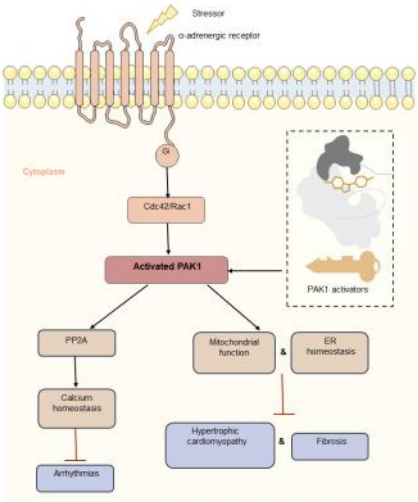
PROJECT NUMBER

13454

Activators of PAK1 and PAK2 for the treatment of cardiovascular disease

PAK1 and PAK2 are critical for maintaining cellular homeostasis and metabolism within the heart, thereby enhancing
the adaptability of cardiomyocytes to stress.

Applications: Drug discovery, cardiovascular disease



Features	Benefits
A set of highly effective small molecules that activate PAK1 or PAK2	Growing market - cardiovascular disease is the leading cause of death globally
Assays for in vitro testing of hits and leads for activation of PAK1 and PAK2	PAK1 has an essential role in regulating cardiac electrical functions and muscle contractility and providing cardioprotective mechanisms

Features	Benefits
Demonstrated therapeutic effect in a mouse model of hypertrophic cardiomyopathy with reduced cardiac hypertrophy and alleviated fibrosis progression	PAK2 confers cardio-protection and is essential for ion channel activity, intracellular Ca2+ handling, and cardiac contractility
PAK1 activity increased up to 5-fold, with EC50 values as low as 300 nM	PAK1 and PAK2 activation suggested as treatment for cardiovascular diseases or conditions, including cardiac fibrosis, cardiac hypertrophy, cardiac arrhythmias, heart failure and ischaemia/reperfusion injury
High solubility, as indicated by our cell experiments, these activators can rapidly enter cells and induce realtime dynamic conformational changes in PAK1	Good potency and ability on activating PAK1, with favorable physicochemical properties, including solubility and chemical stability

Patented and Available for

- Co-development
- Consulting
- Licensing

To find out more, contact enquiries@innovation.ox.ac.uk and quote the project number.

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