Q.4 All of the following are long acting GnRH agonists EXCEPT:

Ans ✔ A. Cabergoline

✗ B. Buserelin

✗ C. Ganirelix

✗ D. Triptorelin

Question Type : **MCQ**
Question ID : **50886140904**
Option 1 ID : **508861163613**
Option 2 ID : **508861163612**
Option 3 ID : **508861163610**
Option 4 ID : **508861163611**
Status : **Not Answered**
Chosen Option : **--**

All of the following are long acting GnRH agonists EXCEPT

(a) Cabergoline

(b) Buserelin

(c) Ganirelix

(d) Triptorelin

Question to Claim

Options are wrong

DRUGS ALTERING ANTERIOR PITUITARY HORMONE SECRETION

Inhibit GH release
- Somatostatin
- Octreotide
- Lanreotide

Inhibit prolactin release
- Bromocriptine
- Cabergoline
- Apomorphine

Enhance prolactin release
- Chlorpromazine
- (other neuroleptics)
- Metoclopramide
- Reserpine

Inhibit gonadotropin (Gn) release

Superactive GnRH agonists

(Initially enhance Gn release)
- Nafarelin
- Goserelin
- Triptorelin
- Leuprolide

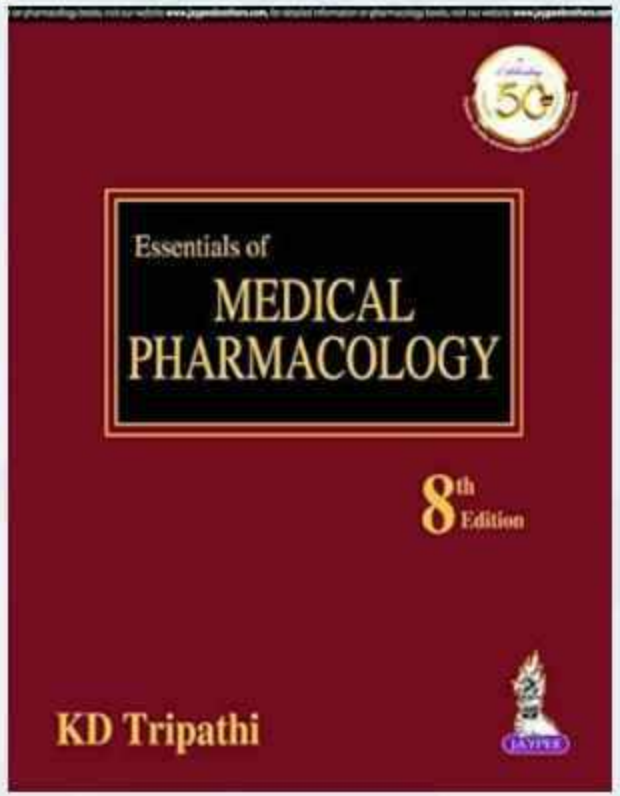
GnRH antagonists
- Ganirelix
- Cetrorelix

Reference: Essentials of medical pharmacology, KD Tripathi, 8th edition, Page no. 258

EXPLANATION

Cabergoline

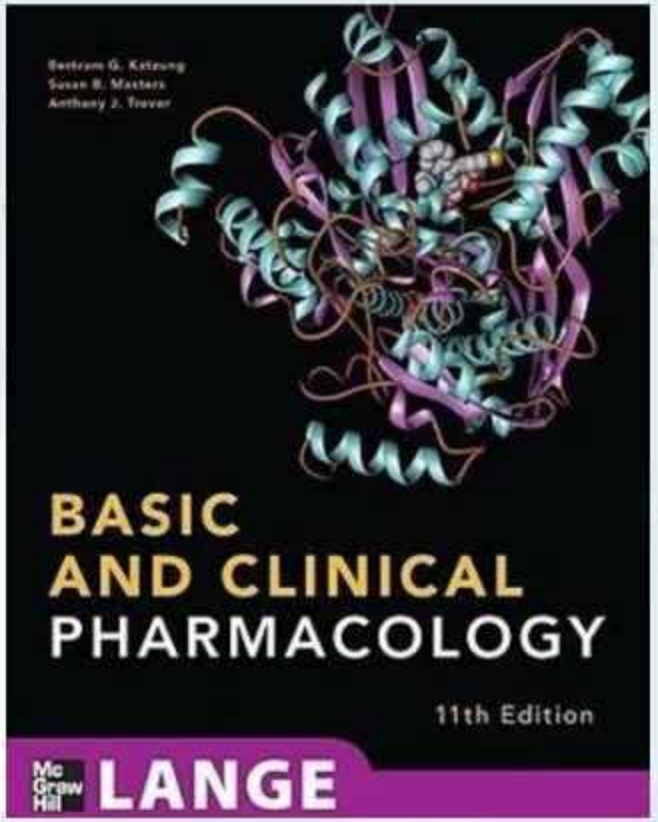
It is a newer D2 agonist; more potent; more selective for pituitary lactotrope D2 receptors, and longer acting ($t_{1/2}$ > 60 hours) than bromocriptine. It needs to be given only twice weekly. Incidence of nausea and vomiting is also lower; some patients not tolerating or not responding to bromocriptine have been successfully treated with cabergoline. It is the first choice drug for treatment of hyper-prolactinaemia; serum prolactin levels fall to the normal range in 2–4 weeks, and many women conceive within one year. Cabergoline should be stopped when pregnancy occurs, though no teratogenic effect has been observed. Most micro- and some macro-prolactinomas show regression during therapy, and neurological symptoms (visual field defects, etc.) due to pressure on optic chiasma are relieved. Response is generally maintained only till the drug is given, with recurrence on stoppage. Some patients who achieve total regression of prolactinoma and normalization of prolactin levels can stop cabergoline without recurrence.



Reference: **Essentials of medical pharmacology, KD Tripathi, 8th edition, Page no. 261**

EXPLANATION

GnRH and its analogs (**nafarelin, buserelin,** etc) have become important in both stimulating and inhibiting ovarian function. They are discussed in Chapter 37.



Reference: Basic and Clinical pharmacology, Katzung, Page no. 733

- **Buserelin is GnRH analogue**
- **Triptorelin GnRH agonist**
- **Cabergolin is D2 receptor agonist**
- **Ganirelix is GnRH antagonist**

Q.25 Thixotropic behaviour is associated with:

Ans
- ✗ A. Increase in viscosity
- ✗ B. Solid and liquid behaviour
- ✗ C. Decrease in viscosity
- ✓ D. Sol-gel-sol transformation

Question Type : **MCQ**
Question ID : **50886134074**
Option 1 ID : **508861136290**
Option 2 ID : **508861136293**
Option 3 ID : **508861136291**
Option 4 ID : **508861136292**
Status : **Answered**
Chosen Option : **D**

Thixotropic behaviour is associated with

Question to Claim

(a) Increase in viscosity

(b) Solid and liquid behavior

(c) Decrease in viscosity

(d) Sol-gel-sol transformation

Note: The question seems incomplete, as options (a) and (c) describe parts of thixotropy.

THIXOTROPY

Non-newtonian systems such as plastic, pseudoplastic and dilatant systems at a given temperature show time dependent changes in the viscosity at varying shearing stresses. This behaviour is known as thixotropy and may be explained in the following manner :

1. Thixotropy in Plastic and Pseudoplastic Systems

In plastic and pseudoplastic systems, the viscosity gradually decreases on increases the shearing stress, at any given temperature. On removing the shearing stress, the viscosity is regained but not immediately but after some time lag. The term thixotropy is given to this phenomenon. It means "to change by touch" and may be described as a reversible isothermal transformation from gel to sol.

$$\text{Gel} \xrightarrow{\text{Application of shear stress}} \text{Sol} \xrightarrow{\text{Removal of shear stress}} \text{Gel}$$

If a rheogram is obtained for such a system by plotting the rate of shear at various shearing stresses, a hysteresis loop as shown in Fig. 4.12 is obtained. As the shearing stress is increased an

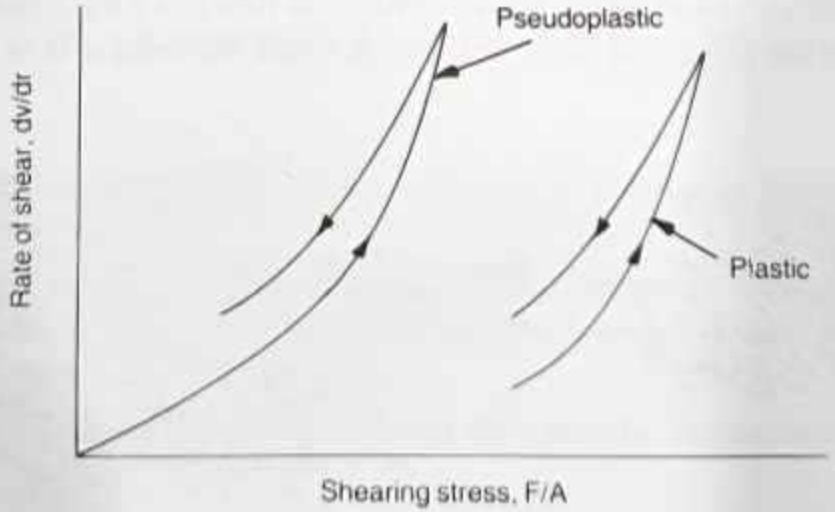
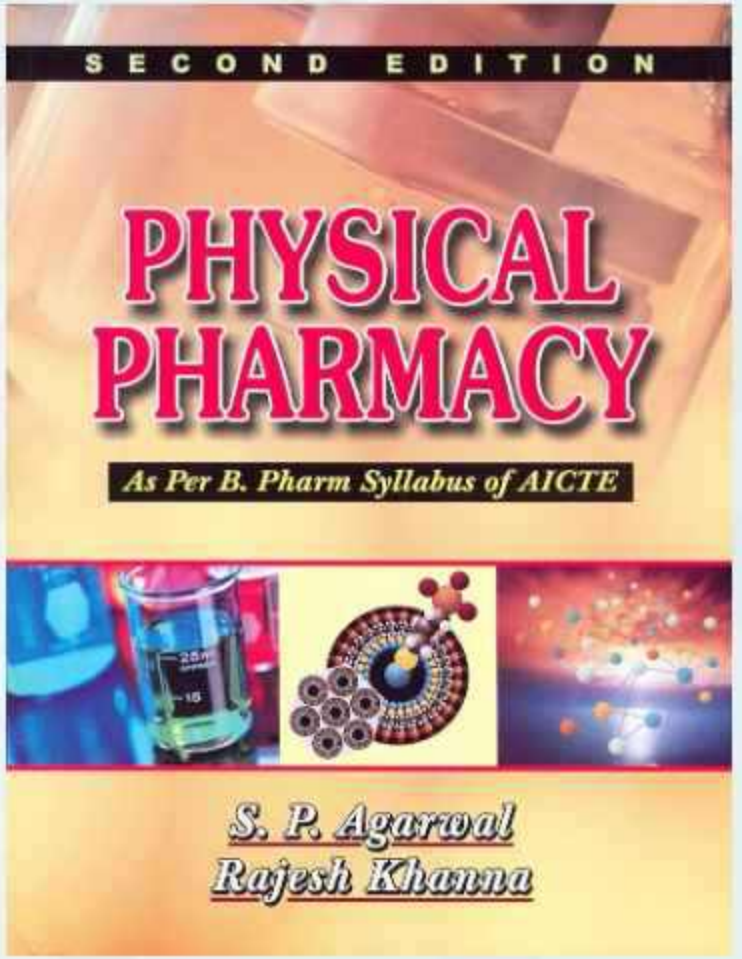
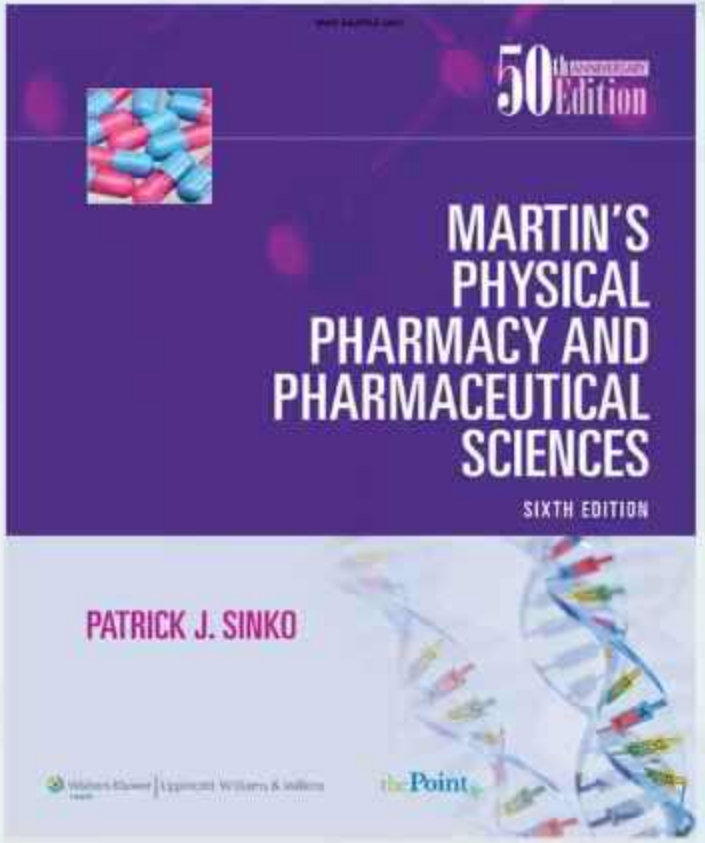


Fig. 4.12. Thixotropy in plastic and pseudoplastic systems.

Reference book – Physical pharmacy S.P. Agarwal and Rajesh Khanna 2nd edition Page no - 116



Thixotropic systems usually contain asymmetric particles that, through numerous points of contact, set up a loose three-dimensional network throughout the sample. At rest, this structure confers some degree of rigidity on the system, and it resembles a gel. As shear is applied and flow starts, this structure begins to break down as points of contact are disrupted and particles become aligned. The material undergoes a gel-to-sol transformation and exhibits shear thinning. On removal of stress, the structure starts to reform. This process is not instantaneous; rather, it is a progressive restoration of consistency as asymmetric particles come into contact with



Thixotropic behavior means viscosity decreases when shear is applied and increases again when shear is removed, forming a reversible sol-gel-sol change.

Reference book – Martin's physical pharmacy and Pharmaceutical sciences 6th edition
Page no- 474

Figure 3-7 ▯ Thixotropic behaviour exhibited by plastic and pseudoplastic systems.

The phenomenon of thixotropy is explained in terms of particle-particle interactions (Figure 3-8). At rest, particles in the dispersion impart rigidity on the system through multipoint contacts. The system behaves like a gel. As shear is applied, the contacts begin to break down, the particles are aligned and the flow starts. The material undergoes a gel-to-sol transformation inducing the system to exhibit shear thinning. Upon the removal of stress, the system starts regaining its original state.

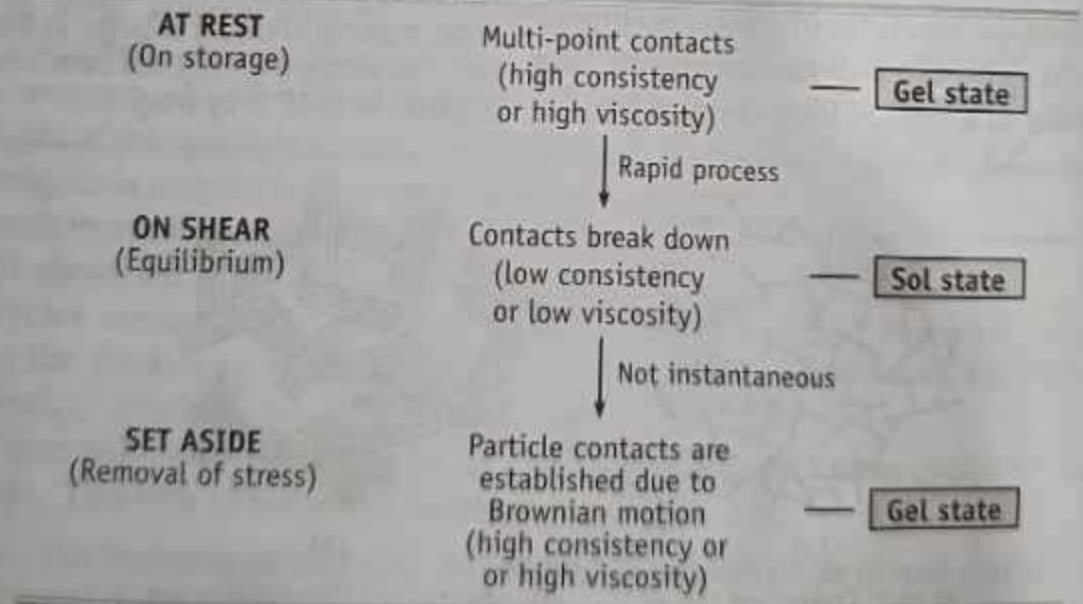
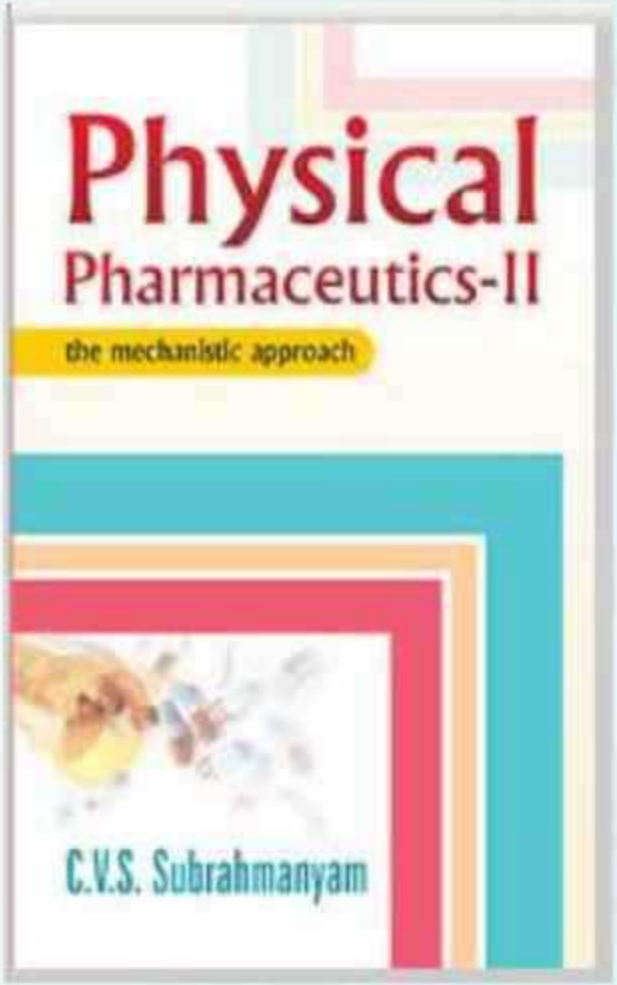


Figure 3-8 ▯ Particle-particle interactions in a thixotropic material. Gel-sol-gel transformations.

Reference book – Physical pharmaceutics – II CVS Subrahmanyam 2nd edition, page no - 103



doi:10.1351/goldbook.W06691

work softening

Also contains definitions of: shear breakdown, thixotropy

The application of a finite shear to a system after a long rest may result in a decrease of the viscosity or the consistency. If the decrease persists when the shear is discontinued, this behaviour is called work softening (or shear breakdown), whereas if the original viscosity or consistency is recovered this behaviour is called thixotropy.

Source:
PAC, 1979, *51*, 1213 *(Manual of symbols and terminology for physicochemical quantities and units. Appendix II: Definitions, terminology and symbols in colloid and surface chemistry. Part 1.13. Selected definitions, terminology and symbols for rheological properties)* on page 1217

Q.23 Glycogenic amino acids entered in TCA cycle except:

Ans
- ✗ A. Glutamate
- ✗ B. Alanine
- ✗ C. Aspartate
- ✓ D. Glycine

Question Type : **MCQ**
Question ID : **50886140344**
Option 1 ID : **508861161370**
Option 2 ID : **508861161372**
Option 3 ID : **508861161371**
Option 4 ID : **508861161373**
Status : **Not Answered**
Chosen Option : **--**

Glycogenic amino acids entered in TCA cycle except

(a) Glutamate

(b) Alanine

(c) Aspartate

(d) Glycine

Question to Claim

Both (b) and (d) are Correct Option

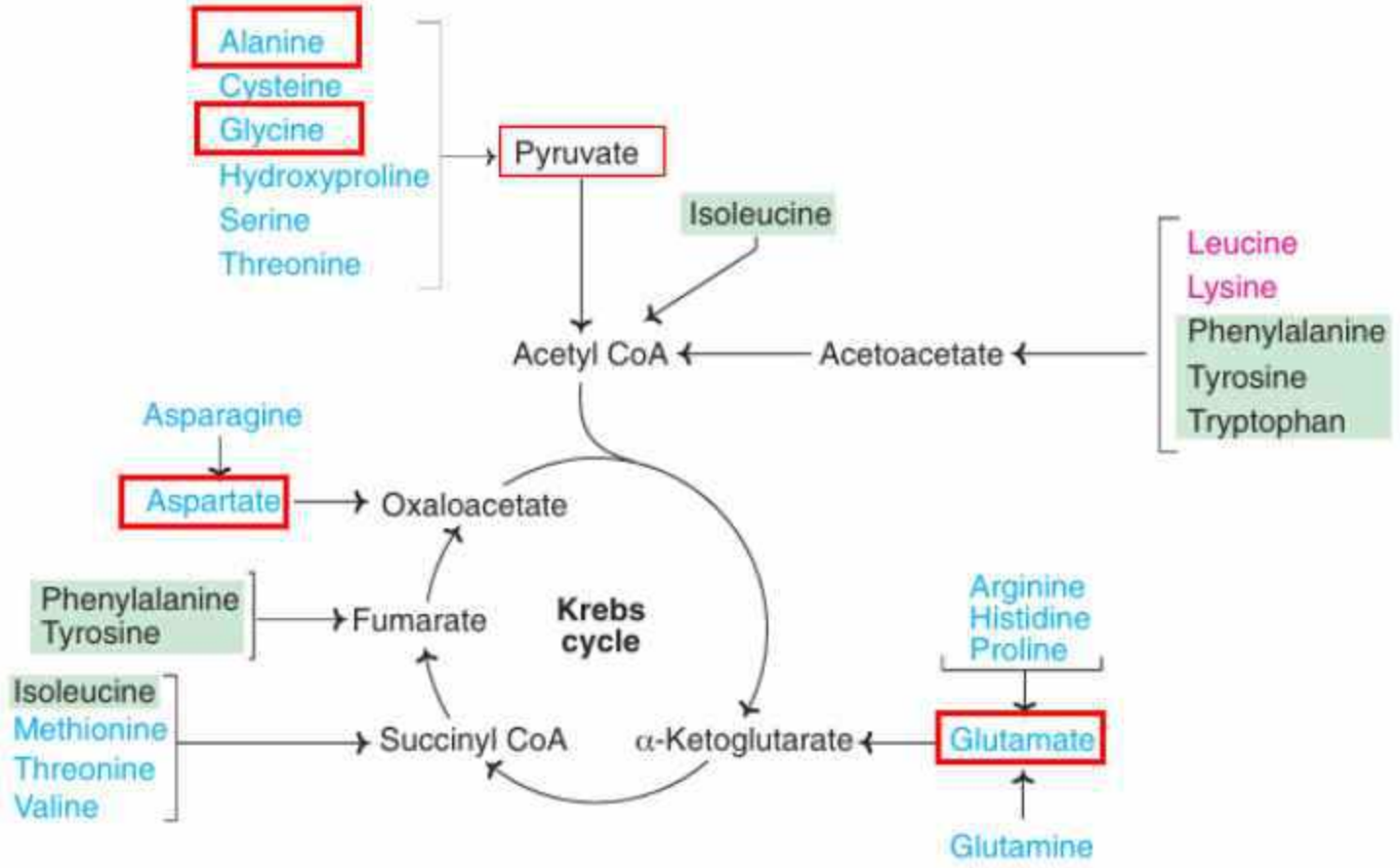


Fig. 15.43 : *Summary of the products formed from carbon skeleton of amino acids (colour indication, Blue–glucogenic; Green shade–glucogenic and ketogenic; Red–ketogenic).*

Table 15.4 Classification of amino acids based on the fate of carbon skeleton

Glycogenic (glucogenic)	*Glycogenic and ketogenic*	*Ketogenic*
Alanine	Phenylalanine*	Leucine*
Arginine*	Isoleucine*	Lysine*
Aspartate	Tyrosine	
Cysteine	Tryptophan*	
Glutamine		
Glutamate		
Glycine		
Histidine*		
Hydroxyproline		
Methionine*		
Proline		
Serine		
Threonine*		
Valine*		

** Essential amino acids; (Helpful tips to recall—ketogenic amino acids start with letter 'L'; PITT for glyco- and ketogenic amino acids; rest of the 20 amino acids are only glycogenic).*

Reference: Biochemistry, U. Satyanarayana and U. Chakrapani, 4th edition, Page no. 373

According to the reference book, both alanine and glycine are glycogenic amino acids and do not directly enter the TCA cycle.

Q.12 Which nasal decongestant is a selective α-2 adrenergic receptor agonist:

Ans
- ✗ A. Loratadine
- ✓ B. Oxymetazoline
- ✗ C. Cetirizine
- ✗ D. Montelukast

Question Type :	**MCQ**
Question ID :	**50886134225**
Option 1 ID :	**508861136895**
Option 2 ID :	**508861136896**
Option 3 ID :	**508861136894**
Option 4 ID :	**508861136897**
Status :	**Not Answered**
Chosen Option :	**--**

Which nasal decongestant is a selective α-2 adrenergic receptor agonist

(a) Loratadine

(b) Oxymetazoline

(c) Cetirizine

(d) Montelukast

Question to Claim

Options are wrong

EXPLANATION

NASAL DECONGESTANTS

These are α agonists which on topical application as dilute solution (0.05–0.1%) produce vasoconstriction in the nasal mucosa which primarily expresses α receptors. The imidazoline compounds—naphazoline, xylometazoline and oxymetazoline are relatively selective α_2 agonist (like clonidine). They have a longer duration of action (12 hours) than ephedrine. After-congestion in nasal mucosa is claimed to be less than that with ephedrine or phenylephrine. They may cause initial stinging sensation (specially naphazoline). Regular use of these agents for long periods should be avoided because mucosal ciliary

Reference: Essentials of medical pharmacology, KD Tripathi, 8th edition, Page no. 149

EXPLANATION

Montelukast and Zafirlukast Both have similar actions and clinical utility. They competitively antagonize *cys*LT$_1$ receptor (*see* p. 205) mediated bronchoconstriction, airway mucus secretion, increased vascular permeability and recruitment of eosinophils. Bronchodilatation, reduced sputum eosinophil count, suppression of bronchial inflammation, mucus and hyperreactivity are noted in asthma patients. Parameters of lung function show improvement to variable degree. Episodes of asthma exacerbations are reduced. Some studies have found that certain patients are 'responders' while others are 'nonresponders' to anti-LT therapy. This may reflect differing extent of involvement of LTs as asthma mediators.

Reference: Essentials of medical pharmacology, KD Tripathi, 8th edition, Page no. 248

EXPLANATION

IV. *SECOND GENERATION ANTIHISTAMINICS*		
Fexofenadine	120–180 mg oral	ALLEGRA, ALTIVA, FEXO 120, 180 mg tab
Loratadine	10 mg oral	LORFAST, LORIDIN, LORMEG, 10 mg tab, 1 mg/ml susp.
Desloratadine	5 mg oral	DESLOR, LORDAY, NEOLORIDIN 5 mg tab
Cetirizine	10 mg oral	ALERID, CETZINE, ZIRTIN, SIZON 10 mg tab, 5 mg/5 ml syr.

Reference: Essentials of medical pharmacology, KD Tripathi, 8th edition, Page no. 179

- **Oxymetazoline** nasal decongestant is a selective α-2 adrenergic receptor agonist
- Loratadine and Cetirizine is second generation Antihistamine
- Montelukast is LT_1 receptor antagonist

So, answer will be **Oxymetazoline**

Q.11 Which one of the following anticonvulsant drugs act on a selective molecular target:

Ans
- ✗ A. Gabapentin
- ✗ B. Pregabalin
- ✗ C. Lamotrigine
- ✓ D. Tiagabine

Question Type : **MCQ**
Question ID : **50886140239**
Option 1 ID : **508861160952**
Option 2 ID : **508861160953**
Option 3 ID : **508861160951**
Option 4 ID : **508861160950**
Status : **Not Answered**
Chosen Option : **--**

Which one of the following anticonvulsant drugs act on a selective molecular target

(a) Gabapentin

(b) Pregabalin

(c) Lamotrigine

(d) Tiagabine

Question to Claim

Correct option NOT available

Tiagabine This newer anticonvulsant potentiates GABA mediated neuronal inhibition by blocking GABA transporter GAT-1 which removes synaptically released GABA into neurones and glial cells (*see* Fig. 30.1). Kindled seizures are suppressed with less marked effect on maximal electroshock. Currently it is approved only for add-on therapy of partial seizures with or without secondary generalization, when not adequately controlled by standard antiepileptic drugs alone. Side effects are mild sedation, nervousness, asthenia, amnesia, dermatitis and abdominal pain.

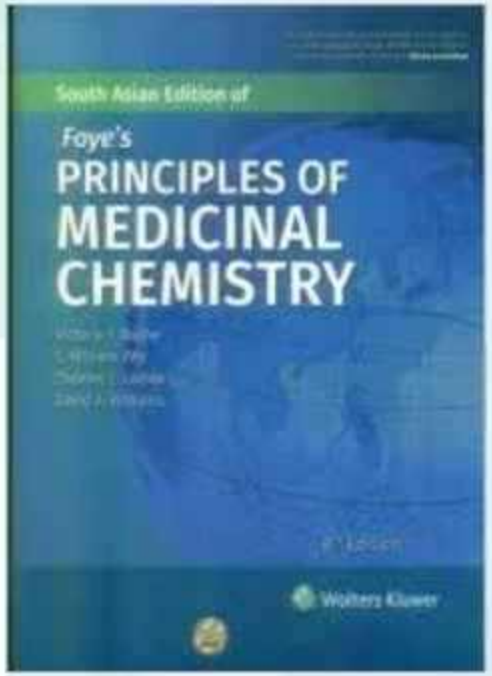
Tiagabine is well absorbed, partly metabolized and excreted mainly in faeces. The t½ is 6 hours.

Dose: 4–16 mg TDS

Reference: Essentials of medical pharmacology, KD Tripathi, 8th edition, Page no. 448

Tiagabine, by selectively inhibiting GAT-1, which may be the major GABA neuronal reuptake transporter, is an approved agent for the treatment of partial seizures (see Chapter 20). Clinical trials are underway for its use in the treatment of anxiety, neuropathic pain, and insomnia (24). Two GABA analogues that have been shown to interact with GAT-1 include gabapentin and pregabalin. The concentrations required to inhibit GAT, however, are very high, and a GABAergic mechanism of action most likely does not explain their anticonvulsant activity (25). Despite their close structural similarity to GABA, inhibition of a voltage-gated calcium channel containing the $\alpha_2\delta_1$ subunit is believed to be responsible for their pharmacological actions.

Seizure disorders can be devastating to a patient's quality of life. Restrictions placed on patients with epilepsy include revocation of driver's licenses, potential physical limitations, work absenteeism, and various emotional and mental issues related to the disease and to the side effects from many of the medications these patients require. Because of the nature of the pathophysiology of seizures (i.e., abnormal neuron firing involving ion channels and an imbalance between excitatory and inhibitory synaptic function), medicinal chemistry plays a vital role in the understanding of this disease and, particularly, in its treatment. Molecular agents used to treat seizures exert varying effects on neuronal function through their structure–activity relationships and chemical interactions with ion channels (carbamazepine, phenytoin, ethosuximide, and zonisamide) and their similarities to naturally occurring neurotransmitters, such as γ-aminobutyric acid (GABA; benzodiazepines, barbiturates, topiramate, gabapentin, and tiagabine).



Reference: Foye's Principles of Medicinal Chemistry (Lemke, Foye's Principles of Medicinal Chemistry) Pg. no. -454, 522

Fact Check Questions

Which nasal decongestant is a selective α-2 adrenergic receptor agonist

(a) Loratadine

(b) Oxymetazoline

(c) Cetirizine

(d) Montelukast

Question to Claim

Options are wrong

EXPLANATION

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EXPLANATION

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- Montelukast is LT_1 receptor antagonist

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Ans
- ✗ A. Gabapentin
- ✗ B. Pregabalin
- ✗ C. Lamotrigine
- ✓ D. Tiagabine

Question Type : **MCQ**
Question ID : **50886140239**
Option 1 ID : **508861160952**
Option 2 ID : **508861160953**
Option 3 ID : **508861160951**
Option 4 ID : **508861160950**
Status : **Not Answered**
Chosen Option : **--**

Which one of the following anticonvulsant drugs act on a selective molecular target

(a) Gabapentin

(b) Pregabalin

(c) Lamotrigine

(d) Tiagabine

Question to Claim

Correct option NOT available