

c-Kit mutation selective inhibitor discovery programme

Unique kinase ATP site-directed scaffold for the synthesis of highly potent c-Kit mutant selective inhibitors for cancer therapy.

Background

c-Kit is a cell surface receptor tyrosine kinase activated by the stem cell factor protein. Activating mutations in the c-Kit gene occur in 80% of gastrointestinal stromal tumours and these disconnect the receptor from the control of its endogenous ligand promoting oncogenic cell signalling.

Tyrosine kinase inhibitors are used to treat GIST, but resistance develops upon drug treatment because of additional mutations in the c-Kit kinase domain and another cell surface receptor tyrosine kinase, the platelet-derived growth factor receptor.

New agents able to control the full range of mutations that develop in response to current therapies are needed. These agents need to demonstrate superior disease control and toxicity profiles to current fourth-line therapies.

Technology

Combining our skills in medicinal chemistry and cancer pharmacology, we have developed a novel tricyclic scaffold that is highly selective for a range of primary activating c-Kit mutations and acquired drug resistance c-Kit mutations. This distinct profile separates our compounds from current clinical agents and competitor molecules.

Major advantages

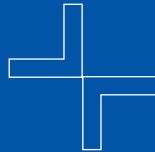
- Novel chemistry.
- Unprecedented selectivity with molecules that are >250 times more selective for mutant c-Kit enzymes over the wild-type enzyme.
- Restricted kinase profile.
- Cell-killing activity in c-Kit driven cell lines with potency comparable to third- and fourth-line therapies.
Treatment of GIST refractory to other tyrosine kinase inhibitors.

Applications

- Acute Myeloid Leukaemia harbouring c-Kit mutations.
- Mucosal Melanoma harbouring c-Kit mutations.
- Mastocytosis.

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UniServices by the numbers

Total external research funding:

\$261.3M

(35% increase over 2020)

45

companies started in the past five years

\$1.25BN

Total market capitalisation of companies formed

\$73.5M

Net asset value of the University of Auckland Inventors' Fund

17,335 Covid-19 vaccinators trained by the Immunisation Advisory Centre in 2021

1,700

New Zealand teachers reskilled and upskilled through Tui Tuia | Learning Circle professional learning and development in 2021

3,000

clinical staff at 22 DHBs trained through teamwork-based acute care simulations designed by NetworkZ in the past five years

14,391 times that child and youth mental health workers attended Whāraurau e-modules, trainings and workshops in 2021

UniServices

UniServices is a not-for-profit company of the University of Auckland that champions research and ideas with the power to change the world. From seeking out and bringing together partners in academic institutions, industry and government to build new knowledge and solutions through research; to whakatupu (nurturing) and commercialising the ideas and intellectual property that arise from the University of Auckland's great minds, we act as the kaihono (those who join and link people to people, and people to projects) firmly entrenched in the ecosystem that bridges the world of academia with business, government and our communities.

University of Auckland

Waipapa Taumata Rau | The University of Auckland is New Zealand's largest and leading university. The name Waipapa Taumata Rau, gifted to the University by Ngāti Whātua Ōrākei, refers to the 'place of many peaks' – places to strive for, ascend to and succeed. We also rank in the top 10 globally for sustainable development impact. The University supports economic growth locally and nationally through innovation and entrepreneurship, creating quality jobs and high-value businesses, and producing graduates that contribute to our economy and society for the benefit of all.

Contact



Kimberlee Jordan
Snr Commercialisation Manager
+64 9 923 9520
kimberlee.jordan@auckland.ac.nz



Evelyn Body
Director of Commercialisation- BioTech
+64 21 405 267 or +64 9 923 2643
e.body@auckland.ac.nz

UniServices

Level 10, 49 Symonds Street,
Private Bag 92019,
Victoria Street West,
Auckland 1142, New Zealand
+64 9 373 7522 uniservices.co.nz

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