### Biological Activity

TPX 0005 (Ropotrectinib) is a novel ALK/ROS1/TRK inhibitor, effectively inhibits a broad spectrum of mutations including solvent front ALK G1202R, ROS1 G2032R and TRKA G595R mutants; potently inhibits WT ALK (IC50=1.01 nM) and mutant ALKs including ALK G1202R (IC50=1.26 nM) and ALK L1196M (IC50=1.08 nM); is also a potent SRC inhibitor (IC50=5.3 nM); shows low nano-molar activities against CD74-ROS1 G2032R (IC50=8.4 nM), LMNA-TRKA G595R (IC50=0.4 nM), TEL-TRKB G639R (IC50=1.9 nM) and TEL-TRKC G623R (IC50=0.4 nM) in Ba/F3 cell proliferation assays; dramatically causes tumor growth inhibition and tumor regression in xenograft tumor model; demonstrates desired druglike properties and good safety profile.

### Solid Tumors

Phase 2 Clinical

### References

Zhai D, et al. DOI: 10.1158/1538-7445.AM2016-2132 Published July 2016

J.J. Cui, et al. DOI: http://dx.doi.org/10.1016/S0959-8049(16)32675-2

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**Caution:** Product has not been fully validated for medical applications. Lab Use Only!

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