Advancing the frontiers of biomedical research

The Institute for Research in Biomedicine (IRB Barcelona) is an independent, non-for-profit research center engaged in basic and applied biomedical science. The convergence of biology, chemistry, medicine, physics and computer science at IRB Barcelona provides a unique opportunity for the translation of basic biomedical research into innovation.

Gate2Brain

A novel platform of blood-brain barrier shuttles
Gate2Brain is a set of peptide shuttles able to carry therapeutic cargoes across the BBB, which cannot otherwise cross unaided. These shuttles are also characterised by being protease-resistant, a feature that largely increases the availability and half-life of the drug in serum.

**TECHNOLOGY**
Gate2Brain comprises three families of peptide-based, protease resistant, shuttles:
- **Family 1** - crossing the BBB by passive diffusion and adequate for small molecules.
- **Family 2** - active transport and interacting (non-competing) with human transferrin receptor. Synthesized with D-aa. Able to transport many cargoes, including proteins.
- **Family 3** - active transport derived from apamin and are also able to transport many cargoes, including proteins. Protease resistance is conferred by the cyclic and compact structure of the shuttles. Formed by only L-aa makes chemical synthesis less costly and allows direct expression of the shuttle together with protein of interest.

**CURRENT STAGE OF DEVELOPMENT**
Gate2Brain technology is currently in the validation stage of large therapeutic cargoes.

Several different cargo types (small molecules, small peptides, nanoparticles, proteins or antibodies), have been tested in-vitro and some have been tested in-vivo, with positive results. Fig. 1 depicts the delivery of Quantum Dots to mouse brain parenchima as an example.

The shuttles are being further characterised in order to establish the best cargo types for each family.

Optimised chemistry around linkers allows having an improve drug efficacy upon delivery.

**COMPETITIVE ADVANTAGE**
Gate2Brain novel delivery technology provides a non-invasive, non-antigenic, permeable, stable, soluble and receptor-specific way to transport drugs across the BBB and into the CNS.

Potential of application in a wide number of therapeutic areas and in transport through various biological barriers.

In summary, Gate2Brain technology combines protease resistance, the capacity to carry a wide range of cargoes, low production costs, and low immunogenic potential.

The technology is patent-protected and available for licensing or collaborations to develop Drug-Gate2Brain conjugates.

**MORE INFORMATION**

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