Interprotein®

Helix-loop-helix peptide TIM-3 inhibitor

Concept:

- We identify injectable helix-loop-helix TIM-3 inhibitor as an immune checkpoint inhibitor of the next generation.
- Such peptide can be alternatives to anti-TIM-3 antibody drugs and is expected to be more easily used beyond antibodytreated segments.

Research history:

Preparation of phagedisplayed random peptide libraries and establishment of biopanning Screening of the libraries and Identification of primary hit peptides by the biopanning Cloning, sequence analysis, preparation and evaluation of Trxfusion peptide Initial affinity maturation with phage and yeast surfacedisplayed secondary libraries Cloning, sequence analysis, preparation and evaluation of Trx-fusion/synthetic peptides

Present status and future scope:

- 1. The activities (K_D for TIM-3, nM) of representative samples are summarized in the table below.
- 2. Based on the present situation, we would like to propose the following collaborative researches:
 - ✓ Assessment of *in vitro* functional activities (*ex.* binding inhibition of TIM-3/galectin-9 *etc.*) with Trx-fusion or synthetic peptide by Debiopharm itself or CRO (*ex.* Shanghai Medicilon) under sponsorship of Debiopharm.
 - Preparation of albumin-binding synthetic peptide sample(s) that showed good inhibitory activity of TIM-3-related function by Interprotein.
 - ✓ Evaluation of *in vivo* efficacy of the synthetic (TIM-3- and albumin/Fc-binding) peptide by pharm itself or CRO.

Peptide samples	Hit peptide	Mutant peptides				
	IT-01	IT-201	IT-202	IT-203	IT-204	IT-205
Trx-fusion	3200	23	10	89	18	4.7
Synthetic	350	N.P.	5.2	N.P.	N.P.	N.P.

N.P.: Not prepared.