

Sceletium - Kougoed - A natural mood elevator

Sceletium tortuosum is a small groundcover plant native to Southern Africa. For hundreds of years the Hottentots of Southern Africa used *Sceletium tortuosum* as a mood enhancer, relaxant and empathogen. It is also known as Kanna or Kauwgoed, Kougoed and Canna.

Historically *Sceletium tortuosum* was chewed, smoked or used as snuff producing euphoria and alertness which gently fade into relaxation. If chewed in sufficient quantity *Sceletium* has a *mild anesthetic* effect in the mouth, much like kava, and is used by the San tribes if you are about to have a tooth extracted, or in minute doses, for children with colic. A tea made from *Sceletium* is sometimes used to *wean alcoholics off alcohol*.

History

Sceletium tortuosum has a long history of use in South African. In fact it is in its 4th century of recorded use there. With written records dating back to 1662, *Sceletium* was a bartering currency. Traditionally, the prepared dried plant material was chewed and the saliva swallowed, but it has also been made into teas and tinctures. Less commonly, it has been reported that it used to be inhaled as a snuff, or smoked, usually with the addition of other herbs.

The plant was used in rural areas in very small doses as a *treatment for colic* in infants, added to a teaspoon of breast milk, and this use still survives in some local communities.

Chemistry and Pharmacology

The mood-elevating action of *sceletium* is caused by a number of alkaloids including mesembrine, mesembrenol and tortuosamine which interact with the brain's dopamine and serotonin receptors. Mesembrine is a major alkaloid present in *Sceletium*. Mesembrine has been demonstrated to be a potent serotonin-uptake inhibitor.

This receptor-specific activity, and receptor activities also found on nicotinic, dopamine and nor-adrenaline sites certainly validate the traditional mood-elevating uses, and suggest additional therapeutic and wellness potential.

By isolating this and other bio-chemically active compounds, researchers are now confirming what many people have known for many hundreds of years, that *Sceletium* has a remarkable ability to effectively treat symptoms of anxiety.

Mesembrine is an alkaloid which is derived from the *Sceletium Tortuosum* plant and is now being acknowledged as a key active component in the ability of the plant to produce beneficial effects which are closely related to it are the alkaloids mesembrenone, mesembrenol and tortuosamine, which are also present and produce very similar effects to mesembrine.

It is a confirmed serotonin (re)-uptake inhibitor, as understood by the US Patent office, which means that it regulates the effects of one of the brain's most important neurotransmitters.

Benefits and Uses

Tablets and capsules of Sceletium are being used successfully by a number of psychiatrists, psychologists and doctors with excellent results for anxiety states and mild to moderate depression; and they can also be used by the lay public as supplements to elevate mood and for stress and tension.

In addition to Sceletium's common use for the stress and mental fatigue of modern industrial living, Sceletium has been used as a natural supplement in:

- uplifts the mood
- decrease anxiety, stress and tension
- gives you energy

Sceletium *elevates mood* and *decreases anxiety, stress and tension*. It has also been used as an appetite suppressant by shepherds walking long distances in arid areas. In intoxicating doses it can cause euphoria, initially with stimulation and later with sedation. Long-term use in the local context followed by abstinence has not been reported to result in a withdrawal state. The plant is not hallucinogenic, and no severe adverse effects have been documented.

Sceletium is also being used as a natural anti-depressant that is said to be safer than many pharmaceutical alternatives.

Individuals suffering from depression and anxiety can benefit from Sceletium.

Mesembrine works thus:

The brain is made up of countless neurons, which transmit signals to each other only by jumping the *gap* (synapse) to neighboring neurons. The signal cannot however jump the synapse without assistance.

The message can only travel when the neuron releases a neurotransmitter to fill this gap and allow the signal to transient via it.

The receiving neuron has many points on its surface that which act as potential locks, each of which is known as a receptor and is effected by a particular type of neurotransmitter. When sufficient amounts of the neurotransmitter are received by the relevant receptor, a nerve impulse is started and the message continues to its ultimate destination. To permit recovery of the neuron to receive new messages, the brain takes away the neurotransmitter from the neuron receptors and permitting it to be sent back to the originating nerves, a process known as re-uptake.

In individuals suffering from depression, the neurotransmitter serotonin (also known as 5-hydroxytryptamine) is lacking. Mesembrine slows down the re-uptake process, making it more probable there will be more serotonin in the relevant receptors, greatly increasing the

possibility that there will be sufficient levels to set up the signal transfer in all neighboring neurons.

Mesembrine allows the brain to function with reduced levels of serotonin, allowing time for natural levels to build up, whereupon the mesembrine dosage can be reduced or eliminated.

Doses

A typical dose is between 50mg and 100mg once or twice day, usually taken after breakfast and after lunch. Less commonly this can be increased to 100mg twice a day, if necessary. In drug rehabilitation programs, under a physicians or psychiatrists supervision, the dose needed may be as high as 200mg twice a day.

Side Effects

Very few people experience side-effects. The reported side-effects include occasional episodes of:

- Mild headache
- Slight nausea, no vomiting
- Soft stool or loose stool with no cramping
- Transient increase in anxiety or irritability an hour after initiating treatment, which resolves after an hour or so
- Insomnia: corrected by lowering the dose or taking the product not later than midday
- A feeling of sedation: corrected by taking the product as a single 50mg dose at night

NO severe adverse effects have been documented.

Contraindications

There have been no confirmed reports of drug interactions, However, because of the neuro-receptor activities of Scelletium there may be interactions with other pharmacokinetic drugs. People taking any psychiatric drug (including all anti-anxiety drugs, sedatives, hypnotics, antidepressants and anti-psychotics and so-called designer or recreational drugs) or any cardiac medications, are advised not to take Scelletium-containing products.

As with most supplements and modern drugs, safety in pregnancy has not been established.

Scelletium is used to rebalance the brain and nervous system and thereby relieve symptoms of depression. Combined with other well known herbs, this formulation has been proven to be extremely effective and safe.

Sceletium and Mesembrine

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The Distribution of Mesembrine Alkaloids in Selected Taxa of Kanna and their Modification in the Sceletium Derived 'Kougoed'

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Twenty species from nine genera of the Mesembryanthemaceae (*Aptenia*, *Bergeranthus*, *Delosperma*, *Drosanthemum*, *Glottiphyllum*, *Lampranthus*, *Oscularia*, *Ruschia*, and *Sceletium*) as well as the reportedly psychoactive preparation 'kougoed', prepared from 'fermenting' *Sceletium tortuosum*, were screened for the presence of the mesembrine alkaloids. Using gas chromatography (GC) with a nitrogen-phosphorous detector (NPD) three putative alkaloids were detected in *Sceletium tortuosum* whose mass spectra corresponded to those of 4'-O-demethylmesembrenol, mesembrine and mesembrenone. All the Mesembryanthemaceae plants investigated were shown to have Dragendorff-positive compounds on thin layer chromatograms (TLC); those containing mesembrine alkaloids, as shown by later GC MS analysis, exhibited similar R_f values to the *Sceletium* alkaloids. However, using the technique employed in this study which encompassed the use of column and gas chromatography, the only genus containing mesembrine alkaloids to any significant extent was *Aptenia*. Alkaloid levels were found to be extremely low in all other taxa investigated. When a 'modern' technique for the preparation of a fermented *Sceletium* product, 'kougoed', was carried out it was found that levels, as well as the ratios, of the three alkaloids changed markedly. Substantial increases in total alkaloid levels were observed when the *Sceletium* material was crushed and bruised prior to drying for alkaloid extraction whereas no such changes occurred when intact plants were oven dried at 80°C prior to alkaloid extraction. It is speculated that of the many potentially usable Mesembryanthemaceae plants available to the indigenous peoples, *Sceletium* was selected because it is the only genus with alkaloid levels high enough to elicit a psychoactive response. The traditional preparation technique also appears to have evolved as a method of producing a dry, stable, and relatively palatable preparation of increased pharmacological activity.

Keywords: 4'-O-demethylmesembrenol, ethnopharmacology, 'kougoed', mesembrenone, mesembrine, Mesembryanthemaceae, pharmacological activity, psychoactive, *Sceletium*

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ABSTRACT

Twenty species from nine genera of the Mesembryanthemaceae (*Aptenia*, *Bergeranthus*, *Delosperma*, *Drosanthemum*, *Glottiphyllum*, *Lampranthus*, *Oscularia*, *Ruschia*, and *Sceletium*) as well as the reportedly psychoactive preparation 'kougloed', prepared from 'fermenting' *Sceletium tortuosum*, were screened for the presence of the mesembrine alkaloids. Using gas chromatography (GC) with a nitrogen-phosphorous detector (NPD) three putative alkaloids were detected in *Sceletium tortuosum* whose mass spectra corresponded to those of 4'-O-demethylmesembrenol, mesembrine and mesembrenone. All the Mesembryanthemaceae plants investigated were shown to have Dragendorff-positive compounds on thin layer chromatograms (TLC); those containing mesembrine alkaloids, as shown by later GC MS analysis, exhibited similar R_f values to the *Sceletium* alkaloids. However, using the technique employed in this study which encompassed the use of column and gas chromatography, the only genus containing mesembrine alkaloids to any significant extent was *Aptenia*. Alkaloid levels were found to be extremely low in all other taxa investigated. When a 'modern' technique for the preparation of a fermented *Sceletium* product, 'kougloed', was carried out it was found that levels, as well as the ratios, of the three alkaloids changed markedly. Substantial increases in total alkaloid levels were observed when the *Sceletium* material was crushed and bruised prior to drying for alkaloid extraction whereas no such changes occurred when intact plants were oven dried at 80°C prior to alkaloid extraction. It is speculated that of the many potentially usable Mesembryanthemaceae plants available to the indigenous peoples, *Sceletium* was selected because it is the only genus with alkaloid levels high enough to elicit a psychoactive response. The traditional preparation technique also appears to have evolved as a method of producing a dry, stable, and relatively palatable preparation of increased pharmacological activity.