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Shedding light on fibre-type Cannabis sativa L. (hemp): chemistry, analysis and biological activity

Federica Pellati, Lorenzo Corsi

University of Modena and Reggio Emilia, Italy

Abstract

Fibre-type Cannabis sativa L. (commonly known as industrial hemp or hemp) is gaining a renewed interest nowadays, thanks to the biological relevance of its terpenophenolic constituents, namely the cannabinoids. The main cannabinoids present in hemp are cannabidiolic acid (CBDA) and cannabigerolic acid (CBGA), followed by their neutral counterpart cannabidiol (CBD) and cannabigerol (CBG), while the content in the psychoactive tetrahydrocannabinol Δ9-THC) should be below the legal limit of 0.2%. From a pharmaceutical point of view, CBD represents the most interesting compound, possessing both anti-oxidant and anti-inflammatory activities as well as neuroprotective, anxiolytic and anticonvulsant properties. For what concerns the other phenolic compounds present in hemp, several flavonoids have been identified, belonging mainly to flavones and dihydrostilbenoids. In particular, cannflavin A and B represent hemp-specific methylated isoprenoid flavones. Terpenes represent the largest group of hemp components and they are responsible for its aromatic properties. In the light of this, the present work was aimed at the development of new and reliable methods for the extraction and multi-component analysis of the bioactive compounds in hemp inflorescences belonging to different chemotypes, in order to identify those with a high content of bioactive compounds and to test their potential modulation of cancer viability. In particular, the profiling of cannabinoids in ethanolic extracts obtained by dynamic maceration was carried out by means of a HPLC-UV/DAD, ESI-MS and MS2 method, together with a selective extraction procedure. A new RP-HPLC-UV/DAD, ESI-MS and MS2 method, together with an optimized extraction, was developed as well and applied for the determination of flavones. The study on Cannabis volatile compounds was performed by developing a new method based on HS-SPME coupled with GC-MS and GC-FID.

As regards the biological activity, the ethanolic extracts from selected hemp varieties, including a CBD-type, a CBG-type and a hybrid of these two varieties, were tested for their growth inhibition activity against different human cancer cell lines, including the human chronic myelogenous leukemia cells (K562), the human colorectal adenocarcinoma cells (HT29) and the human glioblastoma cells (U87MG). Purified cannabinoids were tested in parallel. The results indicated that the CBD-type hemp extract exerts the most potent pharmacological activity, both in a dose and time dependent manner. Besides, the data indicated that the K562 were the most sensitive cells to the effect of the extract. Indeed, the IC50 obtained in the HT29, K562, and U87MG were 36.45, 10.03 and 51.69 mg/mL, respectively. The effect obtained by the CBD-type hemp extract on K562 was mainly due to the induction of apoptosis. This work reinforces the idea that hemp crude extract could be a useful product to be further investigated against cancer cell proliferation.

Biography

Federica Pellati graduated cum laude in 2000 in Pharmaceutical Chemistry and Technology at the Faculty of Pharmacy of the University of Modena and Reggio Emilia. In 2004 she got a PhD degree in Pharmaceutical Sciences. Then she had a post-doctoral fellowship position in Medicinal Chemistry and in 2006 she got a position of Assistant Professor in Medicinal Chemistry at the University of Modena and Reggio Emilia. In 2014, she got the Italian Professorship Qualification (ASN 2012) as an Associate Professor in Medicinal Chemistry. Her research activity is focused on the development of innovative techniques for the extraction and analysis of bioactive natural products and on the isolation of new bioactive compounds of natural origin.

Publications

1. Hippocampal synaptic and membrane function in the DBA/2J-mdx mouse model of Duchenne muscular dystrophy
2. Chemical Composition and In Vitro Neuroprotective Activity of Fibre-Type Cannabis sativa L. (Hemp)

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