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# Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

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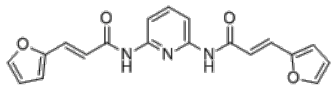
| **Product Name** | : | TT-012 |
| **Cat. No.** | : | PC-49606 |
| **CAS No.** | : | 1164471-33-3 |
| **Molecular Formula** | : | $C_{19}H_{15}N_3O_4$ |
| **Molecular Weight** | : | 349.35 |
| **Target** | : | MITF |
| **Solubility** | : | 10 mM in DMSO |



## Biological Activity

TT-012 (TT012) is a specific small molecule capable of disrupting the **microphthalmia transcription factor (MITF)** dimer, potently inhibits MITF-MITF dimerization with IC50 of 13.1 nM in AlphaScreen assays.
TT-012 displays no effect on the Alpha signal generated by the interaction between lysyl-tRNA synthetase (LysRS) and aminoacyl-tRNA synthetase complex-interacting multifunctional protein 2 (AIMP2).
TT-012 binds to the HLH region of MITF and interacts with MITF WT with Kd of 463.2 nM, MITF dimer-disrupting mutation N278D could still bind TT-012.
TT-012 (5 μM) significantly disrupted the interaction between MITF and the promoter of MITF target genes, Trpm1, Tyr, and Dct in melanoma cells, decreased the mRNA level of MITF target genes (Tyr and Trpm1) with IC50 of <3.12 uM.
TT-012 specifically inhibited B16F10 melanoma cell (high MITF expression) growth with an IC50 of 499 nM in the MTT assays, but not cells with low MITF expression, such as A375, HeLa, and SK-MEL-28.
TT-012 (2 mg/kg, 5 mg/kg, loaded into micelle nanoparticles to improve the solubility) inhibited the xenograft tumor growth in melanoma pulmonary metastasis model, TT-012 showed tolerable toxicity to liver and immune cells in mouse model.

## References

Zaizhou Liu, et al. ***Cell Res.*** 2023 Jan;33(1):55-70.



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