

Antiparkinson Drugs

→ Dopamine precursor
↳ Levodopa

→ Dopaminergic receptor agonist
↳ Bromocriptine (Parlodel)
↳ Pergalide (Permax)
↳ Ropinirole (Requip)
↳ Pramipexole (Mirapex)
↳ Cabergoline (Dostinex)

→ MAO Inhibitor
↳ Selegiline
↳ Tranylcypromine

→ Catechol-O-Methyl transferase Inhibitor
↳ Tolcapone
↳ Entacapone

→ Ani-muscarinic drugs
↳ Benztropine
↳ Trihexphenydial

→ Antiviral drug
↳ Amantadine

↳ used for the treatment of influenza earlier but it may improve parkinsonism

Dopamine Precursor

Chemically Levodopa is 2, 4 Dihydroxyphenylalanine.

It is metabolic precursor of Dopamine.

It can easily cross BBB when administered orally.

It is completely absorbed in small intestine. The rate and extent of absorption depends upon the

- *- Gastric emptying time
- *- pH of gastric juice
- *- Length of the time, the drug is exposed to degradative action of enzyme

When Levodopa is absorbed, it can cross the BBB and improve the symptoms in Parkinson disease patient.

In modern practice Levodopa is used in combination with Carbidopa or Benzserazide.

When Levodopa is used alone it is peripherally degraded by enzyme Aromatic amino acid

decarboxylase in the gastric
and intestinal mucosa and
produce some gastrointestinal
problem like

- ↳ Nausea
- ↳ Vomiting

So, Carbidopa or Benzerazide are
peripherally inhibitor of
enzyme aromatic amino acid
decarboxylase and increase the
concentration of levodopa to
cross BBB. and also block the
gastrointestinal related adverse
effect.

In brain, levodopa is again
decarboxylated by aromatic
amino acid decarboxylase into
the Dopamine. that improves the
situation in parkinson disease
patient.

25mg / 100mg → 3 time a day
↓ ↓
carbidopa ↓
levodopa

In the early stage of Parkinson disease there is the improvement in

- * - Tremor
- * - Rigidity
- * - Bradykinesia

In the later stage of this disease a common problem ~~cause~~ develop called wearing off phenomenon. It means that each dose of Levodopa can improve mobility for some specific period, particularly for 1-2 hrs. but after sometimes other symptoms are returned.

- * - Increasing the dose and
- * - Frequency of dosing interval can improve the situation in parkinsonism, but this is limited by the development of dyskinesia.

↓
painful or abnormal movement of body

Another phenomenon that is called on/off phenomenon. It means that patient have no beneficial effect from the medication.

and remain in the off condition.
By increasing the dose patient
have beneficial effects and
remain in the on stage
with dyskinesia. So patient
fluctuate between off and
on dose / stage

Adverse Effect

The most common adverse effects
} due to
} ionotropic action
• Hypertension
• Tachycardia
• Hallucination
• Gastrointestinal problem

Interactions

Levodopa should not used
with food specifically it is
used in empty stomach,
Levodopa has interaction with
vitamin B₆.

Concurrent administration of
Levodopa with vit B₆ inhibit
the absorption of Levodopa.