

Product Data Sheet

Inhibitors

Screening Libraries

Proteins

EC0489

Cat. No.: HY-114306 CAS No.: 1096702-14-5 Molecular Formula: $C_{111}H_{156}N_{22}O_{43}S_{2}$

Molecular Weight: 2550.68

Target: Antifolate

Pathway: Cell Cycle/DNA Damage

Storage: Please store the product under the recommended conditions in the Certificate of

Analysis.

{Ggu}-QEQEQC

BIOLOGICAL ACTIVITY

Description	EC0489, a conjugate of folic acid and desacetyl vinblastine hydrazide, is a high-affinity ligand for the folate receptor (FR). Refractory or metastatic Tumor ^[1] . Small molecule-agent conjugate (SMDC) ^[2] .
IC ₅₀ & Target	Folate $receptor^{[1]}$
In Vitro	The affinity of EC0489 toward the folate receptor (FR) is approximately half of that of folic acid (relative affinity 0.50) ^[1] . FR-positive KB cells are highly sensitive to EC0489 with an IC ₅₀ of 5 nM ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	EC0489 has a favorable toxicology profile in Rats. EC0489 (2, 3, and 4 μmol/kg) has antitumor activity against mice KB tumor models in a dose-dependent manner ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Leamon CP, et al. Reducing undesirable hepatic clearance of a tumor-targeted vinca alkaloid via novel saccharopeptidic modifications. J Pharmacol Exp Ther. 2011 Feb;336(2):336-43.

[2]. Zhuang C, et al. Small molecule-drug conjugates: A novel strategy for cancer-targeted treatment. Eur J Med Chem. 2019 Feb 1;163:883-895.

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

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