

MELANOCORTIN AGENTS FOR
USE IN THE THERAPEUTIC
TREATMENT OF MELANOMA,
TUMORS OF THE
GASTROINTESTINAL TRACT,
AND THYROID CARCINOMA



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Invention

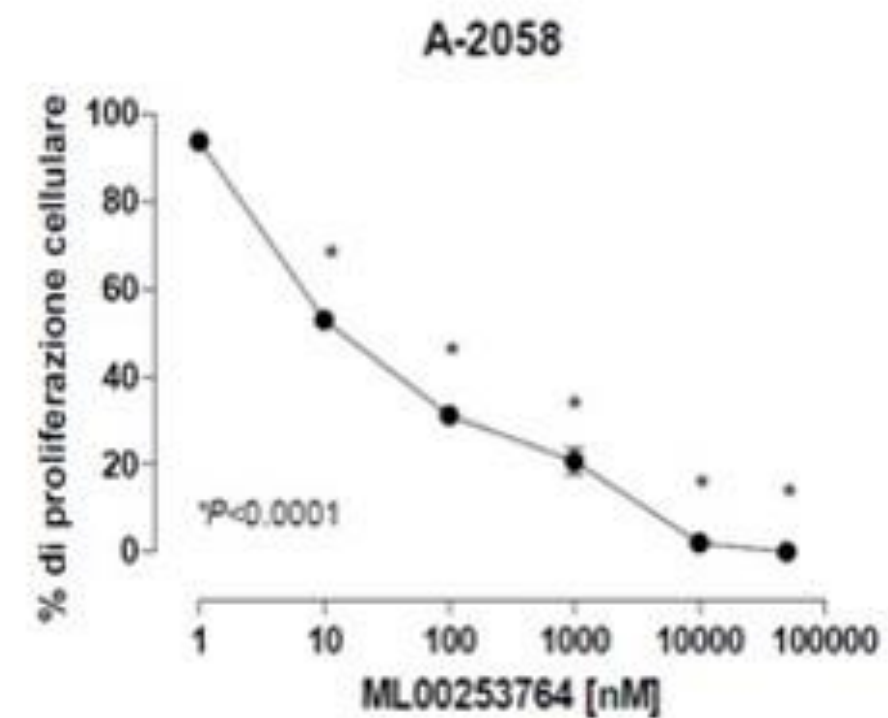
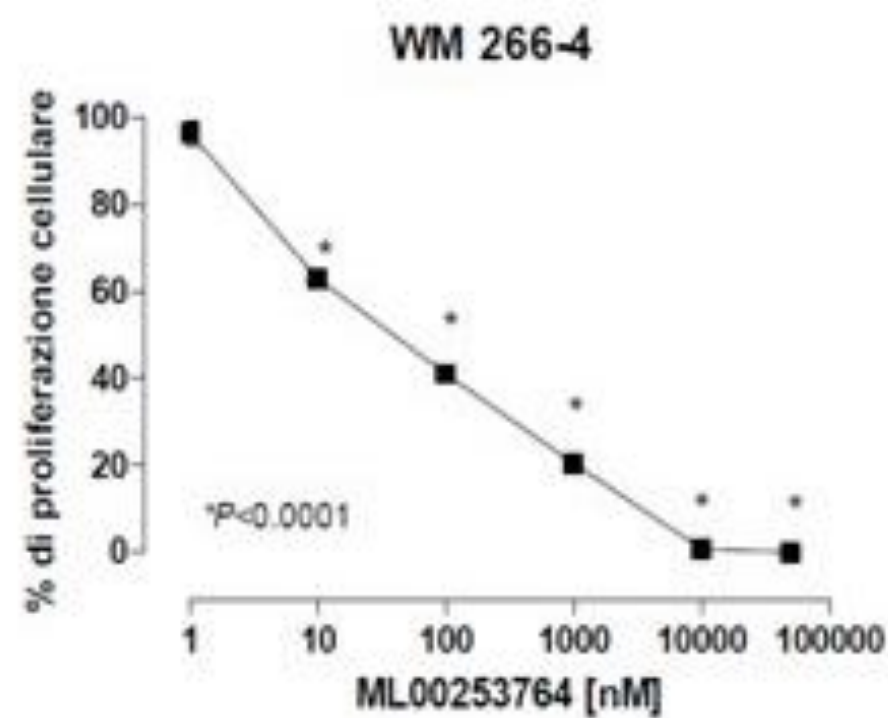
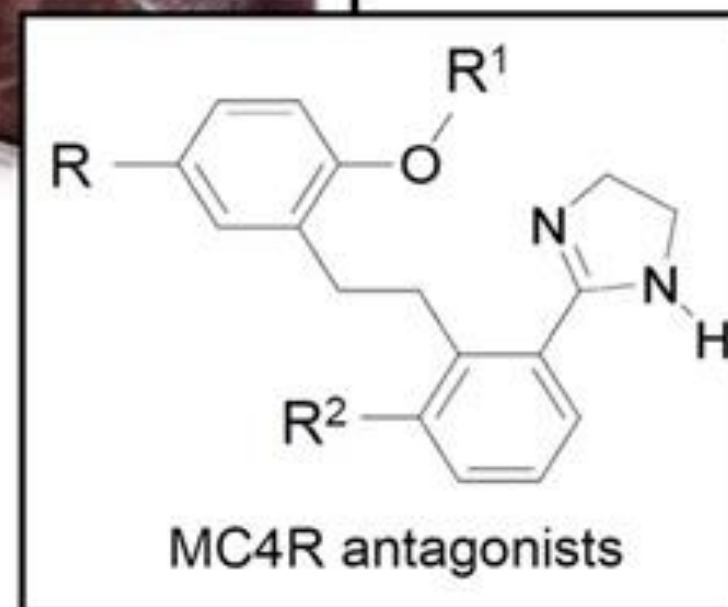
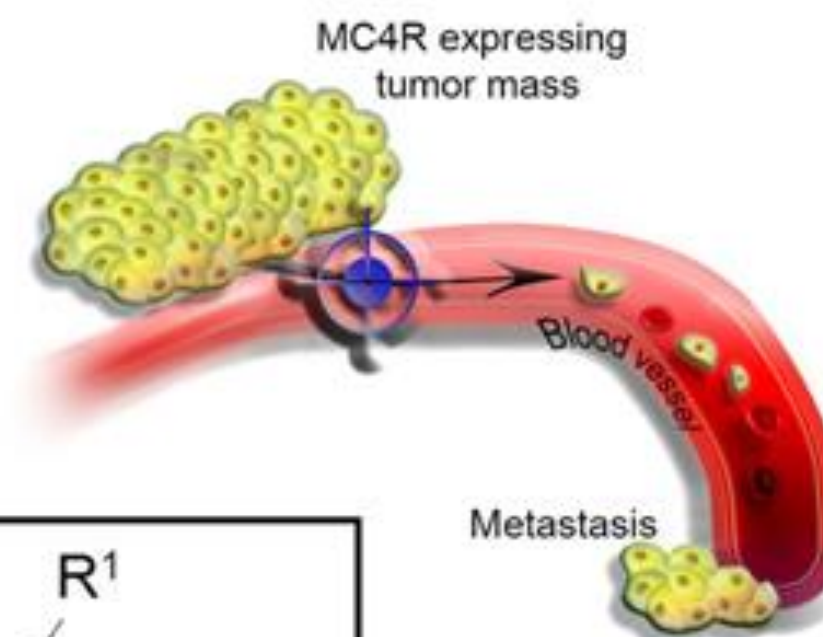


The present invention belongs to the field of **therapeutic treatments of cancer diseases**, particularly solid tumors such as melanoma, cancers of the gastrointestinal tract and thyroid carcinoma. The **use of a melanocortin 4 receptor antagonist** offers a possible new target therapy in the treatment of cancer diseases, counteracting the possibility of recurrence.

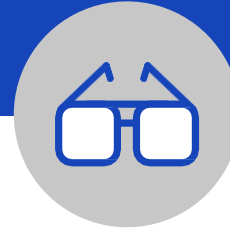
Melanocortin 4 receptor (MC4R) antagonists have been tested and extensively studied for use in the therapeutic treatment of cancer pathologies, such as melanoma, cancers of the gastrointestinal tract, and thyroid carcinoma. These cancers, which are characterized by a high incidence of recurrence, benefit from the possibility of alternative treatments; among them, thyroid cancer has very few drug therapy alternatives and is mostly treated with radiation therapy.

Melanocortin 4 receptor antagonists are suitable for use in targeted anti-tumor treatment, particularly in adjuvant therapy or therapy that influences the onset and/or progression of tumor metastasis. **Target therapy** allows a targeted approach by reducing the side effects of non-targeted therapies employing cytotoxic drugs.

Drawings
& pictures



Industrial applications



Despite the recent introduction into clinical practice of effective standard treatments, including target therapies and immunotherapy, for **colorectal cancer and metastatic melanoma**, the occurrence of drug resistance and major adverse reactions leads to **therapeutic failure** in a very large number of patients.

In the case of **advanced and metastatic thyroid cancer**, to date, there is no standard reference treatment.

The identification of a **new therapeutic target in these tumors, such as melanocortin receptor 4 (MC4R)**, and the **use of a selective MC4R receptor antagonist** allow a new and novel therapeutic option in the previous pathological conditions.

Therefore, the proposed invention take advantages for the following therapeutic applications:

- treatment of tumors expressing Melanocortin Receptor 4 (MC4R);
- targeted treatment with MC4R antagonists of a cancer pathology such as melanoma, gastrointestinal tract cancer, and thyroid carcinoma;
- in adjuvant therapy;
- in the therapy of metastatic disease.

Possible developments



Experimental studies demonstrated the successful *in vitro* use of the **MC4R antagonist on melanoma, thyroid carcinoma, and colorectal cancer cell lines expressing MC4R** (TRL 3). The *in vitro* mechanism of action of the new compound was investigated; a test of the compound's efficacy, alone or in combination with other antineoplastic drugs, was obtained in simpler animal models (subcutaneous xenografts of human cell lines in nude mice) of the aforementioned tumors, in order to obtain a low reliability "**prototype**," while also identifying the toxicity profile.

The inventors plan to validate the results already obtained in **more complex orthotopic and metastatic *in vivo* tumor models**, in order to better define the context of application of the compounds and obtain results that more closely mimic human pathologies (TRL 4).

Modifications in the chemical structure of the lead compound will be introduced to increase its efficacy and/or potency while maintaining low toxicity.

Further aim is to extend the studies to other functional tumors that express MC4R, such as rare Central Nervous System (CNS) tumors.

The inventors are interested in future collaborations to increase the technological maturity of the invention and expand the supply of innovative drugs for cancer treatment.

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