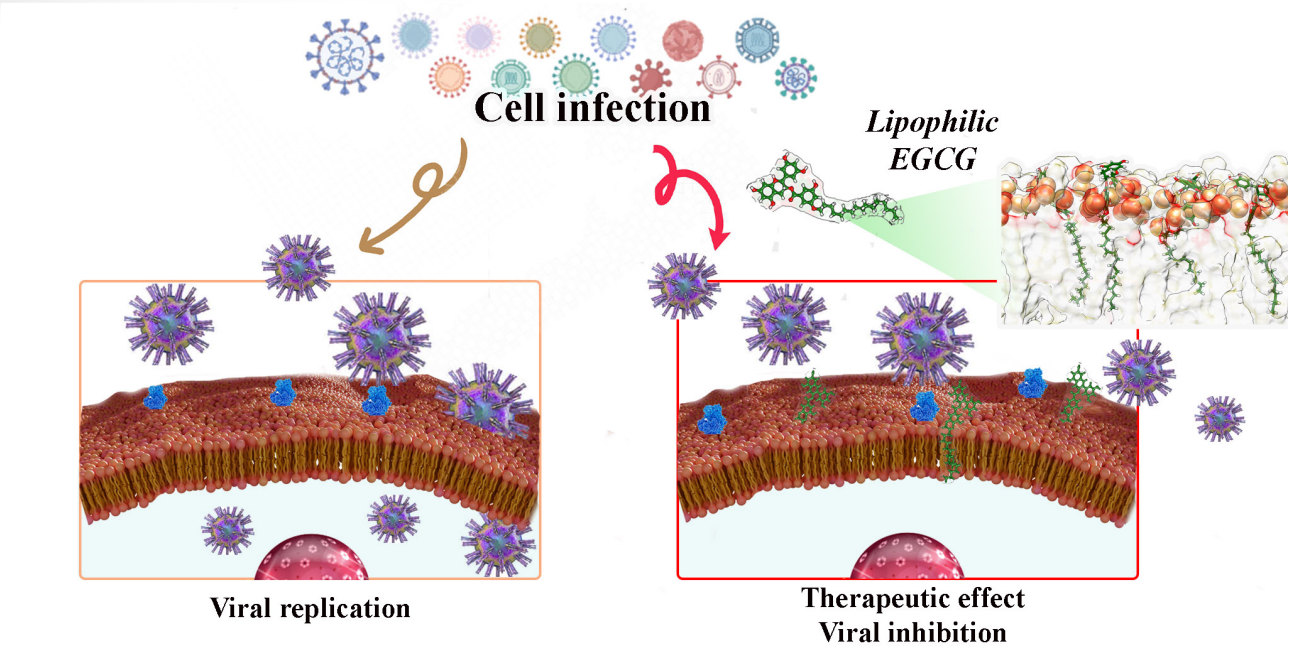
LIPOPHILIC DERIVATIVES OF EPIGALLOCATECHIN GALLATE: A NEW WEAPON AGAINST VIRUSES



The patent concerns the use as antivirals of derivatives of Epigallocatechin gallate (EGCG), a catechin of natural origin, extracted from the leaves of green tea; these derivatives were obtained through the introduction of aliphatic chains of different lengths, bound to the skeleton of EGCG by an ethereal bond. The changes increase lipophilicity and the ability of derivatives to interact with cell membranes; they also give the synthesized molecules an effective activity against viruses such as SARS-cov-2, Herpes Simplex type 1, Influenza B and and HIV. The lipophilic portion of the molecules will facilitate its use in liposomal formulations within dermatological and gynecological creams or nasal sprays.

PRIORITY NUMBER
102023000008766

KEYWORDS:
Epigallocatechingallate lipophilic derivative, Herpes simplex antiviral, HIV antiviral, InFlu B antiviral, SARS-CoV-2 antiviral.

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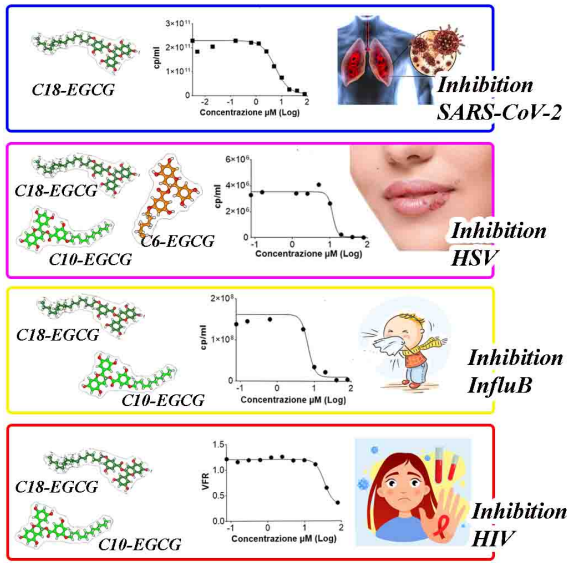
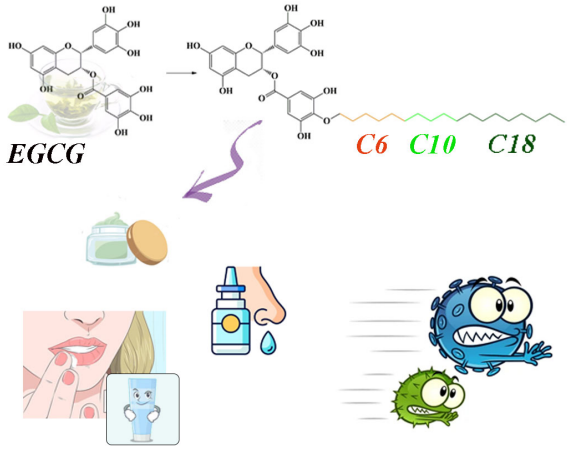
DESCRIPTION

The COVID-19 pandemic caused by SARS-cov-2 was a global emergency effectively countered by vaccines, which can reduce the severity of the disease and hinder the transmission of infection. The decrease over time of vaccine-induced immunity and potency against some SARS-cov-2 variants, highlight the importance of having antivirals. The patent provides for the use of new molecules obtained by binding to the EGCG aliphatic chains of different lengths (6, 12 and 18 C atoms) that increase their ability to interact with cell membranes. This characteristic together with the particular structure of the EGCG is the basis of the mechanism by which, in particular EGCG-C18, it hinders the entry of SARS-cov-2 virus into the cells and the subsequent infection. The antiviral effect of EGCG-C18 on SARS-cov-2 (IC50=5.6) is much higher than that obtained with EGCG. The synthesized molecules, used in robust cellular models such as Vero E6 (african green monkey kidney), MDCK (Madin-Darby canine kidney) and U87/CXCR4 (engineered human glioma cell line), were also effective against Herpes Simplex, HIV and influenza B. TRL 3.



APPLICATIONS

- SARS-cov-2 antiviral
- HIV antiviral
- *Herpes Simplex* antiviral
- InFlu B antiviral



ADVANTAGES

- **Easy synthesis**
- **Lipophilicity suitable for use in creamy formulation**
- **Molecule suitable for a liposomal formulation**
- **It can be constituited in form of nasal spray, cream, or gel**