

PROFESSIONAL INFORMATION

SCHEDULING STATUS

S4

1. NAME OF THE MEDICINE

BACLOFEN ACTIVO, 10 mg tablets

2. QUALITATIVE AND QUANTITATIVE COMPOSITION

Each tablet contains 10 mg baclofen.

Sugar free.

For the full list of excipients, see [section 6.1](#).

3. PHARMACEUTICAL FORM

Tablets.

White-coloured, round, flat, uncoated tablets having a breakline on one side and plain on the other side. Diameter is approximately 7 mm.

The tablet can be divided into equal halves.

4. CLINICAL PARTICULARS

4.1 Therapeutic indications

BACLOFEN ACTIVO is indicated for:

- Spasticity of the skeletal muscle due to multiple sclerosis; spastic conditions occurring in spinal-cord diseases of infectious, degenerative, traumatic, neoplastic, or unknown aetiology, e.g. spastic spinal paralysis, amyotrophic lateral sclerosis, syringomyelia, transverse myelitis, traumatic paraplegia or paraparesis, and compression of the spinal cord.



- Spasticity of cerebral origin, e.g. following cerebrovascular accidents or in the presence of neoplastic or degenerative brain disease.

4.2 Posology and method of administration

Treatment should always be initiated with small, gradually increasing doses of BACLOFEN ACTIVO. The optimum daily dosage should be individually adapted to the patient's requirements in such a way that clonus, flexor and extensor spasms, and spasticity are reduced, but that a sufficient degree of muscle tone is maintained to permit active movements and adverse effects are avoided as far as possible.

In order to prevent excessive weakness and falling, BACLOFEN ACTIVO should be used with caution when spasticity is needed to sustain upright posture and balance in locomotion or whenever spasticity is used to maintain function. It may be important to maintain some degree of muscle tone and allow occasional spasms to help support circulatory function.

The daily dosage should be given in at least 3 divided doses in adults, and 3 to 4 in children.

If no benefit is apparent within 6 to 8 weeks of achieving the maximum dosage, a decision should be taken whether to continue with BACLOFEN ACTIVO.

Discontinuation of the treatment should always be gradual, by successively reducing the dosage over a period of approximately 1 to 2 weeks, except in overdose-related emergencies, or where serious adverse effects have occurred.

Abrupt discontinuation of the treatment should be avoided (see [section 4.4.](#)).

Adults:

Treatment should, as a rule, be started with a dosage of 5 mg three times daily, which for the purpose of cautious dose titration, should subsequently be increased at three-day intervals by 5 mg three times daily until the requisite daily dosage has been attained, i.e.:



- 5 mg three times daily for 3 days
- 10 mg three times daily for 3 days
- 15 mg three times daily for 3 days
- 20 mg three times daily for 3 days.

In certain patients reacting sensitively to medicines, it may be advisable to begin with a lower daily dosage (5 mg or 10 mg) and to raise this dosage more gradually. The optimum dosage generally ranges from 30 mg to 80 mg daily.

Doses of more than 80 mg to 100 mg daily are not generally recommended although higher doses have been given to carefully supervised patients in hospital.

Children:

Treatment should usually be started with a very low dose, e.g. 0,3 mg/kg a day, in divided doses. The dosage should be raised cautiously, at about 1 to 2 week intervals, until it becomes sufficient for the child's individual requirements. The usual daily dosage for maintenance therapy ranges between 0,75 and 2 mg/kg body mass. In children over 10 years of age, however, a maximum daily dosage of 2,5 mg/kg body mass may be given.

Special populations

In patients with impaired renal function BACLOFEN ACTIVO should be given with caution and at lower doses. These patients should be closely monitored for prompt diagnosis of early signs and/or symptoms of toxicity (e.g. somnolence, lethargy). Patients undergoing chronic haemodialysis, baclofen concentrations in plasma are elevated and therefore a particularly low dosage of LIORESAL should be selected, i.e. approximately 5 mg daily.



Since unwanted effects are more likely to occur in elderly patients or in patients with spastic states of cerebral origin, in such cases it is recommended that a very cautious dosage schedule be adopted and that the patient be kept under appropriate surveillance.

No studies have been performed in patients with hepatic impairment. The liver does not play significant role in the metabolism of baclofen after oral administration of BACLOFEN ACTIVO. However, baclofen has the potential of elevating liver enzymes. BACLOFEN ACTIVO should be prescribed with caution in patients with hepatic impairment.

Method of administration

For oral use.

BACLOFEN ACTIVO should be taken during meals with a little liquid.

4.3 Contraindications

- Hypersensitivity to baclofen or to any of the excipients of BACLOFEN ACTIVO (see [section 6.1](#)).
- Porphyria.

4.4 Special warnings and precautions for use

Effects on ability to drive and use machines

BACLOFEN ACTIVO may be associated with dizziness, sedation, somnolence, visual disturbances and impaired concentration. This may impair the patient's reaction and may be aggravated by the simultaneous intake of alcohol or central nervous system depressant medicines. Patients experiencing these adverse reactions should be advised to refrain from driving or using machines (see [section 4.7](#)).

Psychiatric and nervous system disorders:

Patients suffering from psychotic disorders, schizophrenia, depressive or manic disorders, confusional states or Parkinson's disease should be treated cautiously with BACLOFEN ACTIVO and kept under



careful surveillance, because exacerbations of these conditions may occur.

Suicide and suicide-related events have been reported in patients treated with baclofen. Close supervision of patients with additional risk factors for suicide (e.g. alcohol use disorder, depression and/or a history of previous suicide attempts) should accompany therapy with BACLOFEN ACTIVO. Patients (and caregivers of patients) should be alerted about the need to monitor for clinical worsening, suicidal behaviour or thoughts or unusual changes in behaviour and to seek medical advice immediately if these signs are present.

Cases of misuse, abuse and dependence have been reported with baclofen, as contained in BACLOFEN ACTIVO. Caution should be exercised in patients with a history of substance abuse and the patient should be monitored for symptoms of baclofen misuse, abuse or dependence e.g. dose escalation, drug-seeking behaviour, development of tolerance.

Epilepsy:

Special attention should be given to patients known to suffer from epilepsy since lowering of the convulsion threshold may occur and seizures have occasionally been reported in connection with the discontinuation of BACLOFEN ACTIVO or with over-dosage. Adequate anticonvulsive therapy should be continued, and the patient carefully monitored.

Others:

BACLOFEN ACTIVO should be used with caution in patients with, or with a history of, peptic ulcers, as well as in those suffering from cerebrovascular diseases or from respiratory, hepatic, or renal failure. Patients with stroke tolerate BACLOFEN ACTIVO poorly.

Urinary retention:

BACLOFEN ACTIVO should be used with caution in patients with pre-existing sphincter hypertonia as acute retention of urine may occur.



Laboratory tests:

In instances, elevated aspartate aminotransferase (AST), alkaline phosphatase (ALP), and glucose levels in the serum have been recorded. Appropriate laboratory tests should therefore be performed periodically in patients with liver disease or diabetes mellitus in order to ensure that no medicine-induced changes in these underlying diseases have occurred.

Renal impairment:

BACLOFEN ACTIVO should be used with caution in patients with renal impairment (see [section 4.2](#)).

Neurological signs and symptoms of overdose including clinical manifestations of toxic encephalopathy (e.g. confusion, somnolence, hallucination) have been observed in patients with renal impairment taking BACLOFEN ACTIVO at doses of more than 5 mg per day. Patients with renal impairment should be closely monitored for prompt diagnosis of early signs and symptoms of toxicity (see [section 4.9](#)).

Particular caution is required when combining BACLOFEN ACTIVO with medicines which may significantly impact renal function. Renal function should be closely monitored and BACLOFEN ACTIVO daily dosage adjusted accordingly to prevent baclofen toxicity.

Besides discontinuing treatment, unscheduled haemodialysis might be considered as a treatment alternative in patients with severe baclofen toxicity. Haemodialysis effectively removes baclofen from the body, alleviates clinical symptoms of overdose and shortens the recovery time in these patients.

Abrupt discontinuation:

Anxiety and confusional states, hallucinations, psychotic, manic or paranoid states, convulsions (status epilepticus), dyskinesia, tachycardia, hyperthermia, rhabdomyolysis and (as a rebound phenomenon), temporary aggravation of spasticity have been reported upon the abrupt withdrawal of BACLOFEN ACTIVO, especially after long-term medication.



Medicine withdrawal reactions including postnatal convulsions in neonates have been reported after intrauterine exposure to oral baclofen. As a precautionary measure, BACLOFEN ACTIVO administration to neonates with gradual tapering can help in controlling and preventing the withdrawal reactions (see [section 4.6](#)).

Except in overdose-related emergencies or where serious adverse effects have occurred, treatment should therefore always be gradually discontinued by successively reducing the dosage (over a period of approximately one to two weeks).

4.5 Interactions with other medicines and other forms of interaction

Levodopa/dopa decarboxylase (DDC) inhibitor (carbidopa):

In patients with Parkinson's disease receiving treatment with baclofen, as contained in BACLOFEN ACTIVO, and levodopa (alone or in combination with DDC inhibitor, carbidopa), there have been reports of mental confusion, hallucinations, nausea and agitation.

Worsening of the symptoms of Parkinsonism has also been reported. Hence, caution should be exercised during concomitant administration of BACLOFEN ACTIVO and levodopa/carbidopa.

Medicines causing central nervous system (CNS) depression:

Increased sedation may occur when BACLOFEN ACTIVO is taken concomitantly with other medicines causing CNS depression including other muscle relaxants (such as tizanidine), with synthetic opiates or with alcohol (see [section 4.7](#)).

The risk of respiratory depression is also increased. In addition, hypotension has been reported with concomitant use of morphine and intrathecal baclofen. Careful monitoring of respiratory and cardiovascular functions is essential especially in patients with cardiopulmonary disease and respiratory muscle weakness.

Antidepressants:



During concomitant treatment with tricyclic antidepressants, the effect of BACLOFEN ACTIVO may be potentiated, resulting in pronounced muscular hypotonia.

Lithium:

Concomitant use of baclofen and lithium resulted in aggravated hyperkinetic symptoms. Thus, caution should be exercised when BACLOFEN ACTIVO is used concomitantly with lithium.

Antihypertensives:

Since concomitant treatment with baclofen and anti-hypertensives is likely to increase the fall in blood pressure, the dosage of antihypertensive medication should be adjusted accordingly.

Medicines reducing renal function:

Medicines that can significantly affect renal function may reduce baclofen excretion leading to toxic effects (see [section 4.4](#))

4.6 Fertility, pregnancy and lactation

Pregnancy

There are no adequate and well-controlled studies in pregnant women. Baclofen crosses the placental barrier and should not be used during pregnancy.

Withdrawal reactions including postnatal convulsions in neonates have been reported after intrauterine exposure to oral baclofen (see section 4.4).

Breastfeeding

In mothers taking BACLOFEN ACTIVO in therapeutic doses, the active substance passes into the breast milk, but in quantities so small that no undesirable effects on the infant are to be expected.

Fertility

There are no data available on the effect of baclofen on fertility in humans.



4.7 Effects on ability to drive and use machines

BACLOFEN ACTIVO may cause dizziness, sedation, somnolence and visual impairment (see [section 4.8](#)) which may impair the patient's reaction. These effects may be aggravated by the simultaneous intake of alcohol or other central nervous system depressant medicines. Patients experiencing these adverse reactions should be advised to refrain from driving or using machines.

BACLOFEN ACTIVO can have a major influence on the ability to drive and use machines.

4.8 Undesirable effects

Summary of the safety profile

Unwanted effects occur mainly at the start of treatment (e.g. sedation, somnolence, drowsiness, fatigue and nausea), if the dose is raised too rapidly, if large doses are employed, or if the patient is an elderly person. In patients with a case history of psychiatric illness or with cerebrovascular disorders (e.g. stroke), as well as in elderly patients, adverse reactions may assume a more serious form.

Lowering of the convulsion threshold and attacks of convulsions may possibly occur, particularly in epileptic patients.

Certain patients have shown increased muscle spasticity as a paradoxical reaction to BACLOFEN ACTIVO.

Many of the adverse CNS and genitourinary effects reported are known to occur in association with the underlying conditions being treated.

An undesirable degree of muscular hypotonia, making it more difficult for patients to walk or fend for themselves, may occur and may be relieved by re-adjusting the dosage (i.e. by reducing the doses given during the day and possibly increasing the evening dose).



Tabulated summary of adverse reactions

Nervous system disorders	
<i>Frequent:</i>	Sedation, somnolence, drowsiness, fatigue, dryness of the mouth, respiratory depression, exhaustion, mental confusion, dizziness, headache, insomnia, euphoria, depressive states, myalgia, muscular weakness, ataxia, tremor, nystagmus, hallucinations, nightmares.
<i>Less frequent:</i>	Paraesthesia, dysarthria, dysgeusia.
<i>Frequency unknown:</i>	Sleep apnoea syndrome.
Eye disorders	
<i>Frequent:</i>	Accommodation disorders, visual impairment.
Ear and labyrinth disorders	
<i>Less frequent:</i>	Tinnitus.
Cardiac disorders	
<i>Frequent:</i>	Cardiac output decreased.
<i>Frequency unknown:</i>	Bradycardia.
Vascular disorders	
<i>Frequent:</i>	Hypotension.



Gastrointestinal disorders	
<i>Frequent:</i>	Nausea, gastrointestinal disorders, retching, vomiting, constipation, diarrhoea.
<i>Less frequent:</i>	Abdominal pain
Hepatobiliary disorders	
<i>Less frequent:</i>	Hepatic function abnormal.
Skin and subcutaneous tissue disorders	
<i>Frequent:</i>	Hyperhidrosis, rash.
<i>Frequency unknown:</i>	Urticaria.
Renal and urinary disorders	
<i>Frequent:</i>	Pollakiuria, enuresis, dysuria.
<i>Less frequent:</i>	Urinary retention.
Reproductive system and breast disorders	
<i>Less frequent:</i>	Erectile dysfunction.
General disorders and administration site conditions	
<i>Less frequent:</i>	Hypothermia.
<i>Frequency unknown:</i>	Medicine withdrawal syndrome (see section 4.4).
Investigations	
<i>Frequency unknown:</i>	Blood glucose increased.

Description of selected adverse reactions

Central sleep apnoea syndrome:

Cases of central sleep apnoea syndrome have been observed with baclofen at high doses (≥ 100 mg) in patients who are alcohol dependent.



Reporting of suspected adverse reactions

Reporting suspected adverse reactions after authorisation of BACLOFEN ACTIVO is important. It allows continued monitoring of the benefit/risk balance of BACLOFEN ACTIVO. Health care providers are asked to report any suspected adverse reactions to SAHPRA via the Med Safety APP (Medsafety X SAHPRA) and eReporting platform (who-umc.org) found on the SAHPRA website.

4.9 Overdose

Symptoms

Prominent features are signs of central nervous depression: drowsiness, impairment of consciousness, respiratory depression, coma. Also liable to occur are: confusion, hallucinations, agitation, accommodation disorders, absent pupillary reflex; generalised muscular hypotonia, myoclonia, hyporeflexia or areflexia; convulsions; peripheral vasodilatation, hypotension or hypertension, bradycardia or tachycardia; hypothermia; nausea, vomiting, diarrhoea, hypersalivation; elevated LDH, AST, and AP values, sleep apnoea, rhabdomyolysis.

A deterioration in the condition may occur if various substances or medicines acting on the central nervous system (e.g. alcohol, diazepam, tricyclic antidepressants) have been taken at the same time.

Treatment

No specific antidote is known. Supportive measures and symptomatic treatment should be given for complications such as hypotension, hypertension, convulsions, gastrointestinal disturbances, and respiratory or cardiovascular depression.

5. PHARMACOLOGICAL PROPERTIES

5.1 Pharmacodynamic properties

Category and class: A 2.10 Centrally active muscle relaxants.

Pharmacotherapeutic group: Antispastic with spinal site attack

ATC code: M03B X01.



Baclofen displays pronounced muscle-relaxing activity. It acts on the motor system of the spinal cord in a distinctive segmental fashion. Baclofen inhibits both mono- and polysynaptic reflex transmission and reduces the activity of the gamma motor neurones. It does not, however, influence neuromuscular impulse transmission in the motor endplates. In neurological diseases associated with skeletal muscle spasm Baclofen reduces spasticity. It also markedly relieves the associated pain, rigidity, automatism and clonus, with consequent improvement in the patient's mobility. Active and passive physiotherapy are thereby facilitated.

Baclofen stimulates gastric acid secretion.

5.2 Pharmacokinetic properties

Absorption

Baclofen is rapidly and completely absorbed from the gastrointestinal tract.

Following oral administration of single doses of 10, 20, and 30 mg baclofen, peak plasma concentrations averaging about 180, 340, and 650 nanogram/mL, respectively, are recorded after 0,5 to 1,5 h. The corresponding areas under the serum concentration curves (AUCs) are proportional to the size of the dose.

Distribution

The distribution volume of baclofen amounts to 0,7 L/kg. The protein-binding rate is approximately 30 % and is constant in the concentration range of 10 nanogram/mL to 300 microgram/mL

In the cerebrospinal fluid the active substance attains concentrations approx. 8,5 times lower than in the plasma.

Biotransformation

Baclofen is metabolised to only a minor extent. Deamination yields the main metabolite, beta-(pchlorophenyl)-4-hydroxybutyric acid, which is pharmacologically inactive.



Elimination

The plasma elimination half-life of baclofen averages 3 to 4 hours. Baclofen is excreted largely in unchanged form. Within 72 hours, approximately 75 % of the dose is excreted via the kidneys, about 5 % of this quantity being in the form of metabolites. The remainder of the dose, including 5 % as metabolites, is excreted in the faeces.

Special populations

Elderly patients (aged 65 years or above):

The pharmacokinetics of baclofen in elderly patients are virtually the same as in patients below 65 years of age. Following a single oral dose, elderly patients have slower elimination, but a similar systemic exposure of baclofen compared to adults below 65 years of age. Extrapolation of these results to multi-dose treatment suggests no significant pharmacokinetic difference between patients below 65 years of age and elderly patients.

Paediatric patients:

Following oral administration of 2,5 mg baclofen tablet in children (aged 2 to 12 years), C_{max} of $62,8 \pm 28,7$ nanogram/mL, and T_{max} in the range of 0,95 – 2 h have been reported. Mean plasma clearance (Cl) of 315,9 mL/h/kg; volume of distribution (Vd) of 2,58 L/kg; and half-life ($T_{1/2}$) of 5,10 h have been reported.

Hepatic impairment:

No pharmacokinetic data is available in patients with hepatic impairment after administration of baclofen. However, as liver does not play a significant role in the disposition of baclofen, it is unlikely that baclofen pharmacokinetics would be altered to a clinically significant level in patients with hepatic impairment.



Renal impairment:

No controlled clinical pharmacokinetic study is available in patients with renal impairment after administration of baclofen. Baclofen is predominantly eliminated unchanged in urine. Sparse plasma concentration data collected only in female patients under chronic haemodialysis or compensated renal failure indicate significantly decreased clearance and increased half-life of baclofen in these patients. Dosage adjustment of baclofen based on its systemic levels should be considered in renal impairment patients, and prompt haemodialysis is an effective means of reversing excess baclofen in systemic circulation.

6. PHARMACEUTICAL PARTICULARS

6.1 List of excipients

Microcrystalline cellulose

Pregelatinised maize starch

Maize starch

Magnesium stearate.

6.2 Incompatibilities

Not applicable.

6.3 Shelf life

3 years.

6.4 Special precautions for storage

Store at or below 25 °C.

Keep the blister strips in the outer carton until required for use.



6.5 Nature and contents of container

Aluminium/PVC/PVDC blister strips containing 10 tablets each.

Pack size: 30 tablets.

6.6 Special precautions for disposal and other handling

No special requirements.

7. HOLDER OF CERTIFICATE OF REGISTRATION

Activo Health (Pty) Ltd

Block B, Arena Office Park

272 West Avenue

Centurion, 0157

South Africa

8. REGISTRATION NUMBER

58/2.10/0090

9. DATE OF FIRST AUTHORISATION/RENEWAL OF THE AUTHORISATION

22 July 2025

