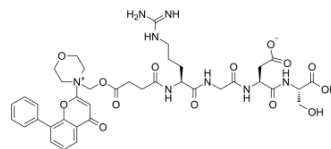


## SF1126

Cat. No.:	HY-10220
CAS No.:	936487-67-1
Molecular Formula:	C <sub>39</sub> H <sub>48</sub> N <sub>8</sub> O <sub>14</sub>
Molecular Weight:	852.84
Target:	PI3K; Apoptosis
Pathway:	PI3K/Akt/mTOR; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



### BIOLOGICAL ACTIVITY

<b>Description</b>	SF1126 is a relevant pan and dual first-in-class PI3K/BRD4 inhibitor, has antitumor and anti-angiogenic activity. SF1126 is an RGDS-conjugated LY294002 prodrug, which is designed to exhibit increased solubility and bind to specific integrins within the tumor compartment. SF1126 induces cell apoptosis <sup>[1]</sup> .																
<b>IC<sub>50</sub> &amp; Target</b>	PI3K/BRD4 <sup>[1]</sup>																
<b>In Vitro</b>	<p>SF1126 (0-6 μM; 48 hours) inhibits Hep3B, HepG2, SK-Hep1, and Huh7 cells proliferation with IC<sub>50</sub>s of 5.05, 6.89, 3.14, and 2.14 μM, respectively<sup>[1]</sup>.</p> <p>SF1126 (1-10 μM; 24 hours) results in cell-cycle arrest with a proportional increase in G0-G1 and a decrease in the number of cells in the S-phase in Hep 3B, Hep G2, SK-Hep1, and Huh7 cells<sup>[1]</sup>.</p> <p>SF1126 (0.5-2.5 μM; pre-30 minutes) and sorafenib suggests that combined treatment of SF1126 and sorafenib blocks multiple key enzymes in PI3K/AKT/mTOR and Ras/Raf/MAPK pathway<sup>[1]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Viability Assay<sup>[1]</sup></p> <table border="1"> <tr> <td>Cell Line:</td> <td>Hep3B, HepG2, SK-Hep1, and Huh7 cells</td> </tr> <tr> <td>Concentration:</td> <td>0 μM; 1 μM; 2 μM; 3 μM; 4 μM; 5 μM; 6 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>48 hours</td> </tr> <tr> <td>Result:</td> <td>Resulted in an increased inhibition of HCC proliferation.</td> </tr> </table> <p>Cell Cycle Analysis<sup>[1]</sup></p> <table border="1"> <tr> <td>Cell Line:</td> <td>Hep3B, HepG2, SK-Hep1, and Huh7 cells</td> </tr> <tr> <td>Concentration:</td> <td>1 μM; 5 μM; 10 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>24 hours</td> </tr> <tr> <td>Result:</td> <td>Induced cell-cycle arrest.</td> </tr> </table> <p>Western Blot Analysis<sup>[1]</sup></p>	Cell Line:	Hep3B, HepG2, SK-Hep1, and Huh7 cells	Concentration:	0 μM; 1 μM; 2 μM; 3 μM; 4 μM; 5 μM; 6 μM	Incubation Time:	48 hours	Result:	Resulted in an increased inhibition of HCC proliferation.	Cell Line:	Hep3B, HepG2, SK-Hep1, and Huh7 cells	Concentration:	1 μM; 5 μM; 10 μM	Incubation Time:	24 hours	Result:	Induced cell-cycle arrest.
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Cell Line:	Hep3B, HepG2, SK-Hep1, and Huh7 cells
Concentration:	0.5 $\mu$ M and 2.5 $\mu$ M
Incubation Time:	Pre-30 mins
Result:	Suppressed phosphorylation of AKT, p70S6K, 4EBP1, and ERK in all the cell lines together with sorafenib.

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## REFERENCES

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[1]. Garlich JR, et al. A vascular targeted pan phosphoinositide 3-kinase inhibitor prodrug, SF1126, with antitumor and antiangiogenic activity. Cancer Res. 2008 Jan 1;68(1):206-15.

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

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