fungal infections including invasive aspergillosis and fluconazole-resistant candidiasis in immunocompromised patients. Posaconazole is also effective for mucormycosis.
	D) Antifungal agents specifically for dermatologic mycoses			ii) Griseofulvin ii) Terbinafine (an allylamine) iii) Amorolfine iv) Benzoic acid/salicylic acid combination (Whitfield's ointment) v) Tolnaftate

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				vi) Haloprogin vii) Undecenoic acid viii) Selenium sulphide ix) Potassium iodide (for sporotrichosis) x) Ciclopirox
	<p>E) <u>Echinocandins</u>:</p> <p>* Are lipopeptide antifungal agents used mainly to treat invasive candidiasis and aspergillosis.</p> <p>* Mechanism of action is by inhibition of synthesis of glucan in the fungal cell wall by inhibition of the fungal enzyme 1, 3, beta-glucan synthase.</p>			i) Caspofungin ii) Micafungin iii) Anidulafungin
III) Antiviral Agents	A) Anti-herpes virus agents	1) Agents primarily used for the treatment of herpes simplex virus (HSV) and varicella-zoster virus (VZV) infections		i) <u>Aciclovir</u> : * Is a nucleoside analogue called acycloguanosine and its use is limited to the treatment of herpes simplex virus and varicella-zoster virus infections.

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				<p>* Mechanism of action is by acting as a substrate for viral thymidine kinase enzyme, thereby inhibiting viral DNA polymerase enzyme and blocking viral DNA synthesis.</p> <p>ii) <u>Valaciclovir</u> and iii) <u>Famciclovir</u>:</p> <p>* Are prodrugs similar to aciclovir.</p>
		<p>2) Agents primarily used for the treatment of cytomegalovirus (CMV) infections</p>		<p>i) <u>Ganciclovir</u> and ii) <u>Valganciclovir</u>:</p> <p>* Are nucleoside (guanosine) analogues similar to aciclovir but differing in their side chain structure and have unique activity against cytomegalovirus (CMV) infection.</p> <p>* Valganciclovir is a ganciclovir ester which is converted to ganciclovir after administration.</p>

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				<p>* Mechanism of action is by acting as a substrate for viral thymidine kinase and viral protein kinase enzymes, forming ganciclovir triphosphate which acts as a competitive inhibitor of deoxyguanosine triphosphate incorporation into viral DNA and thereby inhibits viral DNA synthesis.</p> <p>iii) Foscarnet iv) Cidofovir</p>
		3) Anti-herpes agents primarily for topical and local dermatologic and ophthalmic use		<p>i) Vidarabine ii) Idoxuridine iii) Trifluridine iv) Docosanol v) Fomivirsen</p>
	B) Anti-respiratory virus agents	1) Anti-influenza agents	a) Influenza virus M2 protein inhibitors	<p>i) Amantadine ii) Rimantadine</p>

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			b) Influenza A and B virus neuraminidase inhibitors	i) <u>Osetamivir</u> and ii) <u>Zanamivir</u> : * Are sialic acid (neuramic acid) analogues which are potent inhibitors of the neuraminidase enzymes of influenza A and influenza B viruses. * Mechanism of action is by inhibition of viral neuraminidase enzymes which results in limitation of spread of influenza viruses within the respiratory tract as well as preventing virus penetration of respiratory secretions to initiate viral replication.
		2) Agents for the treatment of respiratory syncytial virus (RSV) infection		<u>Ribavirin</u> : * Is a nucleoside (guanosine) analogue and inhibits a wide range of both RNA and DNA viruses.

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				* Mechanism of antiviral action is complex and differs for the different viruses, but includes inhibition of viral RNA synthesis and lethal mutagenesis of certain RNA virus genomes.
	C) Agents for the treatment of viral hepatitis	1) Agents for the treatment of chronic hepatitis B virus (HBV) infection		i) Interferons ii) Lamivudine (3TC) iii) Adefovir
		2) Agents for the treatment of chronic hepatitis C virus (HCV) infection		i) Interferons ii) Ribavirin
	D) Agents for the treatment of viral warts (human papilloma virus)			i) Podophyllin preparations ii) Imiquimod iii) Interferon iv) 5-Fluorouracil v) Liquid nitrogen (cryotherapy) vi) Trichloroacetic acid vii) Salicylic acid
	E) Anti-picornaviral agents	Agents for the treatment of rhinovirus colds and chronic enteroviral infections of the central nervous system		Pleconaril

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	F) Antiretroviral agents	Agents used for the treatment of human immunodeficiency virus (HIV) infection	a) Nucleoside reverse transcriptase inhibitors (NRTIs)	<ul style="list-style-type: none"> i) Zidovudine (AZT) ii) Lamivudine (3TC) iii) Didanosine (ddl) iv) Stavudine (d4T) v) Zalcitabine (ddc) vi) Abacavir (ABC) vii) Zidovudine plus lamivudine combination viii) Emtricitabine ix) Tenofovir
			b) Non-nucleoside reverse transcriptase inhibitors (NNRTIs)	<ul style="list-style-type: none"> i) Nevirapine ii) Efavirenz iii) Etravirine iv) Rilpivirine
			c) Protease inhibitors (PIs)	<ul style="list-style-type: none"> i) Indinavir ii) Nelfinavir iii) Saquinavir iv) Amprenavir v) Ritonavir vi) Lopinavir vii) Lopinavir plus ritonavir combination
			d) Nucleotide reverse transcriptase inhibitors (NtRTIs)	Tenofovir

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			e) Entry inhibitors	Enfuvirtide
			f) CCR5 chemokine receptor antagonists	Maraviroc
			g) HIV integrase inhibitors	Raltegravir
IV) Antiparasitic Agents	A) Antiprotozoal agents	1) Antimalarial agents	a) Antimalarial chemoprophylactic agents	<ul style="list-style-type: none"> i) Mefloquine ii) Doxycycline iii) Chloroquine iv) Chloroquine plus proguanil combination v) Atovaquone plus proguanil combination vi) Primaquine
			b) Agents for the treatment of malaria	<ul style="list-style-type: none"> i) Chloroquine ii) Quinine iii) Mefloquine iv) Sulfadoxine plus pyrimethamine combination v) Primaquine vi) Tetracyclines (doxycycline) vii) Clindamycin

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				viii) Artemisinin derivatives: * Artemether * Artemether plus lumefantrine combination * Artesunate * Artemotil (Arteether) ix) Atovaquone plus proquanil combination x) Halofantrine
		2) Agents for the treatment of amoebiasis		i) Metronidazole ii) Tinidazole iii) Tetracycline and doxycycline iv) Chloroquine
		3) Agents for the treatment of <i>Pneumocystis jirovecii</i> (carinii) pneumonia		i) Cotrimoxazole ii) Trimethoprim + dapsone iii) Clindamycin + primaquine iv) Atovaquone v) Pentamidine vi) Trimetrexate

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		4) Agents for the treatment of toxoplasmosis		i) Cotrimoxazole ii) Pyrimethamine + sulfadiazine iii) Pyrimethamine + clindamycin iv) Pyrimethamine + clarithromycin/azithromycin v) Pyrimethamine + dapsone vi) Spiramycin
		5) Agents for the treatment of African trypanosomiasis (sleeping sickness)		i) Suramin ii) Pentamidine iii) Melarsoprol iv) Eflornithine
		6) Agents for the treatment of leishmaniasis		i) Sodium stibogluconate (antimony) ii) Amphotericin B iii) Pentamidine iv) Miltefosine v) Paromomycin vi) Ketoconazole vii) Itraconazole
		7) Agents for the treatment of giardiasis and trichomoniasis		i) Metronidazole ii) Tinidazole

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	B) Anti-helminthic agents/ Anthelmintics	1) Agents active against trematodes (flukes)	a) Agents for the treatment of schistosomiasis (bilharzia)	i) Praziquantel ii) Oxamniquine iii) Metrifonate
			b) Agents for the treatment of hepatic fascioliasis	i) Bithionol ii) Triclabendazole
			c) Agents for the treatment of liver fluke (<i>Clonorchis sinensis</i>) and lung fluke (<i>Paragonimus westermani</i>) infestations	Praziquantel
		2) Agents active against nematodes (round worms)		i) Albendazole ii) Mebendazole iii) Piperazine iv) Pyrantel pamoate v) Ivermectin vi) Thiabendazole vii) Diethylcarbamazine viii) Flubendazole ix) Metronidazole (for dracunculiasis)
		3) Agents active against cestodes (tapeworms)		i) Praziquantel ii) Niclosamide iii) Albendazole iv) Mebendazole