

Domain Therapeutics presents most recent developments of its BRET technology portfolio at AACR and ASPET events

Presented posters highlight novel BRET-based assays to characterize RTK and ICP targets and reveal extensive profiling of signaling signature of 100 GPCRs

Strasbourg, France, April 8, 2021 – Domain Therapeutics, a biopharmaceutical company specializing in the discovery and development of new drugs targeting G Protein-Coupled Receptors (GPCRs) in immuno-oncology, neurology and rare diseases, today announces the presentation of the latest developments in its proprietary BRET-based¹ technology bioSens-All[™] and an extensive and unique profiling of GPCR signaling signatures, at the American Association for Cancer Research (AACR) and the American Society for Pharmacology and Experimental Therapeutics (ASPET) virtual meetings, in April 2021.

The three posters disclose:

- i) Innovative BRET assays to profile Tyrosine Kinase Receptor Inhibitors (TKIs) as a new dimension to select differentiated therapeutic candidates
- ii) BRET-based biosensors to characterize immune checkpoint inhibitors (ICIs) bringing new pharmacology insights for immuno-oncology
- iii) The profiling of signaling pathways of 100 GPCRs, to unveil pharmacology complexity

"We are very proud to share the latest developments in our bioSens-All technology. They illustrate how powerful this platform is and the added-value it brings to help identify and characterize GPCR targeting drug candidates," said Dr Xavier Leroy, Chief Technology Officer at Domain Therapeutics. "This technology brings differentiated and novel insights not only on GPCRs, but also on other membrane receptors such as receptor tyrosine kinases (RTKs) and immune checkpoints (ICPs)."

Disclosing the company's most recent developments, the poster 'EGFR signaling and pharmacology in oncology revealed with an innovative RTK biosensor technology' (AACR, April 10-15, Poster #LB187), presents a powerful tool and case studies for the analysis of RTK mutations and for the identification of a new generation of TKIs and antibodies directed against RTKs.

The poster 'A high value pharmacological platform dedicated to the realtime study of stimulatory immune checkpoint signaling pathways' (AACR, April 10-15, Poster #LB123) illustrates several innovative BRET assays developed by Domain Therapeutics and dedicated to both inhibitory and stimulatory ICPs (PD-1, CTLA-4, 4-1BB) with small molecules or antibodies. Such spatio-temporal cellbased functional assays can support broad drug programs, including highthroughput functional screening, lead optimization and bioanalytical quality control lot release.

The poster '**Use of Novel ebBRET Biosensors for Comprehensive Signaling Profiling of One Hundred Therapeutically Relevant Human GPCRs**' (ASPET, April 27-30, Poster #R1694) describes a novel suite of proprietary enhanced bystander BRET biosensors used to profile the signaling repertoire of 100 therapeutically relevant human unmodified GPCRs. Together, the resources



provided in this study help deconvolute the complexities of GPCR biology and pharmacology, and lead to innovative therapeutic exploitation of GPCRs.

The posters and audio description can be downloaded from the AACR and ASPET websites (weblinks in poster titles above) and from Domain Therapeutics' website.

¹ Bioluminescence Resonance Energy Transfer

About G Protein-Coupled Receptors

GPCRs belong to the family of membrane receptors and constitute one of the main classes of therapeutic targets for many indications. The binding of a hormone, or a specific ligand, to a receptor's binding site activates one or several pathways for intracellular signaling. This enables the cell to provide an adapted response to the change in its environment. The drugs that target GPCRs represent about 30% of all treatments on the market, but only address 28% of the GPCRs. As a result, GPCRs remain largely underexploited to date. Domain Therapeutics uses its proprietary platforms, such as bioSens-All[™], to validate GPCRs and propose novel drug candidates in immuno-oncology.

About Domain Therapeutics

Domain Therapeutics, a biopharmaceutical company operating in France and Canada, is dedicated to the discovery and development of new drug candidates targeting G Protein-Coupled Receptors (GPCRs), one of the most important classes of drug targets. Over the last decade, the company has created a proprietary pipeline in immuno-oncology, neurology and rare diseases and is now focusing on delivering and developing high-value drug candidates to tackle GPCR-mediated immunosuppression in immune-oncology. The company has signed multiple partnering agreements with pharmaceutical companies.

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