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Lieferung & Zahlungsart

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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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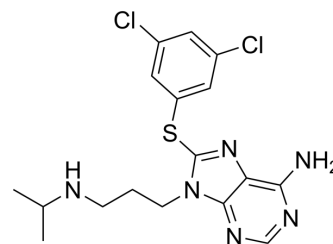
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PU-WS13

Cat. No.:	HY-18680
CAS No.:	1454619-14-7
Molecular Formula:	C ₁₇ H ₂₀ Cl ₂ N ₆ S
Molecular Weight:	411.35
Target:	HSP
Pathway:	Cell Cycle/DNA Damage; Metabolic Enzyme/Protease
Storage:	<div> <div>Powder</div> <div>-20°C 3 years</div> <div>4°C 2 years</div> </div> <div> <div>In solvent</div> <div>-80°C 6 months</div> <div>-20°C 1 month</div> </div>



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 40 mg/mL (97.24 mM)
 * "≥" means soluble, but saturation unknown.

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM		2.4310 mL	12.1551 mL	24.3102 mL
	5 mM		0.4862 mL	2.4310 mL	4.8620 mL
	10 mM		0.2431 mL	1.2155 mL	2.4310 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.5 mg/mL (6.08 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (6.08 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (6.08 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PU-WS13 is a selective Grp94 inhibitor, with an EC₅₀ of 0.22 μM.

IC₅₀ & Target

GRP94 0.22 μM (EC ₅₀)	HSP90α 27.3 μM (EC ₅₀)	HSP90β 41.8 μM (EC ₅₀)	TRAP-1 7.3 μM (EC ₅₀)
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In Vitro

PU-WS13 is a Grp94 inhibitor, with an EC₅₀ of 0.22 μM. PU-WS13 also slightly suppresses Hsp90α, Hsp90β and Trap-1, with EC

$_{50}$ s of 27.3, 41.8 and 7.3 μ M, respectively. PU-WS13 (2.5-20 μ M) shows no toxicity on two nonmalignant cell lines. PU-WS13 (15 μ M) disrupts the circular architecture of HER2 at the plasma membrane of SKBr3 cells mediated through Grp94. PU-WS13 inhibits Grp94, and the inhibition induces apoptosis in and reduce the viability of HER2 overexpressing breast cancer cells^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay ^[1]

The Hsp90 FP competition assays are carried out in black 96-well micro-plates in a total volume of 100 μ L in each well. A stock of 10 μ M cy3B-GM and PU-FITC3 is prepared in DMSO and diluted with Felts buffer (20 mM Hepes (K), pH 7.3, 50 mM KCl, 2 mM DTT, 5 mM $MgCl_2$, 20 mM Na_2MoO_4 and 0.01% NP40 with 0.1 mg/mL BGG). To each well is added the fluorescent dye-labeled Hsp90 ligand (6 nM cy3B-GM for Hsp90 α , Hsp90 β and Grp94 and 3 nM PU-FITC3 for Trap-1), protein (10 nM Hsp90 α , 10 nM Hsp90 β , 10 nM Grp94, 30 nM Trap-1) and tested inhibitor (including PU-WS13, initial stock in DMSO) in a final volume of 100 μ L Felts buffer. Compounds are added in duplicate or triplicate wells. For each assay, background wells (buffer only), tracer controls (free, fluorescent dye-labeled Hsp90 ligand only) and bound controls (fluorescent dye-labeled Hsp90 ligand in the presence of protein) are included on each assay plate. The assay plate is incubated on a shaker at 4°C for 24 h, and the FP values (in mP) are measured. The fraction of fluorescent dye-labeled Hsp90 ligand bound to Hsp90 is correlated to the mP value and plotted against values of competitor concentrations. The inhibitor concentration at which 50% of bound fluorescent dye-labeled Hsp90 ligand is displaced is obtained by fitting the data. For cy3B-GM, an excitation filter at 530 nm and an emission filter at 580 nm are used with a dichroic mirror of 561 nm. For PU-FITC3, an excitation filter at 485 nm and an emission filter at 530 nm are used with a dichroic mirror of 505 nm. All of the experimental data are analyzed, and binding affinity values are given as relative binding affinity values (EC_{50} , concentration at which 50% of fluorescent ligand is competed off by compound)^[1].

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

Cell Assay ^[1]

Cells are treated for 72 h with inhibitors (including PU-WS13) or transfected with Grp94 siRNA or control siRNA, and their viability is assessed using CellTiter-Glo luminescent Cell Viability Assay. The method determines the number of viable cells in culture based on quantification of the ATP present, which signals the presence of metabolically active cells^[1].

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

CUSTOMER VALIDATION

- Theranostics. 2019 Jan 1;9(2):554-572.
- Research Square Preprint. 2021 Sep.

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REFERENCES

[1]. Patel PD, et al. Paralog-selective Hsp90 inhibitors define tumor-specific regulation of HER2. Nat Chem Biol. 2013 Nov;9(11):677-684.

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

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