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Tosedostat

National Cancer Institute

Source

National Cancer Institute. *Tosedostat*. NCI Thesaurus. Code C70650.

A proprietary orally bioavailable inhibitor of the M1 family of aminopeptidases with potential antineoplastic activity. Aminopeptidase inhibitor CHR-2797 is converted intracellularly into a poorly membrane-permeable active metabolite (CHR-79888) which inhibits the M1 family of aminopeptidases, particularly puromycin-sensitive aminopeptidase (PuSA), and leukotriene A4 (LT A4) hydrolase; inhibition of these aminopeptidases in tumor cells may result in amino acid deprivation, inhibition of protein synthesis due to a decrease in the intracellular free amino acid pool, an increase in the level of the proapoptotic protein Noxa, and cell death. Noxa is a member of the BH3 (Bcl-2 homology 3)-only subgroup of the proapoptotic Bcl-2 (B-cell CLL/lymphoma 2) protein family.