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Zuschläge

- Mindermengenzuschlag
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- Expressversand

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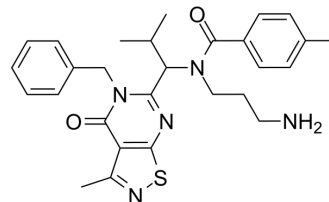
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AZD4877

| | |
|---------------------------|---|
| Cat. No.: | HY-13224 |
| CAS No.: | 758722-49-5 |
| Molecular Formula: | C ₂₈ H ₃₃ N ₅ O ₂ S |
| Molecular Weight: | 503.66 |
| Target: | Kinesin; Apoptosis |
| Pathway: | Cell Cycle/DNA Damage; Cytoskeleton; Apoptosis |
| Storage: | Please store the product under the recommended conditions in the Certificate of Analysis. |



BIOLOGICAL ACTIVITY

| | | | | | | | | | |
|-------------------------------------|---|------------|---|----------------|-------|------------------|----------------|---------|---|
| Description | AZD4877 is another isostere to Ispinesib (HY-50759) and also a kinesin spindle protein (Eg5) inhibitor with IC ₅₀ of 2 nM. AZD4877 arrests cell mitosis, leads to the formation of the monopolar spindle phenotype and induces apoptosis. AZD4877 inhibits circulating peripheral blood mononuclear cells (PBMCs) and has anti-cancer activity ^{[1][2][3][4][5]} . | | | | | | | | |
| IC₅₀ & Target | IC ₅₀ : 2 nM (Eg5) ^[5] | | | | | | | | |
| In Vitro | <p>AZD4877 (10 nM, 24 or 48 hours) induces apoptosis in human bladder cancer cells and AZD4877-sensitive cells generally expressed high levels of p63^[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Apoptosis Analysis^[2]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>UC1, UC3, UC6, UC12, UC15, RT4, JB, BV, T24 cell line</td> </tr> <tr> <td>Concentration:</td> <td>10 nM</td> </tr> <tr> <td>Incubation Time:</td> <td>24 or 48 hours</td> </tr> <tr> <td>Result:</td> <td>Induced apoptosis in human bladder cancer cells</td> </tr> </table> | Cell Line: | UC1, UC3, UC6, UC12, UC15, RT4, JB, BV, T24 cell line | Concentration: | 10 nM | Incubation Time: | 24 or 48 hours | Result: | Induced apoptosis in human bladder cancer cells |
| Cell Line: | UC1, UC3, UC6, UC12, UC15, RT4, JB, BV, T24 cell line | | | | | | | | |
| Concentration: | 10 nM | | | | | | | | |
| Incubation Time: | 24 or 48 hours | | | | | | | | |
| Result: | Induced apoptosis in human bladder cancer cells | | | | | | | | |
| In Vivo | <p>AZD4877 (i.v., 6 mg/kg, 12 mg/kg; single dose) has a significant reduction in cell viability and increase in cleaved caspase 3 levels by 48 h post dose in a rat hollow fiber model^[1].</p> <p>AZD4877 (i.v., 6 mg/kg; single dose) shows a T_{1/2} of 3.5 h, and CL of 36 mL/min/kg in Hans Wistar rats^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> | | | | | | | | |

REFERENCES

- [1]. Theoclitou ME, et.al. Discovery of (+)-N-(3-aminopropyl)-N-[1-(5-benzyl-3-methyl-4-oxo-[1,2]thiazolo[5,4-d]pyrimidin-6-yl)-2-methylpropyl]-4-methylbenzamide (AZD4877), a kinesin spindle protein inhibitor and potential anticancer agent. *J Med Chem.* 2011 Oct 13;54(19):6734-50.
- [2]. Marquis L, et.al. p63 expression correlates with sensitivity to the Eg5 inhibitor ZD4877 in bladder cancer cells. *Cancer Biol Ther.* 2012 May;13(7):477-86.
- [3]. Gerecitano JF, et.al. A Phase I trial of the kinesin spindle protein (Eg5) inhibitor AZD4877 in patients with solid and lymphoid malignancies. *Invest New Drugs.* 2013 Apr;31(2):355-62.

[4]. Shahin R, et.al. Kinesin spindle protein inhibitors in cancer: from high throughput screening to novel therapeutic strategies. Future Sci OA. 2022 Feb 21;8(3):FSO778.

[5]. Myers SM, et.al. Recent findings and future directions for interpolar mitotic kinesin inhibitors in cancer therapy. Future Med Chem. 2016;8(4):463-89.

Caution: Product has not been fully validated for medical applications. For research use only.

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