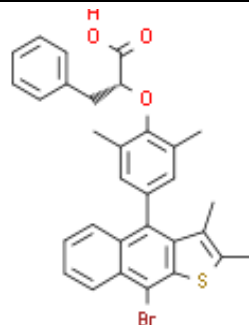


Product Data Sheet

Cas No.:	251303-04-5	Cat. No:	PL09607
Product Name:	Ertiprotafib		
Product synonym:	-		
Chemical name:	Ertiprotafib		
MF:	C31H27BrO3S	FW:	559.5133
Purity:	≥98%	Batch No.:	-
Storage:			
Structural formula:			
λmax:	-	Formulation:	-
Solubility :			
SMILES :	BrC1C2=C([H])C([H])=C([H])C([H])=C2C(C2C([H])=C(C([H])([H])([H])C(=C(C([H])([H])([H])C=2[H])O[C@@]([H])(C(=O)O[H])C([H])([H])C2C([H])=C([H])C([H])=C([H])C=2[H])=C2C(C([H])([H])([H])=C(C([H])([H])([H])SC2=1		
InChI Code:	-		
InChI Key:			
WARNING This product is not for human or veterinary use.			

Product Description

Ertiprotafib 是 PTP1B, IKK-β 的抑制剂, 其 IC₅₀ 值分别是 1.6 μM, 400 nM, 同时也是 PPARα/PPARβ 的激动剂, 其 EC₅₀ 值为 ~1 μM。

生物活性	Ertiprotafib is an inhibitor of PTP1B, IκB kinase β (IKK-β), and a dual PPARα and PPARβ agonist, with an IC ₅₀ of 1.6 μM for PTP1B, 400 nM for IKK-β, an EC ₅₀ of ~1 μM for PPARα/PPARβ.
IC ₅₀ & Target[1][2]	PTP1B 1.6 μM (IC ₅₀) IKK-β 400 nM (IC ₅₀)
体外研究(In Vitro)	Ertiprotafib is a potent inhibitor of IKK-β, with an IC ₅₀ value of 400±40 nM, which is much lower than that required for the half-maximal inhibition of the p-nitrophenyl phosphatase activity of PTP1B. The reported IC ₅₀ value of Ertiprotafib against PTP1B ranges from 1.6 to 29 μM depending on the assay conditions. Ertiprotafib is at least a dual PPARα and PPARβ agonist with EC ₅₀ values for transactivation of 1 μM. Such activities readily explain the observations with suprapharmacologic doses of these. has not independently confirmed the accuracy of these methods. They are for reference only.

体内研究(In Vivo)	As seen with treatment of ob/ob mice, both Ertiprotafib and compound 3 seem to significantly improve glucose metabolism in rats. At 25 mg/kg/day, these compounds decrease both fasting blood glucose and insulin levels compared with vehicle treated rats. Furthermore, both Ertiprotafib and compound 3 increase glucose disposal after an oral challenge. It is noteworthy that lipid levels are also reduced in treated animals. Both triglyceride and free fatty acid levels are substantially reduced in rats treated with 25 mg/kg/day of either compound. To summarize, both Ertiprotafib and compound 3 seem to be robust agents in improving glucose utilization in fa/fa rats while also decreasing lipid levels in these animals. Decreased lipid levels may be unexpected for a pure PTP1b inhibitor. It is more telling, as mentioned above, that rats treated with suprapharmacologic doses of Ertiprotaf
包装储存	4°C, sealed storage, away from moisture and light In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)
溶解度数据	In Vitro: DMSO : 100 mg/mL (178.73 mM; Need ultrasonic)配制储备液