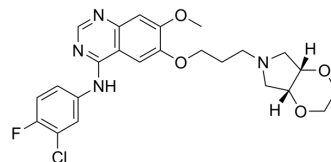


## Larotininb

<b>Cat. No.:</b>	HY-153110
<b>CAS No.:</b>	1438072-11-7
<b>Molecular Formula:</b>	C <sub>24</sub> H <sub>26</sub> ClFN <sub>4</sub> O <sub>4</sub>
<b>Molecular Weight:</b>	488.94
<b>Target:</b>	EGFR; IRAK; Btk
<b>Pathway:</b>	JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Immunology/Inflammation
<b>Storage:</b>	Please store the product under the recommended conditions in the Certificate of Analysis.



### BIOLOGICAL ACTIVITY

<b>Description</b>	Larotininb is a potent broad-spectrum and orally active tyrosine kinase inhibitor (TKI) with EGFR as the main target with an IC <sub>50</sub> of 0.6 nM <sup>[1]</sup> .			
<b>IC<sub>50</sub> &amp; Target</b>	EGFR <sup>L861Q</sup>	EGFR <sup>L858R</sup>	EGFR (WT)	EGFR <sup>T790M</sup>
	0.423 nM (IC <sub>50</sub> )	0.563 nM (IC <sub>50</sub> )	0.611 nM (IC <sub>50</sub> )	45.2 nM (IC <sub>50</sub> )
	HER4 84 nM (IC <sub>50</sub> )	BLK 102 nM (IC <sub>50</sub> )	IRAK1 167 nM (IC <sub>50</sub> )	BTK 196 nM (IC <sub>50</sub> )
	HER2 253 nM (IC <sub>50</sub> )			
<b>In Vivo</b>	<p>After daily administration of Larotininb mesylate, the no observed adverse effect level (NOAEL) is 10 mg/kg in Sprague-Dawley rats and the lowest observed adverse effect level (LOAEL) is 5 mg/kg in beagle dogs. The maximal tolerable doses (MTDs) are 20 and 25 mg/kg in Sprague-Dawley rats and beagle dogs, respectively<sup>[1]</sup>.</p> <p>Larotininb mesylate shows dose-dependent antitumor results and a tumor-inhibiting rate exceeding 60% at 18 mg/kg in a tumor-bearing mice model (data not published)<sup>[1]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>			

### REFERENCES

[1]. Liu J, et al. Phase I Trial to Evaluate the Tolerance, Pharmacokinetics and Efficacy of the Broad-Spectrum ErbB Family Inhibitor Larotininb Mesylate in Patients With Advanced Solid Tumors. *Front Pharmacol.* 2021 Feb 18;12:636324.

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

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