[附件二 技術介紹]

Page1

Technology/ A Novel Small Molecule Drug Conjugate (SMDC) with Positive	
Title Feedback Encoded Ability on Tumor Site Apoptosis	
Technology Device/Diagnostics	
Type Pharmaceutical Others:	
Contact Name: Po-Hsuan Sung Title: Project Manager	
Person Telephone(work): +886-37-246-166 Mobile: N/A	
ext. 35702	
Email: phsung@nhri.org.tw	
Link N/A	
Ligand-targeted therapeutics offer enormous potential to en	hance
the precision and efficacy of anticancer therapies. One succ	essful
Technology strategy is to covalently link chemotherapeutic agents to antil	oodies
Description that selectively recognize tumor antigens.	
Current drug conjugates are designed to target disease-asso	ciated
antigens or receptors for selective chemotherapeutic delive	ery to
tumor sites. The inevitable decrease in the respective homing s	signals
during the treatment lowers the efficacy of ligand-ta	rgeted
therapeutics. Moreover, lower density of the targeted antig	gen of
tumor cells also presents a bottleneck in the delivery effic	ciency.
Recent studies have demonstrated that down-regulation	and
modulation of turnover kinetics of target biomarkers can signifi	cantly
reduce ADCs' efficacy. To improve the efficacy in cancer ther	apy, it
would be optimal a positive feedback mechanism in which sel	ective
delivery of an SMDC to the tumor site induces amplification	of the
homing signals that mediates further recruitment of circu	ulating
SMDC. We design and synthesis of a chemically di	stinct,
ligand-targeted drug conjugate with homing signals amp	lifying
potential <i>in vivo</i> .	
Normally segregated only to the inner leaflets of the p	lasma
membrane in cells, the negatively charged phospr	nolipia
phosphatidyiserine (PS) is abundantly found on the external su	rtaces
of viable vascular endotnellar cells in tumor microenvironmen	ts and
locing the enzymatic activities of flippace aportosis loc	ds to
symmetrical distribution of the anionic DS at the transmombri	us iu
the dving cells. PS can then be viewed as the targeting molec	ulo se
the delivery of a cytotoxic agent should result in an increase of	DS to

Page2

Intellectual Property	N/A
Key Publications	N/A
	This is a first-in-class and novel design of drug delivery system
Business	capable of being developing into theranostics with precision
Opportunity	medicine application potential.