［附件二　技術介紹］

Page1

Technology/ Title	BPR6K471: A Novel Aurora Kinase Inhibitor Targeting SCLC with MYC Amplification	
Technology Type	☐Biotechnology	☐Device/Diagnostics
	■Pharmaceutical	☐Others:_____-
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Technology Description	Small cell lung cancer (SCLC) accounts for approximately 15% of all lung cancers, leading to ~30,000 deaths each year in the United States. SCLC patients often present with metastasis at time of diagnosis, excluding surgery as a treatment option. While patients show high response rate to standard chemotherapy such as cisplatin/etoposide, they soon develop drug resistance and disease progression. Therefore, new therapeutic strategies are urgently needed for SCLC. BPR6K471 is a novel aurora kinase inhibitor which has been designed to block protein-protein interaction between aurora A and MYC oncoprotein, leading to proteasome-mediated degradation of MYC. BPR6K471 efficiently induces cell apoptosis and inhibits proliferation of several SCLC cell lines with IC50 < 100 nM. BPR6K471 also demonstrates potent anti-proliferation effects against human non-small cell lung cancer and liver cancer cell lines which are null in TP53 and RB1 and with acquired MYC amplification. Intravenous injection of BPR6K471 inhibits >90 % growth of NCI-H446 in a mouse xenograft model. Head-to-head comparison showed that BPR6K471 is better than AK-01 in inhibiting NCI-H446 xenograft tumor growth.	

Page2

Intellectual Property	N/A
Key Publications	N/A

Business Opportunity	There is no effective therapeutics for treating SCLC. A drug candidate may thus be clinically developed promptly. In addition to SCLC, amplification of MYC paralogs are observed in 28% of the samples across 33 cancers of The Cancer Genome Atlas. The disease indications of BPR6K471 may be expanded based on the unambiguous genomic features of the cancers including mutations in TP53 and RB1, and MYC amplification.