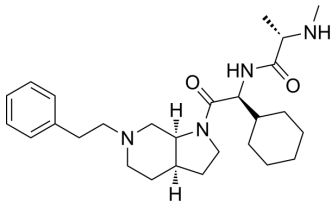
LBW242

Cat. No.:	HY-15519
CAS No.:	867324-12-7
Molecular Formula:	$C_{27}H_{42}N_4O_2$
Molecular Weight:	454.65
Target:	IAP; FLT3
Pathway:	Apoptosis; Protein Tyrosine Kinase/RTK
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

LBW242, a 3-mer and Smac mimetic, is a potent and orally active proapoptotic IAP inhibitor. LBW242 shows effects on mutant FLT3-expressing cells. LBW242 has activity against multiple myeloma, and potentiates TRAIL- and anticancer agent-mediated cell death of ovarian cancer cells[1][2].

In Vitro

LBW242 is a 3-mer and Smac mimetic, based on the ability of the NH2-terminal seven amino acids of Smac to neutralize the BIR3 domain of X-chromosome-linked IAP (XIAP). LBW242 partially inhibits the growth of mutant FLT3-expressing lines, MV4;11 at 1 μM[1].

LBW242 kills cells in a manner strictly dependent on caspases, and death is accompanied by PARP cleavage, Annexin positivity, and accumulation of cells in sub-G1[1].LBW242 (0-0.1 μM; 3 days) inhibits a panel of PKC412-sensitive or PKC412-resistant, mutant FLT3-expressing Ba/F3 lines The IC_{50} values ranged from 0.5 to >1 μM[1].

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

Cell Viability Assay[1]

Cell Line:	FLT3-ITD-Ba/F3 cells and mutant FLT3-expressing cells, A627T-FLT3-Ba/F3, F691IFLT3-Ba/F3, and N676D-FLT3-Ba/F3 cells
Concentration:	0.001, 0.01, 0.1 μM
Incubation Time:	3 days
Result:	Inhibited a panel of PKC412-sensitive or PKC412-resistant, mutant FLT3-expressing Ba/F3 lines The IC_{50} values ranged from 0.5 to >1 μM .

In Vivo

LBW242 (50 mg/kg; p.o.; daily for 10 days) reduces tumor burden[1].

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Animal Model:	NCR nude mice were inoculated with FLT3-ITD-Ba/F3 cells[1]
Dosage:	50 mg/kg
Administration:	Oral gavage; daily for 10 days
Result:	Effective in reducing tumor burden.

REFERENCES

[1]. Weisberg E, et al. Potentiation of antileukemic therapies by Smac mimetic, LBW242: effects on mutant FLT3-expressing cells. Mol Cancer Ther. 2007 Jul;6(7):1951-61.

[2]. Petrucci E, et al. A small molecule SMAC mimic LBW242 potentiates TRAIL- and anticancer drug-mediated cell death of ovarian cancer cells. PLoS One. 2012;7(4):e35073.

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