Proteins

Screening Libraries

BM-1074

Molecular Weight:

Cat. No.: HY-13846 CAS No.: 1391108-10-3

Molecular Formula: $C_{50}H_{57}CIN_8O_7S_3$

Target: Bcl-2 Family; Apoptosis

Pathway: **Apoptosis**

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

Analysis.

1013.69

Product Data Sheet

BIOLOGICAL ACTIVITY

Description BM-1074 is a potent and specific Bcl-2/Bcl-xL inhibitor with K_i values of < 1 nM and IC₅₀ values of 1.8 nM and 6.9 nM for Bcl-2

and Bcl-xL, respectively. BM-1074 induces apoptosis, and exhibits antiproliferative activity against four small-cell lung

cancer cell lines (H146, H1963, H187 and H1417) with IC₅₀ values of 1-2 $nM^{[1]}$.

IC₅₀ & Target Bcl-2 Bcl-xL

> 6.9 nM (IC₅₀) 1.8 nM (IC₅₀)

In Vitro BM-1074 (Compound 32) shows high binding affinity to both Bcl-2 and Bcl-xL proteins and exhibits inhibitory activity against H146, H1963, H187, and H1417 cell lines^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay^[1]

Cell Line:	H146, H1963, H187, and H1417	
Concentration:	0-200 nM	
Incubation Time:	4 days	
Result:	Inhibited the cell growth of H146, H1963, H187 and H1417 cell lines with IC $_{50}$ values of 1.3 nM, 1.0 nM, 1.4 nM and 2.3 nM, respectively.	

In Vivo

BM-1074 (i.v., 15 mg/kg, daily, 5 days a week for 2 weeks) exhibits the maximum tolerated dose (MTD) (15 mg/kg) and strong antitumor activity in H146 tumor xenograft mice, as well as shows no significant weight loss (<5%) or other signs of toxicity [1].

BM-1074 (i.v., 15 mg/kg, single) induces strong apoptosis in H146 tumor tissues $^{[1]}$.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	SCID mice (injected with 5 x 10^6 H146 cancer cells with Matrigel, subcutaneously) $^{[1]}$
Dosage:	15 mg/kg
Administration:	i.v., 15 mg/kg, daily, 5 days a week for 2 weeks

Result:	Showed good toleration and did not cause significant weight loss or other signs of toxici also induced completely and persistent tumor regression in the H146 xenograft model.
Animal Model:	SCID mice (injected with 5 x 10^6 H146 cancer cells with Matrigel, subcutaneously) $^{[1]}$
Dosage:	15 mg/kg
Administration:	i.v., 15 mg/kg, single dosage
Result:	Induced robust cleavage of PARP and caspase-3 at both 3 and 6-hr time-points in H146 tumor tissues.

REFERENCES

[1]. Angelo Aguilar, et al. A potent and highly efficacious Bcl-2/Bcl-xL inhibitor. J Med Chem. 2013 Apr 11;56(7):3048-3067.

 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$

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