SYNTHETIC ANALOGUES OF XANTHOHUMOL

INVENTORS: Armando Rossello
Elisa Nuti
Elisabetta Orlandini
Susanna Nencetti
Adriana Albini
Anna Rita Cantelmo
Desiree Bartolini
Douglas Noonan
Cristina Gallo

PATENT STATUS: CONCESSO

PRIORITY NUMBER: MI2013A000536

PRIORITY DATE: 08/04/2013

PUBLISHED AS: EP2984072, US9617213

Invention

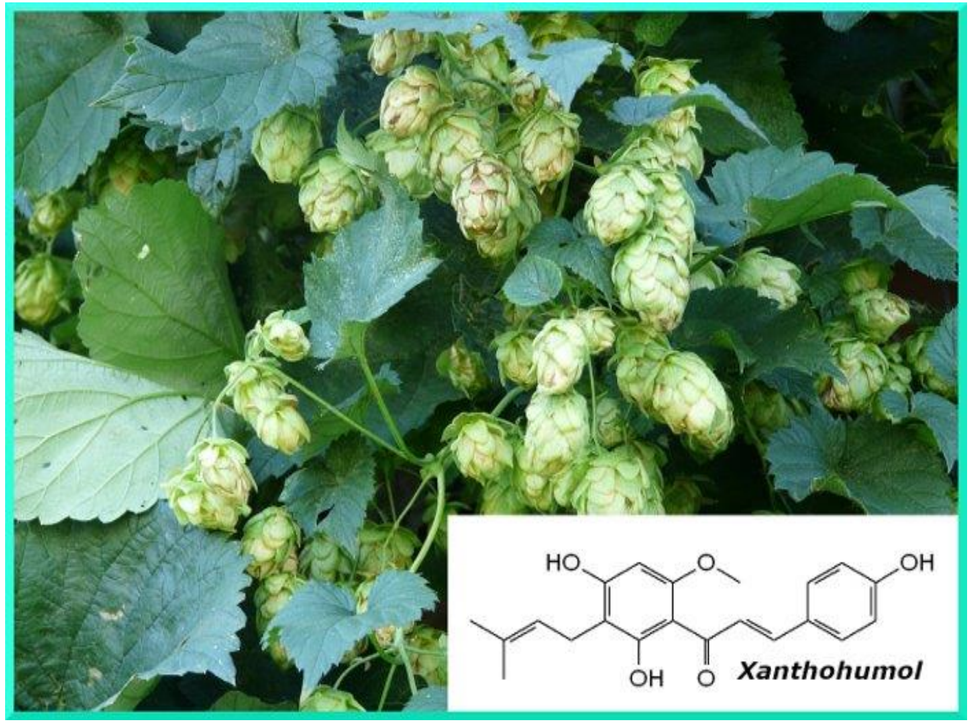
The present invention relates to **new synthetic analogs of Xanthohumol (XN)**, a naturally occurring prenylated chalcone found in the female inflorescence of the hop plant (Humulus lupulus L. - Cannabaceae).

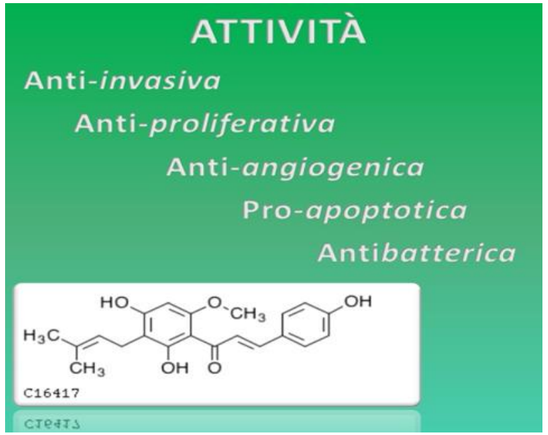
Xanthohumol is a flavonoid known for its **multiple properties**: anti-invasive, antiproliferative, antiangiogenic, pro-apoptotic, antibacterial, inhibitory on cytochrome P450 enzymes involved in the metabolic activation of carcinogenesis, and anti-inflammatory, exerted through the reduction of nitric oxide (NO) production. However, the therapeutic use of naturally derived Xanthohumol has critical issues due to its **low potency and selectivity**.

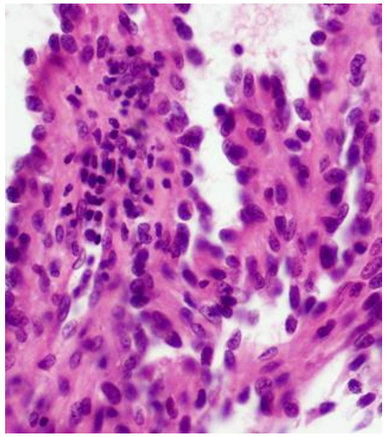
The design of new molecules with a similar structure of the natural compound XN aimed to obtain more effective compounds in the treatment of degenerative processes characterized by phenomena of cellular hyperproliferation, angiogenesis, and tissue destruction by uncontrolled hyperproteolysis. The molecules can show better properties in terms of bioavailability, efficacy, and reduced toxicity than the known natural compound.

The synthetic entities displayed anti-angiogenic, antioxidant and chemopreventive properties, and their anti-proliferative, anti-invasive, anti-angiogenic activity is greater than that provided by the natural compound.

Drawings & pictures







Industrial applications

POSSIBLE APPLICATIONS

- Treatment and prevention of diseases in which hyperproliferative processes are involved;
- Treatment of tumors;
- Treatment of diseases in which inflammatory processes are involved;
- Treatment of cardiovascular diseases;
- Treatment of neurodegenerative diseases;

- Inhibition of angiogenesis, such as in the prevention and/or treatment of tumor angiogenesis.

Possible developments

Xanthohumol analogue compounds can be used in the prevention and treatment of cancer, inflammatory, cardiovascular, and neurodegenerative diseases. Studies showed greater activity and less toxicity than the natural compound. They, presenting action on multiple molecular targets, can be advantageously used in anticancer therapy to prevent the emergence of possible resistance.

The inventors are interested to undertake future collaborations, in order to increase the technological readiness level of the invention and expand innovative drug opportunities. They can consider licensing or transferring the patented invention for further development and commercialization by interested companies.

For more information:

Tech Transfer Office of University of Pisa

Headquarters: Lungarno Pacinotti 43/44, Pisa (PI) 56126

Web site: www.unipi.it/index.php/trasferimento

E-mail: valorizzazionericerca@unipi.it

For more information:

Ufficio Regionale di Trasferimento Tecnologico

Sede: Via Luigi Carlo Farini, 8 50121 Firenze (FI)

E-mail: urtt@regione.toscana.it

UNIVERSITÀ DI PISA

REGIONE TOSCANA

urtt Ufficio Regionale di Trasferimento Tecnologico