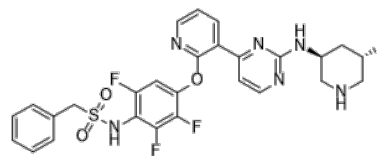


Product Name : G-5758
Cat. No. : PC-22293
CAS No. : 2413455-99-7
Molecular Formula : C₂₇H₂₄F₄N₆O₃S
Molecular Weight : 588.58
Target : IRE1
Solubility : 10 mM in DMSO



CAS: 2413455-99-7

Biological Activity

G-5758 (G5758) is a potent and selective, orally active **IRE1 α** inhibitor with IC₅₀ of 0.27 nM in HTRF IRE1 α binding assays, inhibits IRE1 α -Rnase with IC₅₀ of 4.3 nM.

G-5758 potently inhibits XBP1 splicing with IC₅₀ of 38 nM in cellular XBP1s luciferase reporter assay.

G-5758 displays 100-fold more selective against 219 kinases and at least 83-fold selective against HIPK4.

G-5758 demonstrated a robust PD response to induced IRE1 knockdown in the sh9/8 KMS-11 xenograft model.

References

Braun MG, et al. *J Med Chem.* 2024 May 15. doi: 10.1021/acs.jmedchem.3c02425.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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