# SEL24-B489

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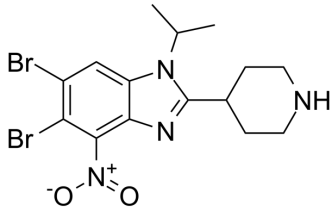
| **Cat. No.:** | HY-120758 |
| **CAS No.:** | 1616359-00-2 |
| **Molecular Formula:** | $C_{15}H_{18}Br_2N_4O_2$ |
| **Molecular Weight:** | 446.14 |
| **Target:** | Pim; FLT3; Apoptosis |
| **Pathway:** | JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Apoptosis |
| **Storage:** | Powder -20°C 3 years<br>4°C 2 years<br>In solvent -80°C 6 months<br>-20°C 1 month |




## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 25 mg/mL (56.04 mM; ultrasonic and adjust pH to 2 with HCl)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.2414 mL | 11.2072 mL | 22.4145 mL |
| | 5 mM | 0.4483 mL | 2.2414 mL | 4.4829 mL |
| | 10 mM | 0.2241 mL | 1.1207 mL | 2.2414 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 (5.60 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.60 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

SEL24-B489 is a potent, type I, orally active, dual PIM and FLT3-ITD inhibitor, with $K_d$ values of 2 nM for PIM1, 2 nM for PIM2 and 3 nM for PIM3, respectively[1].

**$IC_{50}$ & Target**

| PIM1 | PIM2 | PIM3 | FLT3-ITD |
|---|---|---|---|
| 2 nM (Kd) | 2 nM (Kd) | 3 nM (Kd) | |

**In Vitro**

In MOLM-13 and to a lesser extent in MV4-11 cells, a dose-dependent disruption of cell cycle with especially pronounced depletion of the S phase after treatment with SEL24-B489, accompanied by PARP cleavage and apoptosis was observed[1].
SEL24-B489 causes a profound inhibition of S6 ($S^{235/236}$), but has little effect on PI3K/mTOR signaling[1].
SEL24-B489 inhibits STAT5 ($Ser^{726}$) and reduced expression of MCL1, whereas none of the selective inhibitors altered c-MYC

abundance or induced PARP cleavage[1].

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

Cell Viability Assay[1]

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| Cell Line: | AZD1208, AC220 and AraC in AML cell lines. |
| Concentration: | 0-10 μM. |
| Incubation Time: | 72 h. |
| Result: | Decreased viability. |

**In Vivo**

SEL24-B489 (25-100 mg/kg, orally) exhibited activity in AML in vivo models[1].

SEL24-B489 induces apoptosis of DLBCL cell lines in low/sub-micromolar concentrations and exhibits activity in a xenograft model[2].

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

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| Animal Model: | SCID/beige mice bearing MV-4-11 tumors (FLT3-ITD+)[1]. |
| Dosage: | 50, 75 and 100 mg/kg. |
| Administration: | Orally, twice daily. |
| Result: | Marked dose – dependent tumor reduction (67%, 74% and 82% tumor growth inhibition (TGI) for 50, 75 and 100 mg/kg daily doses, respectively). |

## REFERENCES


[1]. Wojciech Czardybon, A novel, dual pan-PIM/FLT3 inhibitor SEL24 exhibits broad therapeutic potential in acute myeloid leukemia. Oncotarget. 2018 Mar 30;9(24):16917-16931.

[2]. Ewa Jablonska, et al. A Novel Pan-PIM Kinase Inhibitor, SEL24-B489, Induces Apoptosis and Inhibits Proliferation of Diffuse Large B-Cell Lymphoma Cells through Inhibition of Protein Translation and Attenuation of Myc and NFkB Activity. Blood (2015) 126 (23): 706.





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