INTRODUCTION

Protein arginine methyltransferase 5 (PRMT5), with broad antitumor activity in preclinical characterization of PRT543, a potent and selective inhibitor of PRMT5, is a promising target for the treatment of solid and hematologic malignancies.

RESULTS

PRT543 DEMONSTRATES POTENTIAL ANTI-TUMOR ACTIVITY IN MULTIPLE CELL LINE-DERIVED XENOGRAFT MODELS

- In vitro, PRT543 potently inhibits cellular proliferation and SDMA in multiple cell lines.
- In vivo, PRT543 demonstrates antiproliferative activity against a panel of cancer cell lines, including melanoma, breast, and leukemia.

CONCLUSIONS

- PRT543 is a potent and selective PRMT5 inhibitor.
- PRT543 demonstrates potent activity as monotherapy and in combination with standard of care therapies in solid and hematologic malignancies.
- PRT543 can overcome resistance to multiple targeted therapies in solid tumor PDX models.
- PRT543 shows potential activity in solid tumor PDX models.