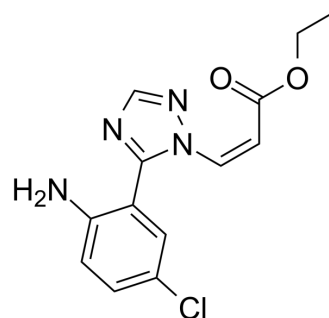


## PKF050-638

<b>Cat. No.:</b>	HY-114597
<b>CAS No.:</b>	528893-52-9
<b>Molecular Formula:</b>	C <sub>13</sub> H <sub>13</sub> ClN <sub>4</sub> O <sub>2</sub>
<b>Molecular Weight:</b>	292.72
<b>Target:</b>	HIV; CRM1
<b>Pathway:</b>	Anti-infection; Membrane Transporter/Ion Channel
<b>Storage:</b>	Please store the product under the recommended conditions in the Certificate of Analysis.



### BIOLOGICAL ACTIVITY

<b>Description</b>	PKF050-638 is a potent and selective inhibitor of HIV-1 Rev (IC <sub>50</sub> =0.04 μM). PKF050-638 inhibits the CRM1-mediated Rev nuclear export by disrupting CRM1-NES interaction <sup>[1]</sup> .
<b>IC<sub>50</sub> &amp; Target</b>	IC <sub>50</sub> : 0.04 μM (HIV-1 Rev) <sup>[1]</sup>
<b>In Vitro</b>	<p>Nuclear export of Rev is mediated by its leucine-rich nuclear export signal (NES) and is known to use the CRM1 export factor to export the viral RNA from the nucleus to the cytoplasm. CRM1 is a nuclear export receptor for proteins carrying the leucine-rich NES.</p> <p>PKF050-638 (5 μM; 2 hours) inhibits nuclear export of rev, in addition, the Rev14-GFP mutant accumulates in the nucleus indicating that the NES of Rev is a part of Rev required for export inhibition by PKF050-638<sup>[1]</sup>.</p> <p>PKF050-638 (7.5 μM; 4 hours) restores the inhibition of export in pRev14-GFP-transfected cells. In cells incubated with PKF050-638, most of the Rev14-GFP is localized in the nucleus and nucleolus. Whereas in untreated cells, Rev14-GFP is still in the cytoplasm. This result shows that the majority of PKF050-638-treated cells are able to reverse completely the inhibitory effects of the agent<sup>[1]</sup>.</p> <p>PKF050-638 (7.5 μM; 1 hour) is interfering with the CRM1-mediated nuclear export machinery. HeLa cells are cotransfected with the BFP-tagged Rev protein and the GFP-tagged hCRM1. Upon treatment with PKF050-638, this Rev-dependent hCRM1 nucleolar localization is abolished after 60 min, and PKF050-638 does not affect the Rev nucleolar distribution<sup>[1]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

### REFERENCES

[1]. Daelemans D, et al. A synthetic HIV-1 Rev inhibitor interfering with the CRM1-mediated nuclear export. Proc Natl Acad Sci U S A. 2002 Oct 29;99(22):14440-5. Epub 2002 Oct 9.

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

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