Plants have a long history of use in the treatment of cancer and over 60% of currently used anti-cancer agents are derived from natural sources. Among the 32 plant extracts exhibiting potent activity in this study, the highest hit rate was observed for the family Asteraceae (see Figure 2). It is a known source of triterpenoids and sesquiterpene lactones. Results from this study led to the identification of known metabolites indicated by literature searches and were either patented or published for their use as anti-cancer agents. Perhaps the most notable observation from the results is that although the extracts of these two were randomly selected during the screening programme, 88% of these are reported to be used medicinally.

**Materials and Methods**

**Plant materials**

Plant material collections were conducted from various regions in South Africa and voucher specimens deposited and identified at the South African Biodiversity Institute (SANBI). An average of three plant part samples were collected from the same terrestrial plant specimen and each part constituted a separate physical sample.

**Extraction methods**

Plant materials were air-dried in an oven at 30–60 °C. Dried plant material was ground into a coarse powder using a hammer mill and (100–500 g) was sequentially extracted with 100% methanol, water, and acetone. Organic extracts were concentrated by rotary vacuum evaporation and then further dried in vacuo. The aqueous extracts were concentrated by freeze-drying. All extracts were stored at −20 °C.

**In vitro anti-cancer screening (CSIR and NCI)**

The high throughput method adopted at the CSIR against 3-cell line panels allows the screening of 280–380 samples at one dose (100 ppm) or 60–70 samples at five concentrations ranging from 6.25–100 ppm with Staphylococci used as a positive standard. The results of these five dose assays were reported as TGI (total growth inhibition) and extracts that exhibited TGI ≤ 25% were considered to be potent (see Figure 1). Extracts falling in this category were subjected to further in vitro testing for selective cytotoxicity against panels of 60 human cancer cell lines at the NCI. Results from NCI were reported in terms log10 functions of the three response parameters, GI50 (50% growth inhibition), tGI50 (50% growth inhibition), and LC50 (50% lethal concentration indicative of the cytotoxic effect of the test agent), calculated for each cell line.

**Results and Discussion**

Thirty-two plant extracts were found to demonstrate potent anti-cancer activity, representing 24 different plant taxa, which is a hit rate of 2.4% based on the number of taxa screened (Table 1). Among the 32 potent extracts, six belong to the phylum Asparagaceae, representing three plant species. The plant species Acokanthera oppositifolia and Gomphocarpus floribundus are reported in literature as sources of toxic cardiac glycosides, which cause livestock poisoning in South Africa.

The phylum Cucurbitaceae, Rorippa species and Cyperus esculentus spp. obtusus is reported to contain bufalin and are toxic to livestock and cause the well-known krimpsiekte. The Solanaceae family, representing three Solanum species (0.6% hit rate), is a source of steroidal alkaloids and bioactive-guided fractionation of the plant extract of Solanum xanthocarpum yielded Solanidine with reported cytotoxicity and cancer-related activity. The highest hit rate in this study was from the phylum Asteraceae, which is rich in sesquiterpenes and represents four plant species (0.6%). Ursolic acid was isolated from Cussonia paniculata. Triterpenoid acids such asoleanolic and ursolic acid are common plant constituents and associated with anti-tumor activity. A cytotoxic anti-luamena derivative, 13α-methoxy-15-secoapigenin, was isolated from the bioactive-guided fractionation of Parinari curatellifolia. The structurally close compound was isolated by Kinghorn and the compound showed selectivity for leukemia cell lines. Plumbagin was isolated from the organic extract of Plumbago capensis (Plumbaginaceae) and is a cytotoxic agent in melanoma and breast cancer cell lines was demonstrated by Nguyen.

**Conclusion**

Among the 32 plant extracts exhibiting potent activity in this study, the highest hit rate was observed for the family Asteraceae (see Figure 2). It is a known source of triterpenoids and sesquiterpene lactones. Results from this study led to the identification of known metabolites indicated by literature searches and were either patented or published for their use as anti-cancer agents. Perhaps the most notable observation from the results is that although the extracts of these two were randomly selected during the screening programme, 88% of these are reported to be used medicinally.

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**References**


**High throughput screening of South African plants for anti-cancer properties**

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**Abstract**

High-throughput anti-cancer activity screening at the CSIR and National Cancer Institute (NCI) in the USA has identified 32 plant extracts representing 7500 randomly selected plant extracts yielding 7500 randomly selected plant extracts yielding 7500 randomly selected plant extracts exhibiting potent anti-cancer activity against three cancer lines. Over 60% of currently used anti-cancer agents are derived from natural resources. A CSIR study identified 32 plant extracts from 7500 randomly-selected plants exhibiting potent activity against three cancers.