Drug	Mechanism	Indications	Kinetics	SE / CI	Notes
Narcotic Analgesics		most effective when all pathways are intact			
Morphine	suppress voltage-gated Ca-channels > block NT release & pain transmisssion	sedation (CNS depression); analgesia	metab. by glucuronidation -> M-6-G more active, M-3-G less active; 4-6 hr duration; slow onset (lipid insoluble), poorly absorbed by GI; low margin of safety (4x)	respir. depression, nausea/ vomiting, vertigo, miosis, ADH release (retain water), convulsions (OD), truncal rigidity, constipation, biliary colic, bronchoconstriction, orthostatic hypotension; tolerance; abstinence syndrome	respir. depression b/c medulla less sensitive to CO2
Levorphanol			better oral potency		morphine-like effects
Dextromethorphan	non-narcotic	cough suppression		little sedation or GI probs	dextro isomer of codeine analogue
Meperidine			short duration; N-demethylation -> stronger excitant metabolite	w/ MAO-I -> CNS excitation (delirium, hyperpyrexia, convulsions) or respir. depression (hypotension)	
Loperamide, Diphenoxylate		anti-diarrheal	insoluble salt (selective to GI tract)		
Methadone		Tx heroin abuse	orally absorbed		also, α-acetylmethadol
Etorphine		immobilizing agent	highly potent, short duration		used by veterinarians
Fentanyl		chronic pain or pre-surgical sedative	strong analgesia; combined w/ butyrophenone		also, sufentanil, alfentanil, remifentanil
Apomorphine	stim CTZ -> nausea/vomiting	emetic			
Codeine	weak μ receptor activity	mild pain	oral		weak agonist
Propoxyphene		mild pain		high dose -> convulsions & respir. depression	weak agonist
Narcotic Antagonists			cross-tolerance & dependence	abstinence syndrome	no effect in normal people
Naloxone	blocks CNS depressant effects	morphine overdose	short duration		
Naltrexone			medium duration		Tx for alcoholism
Nalmefene			long duration		
Mixed Agonist/Antagonists					
Nalbuphine, Butorhanol, Dezocine, Buprenorphine, Tramadol	limited agonist effects (resp. depression, analgesia, etc); precipitate withdrawal in morphine-dependent pts				
Kappa-receptor mediated agonists					
Nalorphine, cyclazocine, levallorphan	analgesia; reversed by antagonists			*dysphoria* , *hallucinations* , diuresis; no respir. depression	
Local Anesthesia	*ion-trapped* into neuron -> bind Na-channel, stabilize inactive state, *inhibit Na influx* (depol.)		parent drug active/toxic (not metabolites); liver/esterase dysfxn -> toxicity (cardiac depression, vasodilation, seizures)	depress cardiac, smooth m.; ischemia/acidosis -> low pH -> extracellular ion trapping -> less potent & more toxic; OD toxicity (*apnea during seizure* is major cause of death)	sensory loss = 1) pain, 2) temp, 3) touch, 4) pressure (opposite order of sensory recovery); small myelinated nn. more sensitive
Lidocaine	*amide*		*hepatic metabolism* (N-dealkyl)	lower allergenicity risk	also bupivicaine, mepivacaine, prilocaine
Procaine	*ester*		inactivated by plasma *esterases*	*high allergenicity risk* (anaphylaxis due to PABA);	chlorprocaine, tetracaine, cocaine, benzocaine
LA + vasoconstrictor	vasoconstriction confines LA to site of administration		faster onset, longer duration, incr. apparent potency, decr. toxicity	same risk of allergenicity; CI: IV, intrathecal, areas of limited blood flow (finger, earlobe, penis)	
Diphenhydramine	anticholinergic histamine antagonist	infiltration (if unreliable allergy Hx)		atropine-like SE; CI: glaucoma, etc	
Cocaine	intrinsic vasoconstrictor; indirect-acting sympathomimetic	mucous membrane surgery			
Benzocaine	PABA derivative		minimal absorption (not diffusible at physio pH)	skin rash; CI: open skin/membranes	www.brain101.info

Drug	Mechanism	Indications	Kinetics	SE / CI	Notes
Anti-Parkinson's	due to loss of DA neurons				
L-Dopa	crosses BBB, converted to dopamine by AAAD; *improves bradykinesia, rigidity, & mood* (symptomatic only, not a cure); dose & window for usefulness is *limited by neurological SE*		rapidly absorbed; T1/2 = 1-3 hrs; competes w/ neutral a.a. for GI & brain uptake; only 1% enters brain; broken down by COMT to 3-OMD (competes at reuptake site)	early SE: nausea/vomiting (CTZ), orthostatic hypotension; late SE: neuro (DA-induced dyskinesia, end-of-dose deterioration, on/off probs) & psych (hallucinations, paranoia, confusion); CI: antipsychotics, MAO-A inhibitors, high-dose anti-muscarinics	*BEST Tx* for PD (all others are adjuncts); decr. absorption w/ decr. GI motility (opiates, antimuscarinics) or high protein meal; psych SE occur esp. when pts don't eat (incr. DA levels)
Carbidopa	*AAAD inhibitor* , does not cross BBB -> prevents L-dopa breakdown in periphery -> incr. L-dopa absorption, decr. acute SE, lower L-dopa dose needed		5% of L-dopa enters brain		
Pergolide	*DA agonist* (D1R & D2R); reduces on/off effect		more potent, *longer T1/2*	orthostatic hypotension, *nausea* , *dyskinesia* , *psychiatric effects* , pituitary effects	
Selegiline (Deprenyl)	*MAOB inhibitor* (conc. in basal ganglia)		does not potentiate lethal catechol action (unlike MAOA-I)		*adjunct to L-dopa*
Benztropine	*muscarinic antagonist* (Tx tremors) & *DA reuptake inhibitor*				
Tolcapone	*COMT inhibitor* ; inhib. formation of 3-OMD (prolongs L-dopa		T1/2 = 2 hrs		*adjunct to L-dopa*
Clozapine	only anti-psychotic that can be taken for PD pts.				
Bromocriptine	DA agonist (D2R)				
Cabergoline	DA agonist (D2R)		T1/2 = 65 hrs		
Anti-convulsants (anti-epileptic drugs)	generally incr. GABA -> block Na-channels				
Phenytoin		generalized tonic-clonic seizures	plasma protein-bound; hepatic metabolism; *induces P450* ; very alkaline; insoluble in D5W (IV)	SE: *gingival hyperplasia* , folate & vit K deficiencies (newborn bleeding & spina bifida), hirsutism; CI: absence seizures	fos-phenytoin is pro-drug
Carbamazepine (benzodiazepine)		generalized tonic-clonic seizures	hepatic metabolism; autoinduction; decr. clearance if given w/ cimetidine or erythromycin	SE: *agranulocytosis* , *aplastic anemia*	
Phenobarbital (barbiturate)		generalized tonic-clonic seizures	*induces P450*	sedation, tolerance; vit K defic. (bleeding newborn)	
Valproate		absence, myoclonic seizures	*P450* *inhibitor* ; slow onset -> delayed efficacy; plasma protein-bound	weight gain, hepatotoxicity, thrombocytopenia, *alopecia* , *teratogenic*	give folate to women on valproate
Ethosuximide		uncomplicated absence			
Clonazepam	like benzodiazepines				
Felbamate			reduces clearance of other AEDs	aplastic anemia & liver failure	
Acetazolamide					
new AEDs	GABAergic	adjunct for refractory partial seizures			NOT 1st line
Lorazepam		status epilepticus	fast onset IV		follow up w/ IV phenytoin
General Anesthetics	desire unconsciousness, amnesia, analgesia, muscle relaxation				
Inhalation	unclear mechanism; potentiates GABA ion channels		MAC = conc. that prevents response to pain in 50% (low MAC = high potency)		blood-soluble = slow induction; lipid-soluble = long duration
Halothane	good amnesia, unconsciousness, analgesia; *no skeletal muscle relaxation*		very potent; excreted unchanged by lungs; interacts w/ catecholamines (arrhythmias), β-blockers, Ca-blockers, narcotics (resp. depression), NM-blockers (curare)	cerebral vasodilation -> incr. ICP; cardiac depression (ventricular arrhythmias); prevents bronchospasm; fulminant hepatic failure; *malignant hyperthermia* if +succinylcholine	
Nitrous oxide	modest amnesia, unconsciousness, analgesia; *no skeletal muscle relaxation*		very low MAC (not potent); rapid onset & recovery	long-term -> decr. methionine synthesis -> neurologic Sx	
Intravenous	good amnesia, unconsciousness; *no analgesia or muscle relaxation*		fast induction		
Barbiturates					
Midazolam (Versed)	benzodiazepine -> "*conscious sedation* " = amnesia + sedation				
Ketamine	"*dissociative* " anesthetic				
Etomidate				may *cause* myoclonic seizure	
Propofyl					
Fentanyl					

Drug	Mechanism	Indications	Kinetics	SE / CI	Notes
Anti-Anxiety	anti-anxiety sedation, sleep promotion (incr. stage 2 NREM; decr. REM & slow-wave), anesthesia, anti-convulsant, muscle relaxant, respiratory depression (dose-dependent)			chronic use -> tolerance (to motor-impairing effects, not anti-anxiety), physical dependence, psychological dependence (multiple drug abusers)	tolerance to sleep induction -> short-term use only (rebound sleeplessness)
Benzodiazepines	incr. *frequency* of GABA-induced Cl- channel opening		high margin of *safety* ; immediate effect; oxazepam has no active metabolites	withdrawal: dose-related, worse w/ short-acting drugs, provoked by flumazenil	ex) diazepam, flurazepam, oxazepam, lorazepam, etc.; short-acting (anesthesia) = midazolam
Antagonists	flumazenil -> reverse actions of agonists -> provoke anxiety				
Inverse agonists	beta-carbolines				
Barbiturates (and complex alcohols)	incr. *duration* of GABA-induced Cl- channel opening			overdose -> *coma*	ex) pentobarbital, phenobarbital, secobarbital; short-acting (anesthesia) = thiopental & methohexital
Buspirone	serotonin 5HT1 agonist	*anxiety only*	*slow onset* of effect	dizziness, lightheadedness, headache -> poor compliance	no sedation, muscle relaxation, anticonvulsant effects; no dependence
Anti-Psychotic	block dopamine receptors (esp. D2); active vs. positive Sx	psychosis of any origin; prevent nausea/vomit	all drugs equally efficacious (except clozapine); takes weeks to work; high therapeutic index; some P450; interaction w/ other CNS depressants; don't stop abruptly (rebound)	incr. prolactin release (poikilothermic), orthostatic hypotension, weight gain	block inhibitory D2 -> D1 excitatory more active -> incr. cAMP; tolerance to sedation, but not to antipsychotic or prolactin effects; no addiction potential
High potency	primarily *block dopamine D2 receptors*		high affinity for D2; available as depot injections for long-term (3 mos)	extrapyramidal motor side effects (D2 in basal ganglia) = acute dystonia, akathisia, parkinsonism, neuroleptic malignant syndrome	ex) fluphenazine, haloperidol; tardive dyskinesia occurs years after patient off drug (excess Da), lasts forever (not by Clozapine)
Low potency	*block many receptors* (esp. muscarinic, adrenergic)		low affinity for D2	autonomic side effects = sedation & hypotension; weight gain; endocrine effects	ex) chlorpromazine, thioridazine
Atypical	new drugs *block serotonin receptors*		high affinity for 5-HT, low affinity for Da	sedation, orthostatic hypotension, weight gain	serotonin antagonists ameliorate extrapyramidal SE; ex) olanzepine, quetiapine, clozapine, risperidone
Clozapine	blocks many receptors (*D4* , *5-HT2R* , adrenergic, muscarinic)	Parkinson's pts. w/ psychosis	more efficacious than others vs. negative Sx; requires weekly blood monitoring for SE	*agranulocytosis* (fatal); *no motor SE*	only drug that *improves negative symptoms*
Risperidone			very potent D2 blocker	hypotension	few motor SE at proper dose
Anti-Depressant					
Tricyclics	tertiary amines = amitryptiline, doxepin, imipramine (more sedation & anticholinergic effects); secondary amines = nortryptiline, protryptiline (least sedative), desipramine (least anticholinergic)		potentiate psychomotor stimulants (cocaine, amphetamines) -> psychoenergizers	sedation, orthostatic hypotension (tolerance), anticholinergic effects (tolerance), weight gain	high risk of suicide during recovery period; used to Tx depression, anxiety w/ agoraphobia, OCD, enuresis, neuralgia, migraine/chronic pain (amitryptiline)
SSRIs	fluoxetine, citalopram, fluvoxamine, paroxetine, sertraline; *selectively inhibit serotonin reuptake*			fewer SE than other ADs; *serotonin syndrome* ; weight loss, anxiety, insomnia, nervousness	serotonin syndrome = restlessness, muscle twitch, myoclonus, hyperreflexia, priapism, tremor, seizures, coma
MAOIs	hydrazine derivatives = isocarboxazid, phenelzine, nialamide; amphetamine derivatives = tranylcypromine, pargyline		long-acting; potentiated by indirect sympathomimetics	*incr. psychomotor activity* of normal people	used to Tx depression w/ co-morbid anxiety, refractory depression, atypical depression (antisocial), bulimia
MAO-A	MAO type A acted on by antidepressants; clorgyline (irreversible), moclobemine & brofaromine (reversible)		reversible = *rapid onset* & fewer SE	hyperpyrexia, agitation, hepatotoxicity	incr. psychomotor activity -> CI: tyramine, amphetamines, cocaine, tricyclics
MAO-B	deprenyl (selegiline)				
Other ADs					
Bupropion				nervousness, insomnia, seizures	no anticholinergic or hypotension
Trazadone		anxiolytic, hypnotic		drowsiness, hypotension, arrhythmias, priapism	
Nefazodone	blocks 5-HT2R; inhibits 5-HT & NE reuptake in vitro			confusion, dizziness	less nervousness, insomnia
Reboxetine	selective inhibitor of NE reuptake				improves social fxn, self-perception, motivation (better than fluoxetine)
Mirtazapine	blocks α2-adrenergic, 5-HT2 & 5-HT3 receptors			agranulocytosis	
Venlafaxine	inhibits reuptake of both 5-HT & NE	refractory depression	*rapid onset* (< 1 week)		no anticholinergic or hypotension
St. John's wort	inhibits reuptake of 5-HT, NE, & Da; weak MAOI		unproven efficacy	GI Sx, allergic rxn, anxiety, dizziness	
Lamotrigine	anticonvulsant	bipolar disorder		*Stevens-Johnson syndrome*	effective for borderline PD w/ suicidal tendencies
Lithium		*prophylaxis* of bipolar disorder		many SE, related to Na-transport tissues (esp. if renal dysfxn)	www.brain101.info