

DTXL-TNP (designated BIND-014) is currently undergoing evaluation in a phase 1 clinical trial (NCT01300533), where DTXL-TNP is given by intravenous infusion every 3 weeks to cancer patients. The main eligibility criteria are ≥ 18 years old; advanced or metastatic cancer for which no standard or curative therapy exists; measurable or evaluable disease per RECIST version 1.1; Eastern Cooperative Oncology Group performance status of 0 or 1; and life expectancy of >12 weeks. The clinical trial uses a standard dose-escalation design in which patients are assigned to cohorts receiving progressively higher doses until a dose is reached at which dose-limiting toxicities are observed. Single patient cohorts are enrolled at low-dose levels; subsequent dose levels are enrolling three-patient cohorts. Blood samples for PK analysis are analyzed for total DTXL concentration with LC-MS. All patients provide written informed consent before participation in the study. Multiple patients were given various doses of DTXL-TNP by intravenous infusion and blood was drawn at various times.

| Number of patients | Dose |
|--------------------|-----------------------|
| 1 | 3.5 mg/m ² |
| 1 | 7.0 mg/m ² |
| 2 | 15 mg/m ² |
| 3 | 30 mg/m ² |
| 3 | 60 mg/m ³ |
| 2 | 75 mg/m ² |