Updated results of the selective Bruton tyrosine kinase (BTK) inhibitor TG-1701, as monotherapy and in combination with ublituximab and umbralisib (U2) in patients (pts) with B-cell malignancies
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BACKGROUND AND METHODS

- Deep retreatments with BTK monotherapy in CLL are rare
- TG-1701 is a covalently bound BTK inhibitor with superior selectivity compared with ibrutinib.2
- The three translational studies of this trial with ublituximab and umbralisib (U2) inhibited tumor cell proliferation in vitro, with IC50 < 1 nM.

Kinase Selectivity Profiling at 1uM in an in vitro whole kinase screening

RESULTS

- ORR 95%
- ORR 65%
- ORR 95%

Safety

- All Causality AEs (≥15%) TG-1701 Monotherapy
- All Causality AEs (≥15%) TG-1701 + U2 Combination Therapy

Adverse event, N(%)

- Grade 1
- Grade 2
- Grade 3
- Grade 4

ORR: 57%

*One patient died of COVID-19 prior to the first disease assessment.

SUMMARY

- TG-1701 exhibits an encouraging safety profile, with clinical and pharmacodynamic activity at all dose levels evaluated that supported QD dosing
- The MTD has not been achieved in the monotherapy arm (up to 300mg QD)
- The combination of TG-1701 with U2 has been well tolerated and dose escalation continues. Combination treatment is associated with encouraging clinical activity, including early complete response
- This study (NCT04618845) continues enrollment and future registration trials are being planned

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