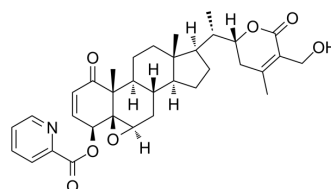


ASR-490

| | | | |
|--------------------|-------------------------------------------------|-------|----------|
| Cat. No.: | HY-144899 | | |
| CAS No.: | 2690312-67-3 | | |
| Molecular Formula: | C ₃₄ H ₄₁ NO ₇ | | |
| Molecular Weight: | 575.69 | | |
| Target: | Notch | | |
| Pathway: | Neuronal Signaling; Stem Cell/Wnt | | |
| Storage: | Powder | -20°C | 3 years |
| | In solvent | -80°C | 6 months |
| | | -20°C | 1 month |



SOLVENT & SOLUBILITY

| | | | | | | |
|-------------------------------------------------------------------------------|------------------------------------------------------------------------------------------------------------------------------------------|--------------------------|-------|-----------|-----------|------------|
| In Vitro | DMSO : 25 mg/mL (43.43 mM; Need ultrasonic) | | | | | |
| | Preparing Stock Solutions | Solvent Concentration | Mass | 1 mg | 5 mg | 10 mg |
| | | | 1 mM | 1.7370 mL | 8.6852 mL | 17.3705 mL |
| | | | 5 mM | 0.3474 mL | 1.7370 mL | 3.4741 mL |
| | | | 10 mM | 0.1737 mL | 0.8685 mL | 1.7370 mL |
| Please refer to the solubility information to select the appropriate solvent. | | | | | | |
| In Vivo | 1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (4.34 mM); Clear solution | | | | | |
| | 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (4.34 mM); Clear solution | | | | | |
| | 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (4.34 mM); Clear solution | | | | | |

BIOLOGICAL ACTIVITY

| | |
|---------------------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Description | ASR-490 reduces the viability of HCT116 and SW620 cells by downregulating Notch1 signaling. ASR-490 overcomes Notch1 overexpression and inhibits the growth of HCT/Notch1 transfectants. ASR-490 inhibits the tumor growth in control (pCMV/HCT116) and Notch1/HCT116 in xenotransplanted mice ^[1] . |
| IC ₅₀ & Target | Notch1 ^[1] |
| In Vitro | ASR-490 (0-1.6 μM; 24 h, 48 h) reduces the viability of HCT116 and SW620 cells in 24h (IC ₅₀ =750 nM in HCT116 cells, IC ₅₀ =1.2 μM in SW620 cells) and 48h (IC ₅₀ =600 nM in HCT116 cells, IC ₅₀ =850 nM in SW620 cells) ^[1] .ASR-490 (750 nM in HCT116 cells |

and 1.2 μM in SW620 cells, 24 h) shows apoptotic cell death and upregulation of the proapoptotic markers Bax and cleaved PARP Expression; inhibits the capability of colorectal cancer cells^[1]. ASR-490 (HCT116 cells; 750 nM in 24 h, 600 nM in 48 h) overcomes Notch1 overexpression and inhibits the growth of HCT/Notch1 transfectants^[1].

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

Cell Viability Assay^[1]

| | |
|------------------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Cell Line: | HCT116, SW620 cells |
| Concentration: | 0-1.6 μM |
| Incubation Time: | 24 h, 48 h |
| Result: | Reduced the viability of HCT116 and SW620 cells in 24h (IC_{50} =750 nM in HCT116 cells, IC_{50} =1.2 μM in SW620 cells) and 48h (IC_{50} =600 nM in HCT116 cells, IC_{50} =850 nM in SW620 cells). |

Apoptosis Analysis^[1]

| | |
|------------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Cell Line: | HCT116, SW620 cells |
| Concentration: | 750 nM in HCT116 cells and 1.2 μM in SW620 cells |
| Incubation Time: | 24 h |
| Result: | Showed apoptotic cell death and upregulation the proapoptotic markers Bax and cleaved PARP Expression, inhibited the capability of colorectal cancer cells. |

Cell Proliferation Assay^[1]

| | |
|------------------|--------------------------------------------------------------------------------------|
| Cell Line: | HCT116 cells |
| Concentration: | 750 nM in 24 h, 600 nM in 48 h |
| Incubation Time: | 24 h, 48 h |
| Result: | Overcome Notch1 overexpression and inhibited the growth of HCT/Notch1 transfectants. |

In Vivo

ASR-490 (5 mg/kg; i.p.; thrice a week for 4 weeks) inhibits the tumor growth in control (pCMV/HCT116) and Notch1/HCT116 in xenotransplanted mice^[1].

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

| | |
|-----------------|----------------------------------------------------------------------------------------------------------------------|
| Animal Model: | Six- to 8-week-old BALB/c athymic nude mice (nu/nu) (pCMV/HCT116 and Notch1/HCT116 (C4) xenografts) ^[1] . |
| Dosage: | 5 mg/kg |
| Administration: | i.p., thrice a week for 4 weeks |
| Result: | Inhibited the tumor growth in control (pCMV/HCT116) and Notch1/HCT116 in xenotransplanted mice. |

REFERENCES

[1]. Tyagi A, et al. ASR490, a Small Molecule, Overrides Aberrant Expression of Notch1 in Colorectal Cancer. *Mol Cancer Ther.* 2020; 19(12):2422-2431.

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

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