# PRODUCT INFORMATION



### **GNE-495**

Item No. 21808

CAS Registry No.: 1449277-10-4

Formal Name: 8-amino-N-[1-(cyclopropylcarbonyl)-3-azetidinyl]-2-

(3-fluorophenyl)-1,7-naphthyridine-5-carboxamide

MF:  $C_{22}H_{20}FN_5O_2$ 

FW: 405.4 **Purity:** ≥95%

 $\lambda_{\text{max}}$ : 277, 343 nm A crystalline solid UV/Vis.: Supplied as:

-20°C Storage: Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

## **Laboratory Procedures**

GNE-495 is supplied as a crystalline solid. A stock solution may be made by dissolving the GNE-495 in the solvent of choice, which should be purged with an inert gas. GNE-495 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of GNE-495 in these solvents is approximately 5 mg/ml. GNE-495 is also slightly soluble in ethanol.

GNE-495 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GNE-495 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. GNE-495 has a solubility of approximately 0.125 mg/ml in a 1:7 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

### Description

GNE-495 is an orally bioavailable, potent, and selective MAP4K4 inhibitor ( $IC_{50} = 3.7$  nM).<sup>1</sup> In cell sprouting assays, it increases the number of protrusions.<sup>2</sup> In a mouse model of oxygen-induced retinopathy, GNE-495 normalizes the percentage of pathological vessels and hemorrhagic areas.

#### References

- 1. Ndubako, C.O., Crawford, T.D., Chen, H., et al. Structure-based design of GNE-495, a potent and selective MAP4K4 inhibitor with efficacy in retinal angiogenesis. ACS Med. Chem. Lett. 6(8), 913-918 (2015).
- 2. Vitorino, O., Yeung, S., Crow, A., et al. MAP4K4 regulates integrin-FERM binding to control endothelial cell motility. Nature 519(7544), 425-430 (2015).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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