

产品名称: **N-[2-[(4-羟基苯基)氨基]-3-吡啶基]-4-甲氧基苯磺酰胺**
 产品别名: **ABT-751**

| 生物活性: | | | | | | | | | | | | | | | |
|--|--|--|------------|------------|-------|--|--|---------------|--|------|------|-------|--|--|--|
| Description | <p>ABT-751(E 7010) is a novel bioavailable tubulin-binding and antimitotic sulfonamide agent with IC50 of about 1.5 and 3.4 μM in neuroblastoma and non-neuroblastoma cell lines, respectively. IC50 Value: 1.5 μM(neuroblastoma); 3.4 μM(non-neuroblastoma) Target: Microtubule/Tubulin 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 deetyrosinated α-tubulin positive polymerized tubules at the IC90 concentration of ABT-751. in vivo: In 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. 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.</p> | | | | | | | | | | | | | | |
| Solvent&Solubility | <p>In Vitro: DMSO : \geq 48 mg/mL (129.24 mM) * ">" means soluble, but saturation unknown.</p> | | | | | | | | | | | | | | |
| | | <table border="1"> <tr> <td style="text-align: center;">Solvent</td> <td style="text-align: center;">Mass</td> <td></td> <td></td> <td></td> </tr> <tr> <td style="text-align: center;">Concentration</td> <td></td> <td style="text-align: center;">1 mg</td> <td style="text-align: center;">5 mg</td> <td style="text-align: center;">10 mg</td> </tr> </table> | Solvent | Mass | | | | Concentration | | 1 mg | 5 mg | 10 mg | | | |
| | Solvent | Mass | | | | | | | | | | | | | |
| | Concentration | | 1 mg | 5 mg | 10 mg | | | | | | | | | | |
| Preparing Stock Solutions | 1 mM | 2.6924 mL | 13.4622 mL | 26.9244 mL | | | | | | | | | | | |
| | 5 mM | 0.5385 mL | 2.6924 mL | 5.3849 mL | | | | | | | | | | | |
| | 10 mM | 0.2692 mL | 1.3462 mL | 2.6924 mL | | | | | | | | | | | |
| <p>*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液; 一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。 储备液的保存方式和期限 -80°C, 6 months; -20°C, 1 month。 -80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。</p> | | | | | | | | | | | | | | | |
| References | <p>[1]. Huang SM et al., Tankyrase inhibition stabilizes axin and antagonizes Wnt signalling., <u>Nature</u>. 2009 Oct 1;461(7264):614-20.</p> <p>[2]. Elizabeth Fox et al. A Phase I Study of ABT-751, an Orally Bioavailable Tubulin Inhibitor, Administered Daily for 21 Days Every 28 Days in Pediatric Patients with Solid Tumors <u>Clin Cancer Res</u> February 15, 2008 14: 1111</p> <p>[3]. Aggarwal C, Somaiah N, Simon G., Antiangiogenic agents in the management of non-small cell lung cancer: where do we stand now and where are we headed?, <u>Cancer Biol Ther</u>. 2012 Mar;13(5):247-63.</p> <p>[4]. Silver M, Rusk A, Phillips B, Beck E, Jankowski M, Philibert J, Hahn K, Hershey E, McKeegan E, Bauch J, Krivoshik A, Khanna C., Evaluation of the oral antimitotic agent (ABT-751) in dogs with lymphoma., <u>J Vet Intern Med</u>. 2012 Mar-Apr;26(2):349-54. doi: 10.1111/j.1939-1676.2012.00892.x. Epub 2012 Feb 28.</p> <p>[5]. Gaynon PS, Harned TM; for the Therapeutic Advances in Childhood Leukemia Lymphoma (TACL) Consortium.</p> | | | | | | | | | | | | | | |