**In vivo Efficacy Evaluation of ASC61, an Oral PD-L1 Inhibitor, in Two Tumor Mouse Models**

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**Abstract**

ASC61 is a small molecule inhibitor produg of programmed cell death-ligand 1 (PD-L1), developed by Ascleitis. ASC61 is converted to its pharmacologically active metabolite ASC61-A in vivo after oral dosing. In vitro studies have suggested that ASC61-A could induce dimerization and subsequent internalization of PD-L1 protein from the cell membrane. Here we report the in vivo efficacy of ASC61 in two tumor mouse models. ASC61 was found to have comparable antitumor activities as the Food and Drug Administration (FDA) approved PD-L1 therapeutic monoclonal antibody, Atezolizumab. ASC61 has received the US IND approval. First in patient study of ASC61 is planned in Q2, 2022.

**In vivo efficacy evaluation of ASC61 in the treatment of female BALB/c mice bearing CT-26-hPD-L1 tumors**

All animals showed a gradual increase in body weight during the experiment as shown in Figure 2A. No significant body weight change was found between groups administered with different treatment regimens. No mouse was euthanized due to body weight loss, indicating that all treatment compounds are tolerated well in BALB/c mice.

**In vivo efficacy evaluation of ASC61 in the treatment of subcutaneous hPD-L1 MC38 colon cancer model in PD-L1/PD-1 dKI HuGEMM mice**

Mean tumor growth curves of different groups are shown in Figure 2B. TGI of test compounds was calculated based on tumor volume (TV) measured on Day 19 after treatment. As shown in Table 3, ASC61 (GLC01-537) administrated at 50 mg/kg, twice daily (BID), showed significantly inhibitory effects on the tumor growth with the best TGI value of 52.9% (p < 0.05), better than that of the reference drug, Atezolizumab (40.77%). Table 3: TGI analysis on Day 19 after treatment.

**In vitro efficacy studies of ASC61**

Regarding EC50, ASC61-A demonstrated better efficiencies than competitors’ compounds in in vitro studies.

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