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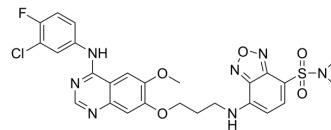
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HX103

Cat. No.:	HY-152098
CAS No.:	2566466-98-4
Molecular Formula:	C ₂₆ H ₂₅ ClFN ₇ O ₅ S
Molecular Weight:	602.04
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	HX103 is an epidermal growth factor receptor (EGFR)-tyrosine kinase inhibitor (TKI)-based fluorogenic probe. HX103 inhibits EGFR 19 del, EGFR L858R, EGFR wild type and EGFR T790M with IC ₅₀ s of 1.3, 1.5, 4.0 and 977 nM, respectively. HX103 can be used for quantifying active-EGFR to predict agent sensitivity in NSCLC patients with EGFR-activating mutations ^[1] .											
IC₅₀ & Target	EGFR ^{del19} 1.3 nM (IC ₅₀)	EGFR ^{L858R} 1.5 nM (IC ₅₀)	EGFR ^{WT} 4.0 nM (IC ₅₀)	EGFR ^{T790M} 977 nM (IC ₅₀)								
In Vitro	<p>HX103 gives remarkable fluorescence enhancement in acetonitrile in contrast to the aqueous solution (PBS or H₂O) and possesses environment-sensitive properties with turn-on mechanism^[1].</p> <p>HX103 (5 μM) is non-fluorescent in PBS, but exhibits high fluorescence upon the addition of wild-type or mutant EGFR (L858R and 19del). HX103 is selective toward EGFR wild-type and primary mutants (L858R and 19del), but less sensitive to the acquired resistance mutation EGFR T790M^[1].</p> <p>HX103 has a slightly stronger binding affinity to EGFR L858R (K_d = 0.8 ± 0.3 μM) and EGFR 19del (K_d = 1.1 ± 0.2 μM), when compared with EGFR wild-type (K_d = 2.7 ± 0.4 μM) and the acquired resistance mutation T790M (K_d = 6.6 ± 4.6 μM)^[1].</p> <p>HX103 (0.3-10 μM; 2 h) targets the active site of EGFR-tyrosine kinase and inhibits EGFR activation by competing with ATP^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Western Blot Analysis^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>HCC827</td> </tr> <tr> <td>Concentration:</td> <td>0.3, 1, 3 and 10 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>2 h</td> </tr> <tr> <td>Result:</td> <td>Inhibited the phosphorylation of EGFR and the downstream proteins (without obviously affecting their total proteins' levels) in HCC827 cells (EGFR 19del).</td> </tr> </table>				Cell Line:	HCC827	Concentration:	0.3, 1, 3 and 10 μM	Incubation Time:	2 h	Result:	Inhibited the phosphorylation of EGFR and the downstream proteins (without obviously affecting their total proteins' levels) in HCC827 cells (EGFR 19del).
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REFERENCES

[1]. Deng H, et al. A fluorogenic probe for predicting treatment response in non-small cell lung cancer with EGFR-activating mutations. Nat Commun. 2022 Nov 14;13(1):6944.

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

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