［附件二　技術介紹］

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Technology/ Title	DBPR807: A CXCR4-Targeted Antagonist	
Technology Type	☐Biotechnology	☐Device/Diagnostics
	■Pharmaceutical	☐Others:_____-
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Link	http://ibpr.nhri.org.tw/en/wp-content/uploads/2019/03/NEW-2019_NCR-of-DBPR807_20190306.pdf	
Technology Description	➤ When DBPR807 (15 mg/kg/day) was administered subcutaneously (SC) via an osmotic mini-pump in combination with taking sorafenib (40 mg/kg/day) orally for two weeks in the orthotopic HCC mice model, a significant tumor-inhibitory effect was observed, wherein the tumor size was reduced by 85% after two-week treatment. In contrast, the tumor size was only shrunk by 40% as sorafenib was used alone. On the other hand, DBPR807 in combination with PD-1 antibody significantly reduced tumor size by 95%. In contrast, the tumor size was only shrunk by 57% as PD-1 antibody was used alone. In addition, DBPR807 could prevent lung metastasis. ➤ When DBPR807 (SC, 5 mg/kg) was given in the surgery-induced ischemia/reperfusion rat model, a significant heart-protective effect against ischemic damage caused by reperfusion was seen, wherein the volume of cardiac infarction was reduced by 43% in tested animals as compared to the vehicle. ➤ When DBPR807 (SC, 3 mg/kg) was early post-treated in the standard mTBI mouse model, a significant improvement in the vertical motor activity of injured mice was seen. As well, the high expression of IBA1 protein, an inflammation biomarker, was reduced to the normal level after treatment.	

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Intellectual Property	2018: PCT, US and ROC Patent entitled Heterocyclic compounds and use thereof.
Key Publications	**1.** C. H. Wu; C. P. Chang; J. S. Song; J. J. Jan; M. C. Chou; Y. H.

	Shih; S. H. Wu; K. C. Yeh; Y. C. Wong; C. J. Hsieh; T. T. Kao; S. Y. Wu; C. T. Chen; C. T. Tseng; Y. S. Chao and K. S. Shia*: "Discovery of Novel Stem Cell Mobilizers That Target the CXCR4 Receptor," *ChemMedChem*, **2012**, *7*, 209-212. **2.** C. H. Wu; J. S. Song; K. H. Chang; J. J. Jan; C. T. Chen; M. C. Chou; K. C. Yeh; Y. C. Wong; C. T. Tseng; S. H. Wu; C. F. Yeh; C. Y. Huang; M. H. Wang; A. A. Sadani; C. P. Chang; C. Y. Cheng; L. K. Tsou and K. S. Shia*: "Stem Cell Mobilizers Targeting Chemokine Receptor CXCR4 : Renoprotective Application in Acute Kidney Injury," *J. Med. Chem*., **2015**, *58,* 2315-2325. **3.** C. H. Wu; C. J. Wang; C. P. Chang; Y. C. Cheng; J. S. Song; J. J. Jan; M. C. Chou; Y. Y. Ke; J. Ma; Y. C. Wong; T. C. Hsieh; Y. C. Tien; E. A. Gullen; C. F. Lo; C. Y. Cheng; Y. W. Liu; A. A. Sadani; C. H. Tsai; H. P. Hsieh; L. K. Tsou* and K. S. Shia*: "Function-oriented development of CXCR4 antagonists as selective Human Immunodeficiency Virus (HIV)-1 entry inhibitors," *J. Med. Chem*., **2015**, *58,* 1452-1465. **4.** K.J. Wu, S.J. Yu, K.S. Shia, **C.H. Wu**, J.S. Song, H.H. Kuan, K.C. Yeh, C.T. Chen, E. Bae, and Y. Wang: "A novel CXCR4 antagonist CX549 induces neuroprotection in stroke brain," *Cell Transplantation*, **2017**, *26*, 571–583. **5.** **C.H. Wu**, J.S. Song, H.H. Kuan, S.H. Wu, M.C. Chou, J.J. Jan, L.K. Tsou, Y.Y. Ke, C.T. Chen, K.C. Yeh, S.Y. Wang, T.K. Yeh, C.T. Tseng, C.L. Huang, M.H. Wu, P.C. Kuo, C.J, Lee and K.S. Shia*: "Development of stem cell mobilizing agents targeting CXCR4 receptors for peripheral blood stem cell transplantation and beyond," *J. Med. Chem*., **2018**, *61,* 818–833. **6.** L.K. Tsou, Y.H. Huang, J.S. Song, Y.Y. Ke, J.K. Huang, and **K.S.**

	Shia*: "Harnessing CXCR4 antagonists in stem cell mobilization, HIV infection, ischemic diseases and oncology," *Med. Res. Rev.*, **2018**, 38, 1188-1234.
Business Opportunity	➢ Hepatocellular Carcinoma: The current market sale of sorafenib is about US$ 1.1 billion, but its patent right will expire in 2020. ➢ Acute Myocardial Infarction: In 2015, approximately 15.9 million people worldwide have suffered from myocardial infarction. In the United States alone, approximately one million people suffer from myocardial infarction each year. ➢ Mild Traumatic Brain Injury: According to WHO, about 10 million people worldwide suffer from TBI each year. In 2013, approximately 2.8 million people visited the TBI in the United States, of which about 80% were mTBI.