# Central Nervous System Depressants with Skeletal Muscle Relaxant Properties

- Used in muscle sprains and muscle strains that may produce acute muscle spasm.
- They have interneuronal-blocking properties at the level of the spinal cord.
- General CNS depressant properties .
- Dihydric compounds and their carbamate derivatives are prominent members of the group.



### Methocarbamol

 More sustained effect than mephenesin. The dihydric parent compound, guaifenesin, is used as an expectorant.

Selectivity depressed polysynaptic spinal cord reflexes (interneuronal blocking muscle relaxant)

## Carisoprodol

- It is indicated in acute skeletomuscular conditions characterized by pain, stiffness, and spasm.
- A major side effect of the drug is drowsiness.
- Meprobamate is used as antianxiety agent, and sedative hypnotic. It also has skeletal muscle relaxation properties.

$$N$$
  $O$   $O$   $NH_2$ 

They have interneuronal blocking properties at the level of the spinal cord, which are said to be partly responsible for skeletal muscle relaxation



# Drugs Used in Spasticity

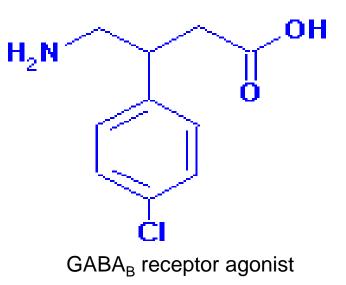
 Several drugs benefit in the spasticity associated with diseases such as multiple sclerosis and cerebral palsy.

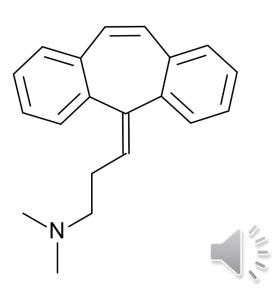
Notable compounds are the centrally acting diazepam, baclofen, and the peripherally acting agent dantrolene.



### Baclofen

- A substituted GABA analogue.
- Useful is spasticity involving diseases of the spinal cord.
- It depresses monosynaptic and polysynaptic transmission.
- Cyclobenzaprine is a centrally acting muscle relaxant.
   Cyclobenzaprine is a 5HT2 receptor antagonist; action on the central nervous system at the brain stem,





#### Dantrolene Sodium

- Dantrolene decreases the release of calcium from the sarcoplasmic reticulum and thereby blocks contraction of skeletal muscle.
- Acting peripherally.
- Useful in cerebral palsy and multiple sclerosis. and malignant hyperthermia.

$$0 \longrightarrow N \longrightarrow 0 \longrightarrow N^+$$



TABLE 13.2 Skeletal Muscle Relaxants		
Trade Name	Chemical Structure	Chlorzoxazo
		Miscellaneo
	CH <sub>2</sub> •OH CH=OH CH <sub>2</sub> O	Orphenadrir
Maolate $(R_x = H, R_y = CI)$ Robaxin $(R_x = OCH_y, R_y = H)$	CH <sub>2</sub> ·O-C-NH <sub>2</sub> CH-OH CH <sub>2</sub> O-R <sub>2</sub> R <sub>1</sub>	Cyclobenzap
Equanil Miltown (R = H)	CH <sub>2</sub> -O-C-NH <sub>2</sub> CH <sub>3</sub> -C-C <sub>3</sub> H <sub>7</sub> CH <sub>2</sub> -O-C-NHF	₹
Rela Soma (R = CH(CH <sub>3</sub> ) <sub>3</sub> )		
Skelaxin	CH <sub>2</sub> -N C=0 CH <sub>2</sub> -O CH <sub>3</sub>	
	Maolate (R <sub>1</sub> = H <sub>2</sub> R <sub>3</sub> = CI) Robaxin (R <sub>1</sub> = OCH <sub>3</sub> , R <sub>3</sub> = H)  Equanil Miltown (R = H)  Rela Soma (R = CH(CH <sub>3</sub> ) <sub>3</sub> )	Trade Name  Chemical Structure  CH2·OH  CH-OH  CH2·OH  CH2·OH  CH2·O-C-NH2  CH-OH  CH2·O-C-NH2  CH-OH  CH2·O-C-NH2  CH-OH  CH2·O-C-NH2  CH-OH  CH2·O-C-NH2  CH2·O-C-NH3  CH2·O-C-NH5  CH2·

# Chlorzoxazone Paraflex Miscellaneous Orphenadrine citrate Norflex CHO·CH<sub>2</sub>-CH<sub>2</sub>·CH<sub>3</sub> citrate CH3 Cyclobenzaprine Flexaril



CH(CH<sub>2</sub>)<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>