International Journal of Pharmacy and Pharmaceutical Research (IJPPR)
Volume 32, Issue 5, May 2026 **ijppr.humanjournals.com** ISSN: 2349-7203

A Review Baclofen as an ANTISPASMODIC DRUG

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Received: 22 March 2026 Revised: 15 April 2026 Accepted: 23 April 2026

ABSTRACT [1]

Baclofen (BCF) is a novel muscle relaxant and antispasmodic drug that belongs to the BCS-III drug class, having low solubility and high permeability used for treating multiple sclerosis symptoms such as spasticity, stiffness, and pain. Oral disintegrating tablets (ODTs) provide a convenient alternative by rapidly disintegrating in the mouth without the need for water. This review focuses on the formulation strategies, mechanisms, advantages, evaluation parameters, and therapeutic benefits of baclofen oral disintegrating tablets. ODT technology improves patient compliance, provides rapid onset of action, and enhances convenience in administration.

Keywords: Baclofen, antispasmodic, Crospovidone, Croscarmellose sodium, Sodium starch glycolate, Mannitol, Microcrystalline cellulose, Young adults.

INTRODUCTION [2][7] [14]

Baclofen is a medication used to treat muscle spasticity, particularly in patients with conditions like spinal cord lesions and multiple sclerosis. Initially designed in 1960 to address epilepsy, baclofen was reintroduced in 1971 for its efficacy in treating muscle spasticity. Baclofen effectively manages spasticity by relieving flexor spasms, clonus, and associated pain.[2]

Baclofen (BCF) is a novel muscle relaxant and antispasmodic drug that belongs to the BCS-III drug class, having low solubility and high permeability used for treating multiple sclerosis symptoms such as spasticity, stiffness, and pain.[13]

Many patients find it difficult to swallow tablets and hard gelatin capsules and thus not comply with prescription that results in high incidence of non-compliance and ineffective therapy.1 Orodispersible tablets are gaining prominence as new drug delivery systems.2 These dosage forms dissolves or disintegrate in oral cavity within a minute without the need of water or chewing. In this study, an effort has been made to formulate orodispersible tablets of baclofen using different Disintegrate. [7]

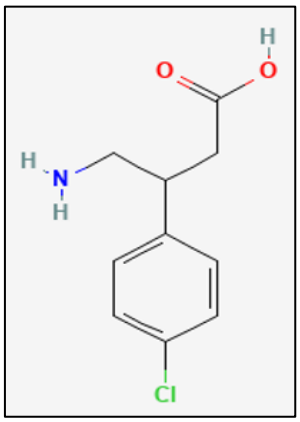
Baclofen is a derivative of gamma-aminobutyric acid (GABA) that acts as a GABA-B receptor agonist in the central nervous system. It reduces muscle spasticity by inhibiting neurotransmitter release in spinal reflex pathways.[2][14]

However, conventional oral dosage forms may be unsuitable for patients who experience dysphagia (difficulty swallowing). Oral disintegrating tablets (ODTs) have emerged as a promising drug delivery system designed to disintegrate quickly in saliva, usually within seconds, without the need for water.[2]

The orodispersible tablets has addressed various challenges associated with medication administration, particularly for certain patient populations such as kids. By providing a kids friendly and palatable option, orodispersible tablets enhance patient compliance. [10]

The development of baclofen ODTs offers improved patient compliance and ease of administration, particularly in pediatric, geriatric, and neurological patients.[2]

2. Baclofen: Drug Profile [11] [12] [1]



Parameter	Description
Drug Name	Baclofen
Chemical Name	4-amino-3-(4-chlorophenyl) butanoic acid
Molecular Formula	C10H12ClNO2
Molecular Weight	213.66 g/mol
Category	Skeletal muscle relaxant
Mechanism	GABA-B receptor agonist
Indication	Spasticity due to neurological disorders
Half-life	3–4 hours
Solubility	Slightly soluble in water

Pharmacodynamic and pharmacokinetic properties of baclofen. [table 1]

Route of administration	Onset of action	Peak effect	Half-life
Oral	Rapid	45 min–2.5 h	2–6 h
Intrathecal, bolus	30 min–1 h	4 h	1–5 h
Intrathecal, continuous infusion	6–8 h after infusion initiation	24–48 h after infusion initiation	5 h

PHARMACODYNAMICS [08] [17]

Baclofen is an antispasmodic agent that induces muscle relaxation.

Baclofen exhibits anti-inflammatory and neuroprotective activities: it inhibits the release of pro-inflammatory cytokines from microglia and astrocytes, and decreases oxidative stress in rats.

Baclofen is a centrally acting skeletal muscle relaxant used mainly for the treatment of **spasticity** associated with neurological disorders such as **multiple sclerosis, spinal cord injury, and cerebral palsy**.In **Oral Disintegrating Tablet (ODT)** form, baclofen dissolves rapidly in saliva and is swallowed, allowing the drug to be absorbed through the gastrointestinal tract. The pharmacodynamic action remains the same as conventional tablets, but the ODT dosage form improves **ease of administration and patient compliance**.

Baclofen acts as an **agonist at gamma-aminobutyric acid type B (GABA-B) receptors** in the central nervous system.

Pharmacodynamic Action

Onset of action: ~1 hour after oral administration

Peak effect: 2–3 hours

Duration: 4–6 hours depending on dose and patient condition

Pharmacodynamic Effects [table 2]

Effect	Description
Muscle Relaxation	Reduces skeletal muscle spasticity
Antispasmodic Effect	Decreases frequency and severity of muscle spasms
Analgesic Effect	Indirect reduction in pain caused by muscle stiffness
Improved Mobility	Helps improve voluntary movement in affected patients

Pharmacokinetics [3]

Absorption:

1. Baclofen has a 70% to 85% bioavailability and is rapidly absorbed through the gastrointestinal tract following oral administration. Peak plasma concentrations are generally observed 2 to 3 hours after ingestion. Absorption is dose-dependent and increases with higher doses.

Distribution:

1. Baclofen has a volume of distribution of 0.7 L/kg and, due to high water solubility, does not readily cross the blood-brain barrier. Consequently, CSF drug concentrations following oral administration are significantly lower than plasma concentrations. The plasma protein binding of baclofen is 30%.

Metabolism:

1. The S-enantiomer of baclofen is metabolized in the liver and gut to form the metabolite S-M1, which appears at higher plasma and urine levels compared to previous reports. In contrast, the R-enantiomer does not appear to undergo significant metabolic transformation.

Elimination:

- Due to a short half-life of 2 to 6 hours, baclofen should be administered frequently to achieve optimal effect. Seventy percent of baclofen is eliminated in an unchanged form by renal excretion, and the remaining amount is eliminated via feces.

- Baclofen is a useful medication for patients with impaired hepatic function or a high potential for cytochrome P450-mediated drug-drug interactions. Recent bolus and continuous infusion study results indicate significant inter-individual variability in baclofen's absorption and elimination processes. The pharmacokinetics of CSF clearance of intrathecal baclofen suggest that elimination occurs via bulk-flow removal.

- After a lumbar bolus injection of intrathecal baclofen, the average CSF elimination half-life is approximately 1.5 hours. A lumbar-cisternal concentration gradient has been observed, indicating that CSF concentrations of baclofen are higher in the lumbar region than in the cisternal region.

Mechanism of action :[4][5][15]

- Baclofen is an agonist for gamma-aminobutyric acid (GABA)B receptors on pre- and postsynaptic neurons in the central nerv ous system (CNS) and peripheral nervous system.

- Its action results in inhibition of the transmission of both mono- and polysynaptic reflexes at the spinal cord, relaxing spasticity.

- Agonism of GABAB receptors found on type Ia presynaptic neurons arising from extrafusal muscle spindles causes an influx of potassium (K+) leading to hyperpolarization of the neuronal membrane, as well as decreased calcium (Ca2+) influx at presynaptic nerve terminals.

- The net result is a decrease in the rate of action potential threshold being reached by presynaptic type Ia neurons and decreased amplitude of excitatory postsynaptic potentials arising from gamma motor neurons that innervate the muscle spindles. This mechanism accounts for baclofen's therapeutic effect of decreasing spas ticity (However, GABAB receptors are also found on other neurons throughout the body, including in the CNS and sympathetic nervous system, which can account for the side effects of drowsiness and dizziness. Baclofen is the only FDA-approved GABAB agonist.

Oral Disintegrating Tablets (ODTs)

Oral disintegrating tablets are solid dosage forms designed to dissolve or disintegrate rapidly in the mouth within a short period, usually less than 60 seconds, without the need for water.

Characteristics of ODTs

- Rapid disintegration in saliva
- Pleasant taste and mouthfeel
- Easy administration without water
- Improved patient compliance

Advantages

- Suitable for pediatric and geriatric patients
- Improved bioavailability in some cases
- Rapid onset of action
- Convenience during travel or emergencies
- Limitations
- Mechanical fragility
- Moisture sensitivity
- Taste masking requirements

Baclofen's Adverse Effects.[6]

◦ the expansion of baclofen's use beyond the treatment of spasticity has been associated with an increased number of overdose and toxicity events, the most serious adverse outcomes have been associated with ITB administration.

o It is important for providers to maintain a high diagnostic index of suspicion as the nature and severity of symptoms varies widely.

o Patient history, vital sign abnormalities, and physical examination findings are all important in establishing the diagnosis.

◦ Baclofen toxicity should be considered in the setting of hypotonia and flaccid paralysis, while spasticity and hyperreflexia are more commonly encountered with baclofen withdrawal.

o Baclofen toxicity can be life-threatening with hemodynamic instability, cardiac arrhythmias, and respiratory failure often requiring admission to the intensive care unit (ICU).

Signs and symptoms of baclofen toxicity are shown in[table 3]

System	Baclofen toxicity	Baclofen withdrawal
General	Hypothermia, death	Pruritus, hyperthermia, multisystem organ failure, death
Psychiatric	Hallucinations, agitation, mania, catatonia	Hallucinations, anxiety, paranoia, delusions
Neurological	Hyporeflexia, tremor, confusion, impaired memory, lethargy, somnolence, seizures, encephalopathy, coma	Hyperreflexia, tremor, paresthesias, headache, altered mental status, delirium, seizures
Cardiovascular	Conduction abnormalities, prolonged QTc interval, autonomic dysfunction: bradycardia, tachycardia, hypotension, hypertension	Acute reversible cardiomyopathy, cardiac arrest, autonomic dysfunction: bradycardia, tachycardia, hypotension, hypertension
Respiratory	Respiratory failure	Respiratory failure
Gastrointestinal	Nausea, vomiting	Nausea, vomiting, diarrhea
Musculoskeletal	Hypotonia	Hypertonia, rhabdomyolysis

The most common adverse reactions associated with baclofen administration include:

- Transient sedation
- Confusion
- Muscle weakness
- Vertigo
- Nausea

Side Effects for Baclofen [9]

The most common is transient drowsiness (10 to 63%). In one controlled study of 175 patients, transient drowsiness was observed in 63% of those receiving baclofen compared to 36% of those in the placebo group. Other common adverse reactions are dizziness (5 to 15%), weakness (5 to 15%) and fatigue (2 to 4%).

Others Reported [9]

Neuropsychiatric:

Confusion (1 to 11%), headache (4 to 8%), insomnia (2 to 7%); and, rarely, euphoria, excitement, depression, hallucinations, paresthesia, muscle pain, tinnitus, slurred speech, coordination disorder, tremor, rigidity, dystonia, ataxia, blurred vision, nystagmus, strabismus, miosis, mydriasis, diplopia, dysarthria, epileptic seizure.

Cardiovascular:

Hypotension (0 to 9%). Rare instances of dyspnea, palpitation, chest pain, syncope.

Gastrointestinal:

Nausea (4 to 12%), constipation (2 to 6%); and rarely, dry mouth, anorexia, taste disorder, abdominal pain, vomiting, diarrhea, and positive test for occult blood in stool.

Genitourinary:

Urinary frequency (2 to 6%); and rarely, enuresis, urinary retention, dysuria, impotence, inability to Ejaculate, nocturia, hematuria.

Other:

Instances of rash, pruritus, ankle edema, excessive perspiration, weight gain, nasal congestion.

Some of the CNS and genitourinary symptoms may be related to the underlying disease rather than to drug therapy. The following laboratory tests have been found to be abnormal in a few patients receiving baclofen: increased SGOT, elevated alkaline phosphates, and elevation of blood sugar.

AVAILABLE DOSAGE FORMS AND STRENGTHS.

Baclofen is available in oral tablets and as a solution for oral, transdermal, and intrathecal administration.

Oral: Tablets are available in 5 mg, 10 mg, and 20 mg strengths and solutions in 5 mg/5 mL (473 mL) bottles.

Intrathecal: Solutions are available in 0.5 mg/mL, 1 mg/mL, and 2 mg/mL (20 mL vials). The preservative-free intrathecal solution is available in formulations of 0.05 mg/mL (1 mL vial), 0.5 mg/mL (20 mL vial), 1 mg/mL (20 mL vial), and 2 mg/mL (in 5 mL and 20 mL vials). Prefilled syringes are available in 50 µg/mL (1 mL syringe) and 0.5 mg/mL, 1 mg/mL, and 2 mg/mL (20 mL syringes).

Adult Dosage

Oral: Initially 5 mg, 3 times daily. The dose is increased every 3 days until an optimal response is achieved; the daily dose should not exceed 80 mg. The typical daily dose is 40 to 80 mg.

Interaction [16]

Alcohol and other CNS depressants may exacerbate the CNS effects of Baclofen and should be avoided. There may be increased weakness if Baclofen is given to patients taking a tricyclic antidepressant and an increased hypotensive effect if it is given to patients receiving antihypertensive therapy.

Food Interaction

- Avoid alcohol

Alcohol can increase the nervous system side effects of baclofen such as dizziness, drowsiness, and difficulty concentrating.

- Take with food or milk to reduce gastric irritation.

CONTRAINDICATIONS [3]

- Baclofen is contraindicated for patients with hypersensitivity to baclofen or any component of its formulation. The injection solution is not recommended for intravenous, subcutaneous, intramuscular, or epidural administration.

- Baclofen is not recommended for patients with Parkinson disease and stroke due to a lack of reassuring data. In addition, baclofen is not indicated for skeletal muscle spasms associated with rheumatologic disorders.

- Baclofen and Pregnancy

- During pregnancy, baclofen should be used only when prescribed. Baclofen passes into breast milk. Consult your doctor before breastfeeding.

Warning and Precautions

Urinary retention: Caution is advised for patients with urinary retention.

Autonomic dysreflexia: Abrupt baclofen withdrawal or the presence of nociceptive stimuli can cause an autonomic dysreflexic episode.

Gastrointestinal disorders: Caution is advised for patients with peptic ulcer disease, decreased GI motility, or GI obstructive disorders.

Neuropsychiatric adverse effects: Caution is advised for patients with confused mental states, psychosis, or schizophrenia; baclofen may cause worsening of these conditions. Additionally, patients treated with baclofen injections should be monitored because exacerbations of these conditions have been observed after oral baclofen administration.

Renal impairment: Caution is advised for patients with renal impairment, as baclofen is primarily eliminated via the kidneys.

11. Conclusion

Baclofen is an effective centrally acting muscle relaxant widely used in the management of spasticity associated with neurological disorders such as multiple sclerosis and spinal cord injuries. However, conventional oral dosage forms may present challenges for patients with swallowing difficulties, leading to poor compliance and reduced therapeutic outcomes.

The development of baclofen oral disintegrating tablets (ODTs) offers a promising alternative by providing rapid disintegration in the oral cavity without the need for water. This enhances patient convenience, improves compliance—especially in pediatric and geriatric populations—and allows for a faster onset of action.

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How to cite this article:
Hariharan S et al. Ijppr.Human, 2026; Vol. 32 (5): 86-92.
Conflict of Interest Statement: All authors have nothing else to disclose.