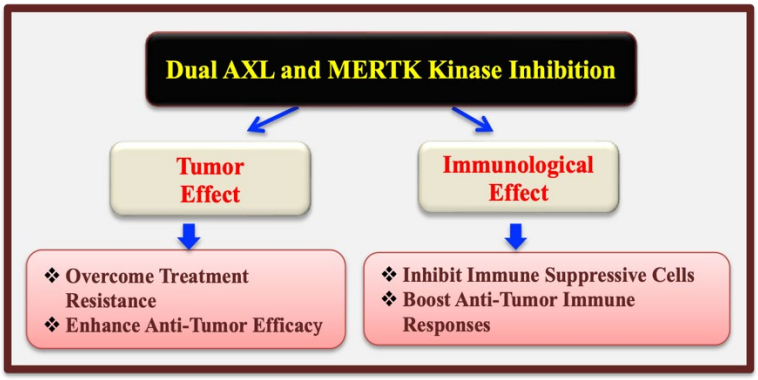
BPR5K230: AXL and MERTK Selective Small Molecule Tyrosine Kinase Inhibitor as Anti-Tumor and Immunomodulatory Agent

Drug Introduction

- BPR5K230 is a potent, orally bioavailable AXL and MERTK selective small molecule tyrosine kinase inhibitor with anti-tumor and immunomodulatory activities
- Indications include AXL and MERTK over-expression, treatment relapsed, resistant or metastatic solid tumors
- Currently in candidate identification stage

Drug Mechanism

- Simultaneously inhibit AXL and MERTK signaling in the tumor and tumor immune suppressive microenvironment to enhance anti-tumor efficacy and boosting anti-tumor immune responses



Drug Comparison

- Compared to clinical-stage AXL/MERTK inhibitor Ono-7475:
  - Demonstrate more potent in vitro AXL and MERTK kinase inhibitory activity
  - Exhibit enhanced in vivo anti-tumor efficacy, alone or in combination with immune checkpoint inhibitors
- Compared to AXL and MERTK-monotargeted agents:
  - Prevent drug resistance caused by AXL- and MERTK-selective inhibitors and improve limited drug efficacy of single-targeted agents

In Vivo Experimental / Preclinical Data

- In vivo efficacy:
  - Induce tumor regression, prevent lung metastasis and prolong animal median survival days in combination with standard-of-care agents
- Pilot toxicity evaluation:
  - 14-day non-GLP repeated-dose toxicity study in mice showing preliminary safety profiles
- Pharmaceutical development:
  - Crystaline form identified, physicochemical properties evaluation completed

Key Business Takeaways

- Innovation: Novel small molecule AXL and MERTK kinase inhibitor utilize one single chemical entity with dual functions to simultaneously inhibit AXL and MERTK signaling in the tumor and tumor immune suppressive microenvironment
- Therapeutic Potential: Can be used as a single agent or in combination therapy with chemotherapy, molecular targeted agents and immune checkpoint inhibitors
- Market Positioning: Novel AXL and MERTK selective kinase inhibitors can be positioned in kinase inhibitors markets, targeted cancer therapy market and immuno-oncology market.

Intellectual Properties

- US63/399,404, PCT/US23/30571

Publications

1. Discovery of dual MER/AXL kinase inhibitors as bifunctional small molecules for inhibiting tumor growth and enhancing tumor immune microenvironment. J Med Chem. 2024;67:10906-10927. http://dx.doi.org/10.1021/acs.jmedchem.4c00400

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