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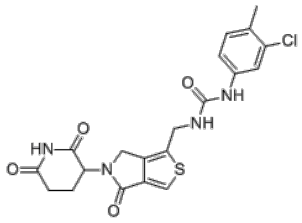
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	BTX306
Cat. No.	:	PC-38591
CAS No.	:	2230747-62-1
Molecular Formula	:	$C_{20}H_{19}ClN_4O_4S$
Molecular Weight	:	446.906
Target	:	E3 Ligase Ligand
Solubility	:	10 mM in DMSO



Biological Activity

BTX306 (BTX-306) is a novel protein homeostatic modulator, potently reduces levels of **GSPT1**, **eRF1**, **CK1α**, **MCL-1**, and **c-MYC** in myeloma cells, overcomes bortezomib and lenalidomide resistance.
BTX306 is much more potently reduced human-derived myeloma cell line viability, with median inhibitory concentrations in the single nanomolar range versus micromolar values for lenalidomide or pomalidomide, and more potently activated caspases 3/8/9.
BTX306 did not impact viability of murine hematopoietic cells in an in vivo model, demonstrating its specificity for human cereblon.
BTX306 did show some reduced activity in lenalidomide-resistant cell line models but nonetheless retained its nanomolar potency in vitro, overcame bortezomib resistance, and was equipotent against otherwise isogenic cell line models with either wild-type or knockout TP53.
BTX306 demonstrated strong activity against primary CD138-positive plasma cells, showed enhanced anti-proliferative activity in combination with bortezomib and dexamethasone.
BTX306 was effective in an in vivo systemic model of multiple myeloma.

References

Jianxuan Zou, et al. ***J Mol Med (Berl).*** 2020 Aug;98(8):1161-1173.

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