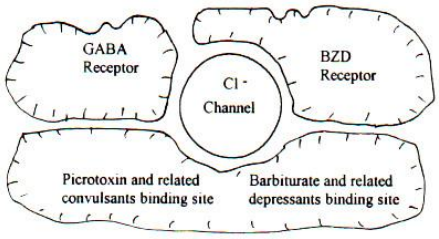
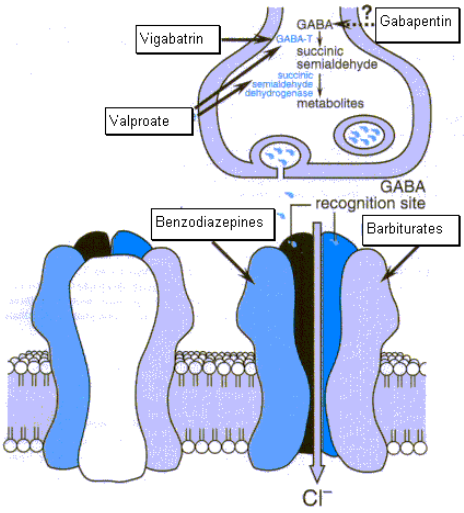
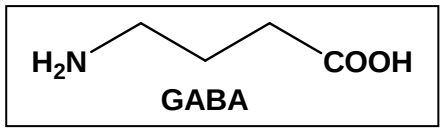
Fármacos Ansiolíticos – BENZODIAZEPÍNICOS (BZD)

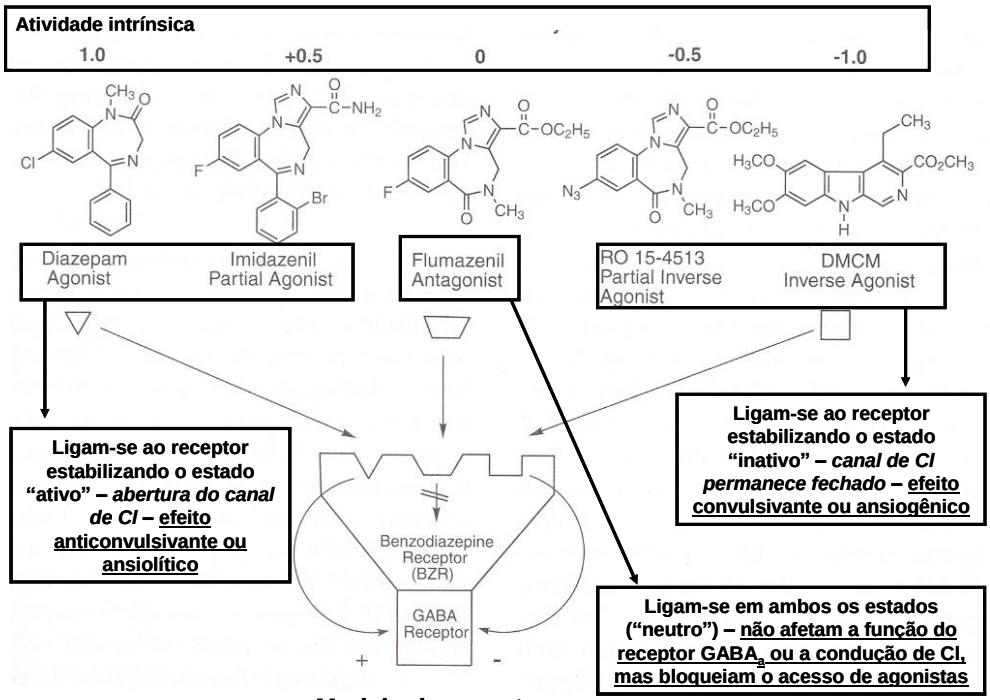




Receptor BZD é parte integral do complexo receptor $GABA_A$ - canal-Cl.

- **BDZ** são moduladores alostéricos do receptor $GABA_A$
- Na presença dos agonistas BZD a afinidade do GABA no recebtor $GABA_A$ é aumentada, portanto os agonistas BZD facilitam a transmissão inibitória GABAérgica no SNC
- GABA (ácido γ-amino butiríco): neurotransmissor inibidor
- Abertura dos canais de Cl como resposta a ativação GABA
- Efeitos: sedativo, hipnótico, anticonvulsiante, relaxante muscular
- Efeitos colaterais: sedação, ataxia, potencialização do álcool, perda de concentração, dependência.

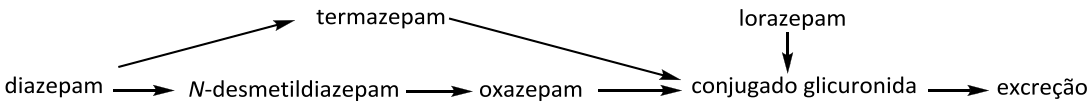


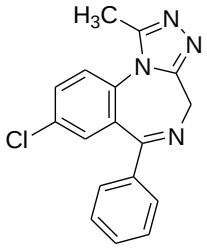


Modelo do receptor

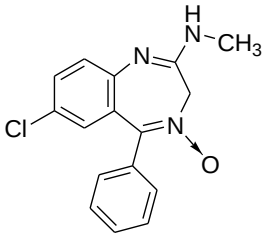
Observar as estruturas químicas dos ligantes e fármacos benzodiazepínicos apresentados e responder:

1. Quais conclusões podem ser obtidas a respeito da relação estrutura-atividade do **ANEL A**?
2. Quais conclusões podem ser obtidas a respeito da relação estrutura-atividade do **ANEL B**?
3. O **ANEL C** é importante para o **reconhecimento molecular** no receptor $GABA_A$? Qual deve ser sua função?
4. Observe a via metabólica de alguns BZD abaixo e mostre os mecanismos envolvidos (exceto oxidação).

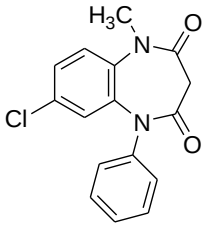




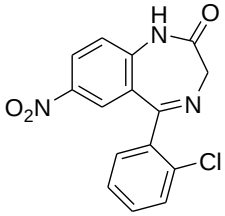
ALPRAZOLAM



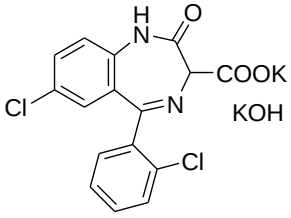
CLORDIAZEPÓXIDO



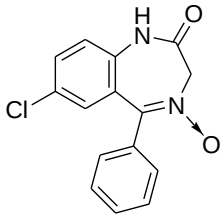
CLOBAZAM



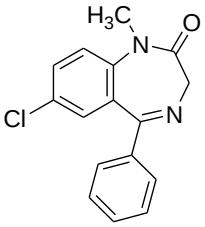
CLONAZEPAM



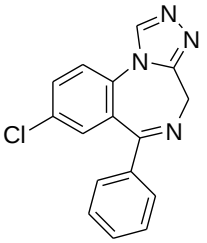
CLORAZEPATO



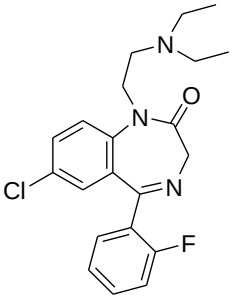
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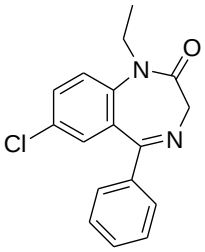
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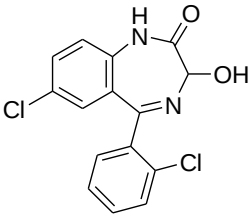
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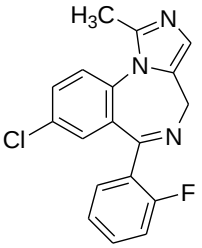
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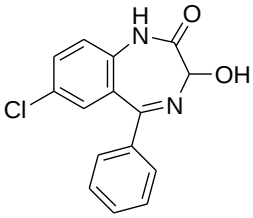
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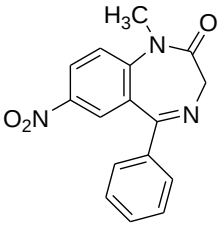
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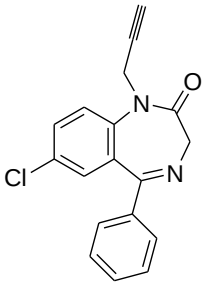
MIDAZOLAM



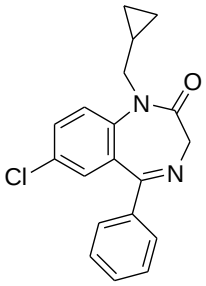
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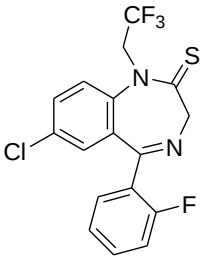
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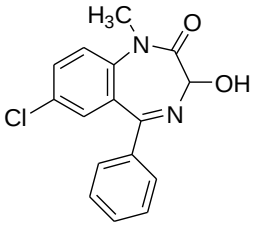
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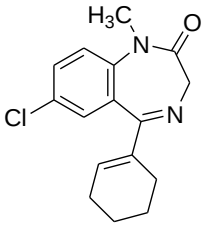
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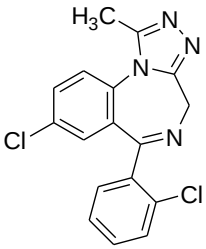
QUAZEPAM



TEMAZEPAM

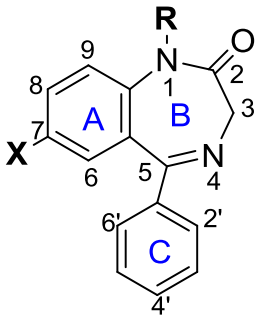


TETRAZEPAM



TRIAZOLAM

Alguns fármacos BZD disponíveis comercialmente



Estrutura geral dos BZD