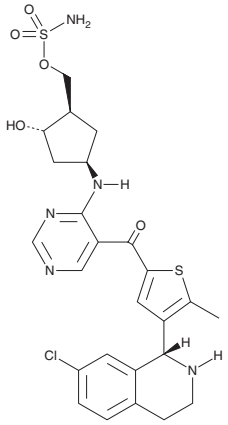
PRODUCT INFORMATION

TAK-981

Item No. 32741



CAS Registry No.:	1858276-04-6
Formal Name:	[(1R,2S,4R)-4-[[5-[[4-[(1R)-7-chloro-1,2,3,4-tetrahydro-1-isoquinolinyl]-5-methyl-2-thienyl]carbonyl]-4-pyrimidinyl]amino]-2-hydroxycyclopentyl]sulfamic acid, methyl ester
MF:	$C_{25}H_{28}ClN_5O_5S_2$
FW:	578.1
Purity:	≥98%
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

TAK-981 is supplied as a solid. A stock solution may be made by dissolving the TAK-981 in the solvent of choice, which should be purged with an inert gas. TAK-981 is soluble in DMSO.

Description

TAK-981 is an inhibitor of SUMO-activating enzyme (SAE; IC_{50} = <10 nM).[1] It increases macrophage phagocytosis and natural killer (NK) cell-mediated cytotoxicity of cancer cells *in vitro*.[2] TAK-981 upregulates the expression of IFN-regulated genes in the blood and tumor tissue in an A20 syngeneic lymphoma mouse model.[3] It also decreases intratumor levels of SUMOlyated proteins and reduces tumor growth in the same model.

References

1. Duffey, M.O., England, D., Freeze, S., *et al.* Heteroaryl compounds useful as inhibitors of sumo activating enzyme. **WO2016/004136 Al**, (2016).
2. Nakamura, A., Grossman, S., Song, K., *et al.* Abstract 1523: Inhibition of SUMOylation by TAK-981 induces antitumor innate immune responses by modulating macrophage and NK cell function through Type I IFN pathway activation. *Cancer Res.* **79(13)**, 1523 (2019).
3. Berger, A.J., Friedlander, S., Ghasemi, O., *et al.* Abstract 3079: Pharmacodynamic evaluation of the novel SUMOylation inhibitor TAK-981 in a mouse tumor model. *Cancer Res.* **79(13)**, 3079 (2019).

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