

Product data sheet



MedKoo Cat#: 201900 Name: Tozasertib (VX-680) CAS#: 639089-54-6 Chemical Formula: C ₂₃ H ₂₈ N ₈ OS Exact Mass: 464.2107 Molecular Weight: 464.59	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years. In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

Tozasertib, also known as VX-680, MK0457 or VE465, is a synthetic, small-molecule Aurora kinase inhibitor with potential antitumor activity. Tozasertib binds to and inhibits Aurora kinases (AKs), thereby inducing apoptosis in tumor cells in which AKs are overexpressed. AKs, a family of serine-threonine kinases, are essential for mitotic progression, spindle formation, centrosome maturation, chromosomal segregation, and cytokinesis.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under “QC And Documents” section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	71.56	154.03
DMF	20.0	43.05
DMF:PBS (pH 7) (1:10)	0.10	21.52

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.15 mL	10.76 mL	21.52 mL
5 mM	0.43 mL	2.15 mL	4.30 mL
10 mM	0.22 mL	1.08 mL	2.15 mL
50 mM	0.04 mL	0.22 mL	0.43 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of “Calculator”

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Zhang LN, Ji K, Sun YT, Hou YB, Chen JJ. Aurora kinase inhibitor tozasertib suppresses mast cell activation in vitro and in vivo. *Br J Pharmacol.* 2020 Jun;177(12):2848-2859. doi: 10.1111/bph.15012. Epub 2020 Apr 6. PMID: 32017040; PMCID: PMC7236079.

In vivo study

1. Zhang LN, Ji K, Sun YT, Hou YB, Chen JJ. Aurora kinase inhibitor tozasertib suppresses mast cell activation in vitro and in vivo. *Br J Pharmacol.* 2020 Jun;177(12):2848-2859. doi: 10.1111/bph.15012. Epub 2020 Apr 6. PMID: 32017040; PMCID: PMC7236079.

7. Bioactivity

Biological target: Tozasertib (VX 680; MK-0457) is an inhibitor of Aurora A/B/C kinases with Kis of 0.6, 18, 4.6 nM, respectively.

In vitro activity

Tozasertib inhibited the release of β - hexosaminidase and histamine in BMMCs (bone marrow-derived mast cells) activated with IgE/Ag stimulation in a concentration - dependent manner (Figure 3b,c). Western blot analyses of signal pathway molecules showed

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that tozasertib pretreatment inhibited activation of NF - κ B and MAPKs (p38, JNK, and ERK) in BMMCs, as shown by decreased levels of p - p38, p - JNK, p - ERK1/2, p - p65, and I κ B α (Figure 3d,e). The effects of tozasertib on NF - κ B and MAPK signalling molecules exhibited concentration - dependence in IgE/Ag - stimulated BMMCs. These results indicated that tozasertib suppressed activation of IgE/Ag - stimulated BMMCs through down - regulation of NF - κ B and MAPK pathways.

Reference: Br J Pharmacol. 2020 Jun;177(12):2848-2859. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7236079/>

In vivo activity

PCA (passive cutaneous anaphylaxis) was used in mouse ears to evaluate tozasertib effects on anaphylaxis in vivo. When ears were injected with 4% Evans blue dye mixed with Ag in PCA tests, tozasertib reduced allergic responses in DNP - HSA - sensitized PCA mice, compared with the PBS - injected control group, as shown by decreased Evans blue dye density in the injected ear (Figure 4a), decreased ear thickness (Figure 4b,c), and diminished extrusion of dye from the injected ear (Figure 4d).

Reference: Br J Pharmacol. 2020 Jun;177(12):2848-2859. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7236079/>

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.