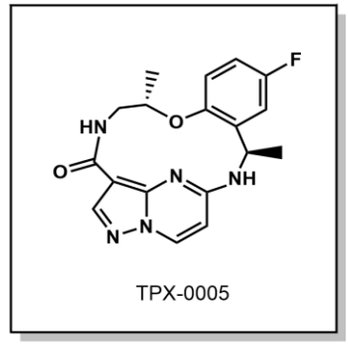
Cellagen Technology

Product Specification Sheet

Product Name: TPX-0005

Catalog Number: C8790



TPX-0005

Technical information:

Chemical Formula:	$C_{18}H_{18}FN_5O_2$
CAS #:	1802220-02-5
Molecular Weight:	355.37
Purity:	> 98%
Appearance:	White solid
Solubility:	Soluble in DMSO up to 200 mM
Chemical Name:	(3R,11S)-6-fluoro-3,11-dimethyl-10-oxa-2,13,17,18,21-pentazatetracyclo[13.5.2.04,9.018,22]docosa-1(21),4(9),5,7,15(22),16,19-heptaen-14-one
Storage:	For longer shelf life, store solid powder or DMSO solution at -20°C desiccated.
Shelf Life:	In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.

Handling:

- To make 10 mM stock solution, add 0.281mL of DMSO for each mg of TPX-0005.
- For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity: TPX-0005 (Repotrectinib) is an orally available and potent ATP-competitive inhibitor against ALK/ROS1/pan-TRK and their corresponding constitutively active clinical resistant mutants [1] TPX-0005 inhibits cell proliferation in neuroblastoma cells and exhibits strong antitumor effects in xenograft models of neuroblastoma [2]. Clinical trial studies in patients with advanced solid tumors harboring ALK, ROS1, or NTRK1-3 rearrangements are in progress as single agent as well as in combinatory therapy [3].

Reference:

1. Drilon A., et al. Repotrectinib (TPX-0005) Is a Next-Generation ROS1/TRK/ALK Inhibitor That Potently Inhibits ROS1/TRK/ALK Solvent-Front Mutations. Cancer Discov. 2018; 8(10); 1227–36. ©2018 AACR. Pubmed ID: DOI: 10.1158/2159-8290.CD-18-0484
2. Cervantes-Madrid D. et al. Repotrectinib (TPX-0005), effectively reduces growth of ALK driven neuroblastoma cells. Sci Rep. 2019; 9: 19353 Pubmed ID: 31852910
3. Cui JJ, et al. Repotrectinib Increases Effectiveness of KRAS-G12C Inhibitors in KRASG12C Mutant Cancer Models via Simultaneous SRC/FAK/JAK2 Inhibition. Cancer Res 2020; 80(16 Suppl):Abstract nr 1958 Pubmed ID: DOI: 10.1158/1538-7445
4. Murray B, et al. Repotrectinib Increases Effectiveness of MEK Inhibitor Trametinib in KRAS Mutant Cancer Models Via Simultaneous SRC/FAK/JAK2 Inhibition. Cancer Res 2020; 80(16 Suppl):Abstract nr 1957 Pubmed ID: DOI: 10.1158/1538-7445

To reorder: http://www.cellagentech.com/TPX-0005/

For Technical Support: technical@cellagentech.com

Chemicals are sold for research use only, not for clinical or diagnostic use.