CRM1

Chromosomal Maintenance 1; Exportin 1; XPO1

CRM1 (Chromosome region maintenance 1; Exportin 1; XPO1), a member of the karyopherin β family of transport receptors, is an important nuclear protein export receptor that recognizes hydrophobic, leucine-rich nuclear export signal (NES) and transports target proteins across a Ran-GTP gradient. CRM1 is involved in the active transport of a number of cargo proteins, including transcription factors, tumor suppressor proteins (TSPs), and cell-cycle regulators, such as p53, p21, p27, nucleophosmin 1 (NPM1), as well as RNA molecules.

Abnormal CRM1 upregulation can have several cancer-promoting consequences. Upregulation of CRM1 would allow more growth regulatory proteins, such as c-myc or BCR-ABL, to be transported into the cytoplasm and activate downstream signaling leading to sustained cell proliferation. Similarly, tumor suppressor proteins (TSPs), such as Rb, p53, p21, or p27, are functionally inactivated upon export, hence removing the check on inappropriate cell growth. Similar disruptions would occur in the processes of apoptosis, DNA damage repair, chromosomal stabilization, and angiogenesis, just to name a few examples. Hence, inhibition of CRM1 activity became an attractive therapeutic target.

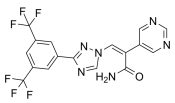
CRM1 Inhibitors

Eltanexor Z-isomer

(KPT-8602 (Z-isomer))

Cat. No.: HY-100423A

Eltanexor Z-isomer (KPT-8602 Z-isomer) is the less active isomer of KPT-8602. KPT-8602 is a potent **CRM1** inhibitor. IC50 In Vitro: Eltanexor Z-isomer exhibits different inhibitory effects on Z138, MM15, 3T3 cell lines, with IC_{50}s of 100 nM-50 μM, < 100 nM, > 30 μM, respectively.

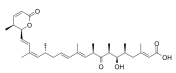


Purity: 95.47%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg

Leptomycin A

Cat. No.: HY-N6795

Leptomycin A, a Streptomyces metabolite, is an inhibitor of **CRM1 (exportin 1)** that blocks CRM1 interaction with nuclear export signals, preventing the nuclear export of a broad range of proteins. Leptomycin A suppresses HIV-1 replication. Less potent than Leptomycin B.



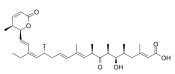
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Leptomycin B

(CI 940; LMB)

Cat. No.: HY-16909

Leptomycin B (CI 940; LMB) is a potent inhibitor of the nuclear export of proteins. Leptomycin B inactivates **CRM1/exportin 1** by covalent modification at a cysteine residue. Leptomycin B is a potent antifungal antibiotic blocking the eukaryotic cell cycle.

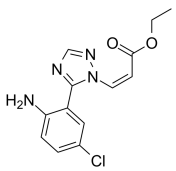


Purity: 99.71%
Clinical Data: Phase 3
Size: 5 μg

PKF050-638

Cat. No.: HY-114597

PKF050-638 is a potent and selective inhibitor of **HIV-1 Rev** (IC_{50}=0.04 μM). PKF050-638 inhibits the CRM1-mediated Rev nuclear export by disrupting **CRM1-NES** interaction.



Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg