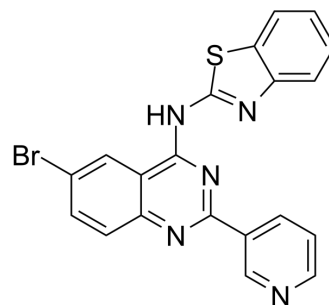


EGFR-IN-63

Cat. No.:	HY-147967
CAS No.:	2414635-72-4
Molecular Formula:	C ₂₀ H ₁₂ BrN ₅ S
Molecular Weight:	434.31
Target:	EGFR
Pathway:	JAK/STAT Signaling; Protein Tyrosine Kinase/RTK
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	EGFR-IN-63 is an EGFR inhibition (IC ₅₀ : 0.096 μM) and it has anticancer activity in MCF-7 cells (IC ₅₀ : 2.49 μM).																						
In Vitro	<p>EGFR- IN-63 (2-4 hours) has superior EGFR inhibition (IC₅₀ = 0.096 μM) compared to Gefitinib (IC₅₀ = 0.166 μM) and anticancer activity against MCF-7 cell line (IC₅₀ = 2.49 μM) compared to Gefitinib (IC₅₀ = 4.972 μM). EGFR- IN-63 leads to pre G1 apoptosis with cell growth arrest at G2/M phase in MCF-7 cells after 24 h, the percentage of DNA content (41.45 %) shows increase compared to the control cells (7.1 %). EGFR- IN-63 arrest cell growth at G2/M phase and induces its apoptotic effect. The percentage of the total apoptotic cells in MCF-7 cell line increases after treatment with EGFR- IN-63 (24.19 %) relative to control cells (1.47 %)^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Cytotoxicity Assay</p> <table border="1"> <tr> <td>Cell Line:</td> <td>A549, MCF-7, WI38, PC9 and HCC827 cell lines</td> </tr> <tr> <td>Concentration:</td> <td>10% of the culture medium volume</td> </tr> <tr> <td>Incubation Time:</td> <td>2 - 4 hours</td> </tr> <tr> <td>Result:</td> <td>Displayed superior EGFR inhibitory & anticancer activity and low cytotoxicity.</td> </tr> </table> <p>Cell Cycle Analysis</p> <table border="1"> <tr> <td>Cell Line:</td> <td>MCF-7</td> </tr> <tr> <td>Concentration:</td> <td>0.01 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>24 hour</td> </tr> <tr> <td>Result:</td> <td>Led to pre G1 apoptosis with cell growth arrest at G2/M phase in MCF-7 cells.</td> </tr> </table> <p>Apoptosis Analysis</p> <table border="1"> <tr> <td>Cell Line:</td> <td>MCF-7</td> </tr> <tr> <td>Concentration:</td> <td>0.01 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>24 hour</td> </tr> </table>	Cell Line:	A549, MCF-7, WI38, PC9 and HCC827 cell lines	Concentration:	10% of the culture medium volume	Incubation Time:	2 - 4 hours	Result:	Displayed superior EGFR inhibitory & anticancer activity and low cytotoxicity.	Cell Line:	MCF-7	Concentration:	0.01 μM	Incubation Time:	24 hour	Result:	Led to pre G1 apoptosis with cell growth arrest at G2/M phase in MCF-7 cells.	Cell Line:	MCF-7	Concentration:	0.01 μM	Incubation Time:	24 hour
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Concentration:	0.01 μM																						
Incubation Time:	24 hour																						

Result:	Revealed cell growth arrest at G2/M phase and induced apoptotic effect.
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REFERENCES

[1]. Heba Abdelrasheed Allam, et al, Design and Synthesis of some new 2,4,6-trisubstituted quinazoline EGFR inhibitors as targeted anticancer agents, Bioorg Chem. 2020 May;98:103726

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

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