

# Produktinformation



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# **Screening Libraries**

# Proteins

# **Product** Data Sheet

# AGX51

Cat. No.: HY-129241 CAS No.: 330834-54-3 Molecular Formula:  $C_{27}H_{29}NO_{4}$ **Molecular Weight:** 431.52 Target: Others Pathway: Others

Pure form Storage: -20°C 3 years 2 years

In solvent -80°C 2 years

-20°C 1 year

# **SOLVENT & SOLUBILITY**

In Vitro

DMSO: 100 mg/mL (231.74 mM; Need ultrasonic) Ethanol: 100 mg/mL (231.74 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.3174 mL	11.5869 mL	23.1739 mL
	5 mM	0.4635 mL	2.3174 mL	4.6348 mL
	10 mM	0.2317 mL	1.1587 mL	2.3174 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: ≥ 2.08 mg/mL (4.82 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: 2.08 mg/mL (4.82 mM); Suspended solution; Need ultrasonic
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (4.82 mM); Clear solution

# **BIOLOGICAL ACTIVITY**

Description

AGX51 is a first-in-class pan-Id (inhibitors of DNA-binding/differentiation proteins) antagonist and degrader. AGX51 inhibits the Id1-E47 interaction, leading to ubiquitin-mediated degradation of Ids, cell growth arrest, and reduces viability. AGX51 inhibits the TNBC cell lines with IC<sub>50</sub>s of nearly 25  $\mu$ M. AGX51 can be used for the research of cancer<sup>[1]</sup>.

IC<sub>50</sub> & Target

IC50: 26.66 μM (4T1), 8.7 μM (HMLE RAS Twist), 22.28 μM (MDA-MB-157), 30.91 μM (MDA-MB-436), 36.55 μM (SK-BR-3), 60 μM (MCF-7), 10.89  $\mu$ M (PDX-BR7), 11.97  $\mu$ M (PDX-IBT) , 18.56  $\mu$ M (PDX-BR11)<sup>[1]</sup>

# In Vitro

AGX51 (0-80  $\mu$ M; 24 h) decreases ID1 protein levels in 4T1 cells<sup>[1]</sup>.

AGX51 (40  $\mu$ M; 0-72 h) decreases ID1 levels protein with a 40  $\mu$ M concentratio in 4T1 cells<sup>[1]</sup>.

AGX51 (40  $\mu$ M; 24 h) influences 4T1 cells , ER+, HER2+, TNBC and three breast cancer PDX cell ines<sup>[1]</sup>.

AGX51 (0-80  $\mu$ M; 24-48 h) influences cell cycle of 4T1 cells<sup>[1]</sup>.

AGX51 (40  $\mu\text{M};$  4-24 h) influences phospho-histone H3 levels in 4T1 cells  $^{[1]}.$ 

AGX51 (40  $\mu$ M; 24 h) influences ROS levels in 4T1 cells<sup>[1]</sup>.

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

# Western Blot Analysis $^{[1]}$

Cell Line:	4T1 cells	
Concentration:	0, 5, 10, 20, 40 and 80 μM	
Incubation Time:	24 hours	
Result:	Decreased ID1 protein levels starting at a concentration of 40 μM in 4T1 cells.	
Western Blot Analysis <sup>[1]</sup>		
Cell Line:	4T1 cells	
Concentration:	40 μM	
Incubation Time:	0, 2, 4, 8 , 12, 24, 48 and 72 hours	
Result:	Decreased ID1 protein levels starting at 4 h, while until 24 h ID1 protein completely loss.	
Cell Viability Assay <sup>[1]</sup>		
Cell Line:	4T1 cells, HMLE RAS Twist, MDA-MB-157, MDA-MB-436, MDA-MB-231, MDA-MB-453, BT-474, MDA-MB-361, SK-BR-3, MCF-7, T47-D, PDX-BR7, PDX-IBT and PDX-BR11	
Concentration:	40 μΜ	
Incubation Time:	24 hours	
Result:	Inhibited 4T1, HMLE RAS Twist, MDA-MB-157, MDA-MB-436, SK-BR-3, MCF-7, PDX-BR7, PDX-IBT and PDX-BR11 cell lines with IC $_{50}$ s of 26.66, 8.7, 22.28, 30.91, 36.55, 60, 10.89, 11.97 and 18.56 $\mu$ M, respectively.	

# ${\sf Cell\ Cycle\ Analysis}^{[1]}$

Cell Line:	4T1 cells
Concentration:	40 μΜ
Incubation Time:	24 and 48 hours
Result:	Affected cell cycle of 4T1 cells with a G0/G1 phase accumulation.

# Cell Viability Assay<sup>[1]</sup>

Cell Line:	4T1 cells
Concentration:	40 μΜ
Incubation Time:	4 and 24 hours
Result:	Reduced phospho-histone H3 levels in 4T1 cells.

Cell Line:	4T1 cells
Concentration:	40 μM
Incubation Time:	24 hours
Result:	Increased ROS level of 4T1 cells and indicated ROS production is a main mechanism of cell killing.

# In Vivo

AGX51 (50 mg/kg; i.p. twice a day for 4 weeks) inhibits lung metastasis<sup>[1]</sup>.

AGX51 (15 mg/kg; i.p. twice a day for 3 weeks) exibits anti-tumor activity with autochronous cancer<sup>[1]</sup>.

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

Animal Model:	Balb/c mice with luciferase-labeled 4T1 cells <sup>[1]</sup>	
Dosage:	50 mg/kg	
Administration:	Intraperitoneal injection; 60 mg/kg twice a day; for 4 weeks	
Result:	Inhibited lung metastasis development.	
Animal Model:	A/J mice with AOM colon tumor model <sup>[1]</sup>	
Dosage:	15 mg/kg	
Administration:	Intraperitoneal injection; 15 mg/kg twice a day; for 3 weeks	
Result:	Dreased the colon tumors and exhibited anti-tumor activity in AOM colon tumor mice.	

# **CUSTOMER VALIDATION**

- Front Cell Dev Biol. 2021 Feb 12.
- bioRxiv. 2023 Oct 4.
- Leiden Uiversity. 9 (2021): 182.

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## **REFERENCES**

[1]. Wojnarowicz PM, et al. Anti-tumor effects of an ID antagonist with no observed acquired resistance. NPJ Breast Cancer. 2021 May 24;7(1):58.

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

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