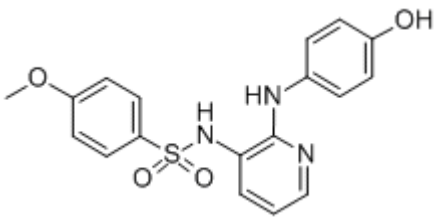
# Product Introduction

## ABT751

ABT-751 (E7010) binds to the colchicine site on **β-tubulin** and inhibits polymerization of microtubules, not a substrate for the MDR transporter and is active against cell lines resistant to vincristine, doxorubicin, and cisplatin. Phase 1/2.

## Technical Data:

| | | |
|---|---|---|
| **Molecular Weight (MW):** | 371.41 |  |
| **Formula:** | $C_{18}H_{17}N_3O_4S$ | |
| **Solubility (25 ℃) * <1 mg/ml means slightly soluble or insoluble:** | DMSO 74 mg/mL | |
| | Water <1 mg/mL | |
| | Ethanol 12 mg/mL | |
| **Purity:** | >98% | |
| **Storage:** | 3 years -20℃ Powder | |
| | 6 months-80℃ in DMSO | |
| **CAS No.:** | 141430-65-1 | |

## Biological Activity

In vitro, ABT-751 shows the selective cytotoxicity with IC50 of 0.6–2.6 μM in neuroblastoma and 0.7–4.6 μM in other solid tumor cell lines. Furthermore, ABT-751 also exhibits a selective effect on dynamic microtubules and spares stable microtubules, accounting for the persistence of acetylated and detyrosinated α-tubulin positive polymerized tubules at the IC90 concentration of ABT-751. [1]

In this Calu-6 xenograft model, ABT-751 as a single agent at 100 and 75 mg/kg/day shows significant

antitumor activity, while in combination with cisplatin, ABT-751 shows a dose-dependent enhancement in growth delay. In the HT-29 colon xenograft model, ABT-751 also shows significant antitumor activity as a single agent and produced a dose-dependent enhancement in growth delay In combination with 5-FU. [2] In dogs with lymphoma, ABT-751 exhibits the dose-limiting toxicities that included vomiting, diarrhea, anorexia, or some combination of these with a maximum tolerated dose (MTD) of 350 mg/m2 PO q24h. Furthermore, the mean AUC and Cmax for ABT-751 at the MTD of 350 mg/m2 is 5.55 μg-hour/mL and 0.9 μg/mL, respectively. [3]

An orally bioavailable tubulin-binding and antimitotic sulfonamide.

## References

[1] Meany HJ, et al. Pediatr Blood Cancer. 2010, 54(1), 47-54.

[2] Jorgensen TJ, et al. Cancer Chemother Pharmacol. 2007, 59(6), 725-732.

[3] Silver M, et al. J Vet Intern Med. 2012, 26(2), 349-354.