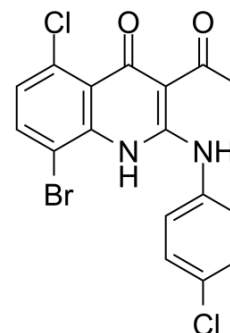


## KSI-3716

<b>Cat. No.:</b>	HY-12703		
<b>CAS No.:</b>	1151813-61-4		
<b>Molecular Formula:</b>	C <sub>17</sub> H <sub>11</sub> BrCl <sub>2</sub> N <sub>2</sub> O <sub>2</sub>		
<b>Molecular Weight:</b>	426.09		
<b>Target:</b>	c-Myc; Autophagy		
<b>Pathway:</b>	Apoptosis; Autophagy		
<b>Storage:</b>	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : 5 mg/mL (11.73 mM; Need ultrasonic)

Concentration	Mass		
	1 mg	5 mg	10 mg
<b>1 mM</b>	2.3469 mL	11.7346 mL	23.4692 mL
<b>5 mM</b>	0.4694 mL	2.3469 mL	4.6938 mL
<b>10 mM</b>	0.2347 mL	1.1735 mL	2.3469 mL

Please refer to the solubility information to select the appropriate solvent.

### BIOLOGICAL ACTIVITY

#### Description

KSI-3716 is a potent c-Myc inhibitor that blocks c-MYC/MAX binding to target gene promoters. KSI-3716 is an effective intravesical chemotherapy agent for bladder cancer<sup>[1]</sup>.

#### IC<sub>50</sub> & Target

c-Myc<sup>[1]</sup>

#### In Vitro

KSI-3716 blocks c-MYC/MAX from forming a complex with target gene promoters. KSI-3716 effectively blocks complex formation in a dose dependent manner (IC<sub>50</sub>=0.84 μM). c-MYC mediated transcriptional activity is inhibited by KSI-3716 at concentrations as low as 1 μM. The expression of c-MYC target genes, such as cyclin D2, CDK4 and hTERT, is markedly decreased. KSI-3716 exerts cytotoxic effects on bladder cancer cells by inducing cell cycle arrest and apoptosis<sup>[1]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

#### In Vivo

Intravesical instillation of KSI-3716 at a dose of 5 mg/kg significantly suppresses tumor growth with minimal systemic toxicity<sup>[1]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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## PROTOCOL

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

Ku19-19 cells are seeded 1 day before drug treatment and treated with KSI-3716 (5, 10, 15, 20, 25  $\mu$ M) for (12, 24, 48 hours). Cell survival assays are performed to count viable cells<sup>[1]</sup>.

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

### Animal Administration <sup>[1]</sup>

Mice<sup>[1]</sup>

<sup>[1]</sup>The control group is administered solvent and the experimental group (5 tumor bearing mice per group) is administered c-MYC inhibitor KSI-3716 (5 mg/kg) intravesically twice weekly for 3 weeks. Luminescence images are obtained twice weekly <sup>[1]</sup>.

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

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## REFERENCES

[1]. Jeong KC, et al. Intravesical instillation of c-MYC inhibitor KSI-3716 suppresses orthotopic bladder tumor growth. J Urol. 2014 Feb;191(2):510-8.

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

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