**Background**
RX 5902 is a novel, oral, small-molecule compound targeting phosphorylated p68 (p-p68) RNA helicase. p68 RNA helicase is a member of the DEAD box family of RNA helicases. p68 is a positively charged protein that plays a role in the cell cycle and cell survival. Phosphorylation of p68 by PKA is one of the key factors in regulating its activity. RX 5902 inhibits p68 RNA helicase in vitro and in vivo. Phase I/2a study is underway to assess the safety, tolerability, and preliminary antitumor activity of RX 5902. RX 5902 is a potent inhibitor of the activity of the p68 helicase, and it has been shown to inhibit the growth of various cancer cell lines. The pharmacokinetics of RX 5902 were evaluated in human subjects and were consistent with the expected pharmacodynamic profile. The results showed that RX 5902 was well tolerated and had good tolerability in patients with advanced TNBC.

**Methods**
RX 5902 was administered orally to patients with advanced TNBC in a Phase I/2a study. The study was designed to evaluate the safety, tolerability, and antitumor activity of RX 5902. The primary objective was to assess the safety and tolerability of RX 5902 at various dose levels. The secondary objectives were to determine the pharmacokinetics of RX 5902, to evaluate the antitumor activity of RX 5902, and to assess the correlation between the pharmacokinetic parameters and antitumor activity.

**Results**
The study showed that RX 5902 was well tolerated and had good tolerability in patients with advanced TNBC. The most commonly reported adverse events were nausea and vomiting. The pharmacokinetic parameters were calculated using WinNonLin, Version 6.4. The PK model was built and used for pharmacokinetic/pharmacodynamics assessments. The PK parameters of multiple weekly dosing schemes are shown below.

**Safety Profile**

- **Adverse Event**
- **Related Events**
- **Highest Severity Grade Per Related AE (N)**

**Pharmacokinetics**

- **Pharmacodynamic Profiles of RX-5902**
- **Dose proportional increase in plasma exposure, with slight accumulation from Days 1 to 10, with no sign of saturation, elimination half-life suitable for once daily dosing. Predictive population PK model built based on subject data.**

**Conclusion**
RX 5902 is safe and well tolerated at the doses and schedules tested. Early tumor activity was observed in patients with breast, rectal, pancreatic, colorectal, and ovarian cancers. RX 5902 is a potent inhibitor of the activity of the p68 helicase, and it has been shown to inhibit the growth of various cancer cell lines. The pharmacokinetics of RX 5902 were evaluated in human subjects and were consistent with the expected pharmacodynamic profile.