07 - Sedatives & Hypnotics

Sedatives & Hypnotics

© SPMM Course Sedatives & Hypnotics DRUG MECHANISM Benzodiazepines Act via a particular site called omega site in GABA-A complex. All are agonists except clonazepam, which is a partial agonist. They facilitate GABA action on GABA-A complex – thus facilitating inhibitory neurotransmission via chloride ions. They have no direct agonistic action in the absence of GABA. They do not increase the number but the frequency and duration of chloride channel opening. Chloral hydrate, paraldehyde and meprobamate Barbiturate like agents. Probably potentiate GABAergic neurotransmission. Paraldehyde is cyclic ether. They have a poor safety profile and hence none of these are in clinical use currently. Flumazenil Benzodiazepine antagonist Ramelteon Ramelteon is a melatonin receptor full agonist with high affinity and selectivity for human melatonin receptors MT1 and MT2 over the MT3 receptor. It decreases sleep latency and increases sleep time across all ages; the dose-response curve is flat with no significant difference in efficacy between the 16-mg or 64-mg doses of ramelteon. It may have lower abuse potential than other hypnotics Thiopental Act directly on GABA-A complex and facilitate GABA transmission by opening chloride channels and enhancing hyperpolarisation. At lower doses, barbiturates enhance GABA by decreasing the rate of GABA dissociation and increasing the duration (not a number) of GABA-activated chloride channel opening. At slightly higher concentrations, barbiturates directly activate chloride channel opening even in the absence of GABA, an action that is not shared by benzodiazepines. Zolpidem, Zaleplon, Zopiclone, eszopiclone Z-drugs act via GABA A complex but act differently than benzodiazepines. Benzodiazepines occupy all 3 subunits of the ω receptor, but Z-drugs occupy only certain subunits. e.g,. zolpidem and zopiclone acts on ω1 receptors – hence no muscle relaxant, anxiolytic and anticonvulsant effects noted. Also, slow wave sleep is unaffected. Zaleplon occupies all 3 ω receptors. Zopiclone occurs as a racemic mixture where only s-isomer is active (eszopiclone).

Z HYPNOTICS

Given their selectivity on BDZ-receptor subunits, Z-drugs are less likely to impact sleep stages and have a lower risk of tolerance and dependence compared with benzodiazepine hypnotics Zopiclone is the least selective of all Z-drugs

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